UNITED STATES PATENT AND TRADEMARK OFFICE BEFORE THE PATENT TRIAL AND APPEAL BOARD

ARGENTUM PHARMACEUTICALS LLC

Petitioner

v.

RESEARCH CORPORATION TECHNOLOGIES, INC.

Patent Owner

Patent No. RE38,551

Inter Partes Review Case No.: <u>IPR2016-00204</u>

Declaration of Dr. Binghe Wang

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I, Binghe Wang, do declare as follows:

I. Introduction

- 1. I am over the age of eighteen (18) and otherwise competent to make this declaration.
- 2. I have been retained as an expert witness on behalf of Argentum Pharmaceuticals LLC for a *inter partes* review (IPR) for U.S. Patent No. RE 38,551 (Ex. 1001). I am being compensated for my time in connection with this IPR at my standard consulting rate, which is \$500 per hour. I understand that my declaration accompanies a petition for *inter partes* review involving the above-mentioned U.S. Patent.
- 3. I understand that the subject patent has been the subject of a previous IPR filed by other entities. I understand that the Patent Trial and Appeal Board denied that IPR petition for several reasons that are not implicated here. First, I understand that the former IPR petition argued that U.S. Patent No. 5,654,301 (Ex. 1020) anticipates the claims of U.S. Patent No. RE38,551 (Ex. 1001). I understand that anticipation requires an identical prior art disclosure of the claimed invention and, in the case of a prior art genus, then a POSA must be able to "immediately envisage" the claimed invention within that genus. Second, I understand that the public availability of the LeGall (Ex. 1008) thesis was in dispute in the prior IPR, and that the PTAB sided with the

Patent Owner on that issue. But I further understand that since that time, the Patent Owner has admitted that the LeGall thesis does in fact constitute a "printed publication" and was publicly accessible prior to 1996.

A. U.S. Patent No. RE 38,551

I understand that U.S. Patent No. RE 38,551 ("the '551 patent") 4. (Ex. 1001) is a reissue of U.S. Patent No. 5,773,475 ("the '475 patent") (Ex. 1005), which issued from U.S. Patent Application No. 08/818,688 ("the '688 application") filed on March 17, 1997, and which claims priority to U.S. Provisional Application No. 60/013,522, filed on March 15, 1996. Thus, I understand that the earliest possible priority date of a claim in the '551 patent based on these filings alone is March 15, 1996. I understand that the priority date to which the '551 patent is entitled may be in dispute, the Patentee may assert that the '551 patent is entitled to the priority date of the '522 application and the Petitioner asserts that it is entitled only to the actual filing date of March 17, 1997. I have been instructed to base my opinion on the prior art that was available on March 15, 1996. However, if I were to use March 17, 1997 as the relevant date, my opinion would not be any different. I further understand that, according to the USPTO records, the '551 patent is currently assigned to Research Corporation Technologies ("Research Corporation" or "Patentee" or "Patent Owner").

- 5. Claim 1 is the sole independent claim in the '551 patent (Ex.1001). Claim 1 reads:
 - 1. A compound in the R configuration having the formula:

wherein

Ar is phenyl which is unsubstituted or substituted with at least one halo group;

Q is lower alkoxy, and

 Q_1 is methyl.

6. Claims 2-9 are compound claims depending directly or indirectly from claim 1. Claim 8 is directed specifically to the compound known as lacosamide as referenced by its chemical name: "The compound according to claim 1 which is (R)-N-Benzyl 2-Acetamido-3-methoxypropionamide." The structure of lacosamide is shown below (wherein Ar is unsubstituted phenyl, Q is methoxymethyl, and Q_1 is methyl):

R-Lacosamide

Each of claims 2- 9 encompasses the above compound.

- 7. Claim 10 recites "[a] therapeutic composition comprising an anticonvulsant effective amount of a compound according to any one of claims 1-9 and a pharmaceutical carrier therefor."
 - 8. Claims 11-13 are method claims. Claim 11 reads:

A method of treating central nervous system disorders in an animal comprising administering to said animal in need thereof an anticonvulsant effective amount of a compound according to any one of claims 1-9.

Claim 12 depends from claim 11 and specifies that the "the animal is a mammal."

Claim 13 depends from claim 12 and specifies that "the mammal is a human."

- 9. Regarding the scope of the compound claims, I understand that claim construction is a legal issue to be decided by the legal tribunal, here the Patent Trial and Appeal Board. I also understand that claim construction may be informed by how one of ordinary skill in the art would understand the terms used in the claims.
- 10. From reading the claims and specification of the '551 patent (Ex. 1001), it seems clear to me that a POSA would understand the compound claims to be intended to cover R-isomers, whether substantially pure or

including the S-isomers. It is also my view that a POSA would understand that the compound claims are drafted to exclude a compound or composition that is only the S-isomer. The POSA would likely conclude this for the reason that naturally occurring amino acids occupy the S configuration exclusively.

Therefore, limiting claim 1 to the R-isomer would exclude compounds based on modifications of naturally occurring amino acids while encompassing the synthetically created R-isomer and mixtures containing it.

- 11. Claim 1 states that the claimed compound is the R-isomer. Under certain circumstances, this could indicate to a POSA that claim 1 is limited only to the R-isomer, i.e., it would not cover a mixture of R and S-isomers and thus would not read on a disclosure of a mixture of R and S compound. Here, however, the POSA would know that that interpretation would be incorrect because two dependent claims expressly set forth the limitation that the S-isomer is excluded, to at least some extent, thus meaning that it must be included in claim 1. Therefore, a composition containing at least some amount of the R-isomer, even if only a portion of a mixture of both enantiomers, falls within the scope of claim 1.
- 12. Claim 2 specifies that the compound is enantiopure. The '551 patent (Ex. 1001) states that, "[i]t is... preferred that the compounds of the present invention be substantially free from the corresponding S-isomer". In

other words, "enantiopure" means that the S-isomer is substantially not included within the scope of claim 2. If the S-isomer were not included within the scope of claim 1, then there would be no reason to specify "enantiopure" in claim 2.

13. Claim 9 specifies the compound according to claim 8 which contains at least 90% (w/w) R stereoisomer. I read claim 9 to specify the extent to which the R stereoisomer is enriched relative to the S stereoisomer. This is further evidence that the scope of claim 1 encompasses mixtures of both the R and S stereoisomers.

II. My Background And Qualifications

6. My area of expertise is the field of medicinal chemistry, and I have been an expert in this field since prior to 2002. At Georgia State University, I am presently a Regents' Professor of Chemistry, a Georgia Research Alliance Eminent Scholar in Drug discovery, and a Georgia Cancer Coalition Distinguished Cancer Scholar. I also serve as Associate Dean for Natural and Computational Sciences in the Georgia State University College of Arts and Sciences. My research areas include medicinal and bioorganic chemistry with an emphasis on new drugs against cancer, infectious diseases, and inflammatory conditions as well as new diagnostics for cancer, cardiovascular abnormalities, and other diseases.

postdoctoral fellows.

- 7. I obtained a bachelor of science in Medicinal Chemistry from
 Beijing Medical College in 1982 and a Ph.D. from the Department of Medicinal
 Chemistry at the University of Kansas in 1991.
- 8. I also serve as Editor-in-Chief of the journal *Medicinal Research*Reviews and I am the founding editor of "Wiley Series in Drug Discovery and Development," which has published over 20 volumes. *Medicinal Research*Reviews is the #2 ranked journal among the 59 medicinal chemistry journals worldwide, as measured by impact factor. The journal was ranked #1 based on impact fact in 2011. Impact factor is a measure of the relative importance of a journal based on the average number of citations to recent articles.

 Additionally, I have been a named author on over 200 scientific papers, and I have trained a large number of graduate and undergraduate students as well as
 - 9. My *curriculum vitae* is attached as an appendix to this document.
- 10. In view of my experiences and expertise outlined above and provided in my *curriculum vitae*, I am an expert in the field of medicinal chemistry.

III. List Of Documents Considered In Formulating My Opinion

11. In formulating my opinion, I considered the following documents:

Ex. #	Exhibit Name	
1001	U.S. Patent No. RE38,551 ("the '551 patent")	
1002	Declaration of Dr. Wang	
1003	Declaration of Dr. Clayton Heathcock	
1004	Joint Statement of Uncontested Facts	
1005	U.S. Patent No. 5,773,475 ("the '475 Patent")	
1006	Excerpt from Application No. 08/818,688	
1007	District Court Claim Construction Opinion	
1008	Philippe LeGall, 2-Substituted-2-acetamido-N-benzylacetamides.	
	Synthesis, Spectroscopic and Anticonvulsant Properties (Dec. 1987) ("LeGall")	
1009	U.S. Patent No. 5,378,729 ("the '729 Patent")	
1010	Choi et al., Trimethylsilyl Halides: Effective Reagents for the Synthesis of β-Halo Amino Acid Derivatives, Tet. Lett., Vol. 36(39), pg. 7011 (1995) ("Choi")	
1011	Purdie et al., The Alkylation of Sugars, J.A.C.S.Vol. 83, pg. 1021 (1903) (Purdie)	
1012	Kohn et al., Preparation and Anticonvulsant Activity of a Series of Functionalized α-Heteroatom-Substituted Amino Acids, J. Med. Chem. Vol. 34, pg. 2444 (1991) ("Kohn 1991")	
1013	Silverman, R. B., <i>The Organic Chemistry of Drug Design and Drug Action</i> , Academic Press (1992) ("Silverman")	
1014	Development of New Stereoisomeric Drugs, U.S. F.D.A., May 1, 1992	
1015	Cortes et al., Effect of Structural Modification of the Hydantoin Ring on Anticonvulsant Activity, J. Med. Chem., Vol. 28, pg. 601 (1985) ("Cortes 1985")	
1016	LeGall et al., Synthesis of Functionalized Non-Natural Amino Acid Derivatives via Amidoalkylation Transformations, Int. J. Peptide Protein Res. Vol. 32, pg. 279 (1988) ("LeGall 1988")	
1017	Kohn et al., Synthesis and Anticonvulsant Activities of α-Heterocyclic	

	α-Acetamido-N-benzylacetamide Derivatives, J. Med. Chem. Vol. 36, pg. 3350 (1993)
1018	Kohn <i>et al.</i> , <i>Preparation and Anticonvulsant Activity of a Series of Functionalized</i> α-Aromatic and α-Heteroaromatic Amino Acids, J. Med. Chem. Vol. 33, pg. 919 (1990)
1019	U.S. Patent No. 5,654,301 ("the '301 Patent")
1020	Patent Term Extension Request in U.S. Patent No. 5,654,301
1021	FDA Guideline for Industry, November 1994
1022	Schmidt, R., <i>Dose-Finding Studies in Clinical Drug Development</i> , Eur. J. Clin. Pharmacol, Vol. 34, pg. 15 (1988)
1023	Isbell, H. S., <i>The Optical Rotation of the Various Asymmetric Carbon Atoms in the Hexose and Pentose Sugars</i> , B. S. Jour. Research, Vol. 5, pg. 1041 (1929)
1024	Wilson and Gisvold's Textbook of Organic Medicinal Chemistry, <i>Physicochemical Properties in Relation to Biologic Action</i> , (Delgado J. N. & Remers W. A., eds. 1991) (Wilson & Gisvold)
1025	Thornber, C. W., <i>Isosterism and Molecular Modification in Drug Design</i> , Chem. Soc. Rev., Vol. 8(4) (1979) (Thornber)
1026	Reissue Declaration in Reissue of U.S. Patent No. 5,773,475
1027	Subpoena directed to The University of Houston
1028	FOIA dated September 29, 2015
1029	Zhou et al., Decisions under Uncertainty: the Fuzzy Compromise Decision Support Problem, Eng. Opt. Vol. 20, pg. 21 (1992)
1030	Mistree et al., A Decsion-Based Perspective for the Design of Methods for Systems Design, (1989)
1031	Mistree et al., A Decision-based Approach to Concurrent Design, Concurrent Engineering: Contemporary Issues and Modern Design Tools, (Parsaei, H. R. & Sullivan W. G. Eds. 1993)
1032	Ingram W. T., Concerning Periodic Points in Mappings of Continua, J. Am. Math. Soc., Vol. 104(2) (1988)
1033	Mattson, <i>Current Challenges in the Treatment of Epilepsy</i> , Neurology, Vol. 44(suppl. 5), pg. 84 (1994)

	Löscher et al., New Avenues for Anti-Epileptic Drug Discovery and Development, Nature Reviews: Drug Discovery, Vol. 12, pg. 12 (2013)
1035	Cohen authorized amendment

IV. Person Of Ordinary Skill In The Art

- 12. I understand that as of March 15, 1996, a hypothetical POSA would "be aware all the pertinent prior art" at the time of the alleged invention.
- 13. The scientific field relevant to the '551 patent (Ex. 1001) is medicinal chemistry, and a POSA would have a Ph.D. in organic or medicinal chemistry and at least a few years of experience in medicinal chemistry, including in the development of potential drug candidates. This POSA would also include a person who has a Bachelor's or Master's degree in organic chemistry or medicinal chemistry if such a person had more years of experience in medicinal chemistry and the development of potential drug candidates. The POSA having experience in the development of potential drug candidates would have an appreciation of the diseases or ailments that the particular drug candidates are intended to treat, but would not be a medical doctor or clinician. The POSA would know how to evaluate the physical and biological properties of chemical compounds and would be able to conduct, or otherwise have access to resources that could conduct, in vitro and in vivo evaluations of biological and toxicity properties of chemical compounds.

14. The following prior art references, summarized below, would have further informed a POSA's skill and understanding of the art.

A. Overview of the Class of Compounds

15. Compounds within the class of anticonvulsants relating to the invention claimed in the '551 patent possess the following generic structure:

16. As used herein, and for ease of reference, I refer to the compounds based on the identity of the substituent on the α -carbon, *i.e.*, the R group in the structure above. when compounds are referred to by the name of a substituent, it is to specify the nature of the R group in this structure. For example, lacosamide is the methoxymethyl compound of the structure shown above wherein R is -CH₂OCH₃, *i.e.*, methoxymethyl, and shown below:

Racemic Lacosamide (Methoxymethyl Compound)

17. Additionally, I generally refer to lacosamide to cover both the R-and S-isomers of the lacosamide shown above. As generally used in the art, a

generic name such as lacosamide often covers both isomers of a compound when the compound only has two stereoisomers, and the individual isomers are specified by designating the "R" and "S" before the compound name. A common example of this is thalidomide. The generic term thalidomide covers both the R and S isomers, but the individual isomers are referred to as R-thalidomide and S-thalidomide.

- 18. This generally accepted naming convention makes sense because the R and S isomers of compounds such as lacosamide are non-superimposable mirror images and generally have the same physical and chemical properties, although they may interact with biological systems differently.
- 19. Furthermore, in this declaration, in reference to the stereochemistry, I generally use the R/S terminology, as opposed to the D/L terminology. Some of the prior art references refer to a preference of the D-isomer. For purposes of the present declaration, the D-isomer refers to the R-isomer.
- 20. Further, when faced with the disclosure of a compound that has one stereocenter, a POSA would immediately recognize that the disclosure is actually disclosing two compounds: both the R-isomer and the S-isomer. This fact is a basic chemical fact that undergraduate chemistry students learn. In practicality, there is little meaningful difference between the disclosure of a

structure that does not specify the particular stereochemistry of the single stereocenter and a disclosure that takes the minor additional step of actually drawing both the R-isomer and the S-isomer.

21. The table below lists compounds used herein and our nomenclature for them.

Name	Structure
Methyl Compound Cortes AAB Kohn 1990 (2a) Kohn 1991 (2a) LeGall (68a)	
Hydroxymethyl compound • Choi (2d)	PE STEE
Methoxy Compound • '301 patent; D,L-2-acetamido-N-benzyl-2-methoxy-acetamide	
Ethoxy Compound	

Methoxyamino Compound • Kohn 1991 (31)	HZ HZ
Methoxymethylamino Compound • Kohn 1991 (3n)	
Amino Compound • Kohn 1991 (3a)	THE NH2 O
Hydroxyamino Compound • Kohn 1991 (3k)	HO NH O

V. State Of The Prior Art

A. Cortes 1985 (Ex. 1015)

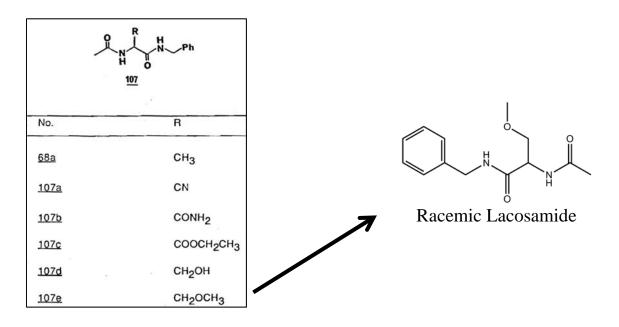
22. I have read Cortes 1985. In 1985, Sergio Cortes co-authored an article with Dr. Harold Kohn which reported the synthesis and anticonvulsant activity of several different nitrogen-containing compounds, including four amino acid derivatives. Ex. 1015 at 601 abstr. Cortes reported that "[a]mong the most active compounds observed were the amino acid derivative N-acetyl-D, L-alanine benzylamide (6d) [AAB]" (id.), depicted below:

Methyl Compound

23. Based on these results, Cortes (Ex. 1015) stated that AAB was "slated for additional screening." *Id.* at 604. Cortes also stated "[a]dditional structure proof, discussion, and experimentation and spectral data may be found in" the "Ph.D. dissertation of this author," Sergio Cortes, whose bibliographic information states that he was at the "Department of Chemistry, University of Houston—University Park, Houston Texas 77004." *Id.* at 601 & n.1(a).

B. LeGall (1987) (Ex. 1008)

24. I have read LeGall. LeGall describes the synthesis and anticonvulsant activity of "analogues of the potent anticonvulsant agent" referred to as AAB. Ex. 1008 at 42, 132, 173 n.102. The compound AAB was described in an article published by Cortes (described above). The compound AAB is referred to in LeGall as compound 68a. As described below, Cortes recommended conducting "additional screening" of the methyl compound 68a. Ex. 1015 at 604. LeGall synthesized five "[c]ompounds 107a-e [that] were selected as polar analogues of the potent anticonvulsant" AAB (compound 68a):



Ex. 1008 at 133, Tbl. 35.

- 25. Compound 107e is the methoxymethyl compound, having as the R substituent a methoxymethyl (-CH₂OCH₃) group. As depicted in LeGall (Ex. 1008), compound 107e includes both the R and S-isomers. As depicted, compound 107e includes lacosamide. Thus, compound 107e can be referred to as "racemic lacosamide." Furthermore, because lacosamide has only one stereocenter, a POSA would immediately envisage both R-lacosamide and S-lacosamide when reviewing the disclosure of LeGall.
- 26. LeGall (Ex. 1008) states an express preference for the R-stereoisomer. LeGall writes: "the D-enantiomer of 68a was thirteen times more active than the L-isomer when tested orally in mice in the MES seizure test. A comparable difference in activity was also noted for the two

stereoisomers of 68b" (id. at 42), and "more potent and less toxic than the corresponding racemates," (id at 164). Thus, a POSA would certainly read that statement to mean that the R-isomers of the compounds shown in Table 35, including racemic lacosamide, would be the preferred compound to use for anticonvulsant purposes.

C. Kohn 1991 (Ex. 1012)

27. I have read Kohn 1991. Kohn 1991 describes the amino acid derivatives AAB and the 2-furanyl derivative (2a-2d). Ex. 1012 at 2444. Kohn 1991 tested numerous amino acid derivatives, all of which contain both an N-benzylamide moiety and an acetylated amino group, and vary only by the substituent at the α -carbon (the substituent being defined as "X" in the structure below). These are the same general class of compounds referred to above in ¶ 15.

Id. at 2445, Tbl. I.

28. Of all the compounds tested, Kohn 1991 (Ex. 1012) reported that "[t]he most active compounds were (R,S)-2- acetamido-N-benzyl-2- (methoxyamino)acetamide (31) and (R,S)-2-acetamido-N- benzyl-2- (methoxymethylamino)acetamide (3n)," depicted below:

Methoxyamino Compound (31)

Methoxymethylamino Compound (3n)

Id. at 2444, abstr.; *id* at 2445, Tbl. I. Among these two compounds (31 and 3n) and all other compounds, the single most potent was 31 (ED₅₀ 6.2 mg/kg vs. 6.7 mg/kg). *Id.* at 2445, Tbl. I.

29. In compounds 2a and 3t of Kohn 1991 (Ex. 1012), the substituents at the α -carbon are methyl (-CH₃) and ethoxy (-OCH₂CH₃), respectively (*id.* at 2445, Tbl. I):

Methyl Compound

Ethoxy Compound

30. Reviewing the potency of the compounds, Kohn 1991 (Ex. 1012) makes "several important observations" about the structure-activity relationships of this class of compounds including that (1) "the α -amino . . . derivative[] displayed anticonvulsant activit[y] comparable to that observed for the α -methyl analogue"; (2) there are "stringent steric requirements that exist

for maximal anticonvulsant activity in this class of compounds"; and (3) "in the most potent analogues (2d, 3l, and 3n), a *functionalized* oxygen atom existed two atoms removed from the α -carbon atom." *Id.* at 2447 (italics in original).

D. The '729 Patent (Ex. 1009)

31. I have read the '729 Patent. The '729 patent claims compounds of the general structure depicted below, along with the more specific formula applying Kohn's preferred substituents:

Ex. 1009, col. 1:30-2:20. Kohn described the preferred substituents as follows: n is 1, R is "especially benzyl," and "[t]he most preferred R₁ group is methyl." *Id.* at 5:14-19.

32. The above genus of the '729 patent (Ex. 1009) covers lacosamide. Lacosamide is the R-enantiomer of the claimed compound wherein R is "aryl lower alkyl" (i.e., the "especially [preferred] benzyl" (id. at 5:17-18)), R_1 is "lower alkyl" (i.e., the "most preferred ... methyl" (id. at 5:17-19)), and one of R_2 and R_3 is "hydrogen" and the other "lower alkyl" (i.e., methylene)

"substituted with . . . at least one electron donating substituent" (i.e., "methoxy" (id. at 4:37)).

- 33. Regarding stereospecificity, the '729 patent (Ex. 1009) states that "[t]he present compounds obviously exist in stereoisomeric forms and the products obtained thus can be mixtures of the isomers, which can be resolved." *Id.* at 15:29-31, 9:56-68. I agree that, to a POSA, it would have been plainly obvious that the compounds described in the '729 patent exist in stereoisomeric forms and that a POSA could have resolved the isomers. The '729 patent then describes various art-recognized techniques for synthesizing and separating stereoisomers. *Id.* at 15:31-16:4.
- 34. The '729 patent (Ex.1009) states that "[t]he D-stereoisomer is preferred" (*id.* at 10:27). Again, this would have been a clear teaching that the R-isomer is preferred for anticonvulsant activity. Here, the D-stereoisomer is understood as the same as the R-isomer. The biological data provided in Table I further support the preference for the R-stereoisomer. *Id.* at 58-61, Tbl. 1.
- 35. The '729 patent (Ex. 1009) also states that "compounds of the present invention exhibit excellent anticonvulsant activity." *Id.* at 16:5-7. A POSA would have understood this statement to mean that there was a reasonable expectation that the compounds described therein would be excellent as anticonvulsant compounds.

36. The '729 patent (Ex. 1009) also teaches that the compounds are administered with a "pharmaceutically acceptable carrier," *id.* at 17:53-54 and that "[t]he use of such media and agents for pharmaceutical active substances is well known in the art" (*id.* at 17:54-58). I agree with these statements. It was well-known in the art to use a pharmaceutically acceptable carrier when administering pharmaceutically active compound, including an anticonvulsant agent.

E. Kohn 1993 (Ex. 1017)

- 37. I have read Kohn 1993. In 1993, Dr. Harold Kohn published the results of anticonvulsant evaluation of an "expanded set of $C(\alpha)$ -heteroaromatic analogs" prepared by modifying the methyl compound (AAB) to incorporate heteroaromatic groups at the α -carbon. Ex. 1017 at 3350. Based on these studies, Kohn 1993 provides further support that "improved activity resulted by the positioning of a heteroatom two atoms removed from the $C(\alpha)$ -site." *Id.* at 3354.
- 38. Kohn 1993 noted that they previously had observed that "the anticonvulsant activity ... decreased in proceeding from oxygen to nitrogen to sulfur containing C(α)-heteroaromatic derivatives." *Id.* In other words, oxygen-containing R groups displayed the most potent anticonvulsant activity. Moreover, Kohn 1993 "suggest[ed] that increased anticonvulsant activity

generally accompanied the placement of a substituted (alkylated) heteroatom two atoms removed from the amino acid α -carbon." *Id.* These statements taken together are clear reasons for a POSA to incorporate an alkylated oxygen moiety as the R group to achieve anticonvulsant activity.

- 39. Kohn 1993 also prepared "several enantiopure congeners" of (R)-4 [the 2-furanyl derivative] (*id.* at 3350) and reported "a significant improvement in anticonvulsant activity of (R) [configuration] ... versus the corresponding racemate." *Id.* at 3355. This statement is consistent with the multiple references teaching the R-isomer is preferred.
- 40. Kohn 1993 (Ex. 1017) cites to "LeGall, P. M.S. Thesis, University of Houston, 1987" (id. at 3360 n.9b) in connection with compound 49 (-CH₂OH bound to the α -carbon), which is compound 107d in LeGall (Ex. 1008 at 133, Tbl. 35), and whose structure is depicted in Kohn 1993 below:

41. Ex. 1017 at 3355. As seen above, compound 50 of Kohn 1993 has a methyl group (-CH₃) bound to the α -carbon and is the methyl compound AAB of Cortes.

F. Choi (Ex. 1010)

42. I have read Choi. Choi is an article co-authored by Kohn and describes the synthesis of β -halogen amino acid derivatives in one step from the corresponding serine compound (the hydroxymethyl compound) and trimethylsilyl halide. Ex. 1010 at 7011, abst. Choi identifies compound 2d (-CH₂OH bound to the α -carbon), depicted below, as being especially useful as an intermediate in the formation of new compounds:

Hydroxymethyl Compound

43. In particular, Choi (Ex. 1010) stated that, "[f]or an ongoing project to prepare bioactive amino acid derivatives, we needed the β-halogen compounds 2a-2c," (*id.* at 7011), and that for this purpose, "(2d) was converted to 2a-2c in acetonitrile," (*id.* at 7012)." Notably, compound 2d of Choi is the same as both compound 49 of Kohn 1993 (Ex. 1017 at 335) and compound 107d of LeGall, (Ex. 1008 at 133, Tbl. 35), to which Choi expressly refers the reader (Ex. 1010 at 7013 n.16 (citing LeGall)).

G. The '301 Patent (1995) (Ex. 1019)

44. I have read the '301 Patent. In August 1995, U.S. Patent No. 5,654,301 ("the '301 patent") issued to Patentee, Research Corporation Technologies, Inc., based on an application filed in 1993 that named Dr. Harold Kohn as a co-inventor. Ex. 1019. Like the '729 patent, the '301 patent discloses compounds that "hav[e] central nervous system (CNS) activity [and] which are useful in the treatment of epilepsy and other CNS disorders" having the following general formula:

$$\begin{array}{c|c}
R_2 \\
| \\
R-NH+C-CNH+C-R_1 \\
|| & | \\
O & R_3 & A
\end{array}$$

Id. at 1:29-40.

45. One compound disclosed in the '301 patent (Ex. 1019) that falls within the general formula is "D,L-2-acetamido- \underline{N} -benzyl-2-methoxy-acetamide." *Id.* at 81:20-23, Tbl. I. The structure of D,L-2-acetamido- \underline{N} -benzyl-2-methoxy-acetamide, whose R_2 is methoxy (-OCH₃ bound directly to the α -carbon), is shown below:

Methoxy Compound

46. The '301 patent (Ex. 1019) also specifically claims, at R_2 , a methoxymethyl (which is a group that has an oxygen two atoms removed from the α -carbon). The fact that the '301 patent specifically claims the methoxymethyl group would be a strong indication to a POSA that the methoxymethyl group would be a useful group for active anticonvulsant agents. The R_2 group here corresponds to the R group in the general structure I show above in ¶ 15. Claim 39 is an independent claim that recites the general formula:

Id. 93:3-23.

47. Dependent claim 40 specifies that "one of R₂ and R₃ is hydrogen and the other is lower alkyl substituted with an electron donating group." *Id.* at 94:1-3. Claim 42 further specifies that "one of R₂ and R₃ is methyl substituted with an electron donating group." *Id.* at 94:8-10 (emphasis added). Claim 43 specifies that the "electron donating group" of claim 42 "is lower alkoxy" (id at 94:11-12), and claim 44 further specifies that the "lower alkoxy" of claim 43 "is methoxy" (*id.* at 94:13-14 (emphasis added)). Thus, claim 44 of the '301 patent discloses that one of R₂ and R₃ is hydrogen, and the other is methoxymethyl.

Claim 45 specifies that n is 1. This combination of substituents leads a POSA to the following structure:

$$\begin{array}{c|c} & & & \\ & & & \\ R & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

- 48. Regarding the selection of R and R₁, the '301 patent states that "[t]he preferred values [sic] of R is . . . especially benzyl, and . . . [t]he most preferred R₁ group is methyl." Ex. 1019, 5:12-14 (emphases added). The '301 patent further states that "it is especially preferred that n is 1" (id. at 10:19) and that "[t]he D stereoisomer is preferred" (id. at 11:20).
- 49. After the '301 patent (Ex. 1019) issued, Patentee filed a request with the USPTO for extension of patent term of the '301 patent under 35 U.S.C. § 156. (Ex. 1020). In that request, Patentee represented to the USPTO that the '301 patent claims lacosamide:
 - "U.S. Patent No. 5,654,301 claims the approved product, VIMPAT® injection. More specifically, *claims 39-45* read on the approved product and claim the active ingredient of the final approved product lacosamide, claim 46 reads on the approved product and claims a composition comprising lacosamide, and claim 47 reads on methods that comprise using lacosamide for treatment of CNS (i.e. central nervous system) disorders."

Ex. 1020 at 5.

H. Silverman (1992) (Ex. 1013)

- 50. I have read Silverman. Silverman is a chapter from a textbook which teaches the concept of bioisosterism. Ex. 1013 at 19-23. Specifically Silverman teaches that, "Bioisosteres are substituents or groups that have chemical or physical similarities, and which produce broadly similar biological properties." *Id.* at 19. Furthermore, the concept can be applied as "a lead modification approach that has been shown to be useful to attenuate toxicity or to modify the activity of a lead." *Id.*
- 51. Silverman (Ex. 1013) teaches that the following compounds are bioisosteres:
- 2. Bivalent atoms and groups
 a. —CH₂— —NH— —O— —S— —Se—

 Id., pg. 19.
 - 52. Wilson & Gisvold (Ex. 1024) and Thornber (Ex. 1025) each contain similar material.
 - 53. The concept of bioisosterism is a useful and well known concept in medicinal chemistry. It recognizes that certain functional groups show certain similar properties and they can be replaced in a particular compound and a medicinal chemist would expect the new compound to have similar properties as the original lead compound that was modified. Here, Silverman (Ex. 1013) summarizes the known bioisosterism between -NH- and -CH₂-. Thus, a

medicinal chemist would generally expect that, when replacing, in a lead compound, an -NH- with a -CH₂-, one would often see similar biological activity between the lead compound and the new compound.

VI. Ground 1A: Claims 1 And 3-8 Are Anticipated By LeGall

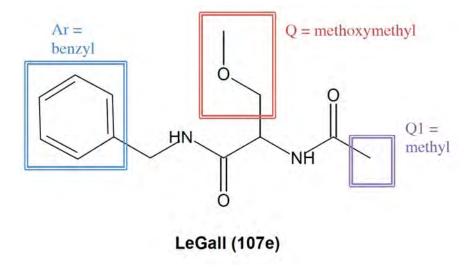
A. Basis of my Opinion with Respect to Anticipation

54. I have been informed that a claim is not patentable when a single prior art reference describes every element of the claim, either expressly or inherently to a person of ordinary skill in the art. I understand that this is referred to as "anticipation." I have also been informed that, to anticipate a patent claim, the prior art reference need not use the same words as the claim, but it must describe the requirements of the claim with sufficient clarity that a person of ordinary skill in the art would be able to make and use the claimed invention based on the single prior art reference.

B. Claims 1 and 3-8

55. Compound 107e, *i.e.* racemic lacosamide and R-lacosamide, of LeGall (Ex. 1008 at 133, Tbl. 35) contains all of the substituents recited in claims 1 and 3-8. In particular, the benzyl in compound 107e is an unsubstituted phenyl at the Ar position. The methoxymethyl in compound 107e is a lower alkoxy containing 1–3 carbon atoms at the Q position. The methyl in compound 107e is the methyl at Q₁. The substituents of claim 1, as met by

compound 107e of LeGall, are depicted below.



- 56. As I stated above, LeGall (Ex. 1008) discloses what I refer to as "racemic lacosamide." A POSA would immediately understand that the compound depicted as compound 107e in LeGall includes, and discloses, both the R and the S compounds. The R compound of 107e is lacosamide (or R-lacosamide). The S compound is the enantiomer or mirror image of lacosamide, i.e., S-lacosamide.
- 57. In other words, from the perspective of a POSA, depicting lacosamide as shown in 107e (without stereochemistry specified) is no different than depicting the two individual R- and S-isomers of lacosamide. Once a POSA sees structure 107e, he or she immediately envisions both isomers and knows that the compound exists in both the R and S forms.

- 58. Only two stereoisomers of the methoxymethyl compound exist. A racemic mixture of enantiomers contains equal proportions of compounds in the R and S configuration. Therefore, half the material described in LeGall (Ex. 1008) is in the R configuration, meeting every limitation of claims 1 and 3-8. Accordingly, it is my opinion that every limitation of claims 1 and 3-8 is taught in LeGall.
- 59. Claim 3 depends from claim 1, where Q is lower alkoxy containing 1-3 carbon atoms. Claim 4 depends from claim 3 where Q is methoxy. A POSA would immediately recognize that methoxy is a type of alkoxy group containing one carbon. Compound 107e has methoxy at this position.

 Therefore, LeGall (Ex. 1008) discloses every limitation of claims 3 and 4.
- 60. Claim 5 depends from claim 1, wherein Ar is unsubstituted phenyl. Compound 107e has an unsubstituted phenyl at this position. Therefore, LeGall (Ex. 1008) discloses every limitation of claim 5.
- 61. Claim 6 depends from claim 1, wherein halo is fluoro. Claim 1 states that the substituent Ar is phenyl, either unsubstituted or substituted with at least one halo group. A POSA would interpret claim 6 to encompass the compound of claim 1, where Ar is unsubstituted phenyl, or phenyl substituted with one or more fluorine atoms. Compound 107e has an unsubstituted phenyl

at this position. Therefore, LeGall (Ex. 1008) discloses every limitation of claim 6.

- 62. Claim 7 depends from claim 1, wherein Q is alkoxy containing 1-3 carbon atoms and Ar is unsubstituted phenyl. Compound 107e has both these substituents at the specified positions. Therefore, LeGall (Ex. 1008) discloses every limitation of claim 7.
- 63. Claim 8 depends from claim 1, wherein the compound is (R)-N-Benzyl 2-Acetamido-3-methoxypropionamide. A POSA would recognize that (R)-N-Benzyl 2-Acetamido-3-methoxypropionamide is a chemical name for the compound commonly known as lacosamide. LeGall (Ex. 1008) teaches lacosamide (or R-lacosamide). Therefore, LeGall discloses every limitation of claim 8.
- 64. Accordingly, LeGall (Ex. 1008) discloses every limitation of claims 1 and 3-8. Therefore, claims 1 and 3-8 are anticipated by LeGall.

VII. Ground 1B: Claims 2 And 9-13 Are Obvious Over LeGall And The '729 Patent

A. Basis of My Opinion with Respect to Obviousness

65. I understand that an obviousness analysis first requires that the terms of the claims be construed in light of the specification of the patent in which the claims appear. In particular, I understand that in an *inter partes*

review, the claims of an unexpired patent are interpreted according to their broadest reasonable construction in light of the specification of the patent in which they appear.

I understand that the next step of an obviousness analysis involves 66. comparing the construed claims to the prior art to determine whether the claimed invention as a whole would have been obvious to a person of ordinary skill in the art in view of the prior art at the time of the invention. I understand that obviousness can be established by combining or modifying the teachings of the prior art to achieve the claimed invention. It is also my understanding that where this is a reason to modify or combine the prior art to achieve the claimed invention, there must also be a reasonable expectation of success in so doing in order for the claimed invention to be obvious. I understand that the reason to combine prior art references can come from a variety of sources, not just the prior art itself or the specific problem the patentee was trying to solve. And I understand that the references themselves need not provide an explicit specific hint or suggestion of the alteration needed to arrive at the claimed invention; the analysis may include recourse to logic, judgment, and common sense available to a person of ordinary skill that does not necessarily require explication in any reference.

- 67. I understand that obviousness based on structural similarity can be proved by identifying some reason that would have led a POSA to select and then modify a known compound (i.e., a "lead compound") in a particular way to achieve the claimed compound. I also understand, however, that identification of a single "lead compound" is not always necessary. I understand that obviousness may exist, without the need to identify a single lead compound.
- 68. I understand that, when considering the obviousness of an invention, one should also consider whether there are any secondary considerations that support the nonobviousness of the invention. I understand that secondary considerations of nonobviousness may include failure of others, copying, unexpectedly superior results, praise in the industry, commercial success, and long-felt but unmet need.

B. Claims 2 and 9 Directed to Purified R-Enantiomers are Obvious

- 69. Claim 2 recites the compound of claim 1 which is substantially enantiopure. Claim 9 recites the compound according to claim 8 which contains at least 90% (w/w) R stereoisomer."
- 70. As stated above, LeGall (Ex. 1008) discloses "racemic lacosamide," i.e., the R and S-isomers depicted as a generic structure. As further explained above, a POSA would immediately recognize the disclosure of both the R and S-isomers of lacosamide. LeGall also discloses an expressed

preference for the R-isomer. For example, LeGall observes that the R-isomer of the methyl compound 68a (AAB of Cortes) was thirteen times more active than the S-isomer, with a comparable difference for the two stereoisomers of 68b. Ex. 1008 at 42. Thus, LeGall provides an express reason for a POSA to prepare the enantiopure form of lacosamide, which falls within the scope of claims 2 and 9. Furthermore, the synthetic processes disclosed in LeGall could be modified to produce the pure R-enantiomer, i.e. lacosamide.

- 71. The '729 patent (Ex. 1009) discloses (1) a reason to select and test the racemic lacosamide and R-lacosamide (107e) which was predicted to have "good anticonvulsant activity"; (2) the known greater therapeutic activity and lower toxicity of the R stereoisomer over the S stereoisomer in this class of structurally similar anticonvulsant compounds; and (3) techniques known in the art to isolate the R stereoisomer, specifically fractional crystallization, chiral chromatography and stereoselective or asymmetric synthesis, all of which could be used to obtain the R-isomer of lacosamide at greater than 90% enantiomeric purity.
- 72. Therefore, a POSA would have had the ability to obtain lacosamide as the purified R-enantiomer and a reason to do so. Accordingly, claims 2 and 9 are obvious over LeGall (Ex. 1008) and the '729 patent (Ex. 1009).

C. Claim 10 to a "Therapeutic Composition" is Obvious over LeGall and '729 patent

- 73. Claim 10, depends from any one of claims 1-9 and recites a "therapeutic composition comprising [1] an anticonvulsant effective amount" of the claimed compound "and [2] a pharmaceutical carrier." LeGall (Ex.1008) set out to study a "novel class of antiepileptic compounds" which includes racemic lacosamide 107e. Ex. 1008 at 43. While LeGall did not actually test the anticonvulsant activity of racemic lacosamide 107e, LeGall expressly states—and accurately predicts—that racemic lacosamide 107e "may have good anticonvulsant activity" in light of the "close structural analogy of this compound with 86b." Ex. 1008 at 155.
- 74. It is my opinion that a POSA would read this statement as a clear suggestion to select racemic lacosamide 107e for testing in order to determine an anticonvulsant effective amount of racemic lacosamide 107e. A POSA would have known how to identify an anticonvulsant effective amount of racemic lacosamide 107e, using established FDA guidelines and known dosefinding studies for determining an effective amount of a drug. Ex. 1021 at 9, 13 (disclosing "[a] number of specific study designs ... to assess dose-response," including for determining "the relationship of drug dosage[] or drug

concentration" to both "clinical beneficial [and] undesirable effects"); Ex. 1022 at 15-19, Ex. 1021 (F.D.A. Guidelines).

- The '729 patent (Ex. 1009) explains that the genus of compounds, 75. which covers lacosamide, "exhibit excellent anticonvulsant activity" (Ex. 1009) at 16:5-8), and further teaches that "[t]he principal active ingredient is compounded for convenient and effective administration in effective amounts with a suitable pharmaceutically acceptable carrier" (id. at 18:12-16). Regarding the effective amount of the active ingredient, the '729 patent states that "an oral dosage unit form contains between about 5 and 1000 mg of active compound." *Id.* at 16:44-47. This range exactly coincides with the range that the '551 patent (Ex. 1001) states will achieve "effective administration" of lacosamide. Ex. 1001 at 10:52-59 ("A unit dosage form can, for example, contain the principal active compound in amounts ranging from about 5 to about 1000 mg.") (emphasis added). It is my opinion that a POSA would regard this as teaching an anticonvulsant effective amount and that a POSA would have been well equipped to conduct any necessary optimization, based on knowledge of assays available at the time.
- 76. The '729 patent (Ex. 1009) also discloses numerous "pharmaceutically acceptable carriers," including various "solvents, dispersion media, coatings, ... absorption delaying agents, and the like," for formulating

compounds including lacosamide into "tablets," "capsules, elixirs, suspensions, syrups" or "for injectable use." Ex. 1009 at 17:53-58, 16:33-37, 17:13. The '729 patent recognizes that "[t]he use of such media and agents for pharmaceutical active substances is well known in the art." *Id.* at 17:56-58. The pharmaceutically acceptable carriers disclosed in the '729 patent are the same or similar as to those disclosed in the '551 patent (Ex. 1001), furthermore a POSA in the art would know about various pharmaceutical excipients and which ones would constitute pharmaceutically acceptable carriers. It is my opinion that the '729 patent clearly teaches this limitation.

77. Based on the above disclosures, a POSA would have expected that racemic lacosamide and R-lacosamide 107e, when present in an amount of "about 5 and 1000 mg" (*id.* at 16:44-47), and in combination with a pharmaceutically acceptable carrier as described above, would be "suitable for use as a treatment regimen over an extended period of time (chronic administration)," even under the district court's narrow interpretation of "therapeutic composition." Indeed, the '729 patent states that "the administration of an effective amount of the present compounds, in their pharmaceutically acceptable forms or the addition salts thereof, can provide an excellent regime for the treatment of epilepsy, nervous anxiety, psychosis, insomnia and other related central nervous disorders." *Id.* at 3:35-40.

- 78. A POSA would have had a reasonable expectation of success because the disclosure of the '729 patent (Ex. 1009) would have enabled a POSA to make and use the genus of compounds in claim 1 of the '729 patent, which encompasses racemic lacosamide and R-lacosamide, including using that genus in a "method of treating central nervous system disorders in animals," as recited in claim 132 of the '729 patent. *Id.* at cl. 132.
- 79. In summary, claim 10 is obvious because a POSA would have been motivated to utilize the expected "good anticonvulsant activity" of racemic lacosamide and R-lacosamide 107e (Ex. 1008 at 155) in "an oral dosage unit form contain[ing] between about 5 and 1000 mg of active compound" (Ex. 1009 at 16:44-47) and a "pharmaceutically acceptable carrier" (*id.* at 18:12-16), which a POSA would have expected to "provide an excellent regime for the treatment of epilepsy ... and other related central nervous disorders" (*id.* at 3:35-40).

D. Claims 11-13 to Methods of Treatment are Obvious over LeGall and the '729 Patent

80. Method claims 11-13 are directed to "treating central nervous system disorders" by administering an "anticonvulsant effective amount" of the compound. Claim 11 depends from any one of claims 1-9 and is directed to the treatment of an animal. Claim 12 depends from claim 11 and is directed to the

treatment of a mammal. Claim 13 depends from claim 12 and is directed to the treatment of a human. LeGall (Ex. 1008) described the compounds disclosed therein, including racemic lacosamide and R-lacosamide 107e, as "antiepileptic compounds." Ex. 1008 at 43. Epilepsy is a central nervous system disorder. Second, LeGall predicted that racemic lacosamide and R-lacosamide 107e would have "good anticonvulsant activity." *Id.* at 155. Third, the '729 patent states that a genus of compounds, which covers racemic lacosamide and Rlacosamide, are "useful in the treatment of epilepsy and other CNS disorders." Ex. 1009 at 3:9-17. Fourth, the '729 patent specifically claims the use of those compounds in a "method of treating central nervous system disorders in animals comprising the administration to said animal an effective amount of a racemic lacosamide and R-lacosamide107e according to claim 1." Id. at cl. 132. Fifth, the '729 patent discloses an anticonvulsant effective amount of "about 5 and 1000 mg of active compound" (id. at col. 16:44-47), which exactly coincides with the range that the '551 patent (Ex. 1001) states will achieve "effective administration" of lacosamide (Ex. 1001 at 10:52-59 ("about 5 to about 1000 mg")).

81. Regarding the intended patient ("animal" in claim 11, "mammal in claim 12, and "human" in claim 13), LeGall (Ex. 1008) contains a section on "clinical applications" which describes the drugs used at that time for the

treatment of epilepsy in humans. Ex. 1008 at 25-30. LeGall also describes how the synthesized compounds were screened for anticonvulsant activity in mice (*id.* at 102-03, 162-63), using the same preclinical test methods disclosed in the '551 patent as enabling methods of treating CNS disorders (*e.g.*, Ex. 1001 at 21:27-22:22). I note that the '551 patent (Ex. 1001) itself does not contain data on human subjects, but instead relies on screening tests performed on rodents to enable claim 13's method of treating humans. Ex. 1001 at 21:27-22:22. Therefore, the prior art appears to provide the same level of disclosure as provided in the '551 patent (Ex. 1001), which supports my conclusion that claim 13 is obvious.

- 82. Further, it is commonplace for patent claims directed to methods of treatment to be based on non-clinical data. A POSA would be able to review the animal data and generate whether it would be reasonably likely that the particular compounds would be effective for treatment methods. The POSA would also recognize that the particular expectation might be different than what the U.S. Food and Drug Administration might require in ultimately approving a pharmaceutical compound for commercial marketing and sale.
- 83. In this case, the data presented in the prior art provided a POSA with a reasonable expectation that the compounds would be effective as anticonvulsants in treating humans.

VIII. Ground 2A: Claims 1-9 Are Obvious Over Choi And Kohn 1991

A. Claim 1 is Obvious

- 1. POSA had a reason to select compound 2d of Choi (compound 107d of LeGall) as a lead compound
- 84. I have been informed that a "lead compound" for purposes of obviousness in the chemical arts is a starting reference point or points from which a POSA would make additional modifications and arrive at the claimed compound. I understand that it is necessary that some motivation be identified that would have led one of ordinary skill in the art to select and then modify a known compound (i.e., a lead compound).
- 85. Choi (Ex. 1010) identifies the hydroxymethyl compound 2d as especially useful in the synthesis of new compounds within this FAA class of anticonvulsants, due to the compound's exposed, reactive -OH (hydroxyl) group at the terminal end of its α -carbon substituent. In particular, Choi stated that "[f]or an ongoing project to prepare bioactive amino acid derivatives, we needed the β -halogen compounds 2a-2c" (*id.* at 7011), and that for this purpose

- "(2d) was converted to 2a-2c in acetonitrile" (*id.* at 7012). The reactivity of an exposed -OH group in this family of amino acid derivatives is confirmed throughout Choi, which successfully converted serine derivatives (2d, 4a, 5a) (each of which contain an exposed -OH group) to β-chloro derivatives (2a, 4b, 5b). *Id.* Moreover, Choi 1995 expressly refers the reader to "LeGall, P. M.S. Thesis, University of Houston, 1982" in connection with compound 2d of Choi (compound 107d of Legall) (*id.* at 7013 n.16), which would have taught a POSA how to make the compound. Ex. 1008 at 135-36 (explaining how the hydroxyl-containing compound was prepared).
- 86. In view of the foregoing, a POSA would have recognized that the reactive hydoxyl group of hydroxymethyl compound 2d would present numerous synthetic advantages in the preparation of new compounds, within the same genus of compounds that the '729 patent (Ex. 1009) states "exhibit excellent anticonvulsant activity" (Ex. 1009 at 16:5-8), including using standard synthetic techniques for hydroxyl to methoxyl. Ex. 1023 at 1044 ("In 1903, Purdie and Irvine showed that the hydroxyl groups in methyl glucoside could be replaced by methoxyl groups by means of methyl iodide and silver oxide.").
- 87. Synthetic attractiveness can be a motivating and important reason for a medicinal chemist, including a POSA, to select particular compounds as starting points for the preparation of additional biologically active compounds.

88. A POSA, therefore, would have looked to compound 2d's practical utility as a synthetic intermediate as one source of motivation to select it as a lead compound for further modification. Indeed, a POSA would have had ample reason to select the hydroxymethyl compound 2d for this practical reason alone, even if its pharmaceutical activity was not known or was inactive. In addition to its practical utility as a synthetic intermediate, the hydroxymethyl compound 2d of Choi (107d of LeGall) also bears close structural similarity to the final product (107e of LeGall), which are listed next to each other in Table 35 of LeGall (Ex. 1008):

89. Ex. 1008 at 133, Tbl. 35. Notably, both compounds 107d and 107e of LeGall possess an oxygen atom two atoms removed from the α -carbon, with

an intervening methyl group binding the oxygen to the α-carbon. This "two atoms removed" aspect of the -CH₂OCH₃ group would have been known to a POSA as being a desired characteristic of anticonvulsant compounds. Ex. 1012 at 2447; Ex. 1017 at 3354. The only difference between these compounds is that the oxygen in 107d is a free hydroxyl whereas in 107e the oxygen is functionalized (methoxy). This close structural similarity—and the desirable "two atoms removed" arrangement—is yet another reason for POSA to have selected compound 2d of Choi (Ex. 1010) (compound 107d of LeGall) as a lead compound for further modification towards synthesis of racemic lacosamide 107e, which is discussed next.

- 2. POSA had a reason to modify compound 2d by placing a "functionalized oxygen" (methoxy) two atoms removed from the α-carbon
- 90. Numerous references establish a pattern of anticonvulsant activity that would have motivated a POSA to modify the α -carbon substituent of the hydroxymethyl compound 2d of Choi (Ex. 1010) (compound 107d of LeGall). A POSA would have known that that "the most potent" compounds of this class were achieved when "a functionalized oxygen atom existed two atoms removed from the α -carbon atom." Ex. 1012 at 2447; Ex. 1017 at 3354 (stating that "increased anticonvulsant activity generally accompanied the placement of a

substituted (alkylated) heteroatom two atoms removed from the amino acid α -carbon").

The simplest "alkylated" or "functionalized" oxygen atom is 91. methoxy (-OCH₃). Therefore, methoxy would be one of the first—if not the very first—functional group that a POSA would have placed at the terminal position of the α -carbon substituent in order to create a "functionalized oxygen atom," by modifying the free -OH group of compound 2d to become -OCH₃. Further, Kohn 1991 (Ex. 1012) noted the steric limitation for substituents on the α-carbon. Ex. 1012 at 2992. And, in keeping with the motivation to keep the alkylated oxygen "two atoms removed from the α-carbon atom," a POSA would have been motivated to retain the methylene bridge (-CH₂-) that exists between the oxygen and the α -carbon of compound 2d. Indeed, retaining the existing methylene bridge would have been the simplest way to maintain the required "two atoms" separation. Together, the methoxy group substituted at the terminal end of the α-carbon substituent of compound 2d, coupled with the existing methylene bridge position between the oxygen and α -carbon, yields methoxymethyl (-CH₂OCH₃) as the α -carbon substituent. The compound thus formed is racemic lacosamide 107e of LeGall, which falls within the scope of claims 1, 3-8 of the '551 patent (Ex. 1001) for the reasons I have previously explained.

92. Besides the sheer simplicity of a methoxy, another reason why a POSA would have chosen to place a methoxy (as opposed to another functionalized oxygen) at this terminal position of hydroxymethyl compound 2d would have been the expected ten-fold increase in activity due to this specific modification. Data disclosed in Kohn 1991 (Ex. 1012) show that, all else being equal, a methoxy group at the terminal position of the α -carbon substituent is about 16 times more potent than a hydroxyl group at that same position:

O X O							
Compound	X group	ED_{50}					
3k	-NНОН	~100					
31	-NHOCH ₃	6.2					

Ex. 1012 at 2445, Tbl. I. This effect in this compound and similar compounds is the basis for Kohn1991's statement that "the most potent" compounds of this class were achieved when "a functionalized oxygen atom existed two atoms removed from the α -carbon atom." Ex. 1012 at 2447. Based on the above data, and in view of the structural similarity of -NHOH and -CH₂OH (indeed, both possess a hydroxyl two atoms removed from the α -carbon), a POSA would have expected the same or similar increase in activity when modifying the -CH₂OH of Choi

compound 2d to become -CH₂OCH₃. In fact, that is precisely what one sees: an increase in activity from >100, <300 for -CH₂OH (Ex. 1008 at 154, Tbl. 44) to 8.3 for -CH₂OCH₃ (Ex. 1001 at col.21-22, Tbl. 1).

93. And, if the foregoing were not enough, yet another reason to make the modification would have been the expected ten-fold increase in activity that Kohn 1991 (Ex. 1012) shows when one goes from -NH₂ to -NHOCH₃:

O X O CH₃CNH — CH — CNHCH₂Ph							
Compound	X group	ED_{50}					
2d (107d)	-CH ₂ OH	100-300					
Predicted racemic lacosamide	-CH ₂ OCH ₃	Predicted: 6 to about19					
Racemic lacosamide	-CH ₂ OCH ₃	8.3					

Ex. 1012 at 2445, Tbl. I.

The actual increase in activity going from the hydroxymethyl compound to racemic lacosamide is approximately 12- to 36-fold. This is very similar to the approximately 16-fold increase shown for the above modification. Indeed, a POSA knows that the activity of the -CH₃ compound (compound 2a of Kohn 1991) is 76.5. *Id.* Therefore, in the same way that the addition of a methoxy at the beta

position of the -NH₂ compound increased its activity by a factor of ten, so too would a POSA have expected a similar increase in activity when going from the compound where X is methyl (-CH₃) (compound 2a of Kohn 1991) to the compound where X is -CH₂OCH₃ (lacosamide). Once again, that is precisely what one sees: a roughly ten-fold increase in activity from 76.5 for -CH₃ (Ex. 1012 at 2445, Tbl. I) to 8.3 for -CH₂OCH₃ (Ex. 1001 at col.21-22, Tbl. 1). Accordingly, a POSA would use these express prior art teachings as an explicit instruction on how to improve the activity of the hydroxymethyl compound (2d) of Choi (Ex. 1010).

- 94. For the foregoing reasons, a POSA would have a very strong motivation to make the methoxymethyl -CH₂OCH₃ compound (lacosamide).
 - 3. A POSA would have expected success in making the necessary modification using techniques known in the art
- 95. Conversion of a hydroxyl to a methoxy is a simple modification which is a common and routine choice in drug design. It is my opinion that a POSA would have known how to synthesize the methoxy analog of compound 2d of Choi. Converting a hydroxyl to a methoxy is routine. A POSA would have been aware of several reactions that would have achieved this synthetic step. *See*, *e.g.*, Ex. 1011, Purdie *et al.*, *The Alkylation of Sugars*, J.A.C.S.Vol. 83, pg. 1021 (1903).

96. Therefore, a POSA would have been in possession of racemic lacosamide and R-lacosamide based on the disclosures of Choi (Ex. 1010) and Kohn 1991 (Ex. 1012). As discussed above, claim 1 encompasses racemic lacosamide. Therefore, claim 1 is obvious over Choi and Kohn 1991. As discussed above, claims 3-8 encompass racemic lacosamide. Therefore, claims 3-8 are obvious over Choi and Kohn 1991.

B. Claims 2 and 9 Directed to Purified Enantiomers are Obvious

- 97. Claim 2 recites the compound of claim 1 which is substantially enantiopure. Claim 9 recites the compound according to claim 8 which contains at least 90% (w/w) R stereoisomer."
- 98. As discussed above, a POSA would have been in possession of racemic lacosamide based on the disclosures of Choi (Ex. 1010) and Kohn 1991 (Ex. 1012). The '729 patent (Ex. 1009) teaches the R-stereoisomer has greater therapeutic activity and lower toxicity relative to the S-stereoisomer. Ex. 1009 at 10:5-27, col. 58-61, Tbl. 1, cl.82. The '729 patent also teaches techniques for obtaining purified R-enantiomer. *Id.* at 15:31-16:4; see also E. 1017, pg. 3359; Kohn 1990.
- 99. Therefore, a POSA would have had the ability to obtain lacosamide as the purified R-enantiomer and a reason to do so. Accordingly,

claims 2 and 9 are obvious over Choi (Ex. 1010), Kohn 1991 (Ex. 1012) and the '729 patent (Ex. 1009).

IX. Ground 2B: Claims 10-13 Are Obvious Over Choi, Kohn 1991 And The '729 Patent

A. Claim 10 to a "Therapeutic Composition" is Obvious

- 100. Claim 10, depends from any one of claims 1-9 and recites a "therapeutic composition comprising [1] an anticonvulsant effective amount" of the claimed compound "and [2] a pharmaceutical carrier."
- 101. As discussed above, Choi (Ex. 1010) deals with the same class of anticonvulsants as the other Kohn references. Therefore, a POSA would have known to employ the compound according to claim 1 for treating a central nervous system disorder (e.g. epilepsy). The '729 patent (Ex. 1009) discloses that an oral dosage form contains between about 5 and 1000 mg of active compound as well as numerous pharmaceutically acceptable carriers.
- 102. As discussed above, a POSA would have possessed lacosamide based on the disclosure of Choi (Ex. 1010) and Kohn 1991 (Ex. 1012). A POSA would have known to combine an anticonvulsant effective amount of racemic lacosamide or R-lacosamide with a pharmaceutically acceptable carrier for the treatment of a central nervous system disorder. Accordingly, a POSA

would have possessed every limitation of claim 10 and therefore claim 10 is obvious over Choi, Kohn 1991, and the '729 patent.

B. Claims 11-13 to Methods of Treatment are Obvious

- 103. Method claims 11-13 are directed to "treating central nervous system disorders" by administering an "anticonvulsant effective amount" of the compound. Claim 11 depends from any one of claims 1-9 and is directed to the treatment of an animal. Claim 12 depends from claim 11 and is directed to the treatment of a mammal. Claim 13 depends from claim 12 and is directed to the treatment of a human.
- 104. As discussed above, Choi (Ex. 1010), Kohn 1991 (Ex. 1012) and the '729 patent (Ex. 1009) render obvious an anticonvulsant effective amount of lacosamide. Regarding the intended patient ("animal" in claim 11, "mammal in claim 12, and "human" in claim 13), a POSA would have recognized that the goal of the experiments in all these works is the treatment of central nervous system disorders in humans. Humans are mammals and animals. Therefore, a POSA would have been in possession of every limitation of claims 11-13 based on the teachings of Choi, Kohn 1991 and the '729 patent. Therefore, claims 11-13 are obvious over Choi, Kohn 1991 and the '729 patent.

X. Ground 3A: Claims 1-9 Are Obvious Over Kohn 1991 And Silverman

A. Claim 1 is Obvious

105. A POSA would often, but not always, be motivated to select one of the most potent compounds in the prior art as a lead compound. The most potent compound taught in Kohn 1991 (Ex. 1012) is the methoxyamino compound (31). The methoxyamino compound has an ED₅₀ of 6.2 mg/kg. Ex. 1012 at 2445, Tbl. I. This compound would have been of immediate interest to a POSA based on its activity and would have been selected for optimization.

106. Starting with the methoxyamino compound, a POSA would have had a reason to modify the methoxyamino moiety for at least two reasons. The methoxyamino moiety is a less common moiety among commercial pharmaceuticals and may present synthetic and stability issues. Frequently, a medicinal chemist will be motivated to use a functional group that is more common and more known to be acceptable in biologically active compounds.

107. Having recognized the need to modify the methoxyamino moiety, a POSA would have utilized the well-known concept of bioisosterism and bioisosteric replacements. The POSA would have considered a variety of structural modifications in order to improve the pharmacokinetic properties of the lead compound. At the time, it was well known that a methylene group (-CH₂-) is a bioisosteric replacement for a secondary amino group (-NH-). Ex. 1013 at 19. Substituting the -NH- group for the -CH₂- group in the methoxyamino group would result in a methoxymethyl group. Based on my experience, a POSA would recognize that a methoxymethyl moiety is a more common and acceptable moiety for pharmaceutically active compounds. The resulting compound is racemic lacosamide as well as R-lacosamide.

108. Prior art data also established the equivalence between the amino and the methylene group off the α -carbon. Kohn 1991 (Ex. 1012) reported that going from an amino compound to a methyl compound retained the same activity:

R Group	ED50 (mg/kg)
-NH ₂	65.1
-CH ₃	76.5

-NHOCH ₃ (compound 31)	6.2
-CH ₂ OCH ₃ (racemic lacosamide)	7.6

109. The ED₅₀ when R is -NH₂ is 65.1 mg/kg. The ED₅₀ of the methyl compound is essentially the same: 76.5 mg/kg. When the R group is changed from -NH₂ group to methoxyamino (compound 31), one sees an approximately 10-fold increase in activity. Given the bioisosterism, a POSA would expect a similar increase in activity when the R group is changed from methyl to methoxymethyl (racemic lacosamide). In fact, that is exactly what one sees: an approximately 10-fold increase in activity from methyl to methoxymethyl (racemic lacosamide). Likewise, and further confirming the bioisosterism for this class of compounds, substitution of the -NH- in compound 31 with -CH₂-(to create racemic lacosamide) maintains high potency (ED₅₀ 6.2 mg/kg vs. 7.6 mg/kg, which are essentially the same). Thus, the predicted activity based on the prior art data and the use of bioisosteres provides a strong reason for a POSA to modify the methoxyamino compound (31) to make racemic lacosamide.

110. It is my opinion that a POSA would have had a reason to make or isolate R-lacosamide. Kohn 1991 (Ex. 1012) itself expressly teaches that, with respect to this class of compounds, "in each case the anticonvulsant activity

resided primarily in the R-stereoisomer." Ex. 1011 at 2444. A POSA would read that teaching as a more than sufficient reason to make or isolate the R-isomer, and would have known how to do so using "recognized techniques known in the art," as I have previously explained. Ex. 1009, '729 patent, 15:31-16:4.

B. Claims 2 and 9 Directed to Purified Enantiomers are Obvious

- 111. Claim 2 recites the compound of claim 1 which is substantially enantiopure. Claim 9 recites the compound according to claim 8 which contains at least 90% (w/w) R-stereoisomer."
- 112. As discussed above, a POSA would have been in possession of racemic lacosamide based on the disclosures of Kohn 1991 (Ex. 1012), Silverman (Ex. 1013) and '729 Patent (Ex. 1009). The '729 patent teaches the R stereoisomer has greater therapeutic activity and lower toxicity relative to the S stereoisomer. Ex. 1009 at 10:5-27, col. 58-61, Tbl. 1, cl.82. The '729 patent also teaches techniques for obtaining purified R-enantiomer. *Id.* at 15:31-16:4.
- 113. Therefore, a POSA would have had the ability to obtain lacosamide as the purified R-enantiomer and a reason to do so. Accordingly, claims 2 and 9 are obvious over Kohn 1991 (Ex. 1012), Silverman (Ex. 1013) and the '729 patent (Ex. 1009).

XI. <u>Ground 3B</u>: Claims 10-13 Are Obvious Over Kohn 1991, Silverman And The '729 Patent

A. Claim 10 to a "Therapeutic Composition" is Obvious

- 114. Claim 10, depends from any one of claims 1-9 and recites a "therapeutic composition comprising [1] an anticonvulsant effective amount" of the claimed compound "and [2] a pharmaceutical carrier."
- 115. As discussed above, Kohn 1991 (Ex. 1012) deals with a class of compounds known to be anticonvulsants. Therefore a person of ordinary skill in the art would have known to employ the compound according to claim 1 for treating a central nervous system disorder (e.g. epilepsy). The '729 patent (Ex. 1009) discloses that an oral dosage form contains between about 5 and 1000 mg of active compound as well as numerous pharmaceutically acceptable carriers.
- 116. As discussed above, a POSA would have possessed racemic lacosamide and R-lacosamide based on the disclosure of Kohn 1991 (Ex. 1012). A POSA would have known to combine an anticonvulsant effective amount of racemic lacosamide or R-lacosamide with a pharmaceutically acceptable carrier for the treatment of a central nervous system disorder. Accordingly, a POSA would have possessed every limitation of claim 10 and therefore claim 10 is obvious over Kohn 1991, Silverman (Ex. 1013), and the '729 patent (Ex. 1009).

B. Claims 11-13 to Methods of Treatment Are Obvious

- 117. Method claims 11-13 are directed to "treating central nervous system disorders" by administering an "anticonvulsant effective amount" of the compound. Claim 11 depends from any one of claims 1-9 and is directed to the treatment of an animal. Claim 12 depends from claim 11 and is directed to the treatment of a mammal. Claim 13 depends from claim 12 and is directed to the treatment of a human.
- 118. As discussed above, Kohn 1991 (Ex. 1012), Silverman (Ex. 1013), and the '729 patent (Ex. 1009) teach the anticonvulsant efficacy of racemic lacosamide and R-lacosamide. Regarding the intended patient ("animal" in claim 11, "mammal in claim 12, and "human" in claim 13), a person of ordinary skill in the art would recognize that a goal of the experiments in all these works is the treatment of central nervous system disorders in humans. Humans are mammals and animals. Therefore, a POSA would have been in possession of every limitation of claims 11-13 based on the teachings of Kohn 1991, Silverman, and the '729 patent. Therefore, claims 11-13 are obvious over Kohn 1991, Silverman, and the '729 patent.

XII. Ground 4A: Claims 1-9 Are Obvious Over Cortes and Kohn 1991

A. Claim 1 is Obvious

- 1. POSA had a reason to select the methyl compound of Cortes or Kohn 1991 as a lead compound
- 119. While reviewing the relevant materials, I consistently found, repeated throughout the prior art, the teaching that the methyl compound (AAB, from Cortes) is an excellent lead compound for the development of anticonvulsant agents. In fact, the methyl compound was the lead compound used in many of Dr. Kohn's studies, and a POSA would have had a reason to follow this lead in investigating further modifications to that compound. Ex. 1015, at 604 (stating that "[p]romising results" were observed for the methyl compound which for that reason is "slated for additional screening"); Ex. 1008 at 132 ("Compounds 107a-e were selected as polar analogues of the potent anticonvulsant agent, 2-acetamido-N-benzylpropionamide (68a)."); Ex. 1018, at 1018 ("Excellent protection against maximal electroshock seizures (MES) in mice was observed for functionalized amino acid racemates containing an N-

benzylamide moiety, an acetylated amino group, and ... a methyl (2a) ... substituent on the α -carbon."); Ex. 1018, at 2444 ("(R,S)-2-Acetamido-N-benzyl-2-methylacetamide (2a) represented the parent compound in this study wherein the a-methyl group was replaced by select functionalized nitrogen, oxygen, and sulfur substituents (Table I)."). These and other studies detailed the ability to functionalize the methyl compound and prepare other promising anticonvulsant agents having excellent pharmacological activity. A POSA would have recognized these studies and the research as additional reasons for selecting the methyl compound as a logical starting point upon which to apply the teachings of Kohn 1991 about preferred functionality on the groups off the α -carbon. Based on these repeated teachings and successes, a POSA would have selected the methyl compound as a lead compound.

2. POSA had a reason to modify the methyl substituent to a methoxymethyl

120. Having selected the methyl compound, a POSA would have modified it according to the teachings of Kohn 1991 (Ex. 1012) by adding a functionalized oxygen such that the oxygen is "two atoms removed" from the α -carbon. Moreover, Kohn 1991 taught that a small alkyl group was preferred on the functionalized oxygen atom. The simplest and most obvious way to achieve

this would be to add a methoxy group, thus creating the methoxymethyl compound, i.e., lacosamide.

121. In addition to Kohn's structure-activity relationship guidance, the available biological activity provided a strong reason for a POSA to add a methoxy group to the methyl compound AAB. The corresponding amino compound was about equipotent with the methyl compound AAB. When a methoxy group was added to the amino group, to form the methoxyamino compound, one realized a ten-fold increase in potency:

R Group	ED50 (mg/kg)
-NH ₂	65.1
-CH ₃	76.5
-NHOCH ₃ (compound 31)	6.2
-CH ₂ OCH ₃ (racemic lacosamide)	7.6

122. Furthermore, as explained above, a POSA would understand that methylene (-CH₂-) is a bioisosteric replacement for a secondary amino group (-NH-). Ex. 1013 at 19; Ex. 1024 at 30; Ex. 1025 at 563, 564 Tbl. 1. Thus, a POSA would reasonably expect that the ten-fold increase in potency seen with the amino to methoxyamino conversion would also bear out in the methyl to

methoxymethyl (*i.e.*, R-lacosamide) conversion. Therefore, starting from the methyl compound, a POSA would have had strong motivation to add a methoxy group in order to produce a similar increase in potency.

- 123. A POSA would have had a reasonable expectation of success in synthesizing the methoxymethyl compound based on the techniques in Cortes (Ex. 1015) and Kohn 1991 (Ex. 1012). The methyl compound had been used as a lead compound previously and a POSA would have had ample tools at their disposal to generate racemic lacosamide and R-lacosamide. In addition, the '551 patent (Ex. 1001) itself provides sparse detail regarding the synthesis of methoxymethyl (Ex. 1001, col. 5-6), and methoxymethyl is specifically claimed in the '301 patent (Ex. 1019 at 94:13-14, cl.44), both of which were drafted in such a way as to enable a POSA to make and use the claimed invention.
- 124. Furthermore a POSA would have had a reason to make or isolate R lacosamide. Kohn 1991 (Ex. 1012) itself expressly teaches that, with respect to this class of compounds, "in each case the anticonvulsant activity resided primarily in the R stereoisomer." Kohn 1991 at 2444. A POSA would read that teaching as a more than sufficient reason to make or isolate the R-isomer, and would have known how to do so using "recognized techniques known in the art." Ex. 1009 at 15:31-16:4.

XIII. <u>Ground 4B</u>: Claims 10-13 Are Obvious Over Cortes, Kohn 1991, And The '729 Patent

A. Claim 10 to a "Therapeutic Composition" is Obvious

- 125. Claim 10, depends from any one of claims 1-9 and recites a "therapeutic composition comprising [1] an anticonvulsant effective amount" of the claimed compound "and [2] a pharmaceutical carrier."
- 126. As discussed above, Kohn 1991 (Ex. 1012) deals with a class of compounds known to be anticonvulsants. Therefore a person of ordinary skill in the art would have known to employ the compound according to claim 1 for treating a central nervous system disorder (*e.g.* epilepsy). The '729 patent (Ex. 1009) discloses that an oral dosage form contains between about 5 and 1000 mg of active compound as well as numerous pharmaceutically acceptable carriers.
- 127. As discussed above, a POSA would have possessed lacosamide based on the disclosure of Kohn 1991 (Ex. 1012). A POSA would have known to combine an anticonvulsant effective amount of racemic lacosamide or R-lacosamide with a pharmaceutically acceptable carrier for the treatment of a central nervous system disorder. Accordingly, a POSA would have possessed every limitation of claim 10 and therefore claim 10 is obvious over Cortes (Ex. 1015), Kohn 1991, and the '729 patent (Ex. 1009).

B. Claims 11-13 to Methods of Treatment are Obvious

- 128. Method claims 11-13 are directed to "treating central nervous system disorders" by administering an "anticonvulsant effective amount" of the compound. Claim 11 depends from any one of claims 1-9 and is directed to the treatment of an animal. Claim 12 depends from claim 11 and is directed to the treatment of a mammal. Claim 13 depends from claim 12 and is directed to the treatment of a human.
- 129. As discussed above, Cortes (Ex. 1015), Kohn 1991 (Ex. 1012), and the '729 patent (Ex. 1009) teach the anticonvulsant efficacy of lacosamide. Regarding the intended patient ("animal" in claim 11, "mammal in claim 12, and "human" in claim 13), a person of ordinary skill in the art would recognize that a goal of the experiments in all these works is the treatment of central nervous system disorders in humans. Humans are mammals and animals. Therefore, a POSA would have been in possession of every limitation of claims 11-13 based on the teachings of Cortes, Kohn 1991, and the '729 patent. Therefore, claims 11-13 are obvious over Cortes, Kohn 1991, and the '729 patent.

XIV. Absence of Secondary Considerations of Non-Obviousness

130. I understand that in considering the obviousness of an invention, one must also consider whether there are any secondary considerations that

support the non-obviousness of the invention. I understand that this evidence must be considered against the strength of the teachings in the prior art.

- 131. I understand that "unexpectedly superior results" can be evidence of non-obviousness if the Patentee shows that the results are greater than those that would have been expected from the closest prior art.
- 132. Here, the closest prior art is racemic lacosamide, as disclosed in LeGall (Ex. 1008). In Table 1, the '551 patent (Ex. 1001) compares the ED_{50} and TD_{50} for several compounds including the purified R-enantiomer, the purified S-enantiomer and the racemic mixture of lacosamide (indicated in the box below).

TABLE 1

Physical and Pharmacological Data for Functionalized N-Benzyl 2-Acetamidopropionamide Stereoisomers of the formula ArCH₃NHC(O)CH(R²)NHC(O)CH₃

					mice (ip) ^b			rat (po) ^f		
No.	Stere obem.	\mathbb{R}^2	Ar	m p ^a	MES, ^c ED ₅₀	$_{\mathrm{TD}_{50}}^{\mathrm{tox,^d}}$	Ple	MES,° ED ₅₀	tox, ^d TD ₅₀	Ple
Comp.	(R, S)	CH ₃	Ph	138-139	76.5 [1]	454 [0.5]	5.9	24.2 [1]		>20.8
Ex. 1 Comp. Ex. 2	(R)	CH_3	Ph	139-141	(66.6–89.0) 54.8 [0.5] (50.3–59.7)	(417–501) 2.14 [0.5] (148–262)	3.9	(32.0–71.8) 28.4 [4] (22.4–35.0)	b	>35.2
Comp.	(S)	CH_3	Ph	139-142	548 [0.5] (50.3–59.7)	841 [0.5] (691–954)	1.5	(22.4–33.0) —	_i	i
Comp.	(R, S)	CH ₂ OCH ₃	Ph	121-122	8.3 [0.5]	42.9 [0.25]	5.2	3.8 [2]	386.8 [1]	101.8
Ex. 9 Ex. 1, 2	(R)	$\mathrm{CH_2OCH_3}$	Ph	143-144	(7.9–9.8) 4.5 [0.5] (3.7–5.5)	(38.1–46.8) 26.8 [0.25] (25.5–28.0)	6.0	(2.9–5.5) 3.9 [0.5] (2.6–6.2)	(316.0–514.6) >500 [0.5]	>128.2
Comp. Ex. 11	(S)	CH ₂ OCH ₃	Ph	143–144	>100, <300	>300		>30	>30	i
Comp. Ex. 8	(R, S)	CH ₂ OH	Ph	201-203	>100, 300	>300		_,	_,	-
Comp. Ex. 12	(R)	$\mathrm{CH_{2}OH}$	Ph	148-149	53.4 [2] (37.5–67.3)	>500 [2]	>9.4	_i	i	i
Ex. 3	(R)	CH ₂ OCH ₃	Ph (m-F)	150-151	6.9 [0.25] (6.1–8.0)	46.3 [0.25] (40.4–54.5)	6.7	6.9 [0.5] (4.3–9.9)	>396 [0.5]	>57.7
Ex. 4	(R)	CH ₂ OCH ₃	Ph (p-F)	144–145	4.2 [0.5] (3.5–5.1)	27.8 [0.25] (22.4–33.5)	6.6	2.6 [2] (1.9–3.6)	>125, <250	i
Comp. Ex. 4	(R, S)	OCH_3	Ph	145-146	98.30	>100 <300	>1, <3	i -	i	i
Comp. Ex. 6	(R)	furyl	Ph	190-197	3.3	23.8	>.2	_i	_i	i
Comp. Ex. 7	(S)	furyl	Ph	196–197	>25.	>200	_i	_i	i	i

TABLE 1-continued

Physical and Pharmacological Data for Functionalized N-Benzyl 2-Acetamidopropionamide Stereoisomers of the formula ArCH₃NHC(O)CH(R²)NHC(O)CH₃

				-	mice (ip) ^b			rat (po) ^f		
No.	Stere obem.	R^2	Ar	m pª	MES, ^c ED ₅₀	$\begin{matrix} \text{tox,}^{\text{d}} \\ \text{TD}_{50} \end{matrix}$	Ple	MES, ^c ED ₅₀	tox, ^d TD ₅₀	Ple
Comp.	(R, S)	furyl	Ph	178–179	10.3	~40	>3.9	_i	_i	i
Ex. 5 Comp. Ex. 13	(D, L)	Ph	Ph	112–115	20.3	96.92	4.77	48.3	>1000	>20.7

^aMelting points (° C.) are uncorrected.

133. The S-enantiomer has no significant activity, ED₅₀ greater than 100

mg/kg and greater than 30 mg/kg, in mice and rats respectively. However, this

^bThe compounds were administered interperitoneally. ED_{50} and TD_{50} values are in mg/kg. Numbers in parentheses are 95% confidence intervals. The dose effect data was obtained at the "time of peak effect" [indicated in hours in the brackets].

^cMES = maximal electroshock seizure test.
^dTbx = neurologic toxicity determined from rotorod test.

^ePl = protective index (TD₅₀/MES Ed₅₀)

^fThe compounds were administered orally.

⁸No alaxia observed up to 1000 mg/kg.

iData not available

is not unexpected as it was known in the art that the R-enantiomer was more active. This is not atypical of similar compounds. The S-enantiomer of the methyl compound (Comp. Ex 3) is similarly inactive with an ED_{50} of 548 mg/kg in mice.

- 134. The ED₅₀ for the R-enantiomer is 4.5 mg/kg and 3.9 mg/kg compared to 8.3 mg/kg and 3.8 mg/kg for the racemic mixture, in mice and rats, respectively. The numbers for mice are in line with what a POSA would expect. The racemic mixture contains half the concentration of active compound (R-enantiomer) and therefore has roughly half the potency.
- do not demonstrate any unexpecterd results. The data presented here states that the S-enantiomer has no significant activity but the racemic mixture is more active than the pure R-enantiomer. A POSA would suspect that this is an artifact or due to human error. Whatever the case, it is not a demonstration of unexpectedly superior results. Therefore, it is my opinion that the activity data shown in Table 1 do not support a showing of nonobviousness based on unexpected results.
- 136. With respect to toxicity, the S-enantiomer is less toxic than the R-enantiomer when administered interperitoneally to mice ($TD_{50} > 300 \text{ mg/kg}$ vs. 26.8 mg/kg). The racemic mixture is roughly half as toxic as the purified R-

enantiomer (TD₅₀ 42.9 mg/kg vs. 26.8 mg/kg). Once again, this is in line with expectations as the S-enantiomer is not toxic and the racemic mixture is half as toxic as the R-enantiomer because it has half the concentration of R-enantiomer. No comparison in rats is possible because the toxicity for the R-enantiomer is listed as ">500". Therefore, it is my opinion that the toxicity data shown in Table 1 do not support a showing of nonobviousness based on unexpected results.

137. I have examined the data presented in Tables 2-6. However, it is my opinion that those data are irrelevant to this inquiry because no comparison is made between the pure R-enantiomer and the racemic mixture. Rather, the data in these tables compare various compounds to one another or simply relate to the toxicity of the compound. As the closest prior art is the racemic mixture and only a demonstration of unexpectedly superior results relative to the closest prior art is probative, it is my opinion that the data in Tables 2-6 are not relevant here. Even if we were to compare R-lacosamide to the other data in Table 1. the other data do not demonstrate anything unexpected that rise to the level needed to overcome the strong prior art teaching that gave a POSA a reason to make and use lacosamide and R-lacoasamide as an anticonvulsant. Accordingly, it is my opinion that none of the data presented in the '551 patent (Ex. 1001) demonstrates unexpectedly superior results.

- 138. I am not currently aware of any other objective indicia indicating that the claims of the '551 patent (Ex. 1001) are not obvious. In fact, I understand that the Patentee did not submit any objective indicia evidence in the prior *inter partes* review of the '551 patent.
- 139. If the Patentee offers any evidence they plan to rely upon for objective indicia of nonobviousness, I reserve the right to respond to that evidence.

XV. The Declaration Of Dr. Heathcock

- 140. I am familiar with Dr. Clayton Heathcock, his professional qualifications and his research. I consider him to be an expert on the subject matter at issue in this proceeding.
- 141. I have read and studied the Declaration of Dr. Heathcock ("Ex. 1003") and am in agreement with his conclusions. In particular, in Section VIII which states that claims 1-13 of the '551 patent are anticipated by LeGall, I agree with paragraphs 82-84 which state that LeGall discloses a racemic mixture containing lacosamide (compound 107e). I agree with paragraph 85 which states that LeGall teaches the anticonvulsant activity of compound 107e.
- 142. I agree with Section VIIIB of the Heathcock Declaration (Ex. 1003), which states that a person of ordinary skill in the art was enabled by LeGall (Ex. 1008) and the Prior Art to isolate the R-enantiomer, Lacosamide, in

pure form. In particular, I agree with paragraphs 86-87 which point out that LeGall states that the D-enantiomers of compounds similar to 107e were more active and less toxic than the corresponding racemates and suggests that the D-enantiomers may display improved pharmacological properties. I further agree that a person of ordinary skill in the art would have known of various techniques which would have enabled the enantiomeric enrichment of the racemic mixture to a high level of purity with respect to the R-isomer. I agree with the Heathcock Declaration that the examples in paragraphs 88-91 are all illustrative of techniques of which a person of ordinary skill in the art would have been aware and could have employed to obtain enantiomerically pure lacosamide.

- 143. I agree with the Heathcock Declaration (Ex. 1003) at Section VIIIC, in particular at paragraph 93, that claims 1 and 3-8 are anticipated by LeGall (Ex. 1008). I have not been asked to consider claims 2 and 9-13 with respect to anticipation.
- 144. I agree with the Heathcock Declaration (Ex. 1003) at Section IX which describes how claims 1-13 of the '551 patent (Ex. 1001) are obvious. In particular, I agree with Section IXA1 regarding the scope and content of the prior art. In particular, I agree with paragraphs 101-146 regarding how structure-activity relationships were well-known for the class of compounds

covered by the '551 patent, including the statements regarding the work of Cortes (Ex. 1015), the Conley thesis, Conley 1987, LeGall (Ex. 1008), Kohn & Conley 1988, the '464 application, Kohn 1988, Kohn 1990, the '506 application, the '440 application, Kohn 1991 (Ex. 1012), Kohn 1993 (Ex. 1017), Kohn 1994 and the '729 patent (Ex. 1009).

- 145. I agree with the Heathcock Declaration (Ex. 1003) at Section IXA2 regarding how the substitution of methyl for amino groups was commonly performed in the art. In particular, I agree with the Heathcock Declaration's statements regarding Thornber (Ex. 1025), Wilson (Ex. 1024) and Silverman (Ex. 1013) at paragraphs 147-151.
- 146. I agree with the Heathcock Declaration (Ex. 1003) at Section IX(3) regarding how the prior art taught the preparation of enantiomerically pure D-serine and its derivatives at paragraph 152.
- 147. I agree with the Heathcock Declaration (Ex. 1003) at Section IXB1 paragraphs 153-172 that claims 1 and 3-8 would have been obvious over LeGall (Ex. 1008) and other prior art.
- 148. I agree with the Heathcock Declaration (Ex. 1003) at Section IXB2 paragraphs 173-177 that claims 2 and 9 would have been obvious over LeGall (Ex. 1008) and other prior art.

- 149. I agree with the Heathcock Declaration (Ex. 1003) at Section IXB3 paragraphs 178-184 that claim 10 would have been obvious over LeGall (Ex. 1008) and other prior art.
- 150. I agree with the Heathcock Declaration (Ex. 1003) at Section IXB4 paragraphs 185-189 that claims 11-13 would have been obvious over LeGall (Ex. 1008) and other prior art.
- 151. I agree with the arguments presented in the claim charts at Section IXB5, paragraph 190, of the Heathcock Declaration (Ex. 1003).
- 152. I agree with the discussion of secondary considerations or objective indicia of nonobviousness in Section IXD of the Heathcock Declaration (Ex. 1003) at paragraphs 192-194.
- 153. I have not been asked to consider whether the '301 patent (Ex. 1020) anticipates any claims of the '551 patent (Ex. 1001).

XVI. Conclusion

154. In signing this declaration, I recognize that the declaration will be filed as evidence in a contested case before the Patent Trial and Appeal Board of the United States Patent and Trademark Office. I also recognize that I may be subject to cross-examination in the case and that cross-examination will take place within the United States. If cross-examination is required of me, I will

Declaration in Support of Petition for Inter Partes Review IPR2016-00204

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appear for cross-examination within the United States during the time allotted

for cross-examination.

155. I hereby declare that all statements made herein of my own

knowledge are true and that all statements made on information and belief are

believed to be true; and further that these statements were made with the

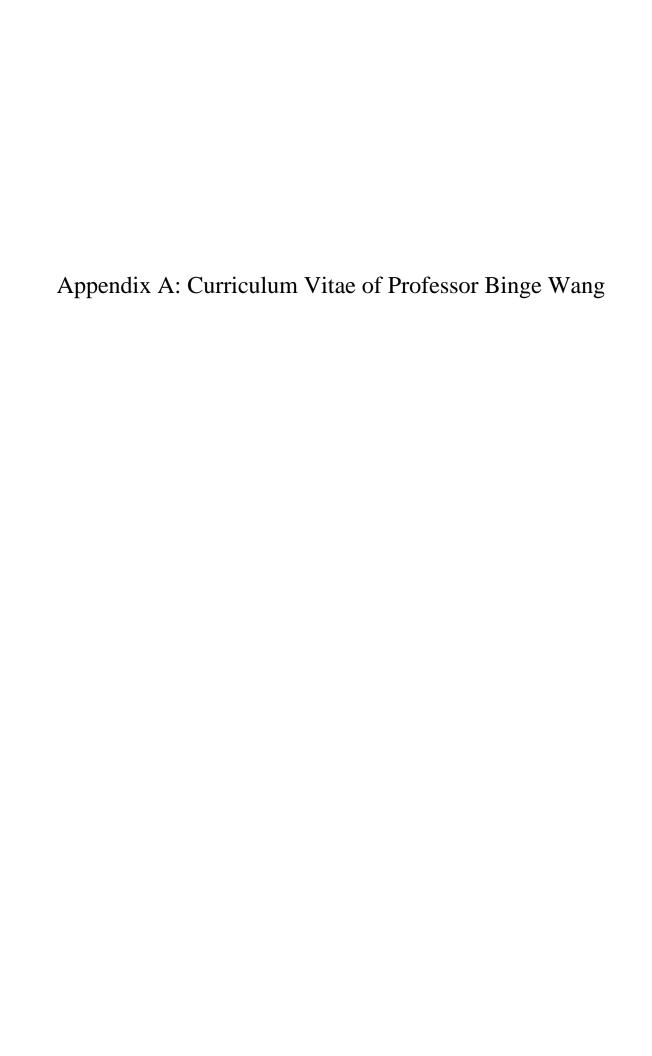
knowledge that willful false statements and the like so made are punishable by

fine or imprisonment, or both, under Section 1001 of Title 18 of the United

States Code.

Dated: _November 22, 2015____

Binghe Wang, Ph.D



Curriculum Vitae

Binghe Wang

Georgia State University

Current position: Regents Professor of Chemistry and Associate Dean for Natural and Computational

Sciences, College of Arts and Sciences

Georgia Research Alliance Eminent Scholar in Drug Discovery

Georgia Cancer Coalition Distinguished Cancer Scientist

Director, Center for Diagnostics and Therapeutics; Co-Director, Center for

Biotechnology and Drug Design

Office

Department of Chemistry

Georgia State University

Atlanta, GA 30303

Phone: (404) 413-5544 (direct), 404-413-5119 (Assistant, College), 404-413-5501 (Assistant,

Chemistry), (404) 413-5545 (lab), 404-788-3691 (Cell)

E-Mail: wang@gsu.edu

Web site: lithium.gsu.edu/Groups/Bing_Wang/default.html

Personal

Citizenship: USA

Education

Ph.D. May 1991, Department of Medicinal Chemistry, School of Pharmacy, University of Kansas.

B.S. July 1982, Department of Medicinal Chemistry, College of Pharmacy, Beijing Medical University, Beijing, China.

Research Interests

- 1) Organic/Medicinal chemistry: Design and synthesis of new imaging and therapeutic agents targeted on cancer and microbial pathogens; drug delivery
- 2) Bioorganic chemistry/molecular recognition: Development of fluorescent sensors for the recognition and analysis of molecules of biological importance

Experiences

January 2014-Present Associate Dean for Natural and Computational Sciences, College of Arts and Sciences, Georgia State University

Binghe Wang, Page 1

July 1, 2011-Dec, 2013	Chair, Department of Chemistry, Georgia State University
July 1, 2011-present	Co-Director, Center for Biotechnology and Drug Design
2009-present	Founding Director, Center for Diagnostics and Therapeutics, Georgia State University
January 2014-present	Regents Professor, Georgia Research Alliance Eminent Scholar, and Georgia Cancer Coalition Distinguished Cancer Scientist, Department of Chemistry, Georgia State University
July 2003-Dec. 2013	Professor, Georgia Research Alliance Eminent Scholar, and Georgia Cancer Coalition Distinguished Cancer Scientist, Department of Chemistry, Georgia State University
2003-present:	Member, Center for Drug Discovery, University of Georgia.
June 2000-June 2003:	Associate Professor with tenure, Department of Chemistry, North Carolina State University
August 1998-June 2003	Genomic Science Faculty and Biotech Faculty, North Carolina State University
August 1996 to June 2000	Assistant Professor, Department of Chemistry, North Carolina State University
January 1994 to July 1996	Assistant Professor, Department of Medicinal Chemistry and Pharmaceutics, College of Pharmacy, University of Oklahoma Health Sciences Center
August 1992 to Dec. 1993	Post-doctoral research associate with Professor Ronald T. Borchardt, Department of Pharmaceutical Chemistry, School of Pharmacy, University of Kansas
January 1992 to July 1992	Post-doctoral research associate with Professor Victor J. Hruby, Department of Chemistry, University of Arizona
May 1991 to Dec. 1991	Post-doctoral research associate with Professor Kristin Bowman-James, Department of Chemistry, University of Kansas
August 1985-May 1991	Graduate research assistant with the late Professor Mathias P. Mertes and Professor Kristin Bowman-James, Department of Medicinal Chemistry, School of Pharmacy, University of Kansas
August 1984-August 1985	Graduate Teaching and Research Assistant, Department of Chemistry, University of British Columbia, Vancouver, Canada

Honors, Awards, and Recognitions

- 1) Editor-in-Chief, *Medicinal Research Reviews*, since January 1, 2001 (Impact factor: >9, ranked #2 among all 59 medicinal chemistry journals worldwide and #6 among all 261 journals worldwide in the areas of pharmacology and pharmacy)
- 2) Qilu-Friendship Award, Shandong Provincial government award for outstanding contributions by an international expert to Shandong province for its educational and economical development
- 3) Book Series Editor, "Wiley Series in Drug Discovery and Development," since 2004.
- 4) NIH Study Section Memberships: Permanent membership on Synthetic and Biological Study Section A (SCB-A, 2011-2017) and about 40 panels Since 1998
- 5) Distinguished Alumni Professor Award, Georgia State University, 2007
- 6) Outstanding Faculty Scholarship Award, Georgia State University College of Arts and Sciences, 2007
- 7) Member of Editorial Advisory Board, Chemical Biology and Drug Design (Formerly *J. Peptide Res.*), Since June 2005
- 8) Harris Distinguished Lecturer, September 6, 2007, University of Oklahoma College of Pharmacy.
- 9) Member of External Advisory Board, Center for Cancer Research and Therapeutic Development (CCRTD)/RCMI (Research Center in Minority Institutions), Clark Atlanta University, Atlanta, GA, since 2005.
- 10) Member of Advisory Review Committee, Georgia Cancer Coalition, 2005-2008.
- 11) Member of Editorial Advisory Board, Letters in Drug Design & Discovery, September 2002-2008.
- 12) Member of Editorial Board, J. Chinese Pham. Sci., since 2007.
- 13) Member of Editorial Board, *Acta Pharmaceutica Sinica*, since 2005.
- 14) Scientific Advisory Board, National Key Lab of Natural and Biomimetic Drugs, Beijing University, Beijing, China, since 2005
- 15) Scientific Advisory Board Member, Beijing Key Lab for the Discovery of Bioactive Compounds and Medicinal Chemistry, since 2008
- 16) Chang-Jiang Lecture Professor, Shandong University College of Pharmacy, 2007-2010
- 17) Chunhui Guest Professorship, Lanzhou University, Lanzhou, China, since 2005 Binghe Wang, Page 3

- 18) Member of Editorial Advisory Board, Current Medicinal Chemistry, June 1999-June 2002.
- 19) Member, Long-rang Planning Committee, American Chemical Society, Division of Medicinal Chemistry, 2002-2004
- 20) Established Investigator Award, American Heart Association, 1998
- 21) FIRST Award, National Institutes of Health, 1995
- 22) Oklahoma Society of Hospital Pharmacists Outstanding Faculty Award, 1995-1996 (for teaching)
- 23) Kappa Psi Outstanding Faculty Award, College of Pharmacy, University of Oklahoma Health Sciences Center, 1995-1996 (for teaching)
- 24) Kappa Epsilon Outstanding Faculty Award, College of Pharmacy, University of Oklahoma Health Sciences Center, 1995-1996 (for teaching)
- 25) Chairman, American Chemical Society, Oklahoma Section, 1995
- 26) Graduation with Honors, Ph.D., May 1991, University of Kansas
- 27) Irsay-Dahle award for outstanding graduate student, Department of Medicinal Chemistry, University of Kansas, October 1990
- 28) National Fellowship for Studying Abroad, Ministry of Education, China, 1984
- 29) Honor student, May 1982, School of Pharmacy, Beijing Medical University

Lectures

- 1) 1995 Conference on Pharmaceutical Science and Technology: Symposium on Drug Delivery Systems August 22-25, 1995, Chicago, Illinois.
- 2) Chiron Diagnostics, Corp.; East Walpole, MA, August 11, 1997.
- 3) Sarco, Inc.; Durham, NC, February 9, 1998.
- 4) Antisense and Gene Therapy Discussion Group, School of Medicine, University of North Carolina, Chapel Hill, April 23, 1998.
- 5) Virginia Commonwealth University College of Pharmacy, Richmond, VA, October 2, 1998.
- 6) Research Triangle Institute, Durham, NC, December 10, 1998.
- 7) Department of Chemistry, University of Alabama, Birmingham, Alabama, January 8, 1999

- 8) Department of Chemistry, East Carolina University, Greenville, North Carolina, January 29, 1999
- 9) Department of Chemistry, Georgetown University, Washington DC, February 17, 1999
- 10) Department of Chemistry, University of Maryland, College Park, MD, February 18, 1999.
- 11) Department of Chemistry and Biochemistry, University of Delaware, Newark, DE, February, 19, 1999.
- 12) Neuropharmacology Laboratory, National Institute of Environmental Health Sciences, February 27, 1999.
- 13) Department of Chemistry, University of Missouri, Columbia, MO, March 10, 1999.
- 14) University of Kansas, Lawrence, KS, March 11, 1999.
- 15) Department of Biology, North Carolina Central University, Durham, NC, March 26, 1999.
- 16) University of North Carolina at Pembroke, February 1, 2000.
- 17) College of Pharmacy, University of Illinois at Chicago, April 14, 2000.
- 18) North China Pharmaceutical Group, Inc., Shijiazhuang, China, May 29, 2000.
- 19) Beijing Medical University, School of Pharmaceutical Sciences, Beijing, China, May 31, 2000.
- 20) Institute of Materia Medica, Chinese Academy of Medical Sciences, Beijing, China, June 1, 2000.
- 21) Beijing Institute of Pharmacology and Toxicology, Beijing, China, June 2, 2000.
- 22) Department of Chemistry, Peking University, Beijing, China, June 2, 2000.
- 23) Peking University Health Sciences Center, Beijing, China, June 13, 2001.
- 24) Shanghai Institute of Materia Medica, China, June 14, 2001.
- 25) Institute of Materia Medica, Chinese Academy of Medical Sciences, Beijing, China, June 18, 2001.
- 26) College of Pharmacy, University of North Carolina, Chapel Hill, November 15, 2001.
- 27) Department of Chemistry, Wayne State University, Detroit MI, December 12, 2001.
- 28) Sensors for Medicine and Sciences, Germantown, MD, May 8, 2002.
- 29) The 28th National Medicinal Chemistry Symposium, San Diego, CA, June 8-12, 2002.
- 30) Department of Chemistry and Biochemistry, Georgia State University, Atlanta GA, September 6, 2002.

- 31) College of Pharmacy, Campbell University, Buies Creek, NC, September 20, 2002.
- 32) BD Technologies, RTP, NC, February 11, 2003.
- 33) CIBA Vision, Duluth, GA, March 10, 2003.
- 34) Department of Chemistry, Tulane University, March 31, 2003.
- 35) College of Pharmacy, Rutgers University, May 22, 2003.
- 36) Department of Chemistry, Texas A&M University, September 25, 2003
- 37) Department of Chemistry, Purdue University, October 9, 2003
- 38) Department of Chemistry and Biochemistry, Utah State University, November 12, 2003
- 39) Young Supramolecular Chemists Workshop, Sanibel, Fl, January 10-14, 2004.
- 40) Department of Chemistry and Biochemistry, Auburn University, February 19, 2004
- 41) Department of Chemistry, University of Virginia, March 5, 2004.
- 42) Georgia Cancer Coalition Cancer Research Conference (Drug Discovery session) at Chateau Elan Resort, May 6-7, 2004
- 43) Department of Chemistry, and Complex Carbohydrate Research Center, University of Georgia, September 30, 2004
- 44) Enplas Lecture, Kennesaw State University, October 12, 2004
- 45) Department of Biology, Clark Atlanta University, October 29, 2004
- 46) Institute of Materia Medica, Chinese Academy of Medical Sciences, May 31, 2005.
- 47) Department of Chemistry, Sichuan University, China, June 7, 2005
- 48) Department of Chemistry, Lanzhou University, Lanzhou, China, June 9, 2005
- 49) Frontiers of Organic and Bioorganic Chemistry (The first Sino-US Chemistry Professors Conference), June 13, 2005, Tianjin, China
- 50) Carbohydrate Gordon Conferences, Tilton, NH, June 19-24, 2005
- 51) Emory University Winship Cancer Center-Brain Cancer Group, August 24, 2005.
- 52) Emory University Winship Cancer Center Elkin Lecture series, September 16, 2005
- 53) Georgia Cancer Summit, Atlanta, GA, November 3, 2005.

- 54) A symposium on "Chemical Sensors, Biosensors and Sensing Technologies" at Pacifichem 2005, December 15-20, 2005, Hawaii.
- 55) Augusta State University, Keynote lecture, 7th Phi Kappa Phi Students Research and Fine Arts Conference, March 15, 2006
- 56) Symposium on Carbohydrate Recognitions at the 231st American Chemical Society Meeting, Atlanta, Georgia, March 26-30, 2006.
- 57) Department of Chemistry, University of Alberta, Canada, May 23, 2006.
- 58) Department of Chemistry, University of Washington, Seattle, Washington, May 25, 2006.
- 59) Department of Medicinal Chemistry, University of Kansas, June 12, 2006
- 60) Frontiers of Organic and Bioorganic Chemistry (The 2nd Sino-US Chemistry Professors Conference), July 8-9, 2006, Shanghai, China
- 61) Lanzhou International Symposium on Organic and Medicinal Chemistry, July 10-11, 2006, Lanzhou, China
- 62) School of Pharmacy, Shandong University, Jinan, China, July 18, 2006
- 63) IMAT Symposium, NCI, September 7-8, 2006, Washington, DC.
- 64) Department of Chemistry, Louisiana State University, September 15, 2006
- 65) College of Pharmacy, University of Georgia, October 16, 2006
- 66) The Fifth Chinese Medicinal Chemistry Symposium, November 3-7, 2006, Nanjing, China
- 67) Valdosta State University and ACS-South Georgia Section, November 27, 2006
- 68) Department of Chemistry, State University of New York-Buffalo, December 8, 2006
- 69) Department of Chemistry, University of South Florida, Tampa, Florida, Jan 11, 2007
- 70) World Precisions, Sarasota, Florida, Jan 12, 2007
- 71) The 3rd Sino-US Chemistry Professors Conference, Wuhan, China, June 1-2, 2007
- 72) Beijing University Health Sciences Center, College of Pharmaceutical Sciences, June 7, 2007
- 73) Shandong University College of Pharmacy, Shandong, China, June 13, 2007
- 74) Department of Biology, Georgia State University, Atlanta, Georgia, July 13, 2007
- 75) Welch Allyn, Skaneateles Falls, NY, August 16, 2007 Binghe Wang, Page 7

- 76) Department of Chemistry, University of New Orleans, August 31, 2007
- 77) University of Oklahoma, College of Pharmacy Distinguished Lecture Series, September 5, 2007
- 78) College of Pharmacy, Matt Mertes Memorial Symposium, October 29, 2007
- 79) Rega Institute for Medical Research, Minderbroedersstraat 10, Leuven, Belgium, November 14, 2007
- 80) Carlsberg Laboratories, Copenhagen, Denmark, November 16, 2007
- 81) Department of Cellular Biology, University of Georgia, November 27, 2007
- 82) Department of Chemistry, Portland State University, Portland, Oregon, February 22, 2008
- 83) 16th Annual Suddath Symposium, March 7-8, 2008, Georgia Institute of Technology
- 84) Department of Chemistry, University of California-Davis, March 27, 2008
- 85) Dipartimento di Chimica, University of Florence, April 7, 2008
- 86) Department of Chemistry, University of Southern Mississippi, April 18, 2008
- 87) The 2008 Research Symposium of the Georgia Cancer Coalition, Lake Oconee, May 11-12, 2008
- 88) Nucleic Acid Club of Atlanta meeting, May 22, 2008
- 89) College of Pharmacy, China Pharmaceutical University, June 3, 2008
- 90) College of Pharmacy, Shandong University, June 6, 2008
- 91) The Fourth Annual Sino-US Chemistry Professors Conference, Beijing, China, June 12-13, 2008
- 92) Joint International Symposium on Macrocyclic & Supramolecular Chemistry, Las Vegas, July 13-18, 2008
- 93) Biotechnology Symposium Honoring Roy Doi, Georgia State University, August 14, 2008
- 94) Department of Chemistry, University of New Mexico, August 29, 2008.
- 95) Department of Medicinal Chemistry, University of Minnesota, September 15, 2008
- 96) Department of Chemistry, Virginia Tech, September 26, 2008
- 97) The 4th Carbohydrate and Glycobiology Symposium, October 3-4, 2008
- 98) College of Pharmacy, Fudan University, October 8, 2008

- 99) College of Chemistry and Chemical Engineering, Lanzhou University, October 10, 2008
- 100) College of Chemistry, Xiamen University, October 13, 2008
- 101) Ninth Principal Investigators (PI) Meeting for the Innovative Molecular Analysis Technologies (IMAT) Program, Boston, October 27, 2008
- 102) Anacor Pharmaceutical s, Inc., Palo Alto, CA, November 21, 2008
- 103) NIH-NIGMS Glycan Array workshop, December 8, 2008
- 104) Johns Hopkins University High Throughput Screening Center, December 9, 2008
- 105) Glycobiology Gordon Conference, Ventura, CA, Jan. 18-23, 2009
- 106) Glycan Microarray Technologies and Applications, Hilton La Jolla, California, March 14-16, 2009
- 107) Symposium on "Synthetic Carbohydrate Receptor: Design and Applications" at 237th ACS National Meeting, March 22-26, 2009
- 108) Symposium on "Carbohydrate Sensors: Recent Developments and Applications" at 237th ACS National Meeting, March 22-26, 2009
- 109) Discovery and Developmental Therapeutics Retreat (Emory), Evergreen Marriott Conference Resort in Stone Mountain, Georgia, June 5-6
- 110) 5th Sino-US Chemistry Professors Conference, Lanzhou, China, June 28-30, 2009
- 111) Indian Institute of Technology (Delhi), India, January 13, 2010
- 112) 14th ISCH International Conference: Chemical Biology for Discovery: Perspectives and Challenges, Lucknow, India, January 15-18, 2010
- 113) Keynote Speech at the Chinese American Chemical Society Banquet at the Spring American Chemical Society meeting, San Francisco, March 22, 2010
- 114) Anacor Pharmaceuticals, Inc., Palo Alto, CA, April 7, 2010
- 115) Department of Chemistry, University of California, Santa Cruz, CA, April 8, 2010
- 116) Shanghai Institute of Materia Medica, Shanghai, China, June 17, 2010
- 117) Winship Cancer Institute's 2nd annual Discovery and Developmental Therapeutics Retreat, Emory, August 27, 2010
- 118) Department of Medicinal Chemistry, University of Iowa, Iowa, September 13, 2010
- 119) Department of Chemistry, Wayne State University, Detroit, MI, September 21, 2010 Binghe Wang, Page 9

- 120) Albert Einstein Medical School, New York City, NY, October 26, 2010
- 121) 6th Annual Biotech Symposium, Georgia State University, December 3, 2010
- 122) Boronic Acid Symposium, Pacifichem Conference, Hawaii, December 20, 2010
- 123) Drug Discovery Workshop sponsored by the Brazilian National Institute of Science and Technology for Pharmaceutical Innovation, March 21, 2011, São Paulo
- 124) Drug Discovery Workshop sponsored by the Brazilian National Institute of Science and Technology for Pharmaceutical Innovation, March 23, 2011, Recife
- 125) Chinese-American Chemical Society 30th Anniversary Symposium at the 241st ACS National Meeting, March 28, 2011, Anaheim, California
- 126) College of Pharmacy, Shandong University, June 1, 2011
- 127) Institute of Materia Medica, Chinese Academy of Medical Sciences, June 10, 2011
- 128) College of Chemistry, Guanxi Normal University, June 12, 2011
- 129) Department of Chemistry, Washington University, August 25, 2011
- 130) Department of Chemistry, University OF Missouri-STL, August 26, 2011
- 131) IME Boron XIV Conference, Niagara Falls, Canada, September 11-15, 2011
- 132) Department of Chemistry, University of Massachusetts, Amherst, October 26, 2011
- 133) SAPA-NE Regional Meeting, Cambridge, MA, November 5, 2011
- 134) Michigan State University, East Lansing, MI, January 12, 2012
- 135) Georgia Institute of Technology, Atlanta, GA, February 2, 2012
- 136) Department of Chemistry, Brown University, Providence, RI, March 23, 2012
- 137) Shiyao Pharmaceutical Company, China, September 21, 2012
- 138) Zheng Zhou University College of Pharmacy, China, September 22, 2012
- 139) MBD Program, Georgia State University, November 1, 2012
- 140) Department of Chemistry, National Taiwan University, December 18, 2012
- 141) China Medical University, December 19, 2012
- 142) Department of Chemistry, Tongji University, December 21, 2012 Binghe Wang, Page 10

- 143) National Research Institute of Chinese Medicine, Taiwan, December 28, 2012
- 144) University of Georgia, Department of Infectious Diseases, January 28, 2013
- 145) University of California Riverside, February 27, 2013
- 146) Department of Chemistry, University of Pittsburgh, April 11, 2013
- 147) Department of Chemistry, Carnegie Mellon University, April 12, 2013
- 148) College of Pharmacy, Rutgers University, April 22, 2013
- 149) Department of Chemistry, West Virginia University, April 23, 2013
- 150) Ruijin Hospital Distinguished Lecture Series, Shanghai Jiatong University, Shanghai, China, May 21, 2013
- 151) Eastern China University of Science and Technology, College of Pharmacy, Shanghai, China, May 22, 2013
- 152) Dalian Medical University, Dalian, China, May 30, 2013
- 153) Keynote speech, 2013 MBD Day Conference, June 21, 2013, Georgia State University, Atlanta, GA
- 154) ClonTech, Mountain View, CA, July 24, 2013
- 155) 2013 Chinese Medicinal Chemistry Symposium, November 1-3, 2013, Plenary Speech, Jinan, Shandong, China
- 156) Hebei University Medical School, November 7, 2013, Baoding, China
- 157) 20th ISCB International Conference (ISCBC-2014), March 1-4, 2014, Delhi, India
- 158) University of Tennessee Health Sciences Center, College of Pharmacy, January 27, 2014
- 159) Boston University, Department of Chemistry, February 11, 2014
- 160) 10th Annual Sino-US Chemistry Professors Conference, Plenary Lecture, Jinan, Shandong, June 15-17, 2014
- 161) Sichuan University Huaxi Medical School, Chengdu, Sichuan, June 20, 2014
- 162) 9th International Symposium for Chinese Medicinal Chemists, Plenary Lecture, August 18, 2014, Shenyang, China
- 163) Department of Chemistry, University of Wisconsin-Milwaukee, November 20, 2014

- 164) College of Pharmacy, University of Wisconsin-Madison, November 21, 2014
- 165) Guanzhou Institute of Chinese Medicine, January 13, 2015
- 166) College of Chemistry, Hebei University, January 19, 2015
- 167) Macau University State Key Lab of Traditional Chinese Medicine, April 15, 2015
- 168) Dalian Cancer Symposium, Dalian, China, July 5, 2015, Plenary lecture
- 169) Department of Chemistry, Temple University, August 27, 2015
- 170) Department of Chemistry, Duke University, September 15, 2015
- 171) Department of Chemistry, North Carolina State University, September 14, 2015
- 172) Borchardt Symposium, University of Kansas, October 21-13, 2015
- 173) Auburn University College of Pharmacy, November 4, 2015
- 174) Mount Sinai Medical College, December 3, 2015
- 175) Department of Chemistry, Tulane University, January 25, 2016
- 176) Department of Chemistry, Samford University, April 7, 2016

Currently Active Research Funding

- 1) Discovery of Chemical Probes for Uveal Melanoma, PI (Other Multi-PIs: Van Meir and Grossniklaus), NIH (CA180805), 9/1/2013-8/31/2016
- 2) Role of Vitamin B1 in Hypoxia Mediated Malignant Progression, Sub-Contract PI from American Cancer Society via University of Georgia (PI: Jason Zastre), 7/1/14-6/30/19 (Pending sub-contract issuance)
- 3) CRDG Global Fellowship Host Agreement for Khalidah Saleh Merzah Merzah, PI (Preceptor, Hamed Laroui), June 2014-June 2015.
- 4) Novel anthraquinones Induce p53 Activation by Disrupting MDM2/MDM4 Interactions, Lead PI (multi-PI with Muxiang Zhou of Emory), NIH (CA180519), 9/1/2014-6/30/2019
- 5) Examining SecA as a Potential Target for Treating Gram-positive Bacteria, NIH (AI104168), Lead PI (multi-PI with Phang C. Tai), 9/1/2014-9/30/2015
- 6) Water Soluble MDM2-MDM4 Inhibitors for Children's Leukemia, CURE Foundation, 8/1/2015-7/31/2016

Pending Funding

1) Carbon Monoxide Prodrugs for Cancer Therapy, PI (multi-PI with Leo Otterbein), NIH, 4/1/16-3/31/20

Past Research Funding

- 1) Inhibitors of 5-Enolpyruvylshikimate-3-phosphate Synthase and Chorismate Synthase, PI, Oklahoma Center for the Advancement of Science and Technology, \$87,344 (direct cost only), September 1, 1994-August 31, 1997 (Third year declined).
- 2) NMR Spectrometer (300 MHz), PI, NIH, \$197,300 (direct cost only), April 1, 1996 March 31, 1997 (Funded, but transferred PI position to Garo Basmadjian because of my move from University of Oklahoma to North Carolina State University.).
- 3) Cyclic Prodrugs of RGD Analogs, PI, American Heart Association, Oklahoma Affiliate, \$95,700 (including 10% indirect cost), July 1, 1996-June 30, 1999 (Funded, but declined).
- 4) Coumarin-Based Cyclic Prodrugs of Opioid Peptides, PI, Presbyterian Health Foundation (#987), \$24,950 (direct cost only), April 1, 1995-March 31, 1996.
- 5) Acquisition of Instrumentation for an Oklahoma Statewide Shared NMR Facility, Co-Investigator (PI: Warren Ford of Oklahoma State University, Total Number of Investigators: 13 from The University of Oklahoma, Oklahoma State University, and The University of Oklahoma Health Sciences Center), NSF: \$535,000 (direct cost only); Oklahoma State Regents for Higher Education Competitive Challenge Grant: \$500,000 (direct cost only); Educational Research Foundation: \$300,000 (direct cost only), September 1, 1995 August 31, 1996 (all funded).
- 6) Cyclic Prodrugs of Opioid Peptides, Co-PI (PI: Ronald T. Borchardt of University of Kansas), NIDA, \$425,065, July 1, 1995-June 30, 1998.
- 7) Glaxo Graduate Fellowship, \$16,000, Preceptor (Recipient: Wei Wang), 1998-1999.
- 8) Wellcome Graduate Fellowship, \$16,000, Preceptor (Recipient: Eric Ballard), 1998-1999.
- 9) Research Experiences for Undergraduates, Co-Investigator/preceptor (PI: James D. Martin), NSF (CHE-9610196), \$180,339, May 1997-April 2000.
- 10) Thrombus-specific MRI Contrast Agents, PI (Co-PI: David Sane), North Carolina Biotechnology Center (98005-ARG-0008), \$40,000 (direct cost only), August 1, 1998-July 31, 2000.
- 11) Glucose-sensitive Artificial Receptors for Insulin, PI, NIH (NIDDK, DK55062), \$197,749, September 30, 1998-September 29, 2000.
- 11) NMR Spectrometer (400 MHz), PI, NIH (S10RR14731), \$330,000, April 1, 2001-March 31, 2002 (Funded but declined because an overlapping application submitted to the NSF by the Chemistry Department was also funded and the Department decided to accept the NSF one).
- 12) Wellcome Graduate Fellowship, Preceptor (Recipient: Weijuan Ni), \$18,000, 2000-2001.

 Binghe Wang, Page 13

- 13) Application of Two Facile Cyclization Systems, PI, NIH (NIGMS, GM52515), \$500,000, April 1, 1995-July 31, 2001.
- 14) Neuroprotective Apolipoprotein-E Analogs, Collaborator (PI: Mike Vitek), NIH-SBIR (phase 1), \$181,236.
- 15) Esterase-Sensitive Prodrugs of Tirofiban, Lamifiban, and Xemilofiban, PI, American Heart Association (National, #9740117N), \$291,620, July 1, 1998-June 30, 2003.
- 16) Gated Carbon Nanotubes, PI, North Carolina Biotechnology Center (2001ARG0016), \$55,000, August 1, 2001-July 1, 2003.
- 17) Biotechnology Training at North Carolina State University, Participant/preceptor (PI: Bob Kelly), NIH, \$1,370,894, July 1, 2000-June 30, 2005.
- 18) Fluorescent Tags Targeted on Cell Surface Carbohydrates, PI, NIH (CA88343), \$889,951, July 1, 2000-June 30, 2005.
- 19) Boronic Acid-based Sensors for Cell-surface Carbohydrates, PI, NIH (NO1-CO-27184), \$1,556,839, 3/1/02-2/28/05.
- 20) Small-molecule Inhibitors of DNA Repair as Radiosensitizers, Co-PI with Bill Dynan of Medical College of Georgia, Georgia Research Alliance, \$50,000 (Wang's portion \$25,000), 7/1/04-6/30/05
- 21) PDE4 Inhibitor Studies, Co-PI with Jim Prestegard of the University of Georgia, Georgia Research Alliance (GRA.CG06.E), \$50,000 (Wang's portion \$25,000), 7/1/05-6/30/06
- 22) Identification and Synthesis of Sea Hare Defensive Compounds, Co-PI with Chuck Derby, Brains and Behavior Research Program, GSU-Internal, \$25,444 (Wang's Portion: \$16,444), 9/1/2005-8/31/2006.
- 23) Inhibitor of DAM Virulence Factor in Enteropathogenic *Escherichia coli*, CO-PI with Xiaodong Chen of Emory University, Georgia Research Alliance, \$50,000 (Wang's portion \$25,000), 7/1/06-6/30/07
- 24) Small-molecule Inhibitors of DNA Repair as Radiosensitizers, Co-PI with Bill Dynan of Medical College of Georgia, Georgia Research Alliance, \$50,000 (Wang's portion \$25,000), 7/1/05-6/30/07
- 25) Inhibitors of Bacterial Urease as Potential Antimicrobial Agents, Co-PI with Robert Maier of the University of Georgia, Georgia Research Alliance, \$50,000 (Wang's portion \$25,000), 7/1/06-6/30/07
- 26) Inhibitors of Bacterial Urease as Potential Antimicrobial Agents, Co-PI with Robert Maier of the University of Georgia, Georgia Research Alliance, \$50,000 (Wang's portion \$25,000), 7/1/07-6/30/08

- 27) Phosphodiesterases as therapeutic targets in Chagas' disease, Co-PI with Roberto Decampo of the University of Georgia, Georgia Research Alliance, \$50,000, 7/1/07-6/30/08
- 28) Immunopathogenic Role of Infectious Agents in Neurological Disorders, Co-PI with Robert Yu (Medical College of Georgia), Georgia Research Alliance, \$100,000 (Wang's portion: \$40,000), 8/1/07-7/1/08
- 29) Fluorescent Aptamers for Glycoprotein Detection, PI, NIH (CA113917), ~\$400,000, 7/1/05-6/30/09
- 30) Phosphodiesterase inhibitors as trypanocidal therapeutics, Co-PI with Roberto Docampo of UGA) Georgia Research Alliance, \$50,000, 7/1/2008-6/30/2009
- 31) Developing New Cancer Therapies and Diagnostics, Georgia Cancer Coalition, July 1, 2003-June 30, 2010.
- 32) Biomarker-based MRI Contrast Agents, PI, NIH (CA123329), 9/20/2006-8/31/2010
- 33) NIH Minority Supplement for Suazette Reid (Development of Novel Small Molecules for Malignant Brain Tumor, Sub-contract PI (PI: Erwin Van Meir, Emory), CA116804), preceptor, NIH, 9/1/08-8/31/2010
- 34) Aptamer-based Glyco-tools, PI, NIH (STTR, R42GM086925), 4/1/09-2/29/2012
- 35) Development of Novel Small Molecules for Malignant Brain Tumor, Sub-contract PI (PI: Erwin Van Meir, Emory), NIH (CA116804), 9/1/2007-6/30/2012
- Targeting efflux-pumps in Gram-negative pathogens with SecA inhibitors, Co-PIs (PC Tai, Binghe Wang, Chung-Dar Lu, Zehava Eichenbaum, Parjit Kaur), MBD Seed grants, \$20,000, March –June 30, 2013
- 37) Selection of Boronic Acid-modified Aptamers for Glycoproteins, PI, NIH (GM084933), 9/1/08-8/31/2014
- Targeted Imaging Mass Spectroscopy for Biomarker Detection in Human Tissues, Sub-contract PI (PI: Dean Troyer, SBIR for transferring of technology from the Wang lab to Provia Biologics), NIH (1R41CA159567), 9/26/2012-8/31/2014

Courses Taught

- 1) Medicinal Chemistry I & II (Pharmacy students, College of Pharmacy, University of Oklahoma)
- 2) Biotechnology (Graduate students, College of Pharmacy, University of Oklahoma)
- 3) Organic Chemistry I and II (Undergraduates, North Carolina State University)
- 4) Advance Organic Chemistry Lab (Undergraduates, North Carolina State University)
- 5) Bioorganic Chemistry (Graduate, North Carolina State University)
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- 6) Advanced Organic Chemistry (Graduate, Georgia State University)
- 7) Medicinal Chemistry (Graduate, Georgia State University)

Publications

- (Over 8200 citations (About 5000 since 2010) based on Google Scholar, H-index of 46, and highest citation by a single research article: Over 700)
- 1) Wang, B.; Kagel, J.R.; Rao, T.S.; Mertes, M.P. "A Novel Cyclization Reaction of a C6 Substituted Uridine Analog: An Entry to 5,6-Dialkylated Uridine Derivatives" *Tetrahedron Lett.* **1989**, *30*, 7005-7008. PMID: 8217019
- 2) Wang, B.; Mertes, M.P.; Mertes, K.B.; Takusagawa, F. "A Novel Intramolecular 1,3-Dipolar Cycloaddition Reaction of a C6 Substituted Uridine Analog" *Tetrahedron Lett.* **1990**, *31*, 5543-5546.
- 3) Bencini, A.; Bianchi, A.; Garcia-Espana, E.; Scott, E.; Morales, L.; Wang, B.; Deffo, T.; Mertes, M.P.; Mertes, K.B.; Paoletti, P. "Potential ATPase Mimics by Polyammonium Macrocycles: Criteria for Catalytic Activity" *Bioorganic Chemistry* **1992**, 8-29.
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- 5) Kagel, J.R.; Wang, B.; Mertes, M.P. "Synthesis and Evaluation of a Chemical Probe for the Mechanism of Thymidylate Synthase" *J. Org. Chem.* **1993**, *58*, 2738-2746.
- 6) Wang, B.; Kagel, J.; Mertes, M.P.; Bowman-James, K. "Insight into the Chemical Mechanism of Thymidylate Synthase Catalyzed Reaction through the Evaluation of Chemical Models: The Role of C6 Sulfhydryl Addition during the Reductive Elimination Step of the Reaction" *Bioorganic Chemistry* **1994**, 22, 405-420.
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- 12) Gangwar, S.; Pauletti, G.; Wang. B.; Siahaan, T; Stella, V.J.; Borchardt, R.T. "Novel Esterase-Sensitive Cyclic Prodrugs of a Model Hexapeptide Having Enhanced Membrane Permeability and Enzymatic Stability." *Proceedings of the 14th International Symposium for Medicinal Chemistry* **1997**, 27-34, F. Awouters, Ed. Elsevier Science, B.V., Amsterdam, The Netherlands.
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- 107) Wang, B. "Going after the "sweet spot" in Selecting DNA Aptamers for Glycoroteins" presented at the NIH Glycoarray Workshop, December 8, 2008
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- 110) Cheng, Y; Jin, S; Zheng, S; Dai, C; Li, M & Wang, B. "Design and Synthesis of Boronic-acid Modified TTP Analogs for Incorporation into DNA and Aptamer" present at 1st Annual Poster Day, Department of Chemistry and Center for Biotechnology and Drug Design, Georgia State University, Atlanta, GA 30303, Feb 21, 2009.
- 111) Reid, S.; Belozerov, B.; Erwin, M. and Wang, B. "Design, Synthesis and Mechanistic Studies of HIF-1 Inhibitors" present at 1st Annual Poster Day, Department of Chemistry and Center for Biotechnology and Drug Design, Georgia State University, Atlanta, GA 30303, Feb 21, 2009.
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- 119) <u>Chen, W</u> and Wang, B. "Rational Design, Synthesis, and Biological Evaluation of New SecA Inhibitors" present at the 75th Herty Symposium, Georgia Tech University, Atlanta, September 17, 2009.
- 120) Jin, S; Choudhary, G; Cheng, Y; Dai, C; Li, M and Wang, B. "Fluoride Protects Boronic Acidsin Copper (I)-mediated Click Reaction" present at the 75th Herty Symposium, Georgia Tech University, Atlanta, September 17, 2009.
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- 123) <u>Liu, Y; Ni, N.</u>; Li, M; Chen, W and Wang, B. "A Novel Method for the Quantitative Evaluation of fibrinogen Coagulation" present at the 75th Herty Symposium, Georgia Tech University, Atlanta, September 17, 2009.
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- 131) <u>Burroughs, S.</u>; Dai, C.; Ni, N.; Wang, B. "Design, Synthesis, and Evaluation of Bis-Boronic Acid Compounds for Sugar Sensing" 6th Annual Georgia State University Molecular Basis of Disease Research Day, Atlanta, GA, May 21, 2010.
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- 135) <u>Burroughs, S.</u>; Mooring, S.; Dinh, H.; Devi, N.; Van Meir, E.; Wang, B. "Design, Synthesis, and Evaluation of HIF-1 Inhibitors as Anti-cancer Therapeutics" 3rd Annual Georgia State University Chemistry Department Poster Day, Atlanta, GA, March 12, 2011.
- 136) Peng, H.; Dornevil, K.; Draganov, A.; Cheng, Y.; Dai, C.; Nelson, W.H.; Liu, A.; Wang, B. "An Unusual and Facile "Reduction" of an Arylazide to Amine through the Formation of a Triplet Nitrene Intermediate" 3rd Annual Poster Day of GSU Chemistry Department, Atlanta, Georgia, March 12, 2011.
- 137) Peng, H.; Dornevil, K.; Draganov, A.; Cheng, Y.; Dai, C.; Nelson, W.H.; Liu, A.; Wang, B. "An Unusual and Facile "Reduction" of an Arylazide to Amine through the Formation of a Triplet Nitrene Intermediate" presented at the Spring 2011 ACS National Meeting & Exposition, Anaheim, CA, March 27-31, 2011.
- 138) Wang, B. "Chinese-American Chemists Are Vital Bridges between the Vibrant Chemical Community in China and the Outside World" presented at the Spring 2011 ACS National Meeting & Exposition-Chinese American Chemical Society 30th Anniversary Symposium, Anaheim, CA, March 27-31, 2011.
- 139) Peng, H.; Cheng, Y.; Dai, C.; Wang, B. A selective and efficient fluorescent chemoprobe for sulfide in aqueous solution, in 2nd Southeast Enzyme Conference, Atlanta, GA, April, 2011.

- 140) Cheng, Y.; Dai, C.; Peng, H.; Zheng, S.; Jin, S.; Wang, B. "Design, Synthesis, and Polymerase-Catalyzed Incorporation of Click-modified Boronic Acid-TTP Analogues" MBD Research Day, Atlanta, Georgia, June 17, 2011.
- 141) Peng, P.; Dornevil, K. H.; Draganov, A. B.; Dai, C.; Nelson, W. H.; Liu, A.; Wang, B. "An unexpected copper catalyzed "reduction" of an arylazide to amine through the formation of a nitrene intermediate" 40th Southeastern Magnetic Resonance Conference (SEMRC 2011), Atlanta, Georgia, November 4, 2011.
- 142) <u>Burroughs, S.</u>; Mooring, S.; Dinh, H.; Devi, N.; Van Meir, E.; Wang, B. "Updates on the Design, Synthesis, and Evaluation of HIF-1 Inhibitors as Anti-cancer Therapeutics" 4th Annual Georgia State University Chemistry Department Poster Day, Atlanta, GA, February 18, 2012.
- 143) Peng, H.; Wang, B. "Development of fluorescent probes for hydrogen sulfide" 4th Annual Poster Day of GSU Chemistry Department, Atlanta, Georgia, Feburary 18, 2012.
- 144) <u>Dai, C.</u>; Cazares, L.; Wang, L.; Chu, Y.; Wang, S.; Troyer, D.; Semmes, O.; Drake, R.; Wang, B. The First MALDI-MS Imaging Agent for the Histological Analysis of Cancer Tissue Expressing the Sialyl Lewis X Antigen. Pittcon Conference, Orlando, FL, March 11, 2012.
- 145) Wang, L.; Dai, C.; Wang, S.; Wang, B. "A Novel LC-MS Method For Sensitive Detection of Inorganic Azide by Employing a Strain Promoted Click Chemistry" Pittcon Conference, Orlando, FL, March 11, 2012.
- 146) <u>Burroughs, S.</u>; Mooring, S.; Damera, K.; Devi, N.; Van Meir, E.; Wang, B. "Small Molecule HIF-1 Inhibitors as Anti-cancer Therapeutics" 1st Conference of Center for Diagnostics and Therapeutics, Atlanta, GA, March 31, 2012.
- 147) Peng, H.; Cheng, Y.; Dai, C.; King, A. L.; Predmore, B. L.; Lefer, D. J.; Wang, B. "Quantitative detection of hydrogen sulfide in blood using a fluorescent probe" 1st Conference of Center for Diagnostics and Therapeutics, Atlanta, Georgia, March 31, 2012.
- 148) Peng, H. Cheng, Y.; Dai, C.; King, A.L.; Predmore, B. L.; Lefer, D. J.; Wang, B. "Quantitative detection of hydrogen sulfide in blood using a fluorescent probe" 3rd Southeast Enzyme Conference, Atlanta, Georgia, April 14, 2012.
- 149) <u>Burroughs, S.</u>; Damera, K.; De los Santos, Z.; Devi, N.; Van Meir, E.; Wang, B. "Small Molecule HIF-1 Inhibitors as Anti-cancer Therapeutics" Georgia State University Center for Diagnostics and Therapeutics Seminar Series, Atlanta, GA, May 6, 2012.
- 150) <u>Dai, C.</u> Cazares, L.; Wang, L.; Chu, Y.; Wang, S.; Troyer, D.; Semmes, O.; Drake, R.; Wang, B."Synthetic Lectin Mimics for the Recognition of Cancer Biomarkers." 7th Annual Glycoscience Symposium, Athens, GA, October 3, 2012.
- 151) Peng, P.; Cheng, C.; Chen, W.; Ni, N.; Ke, B.; Dai, C.; Wang, B. "Rapid and Specific Post-synthesis Modification of DNA via a Biocompatible Condensation of 1,2-Aminothiols with 2-Cyanobenzothiazole" Glycoscience Symposium, Athens, Georgia, October 3, 2012.

- 152) Cheng, Y.; Ni, N.; <u>Wang, D.</u>; Wang, B. "Simple Phenylboronic Acid Derivatives that Show Significant Fluorescent Intensity Changes upon Binding with Diols in Aqueous Solution at Physiological pH" Glycoscience Symposium, Athens, Georgia, October 3, 2012.
- 153) <u>Chen, W.</u>; Ke, B.; Ni, N.; Cheng, Y.; Dai. C.; Dinh, H.; Wang, B. "A Fluorescent Probe for Rapid Fluoride Detection in Aqueous Solution and Cell Imaging" Glycoscience Symposium, Athens, Georgia, October 3, 2012.
- 154) <u>De los Santos, Z.</u>; Burroughs, S.; Damera, K.; Van Meir, E.; Wang, B. "Design and Synthesis of Small-molecule Inhibitors of the Hypoxia Inducible Factor-1 as Anti-Cancer Therapeutics" Louis Stokes Alliance for Minority Participation, Atlanta, GA, April 14, **2012**.
- 155) <u>De los Santos, Z.</u>; Burroughs, S.; Damera, K.; Van Meir, E.; Wang, B. "Design and Synthesis of Small-molecule Inhibitors of the Hypoxia Inducible Factor-1 as Anti-Cancer Therapeutics" Herty Medalist Undergraduate Research Symposium, Atlanta, GA, September 14, 2012.
- 156) <u>Draganov, A.B.</u>; Chen, W.; Ni, N.; Sagwal, A.; Cui, J.; Jin, J.; Tai, Phang C.; Wang, B.; "Novel Antibacterial Agents Targeting the SecA Machinery: Evaluation of the Physical Properties of Potential Drug Candidates," 65th Southeast Regional Meeting of the American Chemical Society, Atlanta, GA, USA, November 13-16, **2013**, SERM-215.
- 157) <u>De los Santos, Z.</u>; Burroughs, S.; Damera, K.; Van Meir, E.; Wang, B. "Design and Synthesis of Small-molecule Inhibitors of the Hypoxia Inducible Factor-1 as Anti-Cancer Therapeutics" Georgia State Undergraduate Research Conference, Atlanta, GA, March 13, 2013.
- 158) <u>De los Santos, Z.</u>; Holmes, J.; Burroughs, S.; Damera, K.; Van Meir, E.; Wang, B. "Design and Synthesis of Small-molecule Inhibitors of the Hypoxia Inducible Factor-1 as Anti-Cancer Therapeutics" 45th Annual Southeast Regional American Chemical Society Undergraduate Research Conference, Birmingham, AL, April 18, **2013**.
- 159) Wang, K.; Dai, C.; Chen, W.; Zheng, Y.; Chittavong, V.; Wang, B., "Design and synthesis of *trans*-cyclooctene modified thymidine triphosphate for post-synthesis DNA modification". 65th SERMACS, Atlanta, GA, November 13, **201**3
- 160) <u>Dai, C.</u>; Peng, H.; Cheng, Y.; Yang, X.; Wang, L.; Chen, W.; Ni, N.; Ke, B.; Wang, B. Boronic Acid Modified Nucleic Acids for Expanded Applications. Pittcon Conference, Philadelphia, PA, March 17-21, **2013**. (oral presentation)
- 161) Wang, L.; Dai, C.; Burroughs, S.; Wang, S.; Wang, B. Studies on Ionization and Fragmentation Behaviors of Arylboronic Acids under ESI-MS Conditions. Pittcon Conference, Philadelphia, PA, March 17-21, **2013**.
- 162) <u>Dai, C.</u>; Zheng, Y.; Wang, L.; Chen, W.; Wang, D.; Wang, S.; Wang, B. Small Molecule Trityl-based MS-tag Conjugates for Cell Surface Antigen Recognition and Application in Histological Analysis. Pittcon Conference, Chicago, IL, March 2-6, **2014**
- 163) Wang, K.; Wang, D.; Ji, K.; Chen, W.; Zheng, Y.; Dai, C.; Wang, B., "Decorating DNA with boronic acids through tetrazine-*trans*-cyclooctene cycloaddition," 248th ACS National Meeting, San Francisco, CA, August 12, 2014

- 164) <u>Chittavong, V.</u>; Ji, X.; Wang, K.; Zheng, Y.; Wang, B. "Synthesis of *trans*-cyclooctene for Click Chemistry Application". *MBDAF undergraduate Luncheon*, Atlanta, GA, July 23, 2014
- 165) Wang, K.; Peng, H.; Dai, C.; Wang, B., "A redox-based fluorescent probe of homocysteine," Pittcon Conference, Chicago, IL, March 4, **2014**
- 166) De los Santos, Z.; Holmes, J.; Burroughs, S.; Damera, K.; Van Meir, E.; Wang, B. "Design and Synthesis of Small-molecule Inhibitors of the Hypoxia Inducible Factor-1 as Anti-Cancer Therapeutics" 2014 American Chemical Society Central Regional Meeting, Pittsburgh, PA, October 29, **2014**.
- 167) <u>Draganov, A.B.;</u> Wang, K.; Wang, D.; Dai, C.; Wang, B "Click with the Assistance of a Boronic Acid Functional Group: Benzothiazole Formation Through A Facile Cyclization Reaction" 248th ACS National Meeting & Exposition, San Francisco, CA, USA, August 10-14, 2014, ORGN-921.
- 168) Ochiobi, A.G.; Wang, K.; Peng, H.; Wang, B. "A Reaction-Based Fluorescent Probe for Hydrogen Sulfide Detection." Oral Presentation at Georgia State University Summer Research Symposium, Atlanta, Georgia, July 3, **2014**.
- 169) Ochiobi, A.G.; Wang, K.; Peng, H.; Wang, B. "A Reaction-Based Fluorescent Probe for Hydrogen Sulfide Detection." Poster Presentation at Molecular Basis of Disease Fellows Area of Focus (MBDAF) program symposium, Georgia State University. Atlanta, Georgia, July 23, 2014.
- 170) Ochiobi, A.G.; Wang, K.; Peng, H.; Wang, B. "A Reaction-Based Fluorescent Probe for Hydrogen Sulfide Detection." Poster Presentation at the 9th Annual Peach State Louis Stokes Alliance for Minority Participation (PSLSAMP) 2014 Conference, Atlanta, Georgia. September 19, **2014**.
- 171) Ochiobi, A.G.; Wang, K.; Peng, H.; Wang, B. "A Reaction-Based Fluorescent Probe for Hydrogen Sulfide Detection." Poster Presentation at the 66th Southeastern Regional Meeting of the American Chemical Society (SERMACS 2014), Nashville, Tennessee. October 19, **2014**.
- 172) Ochiobi, A.G.; Wang, K.; Peng, H.; Wang, B. "A Reaction-Based Fluorescent Probe for Hydrogen Sulfide Detection." Poster Presentation at the Annual Biomedical Research Conference for Minority Students (ABRCMS 2014), San Antonio Texas. November 13, **2014**.
- 173) Wang, K.; Friscourt, F.; Dai, C.; Wang, L.; Zheng, Y.; Boons, G-J.; Wang, S.; Wang, B., "A turn-on fluorescent probe for sodium azide detection". 2015 Pittcon Conference, New Orleans, LA, March 9, 2015
- 174) <u>Dragnov, A.;</u> Zhang, H. Gu, L.; Wang, B.; Zhou, M. "A Novel Anti-cancer Strategy through the Induction of MDM2 Degradation by Small Molecule Inhibitors", *Graduate Research Symposium*, Georgia State University, March **2015.**
- 175) <u>Dragnov, A.;</u> Zhang, H. Gu, L.; Wang, B.; Zhou, M. "A Novel Anti-cancer Strategy through the Induction of MDM2 Degradation by Small Molecule Inhibitors", *World Preclinical Congress*, June **2015.**

Current Group

Sixteen graduate students (Alex Dragnov, Ke Wang, Yueqin Zheng, Jalisa Holmes, Wenyi Wang, Mengyuan Zhu, Joy Yancey, Bingchen Yu, Zhiqiang Pan, Abiodun Anifowose, Lingyun Yang, Robert Aghoghovbia, Vayou Chittavong, Kim Ladie Cruz, Brian Somba), three post-doctoral research associates (Xingyue Ji, Kaili Ji, and Krishna Damera), one undergraduates (Margaret Joan Walker), and three visiting scholars (Iman Labbad, Qiang Wang, Yuqing Duan).

Students & Postdoctoral Fellows Mentored

i. Graduate Students

(1) E ' D 11 1 DI D MCGII 1007 2002	(2) D
(1) Eric Ballard, Ph.D., NCSU, 1997-2002	(2) Dawn Covington, M.S., NCSU, 1997-1998
(3) Michelle Ferro, M.S., NCSU, 1999-2003	(4) Kellee Griffin, M.S., NCSU, 1999-2003
(5) Siska Hendrata, M.S., NCSU, 1997-2000	(6) Ji Jiang, M.S., NCSU, 1997-2000
(7) Rich Latta, Ph.D., NCSU, 1998-2001	(8) Yuan Liao, M.S., NCSU, 1995-2000
(9) Weijuan Ni, Ph.D., NCSU, 1999-2003	(10) Bo Peng, M.S, NCSU, 1999-2002
11) Ratna Sen, M.S. 1996-1998	(12) Greg Springsteen, Ph.D., 1996-2002
(13) Wei Wang, Ph.D., NCSU, 1996-2000	(14) Kun Wu, Ph.D., OU, 1994 (did not graduate)
(15) Lindsay Zych, M.S., NCSU, 1999-2002	(16) Jun Yan, Ph.D., NCSU, 2000-2004
(17) Xinhui Lou, Ph.D., NCSU, 2001-2003	(18) Haibo Li, Ph.D., NCSU, 2001-2003
(19) Janet Jones, GSU, 2001-2007	(20) Sandra Craig, Ph.D., GSU, 2002-2007
(21) Ibrahim Bori, Ph.D., NSCU, 2002-2003	(22) Gurpreet Kaur, Ph.D., GSU, 2002-2006,
(23) Angela Mulder, Ph.D., GSU, 2002-2003	(24) Senol Akay, Ph.D. GSU, 2003-2009
(25) Shan Jin, Ph.D., GSU, Jan 2005-May 2009	(26) Xiaochuan Yang, Ph.D., GSU, Jan 2005-2010
(27) Suazette Reid, Ph.D., GSU, July 2005-2010	(28) Nanting Ni, Ph.D., GSU, Jan. 2006-2010
(29) Yunfeng (Jerry) Cheng, Ph.D., GSU, 2006-12	(30) Weixuan Chen, Ph.D. GSU, Aug. 2007- December 2012
(31) Hanjing Peng, Ph.D. Chemistry, GSU, Aug. 2007-December 2012	(32) Yidan Liu, M.S., GSU, 2007-2009
(33) Sushma Reddy Gundala, M.S. Biology, GSU, Aug. 2007-2010	(34) Anusha Kandukuri, M.S. Biology, GSU, August 2007
(35) Salma Stoman, M.S. Chemistry, GSU, August 2007-2008	(36) Gaurav Choudhary, M.S. Biology, GSU, 2006-2009

(37) Arpana Chaudhary, Ph.D., GSU, May 2009- July 2013;	(38) Tran Hang, MS, Chemistry GSU, 2009-2010
(40) Sarah Boroughs, Ph.D. GSU, 2009-2013	(41) Jessica Bulls, Ph.D. GSU, 2010
(41) Ke Wang, Ph.D. GSU, 2010-present	(42) Danzhu Wang, Ph.D., GSU, 2009-2014
(43) Alex Dragnov, MS, GSU, 2009-2011	(44) Sarah Laughlin, MS, GSU, 2009-2011
(45) Hiu Dihn, MS, GSU, 2011-2012	(46) Ashley Otua, MS, GSU, August 2011-2012
(47) Alex Dragnov, Ph.D., GSU, 2011-present	(48) Andria Davis, MS, GSU, 2011-2012
(49) Kai XU, Ph.D., GSU, August 2012-May 2013	(50) Jalisa Holmes, Ph.D., GSU, August 2012- present
(51) Yueqin Zheng, Ph.D., GSU, June 2012- present	(52) Wenyi Wang, Ph.D., GSU, August 2013- present
(53) Menyuan Zhu, Ph.D. GSU, August 2013- present	(54) Zeus De los Santos, M.S., GSU, August 2013-May 2014
(55) Jingze Wang, MS, GSU, August 2013-Jan 2014	(56) Joy Yancey, Ph.D., GSU, August 2014- present
(57) Bingchen Yu, Ph.D. GSU, August 2014-present	(58) Zhixiang Pan, Ph.D. GSU, August 2014-present
(59) Abiodun Anifowose, Ph.D. GSU, August 2014-present	(60) Lingyun Yang, M.S. GSU, August 2014- present
(61) Robert Aghoghovbia, M.S., GSU, January 2015.	(62) Zhengnan Yuan, Ph.D. GSU, August 2015 present
(63) Manjusha Choudhury, Ph.D. GSU, August, 2015-present	(64) Brian Somba, Ph.D. GSU, August 2015- Present
(65) Kim Ladie Cruz	

ii. Postdocs and Visiting Scholars

(1) Young Ro Choi, Ph.D. December 1997- December 1998	(2) Xingming Gao, Ph.D., August 2000-March 2004;
(3) Wenqiang Yang, March 2000-June 2003	(4) Daxian Shan, M.S., Research Fellow, November, 1994-September, 1998
(5) Xuling Shi, Ph.D., October 1998-November 1999	6) Terry Smith, Ph.D., Professor of Chemistry, University of Central Oklahoma, Visiting Professor, Summer 1995
7) Wei Wang, M.S., Research fellow, October, 1994-1996	(8) Hongwu Yu, Ph.D. November 1998-2001
(9) Huijuan Zhang, B.S., Research Fellow, April 1995-March, 1997	(10) Ailian Zheng, M.S., Research Fellow, November, 1995-February, 1999
(11) Shouhai Gao, Ph.D., Postdoctoral fellow,October 1998-May, 2001,	(12) Karnati V V Reddy, Ph.D., Postdoctoral fellow, March 1999-May 2001
(13) Xingming Gao, 1999-March 2005 (15) Hao Feng, Ph.D., 2002-December 2004	(14) Yanlin Zhang, Ph.D., 2002-2006 (16) Na Lin, Ph.D., 2003-2006
(17) Dajun Chen, Ph.D., 2003	(18) Shilong Zheng, 2003-September, 2007
(19) Gayane Khachvankyan, 2002, 2003-2004	(20) Jianzhang Zhao, 2005

(21) Jun Yan, 2005-April 2005	(22) Minyong Li, Jan 2006-April 2009
(23) Junfeng Wang, April 2005-July, 2007	(24) Lupei Du, March 2007-April, 2009
(25) Chaofeng Dai, December 2007-January 2015	(26) Chunyuan Zhu, Sept.2007-Dec. 2008
(27) Jin Hui, Jan. November 2007-2008	(28) Yong Chu, March 2008-Jan. 2009
(29) Chunhao Yang, August 2008-Jan 2009	(30) Xiaojing (Amy) Wang, 2008
(31) Jianmin Cui, Feb. 2009-present	(32) Shaoru Wang, Oct. 2008-Oct. 2010
(33) Guojing Sun, 2009-July 2011	(34) Nanting Ni, July 2009-August 2013
(35) Krishna Damera, September 2009-present	(36) Zhongyu Wu, Sept. 2009-Sept. 2010
(37) Yingji Wang, November 2010-2011	(38) Bamba Fante, 2012-2013
(39) Guan Peng, 2012-2013	(40) Mustafa Gabr, 2013
(41) Ying Ma, Fall 2013-October 2014	(42) Bowen Ke, 2011-2013
(43) Kaili Ji, Fall 2013-present	(44) Xingyue Ji, Jan 2014-present
(45) Lin Yan, Summer 2014-July 2015	(46) Lifang Wang, Jan. 2009-Summer 2014
(47) Hai Qian, Jan 2014-January 2015	(48) Gaofei Wei, August 2015-September 2015
(49) Iman Labbad	

iii. Undergraduate and high school students mentored

(1) Bau Tran, 1995-1996	(2) Sung Yong Bae, Summer 1999
(3) Suzanne Burlone, Summer 1999	(4) Summer Coller, Summer 1998
(5) Adam Connor, 1999-2000	(6) Joyce Eledah, 1996-1997
(7) Jennifer Elmo, 1997-1998	(8) Amanda F. Fuller, 1996-1997
(9) David Herzig, 2000-2001	(10) Jason F. Ho, 1998-1999
(11) Ming Li, 1997-1998	(12) Chris Mitton, Summer 1999
(13) Jason Peterson, 1994-1995	(14) Thao Pham, Summer 1995
(15) Amber Pittman, Summer 1999	(16) Miles Sweet, Summer 1999 (later a Rhodes
(17) Reba Royster, Summer, 2000	Scholar at Oxford) (18) Tara Elkin, Summer, 2001
(19) Kelly Pennix, summer, 200-2002	(20) Kim McNair, Summer 2001
(21) Harshit Bakshi, Fall 2001-2002	(22) John Adams, Fall 2001-2002
(23) Susan Deeter, Summer, 2002	(24) Faith Ohuoba, summer 2002
(25) Colleen Skeuse, 2002	(26) Andrea Allgood, 2002-present
(27) Marcus Lockhart, 2002-2003	(28) Jaime Curtis, summer 2003
(29) Susiana Mulyadi, May 2004-2005	(30) Gary E Brandt, May 2004-2005
(31) Seemie Syed, April 2005	(32) Mike Nguyen, July 2005-2006
(33) Tekla Kovash, August 2005-2006	(34) Vaibhav G Shah, Jan 2006-present
(35) Bo Peng, Fall 2006	(36) David Bello, Summer 2006-2007
(37) Nicole Youngs, Spring 2007	(38) ViLinh Thi Tran, Fall 2006-Spring 2007
(39) Phun Tham, Fall 2006-Spring 2007	(40) Tierra Demons, Fall 2006-Spring 2007
(41) Miyeong Jin, 2007	(42) Kyoung Su Lee 2007
(43) Nekelia Alashante Henderson 2007-2008	(44) Hang Tran, Fall 2008-July 2010
(45) Angie Caldron, Fall 2008-May 2011	(46) Carol Nga, Spring 2009-May 2010
(47) Sara Tesfazghi, Spring 2009-2010	(48) Hieu Dihn, 2009-May 2011
(49) Jennifer Mendez, 2010	(50) Zeus De Los Santos, May 2011-present
(51) Kris Chong, June 2011-present	(52) Sneha Sareddy (high school), summer 2012
(53) Samuel Williamson, summer and fall 2012	(54) Jeff Sears, Summer and fall 2012

(55) Chris Chong, 2013	(56) Chi Chung (Tommy) Yeung, 2013
(57) Vayou Chittavong, Aug. 2013- Aug. 2015	(58) Matt Goodman, Fall 2013-present
(59) Marquis Griffin, Fall 2013-present	(60) Amarachi Grace Ochiobi, Summer 2014
(61) Margaret Walker, Fall 2015-present	

iv. Students' Thesis/Dissertation or Oral Committees

(17) Mei Wang, Chemistry, Ph.D., NCSU, 1996-2000 (18) Ratna Sen, M.S. 1997-1998 (19) Wei Wang, Chemistry, Ph.D., NCSU, 1996-1090 (17) Wei Wang, Chemistry, Ph.D., NCSU, 1996-1090 (17) Wei Wang, Chemistry, Ph.D., NCSU, 1996-1090 (18) Wei Xu, Ph.D., Fiber and Polymer Sciences, NCSU, 1998-2000 (21) Yanchen Zhang, Chemistry, M.S., NCSU, 1996-2001 (23) Rich Latta, Ph.D., 1998-2001 (25) Yuyu Bai, Crop Science, Ph.D., NCSU, 1998-2002 (33) Kellee Griffin, M.S., 1999-2003 (39) Dimitar Gotchev, Ph.D., 1999-2003 (39) Dimitar Gotchev, Ph.D., 1999-2003 (31) Dawn Covington, M.S., 1999-2003 (44) Huan Xie, Ph.D., Department of Chemistry, NCSU, 2001-2003 (44) Huan Xie, Ph.D., Department of Chemistry, NCSU, 2001-2003 (44) Jann Fulp, Chemistry, Ph.D., NCSU, 1996-2002 (44) Lam, Ph.D., Chemistry, Ph.D., NCSU, 1996-2003 (44) Jann Fulp, Chemistry, Ph.D., NCSU, 1997-2000 (44) Lang, Chemistry, M.S., NCSU, 1997-2000 (5) Holly Homer, M.S., NCSU, 1998-2000 (6) Charles Ingalls, Chemistry, M.S., NCSU, 1996-2000 (6) Charles Ingalls, Chemistry, M.S., NCSU, 1996-2000 (6) Charles Ingalls, Chemistry, M.S., NCSU, 1996-2000 (6) Charles Ingalls, Chemistry, Ph.D., NCSU, 1998-2000 (10) Sachin G. Maniar, M.S., NCSU, 1998-2000 (10) Sachin G. Maniar, M.S., NCSU, 1998-2000 (12) Mark Miller, M.S., NCSU, 1998-2000 (13) Mark Miller, M.S., NCSU, 1998-2000 (14) Sachin G. Maniar, M.S., NCSU, 1999-2001 (15) Bart Miller, M.S., NCSU, 1998-2001 (16) Sachin G. Maniar, M.S., NCSU, 1997-2001 (17) Wei Wang, Chemistry, M.S., NCSU, 1997-2001 (18) Kun Wu, Ph.D., NCSU, 1996-2001 (19) Ph.D., NCSU, 1998-2001 (20) Pingao Yu, Mech. Eng., Ph.D., NCSU, 1996-2001 (22) Siska Hendrata, M.S., 1997-2001 (23) Siska Hendrata, M.S., 1997-2001 (24) Li Ma, M.S., NCSU, 1997-2001 (25) Greg Springsteen, Ph.D., NCSU, 1996-2002 (36) Greg Springsteen, Ph.D., NCSU, 1996-2002 (37) Hai Bui, Chemistry, Ph.D., 1999-2003 (38) Weijuan Ni, Ph.D., 1999-2003 (39) Dimitar Gotchev, Ph.D., Department of Chemistry, NCSU, 2000-2003 (40) Anne-Cecile Hiebel, Ph.D., Department of Chemistry, NCSU, 2000-2003	(1) Michael William Bauer, Chem. Eng., Ph.D.,	(2) Sophia Rinat Chamistry Ph.D. NCSII 1006	
2000	NCSU, 1997	(2) Sophie Binet, Chemistry, Ph.D., NCSU, 1996-2000	
1997-1999 (8) Debbie Kaufman, Chemical Engineering, Ph.D., NCSU, 1996-2000 (9) Yuan Liao, Chemistry, M.S., NCSU, 1996-2000 (10) Sachin G. Maniar, M.S., NCSU, 1998-2000 (11) Cheryl McArdle, Chemistry, M.S., NCSU, 1996-1998 (12) Mark Miller, M.S., NCSU, 1998-2000 (13) Christian G. Ollinger, M.S., Chemistry, 1999-2000 (16) Birol Umer, Paper Science, M.S., NCSU, 1999-2000 (17) Wei Wang, Chemistry, Ph.D., NCSU, 1996-2000 (18) Kun Wu, Ph.D., Medicinal Chemistry, University of Oklahoma, 1994 (20) Pingao Yu, Mech. Eng., Ph.D., NCSU, 1999-2001 (21) Yanchen Zhang, Chemistry, M.S., NCSU, 1999-2001 (22) Siska Hendrata, M.S., 1997-2001 (23) Rich Latta, Ph.D., 1998-2001 (24) Li Ma, M.S., NCSU, 1997-2001 (25) Yuyu Bai, Crop Science, Ph.D., NCSU, 1996-2001 (26) Greg Springsteen, Ph.D., NCSU, 1996-2001 (27) Eric Ballard, Ph.D., 1997-2002 (31) Michelle Ferro, M.S., 1999-2002 (32) Brandon Fetterolf, M.S., Chemistry, 1999-2002 (33) Kellee Griffin, M.S., 1999-2003 (34) Ningning M.S., Ph.D., Department of Chemistry, NCSU, 1999-2003 (35) Lindsay Zych, M.S., Chemistry, NCSU, 1999-2003 (36) Dimitar Gotchev, Ph.D., Department of Chemistry, NCSU, 1999-2003 (37) Hai Bui, Chemistry, Ph.D., Department of Chemistry, NCSU, 2001-2003 (40) Anne-Cecile Hiebel, Ph.D., Department of Chemistry, NCSU, 2001-2003 (42) Kelly Stevens, Ph.D., Food Science, NCSU, 20	(3) Dawn Covington, M.S., 1997-1998		
Ph.D., NCSU, 1996-2000	(5) Holly Homer, M.S., Chemistry, 1999-2000		
2000	(7) Ji Jiang, Chemistry, M.S., NCSU, 1997-2000		
(13) Christian G. Ollinger, M.S., Chemistry, 1999-2000 (14) Sue Quick, Wood & Paper Science, M.S., NCSU, 1999-2000 (15) Ratna Sen, M.S. 1996-1998 (16) Birol Umer, Paper Sciences, Ph.D., NCSU, 1997-2000 (17) Wei Wang, Chemistry, Ph.D., NCSU, 1996-2000 (18) Kun Wu, Ph.D., Medicinal Chemistry, University of Oklahoma, 1994 (19) Wei Xu, Ph.D., Fiber and Polymer Sciences, NCSU, 1998-2000 (20) Pingao Yu, Mech. Eng., Ph.D., NCSU, 1996-NCSU, 1998-2001 (21) Yanchen Zhang, Chemistry, M.S., NCSU, 1997-2001 (22) Siska Hendrata, M.S., 1997-2001 (23) Rich Latta, Ph.D., 1998-2001 (24) Li Ma, M.S., NCSU, 1997-2001 (25) Yuyu Bai, Crop Science, Ph.D., NCSU, 2000-2001 (26) Greg Springsteen, Ph.D., NCSU, 1996-2002 (27) Eric Ballard, Ph.D., 1997-2002 (28) Clint Brooks, Chemistry, Ph.D., NCSU, 1996-2001 (29) Scott Burns, Chemistry, Ph.D., NCSU, 1998-2002 (30) Qizhou Dai, Paper Sciences, Ph.D., NCSU, 1997-2002 (31) Michelle Ferro, M.S., 1999-2003 (32) Brandon Fetterolf, M.S., Chemistry, 1999-2002 (33) Kellee Griffin, M.S., 1999-2003 (34) Ningning M.S., Ph.D., Department of Chemistry, NCSU, 1999-2001 (37) Hai Bui, Chemistry, PhD, 1999-2003 (38) Weijuan Ni, Ph.D., 1999-2003 (39) Dimitar Gotchev, Ph.D., Department of Chemistry, NCSU, 1999-2003 (40) Anne-Cecile Hiebel, Ph.D., Department of Chemistry, NCSU, 2000-2003 (41) Huan Xie, Ph.D., Department of Chemistry, NCSU, 2001-2003		(10) Sachin G. Maniar, M.S., NCSU, 1998-2000	
1999-2000 NCSU, 1999		(12) Mark Miller, M.S., NCSU, 1998-2000	
1997-2000 1997-2000 (18) Kun Wu, Ph.D., Medicinal Chemistry, University of Oklahoma, 1994 (19) Wei Xu, Ph.D., Fiber and Polymer Sciences, NCSU, 1998-2000 (20) Pingao Yu, Mech. Eng., Ph.D., NCSU, 1996-1999 (21) Yanchen Zhang, Chemistry, M.S., NCSU, 1999-2001 (22) Siska Hendrata, M.S., 1997-2001 (23) Rich Latta, Ph.D., 1998-2001 (24) Li Ma, M.S., NCSU, 1997-2001 (25) Yuyu Bai, Crop Science, Ph.D., NCSU, 2000-2001 (26) Greg Springsteen, Ph.D., NCSU, 1996-2002 (28) Clint Brooks, Chemistry, Ph.D., NCSU, 1996-2001 (29) Scott Burns, Chemistry, Ph.D., NCSU, 1998-2002 (30) Qizhou Dai, Paper Sciences, Ph.D., NCSU, 1997-2002 (31) Michelle Ferro, M.S., 1999-2002 (32) Brandon Fetterolf, M.S., Chemistry, 1999-2002 (34) Ningning M.S., Ph.D., Department of Chemistry, NCSU, 1999-2003 (36) Hui Ouyang, Ph.D., Pharmaceutics, UNC-1999-2002 (37) Hai Bui, Chemistry, Ph.D., Department of Chemistry, NCSU, 1999-2003 (38) Weijuan Ni, Ph.D., 1999-2003 (40) Anne-Cecile Hiebel, Ph.D., Department of Chemistry, NCSU, 2000-2003 (41) Huan Xie, Ph.D., Department of Chemistry, NCSU, 2000-2003 (42) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003 (42) Kelly Stevens, Ph.D., Pharmaceutics, Uncarrent Ph.D.,		1 1 2	
2000 University of Oklahoma, 1994	(15) Ratna Sen, M.S. 1996-1998		
NCSU, 1998-2000 1999 (21) Yanchen Zhang, Chemistry, M.S., NCSU, 1997-2001 (22) Siska Hendrata, M.S., 1997-2001 (23) Rich Latta, Ph.D., 1998-2001 (24) Li Ma, M.S., NCSU, 1997-2001 (25) Yuyu Bai, Crop Science, Ph.D., NCSU, 2000-2001 (27) Eric Ballard, Ph.D., 1997-2002 (28) Clint Brooks, Chemistry, Ph.D., NCSU, 1996-2001 (29) Scott Burns, Chemistry, Ph.D., NCSU, 1998-2001 (29) Scott Burns, Chemistry, Ph.D., NCSU, 1998-2002 (31) Michelle Ferro, M.S., 1999-2002 (32) Brandon Fetterolf, M.S., Chemistry, 1999-2002 (33) Kellee Griffin, M.S., 1999-2003 (34) Ningning M.S., Ph.D., Department of Chemistry, NCSU, 1999-2002 (36) Hui Ouyang, Ph.D., Pharmaceutics, UNC-1999-2002 (37) Hai Bui, Chemistry, Ph.D., Department of Chemistry, NCSU, 1999-2003 (38) Weijuan Ni, Ph.D., 1999-2003 (40) Anne-Cecile Hiebel, Ph.D., Department of Chemistry, NCSU, 2000-2003 (41) Huan Xie, Ph.D., Department of Chemistry, NCSU, 2001-2003 (42) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003 (43) Kelle Stevens, Ph.D., Food Science, NCSU, 2001-2003 (44) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003 (45) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003 (46) Kelly Stevens, Ph.D., Ph.D., Ph.D., Ph.D., Ph.D., Ph.D., Ph.D., Ph.D., Ph.D., Ph.D.			
1997-2001 (24) Li Ma, M.S., NCSU, 1997-2001 (25) Yuyu Bai, Crop Science, Ph.D., NCSU, 2000-2001 (26) Greg Springsteen, Ph.D., NCSU, 1996-2002 (28) Clint Brooks, Chemistry, Ph.D., NCSU, 1996-2001 (29) Scott Burns, Chemistry, Ph.D., NCSU, 1998-2002 (30) Qizhou Dai, Paper Sciences, Ph.D., NCSU, 1997-2002 (31) Michelle Ferro, M.S., 1999-2002 (32) Brandon Fetterolf, M.S., Chemistry, 1999-2002 (34) Ningning M.S., Ph.D., Department of Chemistry, NCSU, 1999-2002 (36) Hui Ouyang, Ph.D., Pharmaceutics, UNC-1999-2002 (37) Hai Bui, Chemistry, Ph.D., Department of Chemistry, NCSU, 1999-2003 (38) Weijuan Ni, Ph.D., 1999-2003 (39) Dimitar Gotchev, Ph.D., Department of Chemistry, NCSU, 1999-2003 (40) Anne-Cecile Hiebel, Ph.D., Department of Chemistry, NCSU, 2000-2003 (42) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003 (43) Kellee Chemistry, NCSU, 2001-2003 (44) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003 (45) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003 (46) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003 (47) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003 (48) Kelly Stevens, Ph.D., Ph.D., Ph.D., 2001-2003 (48) Kelly Stevens, Ph.D., Ph.D., 2001-2003 (49) Kelly Stevens, Ph.D., 2001-2003 (49) Kelly Stevens, Ph.D., 2001-2003 (49) Kelly Stevens, Ph.D., 2001			
(25) Yuyu Bai, Crop Science, Ph.D., NCSU, 2000-2001 (26) Greg Springsteen, Ph.D., NCSU, 1996-2002 (27) Eric Ballard, Ph.D., 1997-2002 (28) Clint Brooks, Chemistry, Ph.D., NCSU, 1996-2001 (29) Scott Burns, Chemistry, Ph.D., NCSU, 1998-2002 (30) Qizhou Dai, Paper Sciences, Ph.D., NCSU, 1997-2002 (31) Michelle Ferro, M.S., 1999-2002 (32) Brandon Fetterolf, M.S., Chemistry, 1999-2002 (33) Kellee Griffin, M.S., 1999-2003 (34) Ningning M.S., Ph.D., Department of Chemistry, NCSU, 1999-2002 (35) Lindsay Zych, M.S., Chemistry, NCSU, 1999-2001 (36) Hui Ouyang, Ph.D., Pharmaceutics, UNC-CH, 1999-2001 (37) Hai Bui, Chemistry, PhD, 1999-2003 (38) Weijuan Ni, Ph.D., 1999-2003 (39) Dimitar Gotchev, Ph.D., Department of Chemistry, NCSU, 1999-2003 (40) Anne-Cecile Hiebel, Ph.D., Department of Chemistry, NCSU, 2000-2003 (41) Huan Xie, Ph.D., Department of Chemistry, NCSU, 2001-2003 (42) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003		(22) Siska Hendrata, M.S., 1997-2001	
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Chemistry, NCSU, 1999-2002 (35) Lindsay Zych, M.S., Chemistry, NCSU, 1999-2002 (36) Hui Ouyang, Ph.D., Pharmaceutics, UNC-CH, 1999-2001 (37) Hai Bui, Chemistry, PhD, 1999-2003 (38) Weijuan Ni, Ph.D., 1999-2003 (39) Dimitar Gotchev, Ph.D., Department of Chemistry, NCSU, 1999-2003 (40) Anne-Cecile Hiebel, Ph.D., Department of Chemistry, NCSU, 2000-2003 (41) Huan Xie, Ph.D., Department of Chemistry, NCSU, 2001-2003 (42) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003	(31) Michelle Ferro, M.S., 1999-2002		
(35) Lindsay Zych, M.S., Chemistry, NCSU, 1999-2002 (37) Hai Bui, Chemistry, PhD, 1999-2003 (38) Weijuan Ni, Ph.D., 1999-2003 (39) Dimitar Gotchev, Ph.D., Department of Chemistry, NCSU, 1999-2003 (40) Anne-Cecile Hiebel, Ph.D., Department of Chemistry, NCSU, 2000-2003 (41) Huan Xie, Ph.D., Department of Chemistry, NCSU, 2001-2003 (42) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003	(33) Kellee Griffin, M.S., 1999-2003		
(39) Dimitar Gotchev, Ph.D., Department of Chemistry, NCSU, 1999-2003 (41) Huan Xie, Ph.D., Department of Chemistry, NCSU, 2001-2003 (42) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003		(36) Hui Ouyang, Ph.D., Pharmaceutics, UNC-	
(39) Dimitar Gotchev, Ph.D., Department of Chemistry, NCSU, 1999-2003 (41) Huan Xie, Ph.D., Department of Chemistry, NCSU, 2001-2003 (42) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003		(38) Weijuan Ni, Ph.D., 1999-2003	
(41) Huan Xie, Ph.D., Department of Chemistry, NCSU, 2001-2003 (42) Kelly Stevens, Ph.D., Food Science, NCSU, 2001-2003	(39) Dimitar Gotchev, Ph.D., Department of		
	(41) Huan Xie, Ph.D., Department of Chemistry,	(42) Kelly Stevens, Ph.D., Food Science, NCSU,	

Chemistry, NCSU, 2001-2003	Chemistry, NCSU, 2001-2003	
(45) James Dixon, Ph.D., Department of	(46) Xinhui Lou, Ph.D., Department of	
Chemistry, NCSU, 1999-2003	Chemistry, NCSU, 2001-2003	
(47) Haibo Li, Ph.D., Department of Chemistry,	(48) Ibrahim Bori, Ph.D., Chemistry, 2002-2003	
2001-2003	(10) 101 111111 2011, 1 1112 1, 0 11011113 11 , 2002 2000	
(49) Yang Shen, Ph.D., Chemistry, 2003	(50) Steve McCall, Chemistry, NCSU, 2003	
(51) Cexiong Fu, Chemistry, NCSU, 2003	(52) Tong Wu, Chemistry, NCSU, 2003	
(53) Angela Mulder, Ph.D., Chemistry, NCSU,	(54) Jun Yan, Ph.D., Department of Chemistry,	
2002-2003	NCSU, 2000-2004	
(55) Seongwon Hong, Ph.D., College of	(56) Darshak Patel, M.S., GSU, 2004	
Pharmacy, UNC, Chapel Hill, 2002-2004		
(57) Beth Wilson, Ph.D., GSU, 2003	(58) Janet Jones, Ph.D., GSU, Chemistry, 2001-	
	2007	
(59) Regan LeBlanc, Ph.D., 2005	(60) Prashanth Athri, Ph.D., GSU, 2005-2006	
(61) Meena Dowlut, Ph.D., University of Alberta,	(62) Gurpreet Kaur, GSU, Ph.D., Chemistry,	
2006	2002-2006	
(63) Osbourne Quaye, GSU, Ph.D., Chemistry,	(64) Ning Chen, Ph.D., GSU, 2006	
2006	(66) Vissahuan Vana Dh.D. Chamistury CCII	
(65) Yun Huang, Ph.D., GSU, 2006	(66) Xiaochuan Yang, Ph.D. Chemistry, GSU, 2005-2010	
(67) Sandra Craig, Ph.D., GSU, Chemistry, 2002-	68) Sarah Shealy, Ph.D., GSU, Chemistry, 2007	
2007	oo) Sarah Sheary, Th.D., OSO, Chemistry, 2007	
(69) Jun He, Ph.D., GSU, Chemistry, 2007	(70) Jun Seok Kim, Ph.D., GSU, Chemistry, 2007	
(71) Nga Ta, M.S., GSU, Biology, 2008	(72) Shan Jin, Ph.D. GSU, Chemistry, 2005-2009	
(73) Seno Akay, Ph.D, GSU, 2003-2010	(74) Suazette Reid, Ph.D. Chemistry, GSU, July	
	2005-2010	
(75) Nanting Ni, Ph.D. Chemistry, GSU, Jan.	(76) Yidan Liu, Chemistry, MS, GSU, 2007-2009	
2006-2010		
(77) Nanting Ni, Ph.D., 2006-2010	(78) Yunfeng (Jerry) Cheng, 2006-2012	
(79) Weixuan Chen, Ph.D., GSU, Chemistry,	(80) Hanjing Peng, Ph.D., GSU, Chemistry,	
2007-2012	2007-2012	
(81) Kevin Francis, Ph.D. 2007-2011	(82) Jiang Wu, Ph.D. GSU, Chemistry, 2008-	
(00) B. W. B. B. CGH Ch. 1 . 2000	2011	
(83) Bin Wang, Ph.D. GSU, Chemistry, 2008-	(84) Shirlene Jackson-Beckford, Chemistry,	
2011 (95) Bong Ey, Chomistry, Ph.D. GSU 2009 2010	Ph.D., GSU, 2008-2012	
(85) Rong Fu, Chemistry, Ph.D. GSU, 2008-2010	(86) Elizabeth Raux, M.S. Chemistry, GSU, 2009-2010	
(87) Sushma Reddy Gundala, M.S. Biology,	(88) Anusha Kandukuri, M.S. Biology, GSU,	
GSU, Aug. 2007-2010	August 2007	
(89) Salma Stoman, M.S. Chemistry, GSU,	(90) Gaurav Choudhary, M.S. Biology, GSU,	
August 2007-2008	2006-2009	
(91) Tran Hang, MS, Chemistry GSU, 2009-2010	(92) Sarah Laughlin, MS, 2009-November 2011	
(93) Chao Yang, Ph.D. Chemistry, GSU, 2009-	(94) Hieu Dinh, MS, 2010-11	
2013		
(95) Yan Chen, Ph.D. Chemistry, GSU, 2010-	(96) Shirish Paranjpe, M.S. Chemistry, GSU,	
present	2010-2011	
(97) Manindar Kaur, Ph.D. GSU, 2009-present	(98) Jessica Bulls, Ph.D. GSU, 2010	

(99) Ashley Otua, MS, August 2011-2012	(100) Andria Davis, MS, 2011
(101) Alex Dragnov, MS, 2009-2011	(102) Arpana Chaudhary, Ph.D. Chemistry, GSU,
-	May 2009-July 2013
(103) Bo Zhang, Ph.D. Chemistry, GSU, 2010-	(104) Garfield Beckford, Ph.D. Chemistry, GSU,
2014	2011-2014
(105) Jiafeng Geng, Ph.D., Chemistry, GSU,	(106) Alex Dragnov, Ph.D., 2011-present
2011-2014	
(107) Sarah Boroughs, Ph.D. GSU, 2009-2013	(108) Huiyuan Sun, Ph.D. Chemistry, GSU,
	2011-2014
(109) Davita McTush, Ph.D. Chemistry, 2012-	(110) Jun He, Ph.D. Chemistry, 2012-2013
2015	
(111) Xifang Liu, Ph.D., GSU, 2012-present	(112) Ke Wang, Ph.D. GSU, 2010-present
(113) Danzhu Wang, Ph.D., GSU, 2009-2014	(114) Bo Yuan, Ph.D., GSU, 2013-present
(115) Yueqin Zheng, Ph.D., June 2012-present	(116) Kai Xu, Ph.D., August 2012-May 2013
(117) Jalisa Holmes, Ph.D., August 2012-present	(118) Elizabeth Bennet, Ph.D., GSU, June 2013-
	present
(119) Esaki Shingo, Ph.D., GSU, June 2013-2015	(120) Yun He, Ph.D., GSU, 2012-2014
(121) Yang Lu, Ph.D. GSU, 2012-present	(122) Narinder Harika-Kaur, PhD. GSU, 2014-
	present
(123) Armita Das, Ph.D., GSU, 2014-present.	(124) Kristina Garner, Ph.D. GSU, 2014-present.
(125) Joey Walton, Ph.D. GSU, 2014-present.	(126) Xikai Cui, Ph.D., GSU, 2015-present
(127) Zhigang Wu, Ph.D., GSU, 2015-present	(128) Angie Calderon, Ph.D. GSU, 2015-present
(129) Han Zhou, Ph.D., GSU, 2015-present	

Professional Membership

- 1) American Chemical Society
- 2) American Association for the Advancement of Sciences
- 3) American Association of Colleges of Pharmacy (1995-1996)
- 4) American Peptide Society (1995-98)
- 5) Kappa Psi Pharmaceutical Fraternity
- 6) Psi Lambda Upsilon Honor Society in Chemistry
- 7) Rho Chi Pharmacy Honor Society
- 8) Sigma Xi
- 9) Phi Kappa Phi Honor Society

Other Experiences

Departmental/College Level Services

- 1) 1995-96, Member of Pharmacology & Toxicology New Faculty Search Committee, College of Pharmacy, University of Oklahoma Health Sciences Center.
- 2) 1995-96, Member of Medicinal Chemistry New Faculty Search Committee, College of Pharmacy, University of Oklahoma Health Sciences Center.
- 3) 1994, Member of University of Oklahoma College of Pharmacy Curriculum Committee, Electives Subcommittee.
- 4) 1995-1996, Member of University of Oklahoma College of Pharmacy Dissertation Review Committee.
- 5) 1996-2001, Member of Graduate Recruitment & Admissions Committee, Department of Chemistry, North Carolina State University.
- 6) 1996-1997, Member of Seminar Committee, Department of Chemistry, North Carolina State University.
- 7) 1996-1998, Member of Graduate Awards & Faculty Nomination Committee, Department of Chemistry, North Carolina State University.
- 8) 1996-1998, Member of X-Ray Users Committee, Department of Chemistry, North Carolina State University.
- 9) 1998-2000, Safety and Security Committee, Department of Chemistry, North Carolina State University.
- 10) 1998-2003, Teaching Resources Committee, Department of Chemistry, North Carolina State University.
- 11) 1998-2003, Undergraduate Scholarships and Awards Committee, Department of Chemistry, North Carolina State University.
- 12) 1998-2000, member of the College of Physical and Mathematical Sciences Dean's Advisory Council.
- 13) 1999-2002, Director, Honors Program, Department of Chemistry, North Carolina State University.
- 14) 2002-2003, Member, Faculty Search Committee, Department of Chemistry, North Carolina State University.
- 15) 2003-2004 (chair), 2004-2005 (Chair), 2005-2006 (Chair), Faculty Search Committee, Department of Chemistry, Georgia State University.
- 16) 2003-2011, Department Seminar Committee, Department of Chemistry, Georgia State University.
- 17) 2007-2008, New Faculty Search Committee, Department of Chemistry, Georgia State University

- 18) 2006, Member (elected) of chair evaluation committee, Department of Chemistry, Georgia State University
- 18) 2005-2012, Eminent Scholar Search Committee (Biology), College of Arts and Sciences, Georgia State University
- 19) 2007-2011, Executive Committee (Elected), Department of Chemistry, Georgia State University
- 20) 2009, Member (elected) of chair evaluation committee, Department of Chemistry, Georgia State University
- 21) 2008-2009, New Faculty Search Committee, Department of Chemistry, Georgia State University
- 22) 2009-2012, New Faculty Search Committee (Chair, 3 positions, Diagnostics), Department of Chemistry, Georgia State University
- 23) 2009-2011, New Faculty Search Committee (Bioinformatics), Department of Computer Sciences, Georgia State University
- 24) 2010, GRA Eminent Scholar Search Committee (Chair), Department of Chemistry, Georgia State University
- 25) 2010, Director, Center for Diagnostics and Therapeutics, Georgia State University
- 26) 2010, Member, Dean's Search Committee, College of Arts and Sciences, Georgia State University
- 27) 7/1/2011-12/13, Chair, Department of Chemistry, Georgia State University
- 28) 7/1/2011-present, Co-Director, Center for Biotechnology and Drug Design, Georgia State University
- 29) 7/1/2012-present, Member of 2CI Search Committee (Therapeutics)
- 30) 1/1/14-present, Associate Dean, College of Arts and Sciences, Georgia State University

University Level Services

- 1) 1995-1996, Member of University Research Council, University of Oklahoma Health Sciences Center.
- 2) 1995-1998, Member of Graduate College Graduate Faculty Appointments Committee, University of Oklahoma Health Sciences Center.
- 3) 1995, Member of University of Oklahoma Health Sciences Center Multi-Culture Award Selection Committee.
- 4) 1995-1996, Member of the University of Oklahoma Health Sciences Center Graduate Education and Research Day Committee

- 5) 1996, Member of Summer Undergraduate Research & Education Program Selection Committee, University of Oklahoma Health Sciences Center.
- 6) 1994-1996, Faculty Leadership Program, College of Pharmacy, University of Oklahoma Health Sciences Center.
- 7) 1997-1998, University-Wide Genomic Science Center Steering Committee, North Carolina State University.
- 2) 2000-2003, University Standing Committee on International Programs, North Carolina State University.
- 3) 2005-2007, University Intellectual Property Committee, Georgia State University.
- 4) 2008, University Presidential Search Committee, Georgia State University
- 5) 2008, Organizing chair, Center for Diagnostics and Therapeutics, Georgia State University
- 6) 2009-10, Member, GSU Second Century Initiative Review Committee
- 7) 2010-11, Member, GSU Second Century Initiative Review Committee
- 8) Senate (Elected), 2011-2012 (Resign 2012 to allow junior faculty a chance to be more involved)
- 9) Senate Research Committee: 2011-2012
- 10) Senate Committee on Projects and Development, 2011-2012
- 11) Committee on Indirect Cost Policies, 2011-2012
- 12) Member of Search Committee, 2012, University 2CI Initiative on Microbial Pathogenesis
- 13) Member, Provost's Life Sciences Strategic Review Committee, 2012
- 14) Chairman, Executive Board, CollabTech (the GSU incubator Setup), 2011-present
- 15) Member, Strategic Country Task Force, Office of International Initiatives, 2012-present

Professional Services

- 1) Officers and Advisory boards
 - (1) 1995, Chairman, American Chemical Society, Oklahoma Section
 - (2) 2002-2004, Member, Long-rang Planning Committee, American Chemical Society, Division of Medicinal Chemistry
 - (3) 2004-present, Advisory Committee member, Center for Cancer Research and Therapeutic Development (CCRTD), Clark Atlanta University

- (4) Member of Scientific Advisory Group, Georgia Research Alliance Statewide Biotech Initiative (Vaccines and Therapeutics), 2005-2007
- (5) Georgia Cancer Coalition Scientific Review Advisory Board, 2005-present
- (6) Member of Scientific Advisory Committee, State Key Lab in Natural and Biomimetic Drugs, Peking University, China, Since 2005
- (7) Expert Reviewer, The Thousand Talents Program, Chinese Central Government
- (8) Award Committee, American Chemical Society-Medicinal Chemistry Division (2012-)

2) Reviewer for

(1) J. Am. Chem. Soc.	(2) Proc. Nat. Acad. Sci.; USA	(3) Angew. Chem. Int. Ed.
(4)_J. Pharm. Sci.	(5) Bioorg. Med. Chem.	(6) J. Peptide Res.
(7) Bioorg. Med. Chem. Lett.	(8) Pharm. Res.	(9) J. Org. Chem.
(10) J. Med. Chem.	(11) Macromolecule	(12) J. Poly. Sci.
(13) Curr. Med. Chem.	(14) Org. Lett.	(15) Tetrahedron
(16) Tetrahedron Lett.	(17) J. Controlled Release	(18) Synthesis
(19) European J. Pharm. Sci.	(20) J. Heterocycl. Chem	(21) J. Pharm. Biomed. Anal
(22) Austr. J. Chem.	(23) J. Phys. Chem.	(24) J. Comb. Chem.
(25) QSAR and Comb. Science	(26) Int. J. Pharm. Sci.	(27) Chem. Commun.
(28) Molecules	(29) J. Fluorescence	(30) Bioorg. Chem.
(31) New J. Chem.;	(32) Sensors & Actuators	(33) J. Mater. Chem.;
(34) Anal. Chim. Acta	(35) J. Inorg. Biochem.	(36) J. Photochem. Photobiol.
(37) Biochemistry	(38) ChemBioChem	(39) Cancer Lett.;
(40) Drug Discovery Today	(41) J. Mol. Graph. Model	(42) J. Heterocyclic Chem.
(43) Synlett	(44) Chem. Biol. Drug Design	(45) Lett. Drug Design Disc.
(46) Anal. Chim. Act	(47) Biomacromolecules	(48) Bioconjug. Chem.
(49) J. Phys. Chem	(50) Carbohydrate Res	(51) Sensors
(52) J. Inclus. Phenom. Macrocyc. Chem.	(53) Appl. Spectroscopy	(54) Langmui
(55) Org. Biomol. Chem.	(56) J. Mol. Recogn.	(57) J. Mol. Graph. Model.
(58) ChemA Asian J.	(59) ChemA Eur. J.	(60) Supramolecular Chem.

(61) Mol. Pharmaceutics	(62) Analytical Chem.	(63) Mini-Rev. Org. Chem.
(64) Mini-Rev. Med. Chem.	(65) Microbiology	(66) ACS Med. Chem. Lett.
(67) Chem. Rev.	(68) ACS Comb. Sci.	(69) Amino Acids
(70) J. Agr. Food Chem.	(71) Mol. BioSystems	(72) Org. Chem. Int.
(71) Curr. Org. Chem.	(72) ACS Nano. Lett.	(73) ACS Sustainability
(74) Eur. J. Med. Chem.	(75) Microb. Pathogen.	(76) Dyes Pigment
(77) Inorg. Chem. Commun.	(78) Biochim. Open	(79) Talanta
(80) Spectrochim. Acta Part A: Mol. Biomol. Spectr.	(81) J. Chromatogr. A.	(82) Chemosphere
(83) BBA-Proteins Proteomics	(84) FEBS Lett.	(85) <i>BBRC</i>
(86) ACS Appl. Mater. & Interfaces		

3) Review Panels

- (1) Research Corporation
- (2) Petroleum Research Fund (American Chemical Society)
- (2) National Science Foundation
- (4) National Institutes of Health
 - i. Study Section on Innovative Technologies for the Molecular Analysis of Cancer, March and November 2002, March 2005, November 2005, June 2006, March 2007)
 - ii. Study Section on Novel Technologies for the Noninvasive Detection, Diagnosis and Treatment of Cancer, May 2002
 - ii. Postdoctoral Fellowship, May 2003
 - iv. Study Section on *Drug Development and Delivery* (Biophysical and Chemical Sciences), November 2003 and November 2004
 - v. Study Section on Cooperative Research for the Development of Vaccines, Adjuvants, Therapeutics, Immunotherapeutics and Diagnostics for Biodefense and SARS, February 3-5, 2004
 - vi. Study Section on Synthetic and Biological Chemistry (BCMB), July 2005
 - vii. Study Section on Cooperative Research Partnerships for Biodefense, Feb., 2006, April 2007

- viii. Study Section on *In Vivo* Cellular and Molecular Imaging Centers (ICMICS), November 14-15, 2006, March 2010, March 2011
- ix. Study Section on Cancer Biomarkers, June 10-11, 2008 (mail)
- x. Study Section on Postdoctoral fellowships (Biological Chemistry & Macromolecular Biophysics (BCMB)), June 26, 2008
- xi. Study Section on Cellular Probes, July 29, 2008; Study Section on Cancer Diagnostic and Treatment SBIR/STTR, October 2008, March 2009, October 2009
- xii. Recovery Act Challenge Grants: 2009/10 ZRG1 BCMB-P (58), 2009/10 ZRG1 BST-M (58), 2009/10 ZRG1 CB-N (58); NIAID Special Emphasis Panel (P01, ZAI1 LR-M), March 2010;
- xiii. Synthetic and Biological Chemistry B, June 2, 2010
- xiv. Study Section on "Partnerships for Biodefense" (RFA-AI-10-003), September 21, 2010; Study Section on "Partnerships for Biodefense" (RFA-AI-10-003) September 29, 2010
- xv. Synthetic and Biological Chemistry A, October 7-8, 2010;
- xvi. Synthetic and Biological Chemistry A (SBCA), Permanent member (3 times/year), Synthetic and Biological Chemistry Study Section A, 2011-2017
- (5) Research Council of Canada
- (6) The South Dakota EPSCoR
- (7) Engineering and Physical Sciences Research Council, UK, 2008, 2009
- (8) Chang-Jiang Scholar Fund, Ministry of Education, China, 2008 (4), 2009 (10)
- (9) North Carolina Biotechnology Center
- (10) Israel Science Foundation
- (11) Natural Science Foundation of China
- 4) Editorial board services
 - (1) 2001-present, Editor-in-Chief, *Medicinal Research Reviews* (Impact Factor: 10.7, the highest among 59 Medicinal Chemistry journals worldwide and #6 among about 200 journals in Pharmacy and Pharmacology)
 - (2) 2004-present, Chief Editor, Wiley Series in Drug Discovery and Development (18 volumes published)

- (3) 1999-2002 (resigned), Member of Editorial Advisory Board, Current Medicinal Chemistry
- (3) September 2002-2008 (resigned), Member, Editorial Board, Letters in Drug Design & Discovery
- (5) Since January 2005, Member of Editorial Board, Acta Pharmaceutica Sinica
- (6) Editorial Board member, Chemical Biology and Drug Design, Since June 2005
- (7) Editorial Board Member, J. Chin. Pharm. Sci. since December 2006
- (8) Editorial Board Member, Chem. J. Chin. Univ., since 2011
- 7) Meeting and symposium organization and services:
 - (1) September 2001, Chair, Symposium on Biosensor, 53rd Annual Meeting of the Southeastern Region of the American Chemical Society (SERMACS), September 23-26, 2001
 - (2) 2002, Chair, Chemical Sensors in Drug Discovery, 28th National Medicinal Chemistry Symposium, June 8-12, 2002, San Diego, CA; 2002
 - (3) Session Chair on Kinases, Phosphatases, and Integrins, Division of Medicinal Chemistry, 224th ACS National Meeting, Boston, August 18-22, 2002
 - (4) Member, Organizing Committee (The other members are Chris Lipinski, Ed Kerns, Ron Borchardt, Dhiren Thakker), Workshop on Pharmaceutical Profiling in Drug Discovery for Lead Selection, jointly sponsored by the American Association of Pharmaceutical Scientists and the American Chemical Society-Medicinal Chemistry Division, May 2003
 - (5) 2004 SERMACS undergraduate presentation judge, November 16, 2003
 - (6) Co-Organizer and Co-chair, *Progress of Chinese American Chemists in Academia*, at the 226th American Chemical Society National Meeting, New York, September 2003
 - (7) Organizer and Chair, *Recent Progress in Diabetes Research*, 227th American Chemical Society National Meeting, Anaheim, March 2004
 - (8) Organizing Committee, 2nd Georgia State University Biotechnology Symposium, 2004
 - (9) Organizing Committee, the First Sino-US Chemistry Professors Symposium (Organic and Bioorganic Chemistry), Tianjin, China, June 13-14, 2005
 - (10) Chair and Organizing Committee member, Symposium on Boronic Acid held at the 2005 Pacifichem, December 13-19, Hawaii
 - (11) Co-chair and Co-organizer (with Geert-Jan Boons of UGA), Symposium on Carbohydrate Recognition held at the 231st ACS National Meeting, March 26-30, 2006, Atlanta, GA

- (12) Organizing Committee, The Second Sino-US Chemistry Professors Conference (Organic and Bioorganic Chemistry), Shanghai China, July 8-10, 2006
- (13) Organizing Committee Member, Lanzhou International Symposium on Medicinal and Organic Chemistry, July 11-12, Lanzhou, China
- (14) Organizing Committee, The 5th International Symposium for Chinese Medicinal Chemists, November 2-7, 2006, Nanjing, China
- (15) Organizing Committee, The Third Sino-US Chemistry Professors Conference (Organic and Bioorganic Chemistry), Wuhan, China, June 1-2, 2007
- (16) Organizing Committee, The Fourth Sino-US Chemistry Professors Conference (Organic and Bioorganic Chemistry), Beijing, China, June 12-13, 2008
- (17) Organizing Committee, 2009 Georgia Cancer Coalition Cancer Symposium
- (18) Organizing Committee, 2009 Georgia State University Biotechnology Symposium (Advances in Cancer Research: Biomarkers Detection Epigenetic Gene Regulation)
- (19) Member of Advisory Board, 14th ISCB Conference (1SCBC-2010) Chemical Biology for Discovery: Perspectives, and Challenges, Jan 15-18, 2010, Lucknow India
- (20) Chair, Organizing Committee, Boronic Acid Symposium, PacifiChem 2010, Hawaii, US, December 15-20, 2010
- (21) Chair, Organizing Committee, Symposium on Carbohydrate Recognition, PacifiChem 2010, Hawaii, US, December 15-20, 2010
- (22) Member, International Advisory Committee, Sino-US Chemistry Professors Conference, 2009-present (5th in 2009, 6th in 2010, 7th in 2011, 8th in 2012, 9th in 2013, 10th in 2014)
- (23) Session Chair, IME Boron XIV Conference, Niagara Falls, Canada, September 11-15, 2011
- (24) Session Chair, 7th Glycoscience Symposium, Athens, GA, October 2, 2012.
- (25) Scientific Advisory Board, 2014 National Medicinal Chemistry Symposium, American Chemical Society, Charleston, May 2014
- (26) International Advisory Committee Member: 2014 ISCB International Conference, Delhi, India, March 1-4, 2014
- (27) Co-chair. 10th Sino-US Chemistry Professors Conference, Jinan, China, June 15-18, 2014
- (28) Member, Scientific Advisory Board, 9th International Symposium for Chinese Medicinal Chemists, Shenyang, China, August 18-20, 2014
- (29) Member, Scientific Advisory Board, Chiral China 2014, September 28-October 1, 2014, Hefei, Anhui, China

- (30) International Advisory Committee Member: 2015 ISCB International Conference, Delhi, India, February, 2015
- (31) International Advisory Committee Member: International Conference on "Current Challenges in Drug Discovery Research" (CCDDR 2015), November 23-25, 2015
- (32) Organizing Committee Member, Symposium on Organoboron Compounds, 2015 Pacifichem Conference