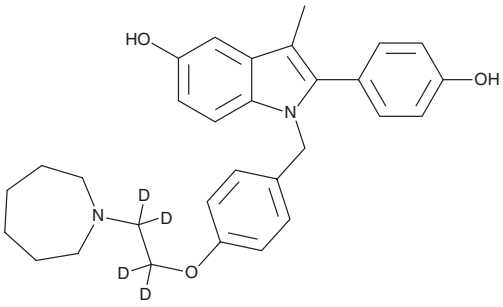
PRODUCT INFORMATION

Bazedoxifene-d_4

Item No. 22554

CAS Registry No.: 1133695-49-4
Formal Name: 1-[[4-[2-(hexahydro-1H-azepin-1-yl) ethoxy-1,1,2,2-d_4]phenyl]methyl]-2-(4-hydroxyphenyl)-3-methyl-1H-indol-5-ol
MF: $C_{30}H_{30}D_4N_2O_3$
FW: 474.6
Chemical Purity: ≥98% (Bazedoxifene)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_4); ≤1% d_0
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Bazedoxifene-d_4 is intended for use as an internal standard for the quantification of bazedoxifene (Item No. 15005) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Bazedoxifene-d_4 is supplied as a solid. A stock solution may be made by dissolving the bazedoxifene-d_4 in the solvent of choice, which should be purged with an inert gas. Bazedoxifene-d_4 is soluble in methanol and DMSO.

Description

Bazedoxifene is a third generation selective estrogen receptor modulator (SERM). It is an indole-based ER ligand that binds to both ERα (IC_{50} = 26 nM) and ERβ (IC_{50} = 99 nM).[1] Bazedoxifene antagonizes 17β-estradiol-dependent MCF-7 and T47D breast cancer cell proliferation *in vitro* as well as hormone-independent growth of MCF-7:5C cells that are resistant to long-term estrogen deprivation (80% reduction with 10 nM).[2] It has been shown to arrest cell cycling by downregulating cyclin D1 and ERα.

References

1. Komm, B.S., Kharode, Y.P., Bodine, P.V.N., *et al*. Bazedoxifene acetate: A selective estrogen receptor modulator with improved selectivity. *Endocrinology* **146(9)**, 3999-4008 (2005).
2. Lewis-Wambi, J.S., Kim, H., Curpan, R., *et al*. The selective estrogen receptor modulator bazedoxifene inhibits hormone-independent breast cancer cell growth and down-regulates estrogen receptor α and cyclin D1. *Mol. Pharmacol.* **80(4)**, 610-620 (2011).

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