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DEVELOPMENTAL THERAPEUTICS—EXPERIMENTAL THERAPEUTICS

Endoxifen for breast cancer: Multiple-dose, dose-escalation study characterizing pharmacokinetics and safety in metastatic breast cancer patients.

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Abstract

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Background: Endoxifen is an active metabolite of tamoxifen, a drug used in the treatment of breast cancer. To be clinically effective, tamoxifen must be converted to endoxifen by CYP2D6. Direct administration of endoxifen would not be subject to pharmacogenetic variations or drug-drug interactions. Our preclinical studies (Breast Cancer Treat 122, 579-584, 2010) have validated the concept of using endoxifen for the treatment of breast cancer. In human (Clin. Pharmacol. Ther. 88, 814-817, 2010), the single oral doses tested up to 4 mg of endoxifen were safe, well tolerated and bioavailable.

Methods: A multiple-dose escalating study was conducted in 3 cohorts and each cohort had 6 patients (18 metastatic breast cancer patients). Endoxifen at 3 dose levels (2, 4, or 8 mg) was given once daily for 28 days. Routine laboratory tests, vital signs and electrocardiograms were measured throughout the study. Blood samples for PK analysis were collected after 28 days post dose. Endoxifen in plasma samples was determined using LC-MS/MS. **Results:** Endoxifen was found to be safe up to

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8.0 mg. At steady state, it displays dose-proportional PK with respect to C_{max} and AUC (see Table below). **Conclusions:** Multiple daily endoxifen doses of 4.0-8.0 mg resulted in endoxifen exposures that would be sufficient for effective therapy. The favorable safety and multiple-dose PK profile of endoxifen warrants further evaluation of safety and efficacy of endoxifen in breast cancer patients.

Pharmacokinetics parameters of endoxifen in metastatic breast cancer patients (n=5) after multiple-dose of 2, 4 or 8 mg of endoxifen tablets.

Parameters (units)	Mean ± SD		
	2 mg	4 mg	8 mg
T_{max} (h)*	5 (3.0-12.0)	5 (4.0-6.0)	5 (4.0-6.0)
$C_{min ss}$ (ng/mL)	15.7±6.4	44.0±11.6	80.4±26.7
$C_{max ss}$ (ng/mL)	24.5 ±7.3	75.9 ±18.5	134.1±32.1
AUC _{tau} (ng.h/mL)	445.3 ±146.2	1363.3±396.3	2322.6±619.8
PTF (%)	50.8±19.0	56.5 ±17.9	57.6 ±14.6
$C_{av ss}$ (ng/mL)	18.6 ±6.1	56.8 ±16.5	96.8±25.8

*Data presented as median (range)
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