Product Data Sheet

Raloxifene 4'-glucuronide-d4 lithium

Cat. No.: HY-135582S1

Molecular Formula: C₃₄H₃₀D₄LiNO₃₀S

Molecular Weight: 659.67

Target: Estrogen Receptor/ERR

Pathway: Others

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

DescriptionRaloxifene 4'-glucuronide-d4 (lithium) is deuterium labeled Raloxifene 4'-glucuronide. Raloxifene 4'-glucuronide is a primary metabolite of Raloxifene. Raloxifene 4'-glucuronide formation is mediated mostly by UGT1A10 and UGT1A8. Raloxifene 4'-

glucuronide binds to estrogen receptor with an IC50 of 370 μ M. $^{[1][2]}$. Raloxifene is a selective estrogen receptor modulator. Raloxifene activates TGF β 3 promoter as a full agonist at nanomolar concentrations, and inhibits the estrogen response

element-containing vitellogenin promoter expression^[3].

In Vitro Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as

tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to

affect the pharmacokinetic and metabolic profiles of $drugs^{[1]}$.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019;53(2):211-216.

[2]. Izgelov D, et al. The Effect of Piperine Pro-Nano Lipospheres on Direct Intestinal Phase II Metabolism: The Raloxifene Paradigm of Enhanced Oral Bioavailability. Mol Pharm. 2018 Apr 2;15(4):1548-1555.

[3]. Kemp DC, et al. Characterization of raloxifene glucuronidation in vitro: contribution of intestinal metabolism to presystemic clearance. Drug Metab Dispos. 2002 Jun;30(6):694-700.

[4]. Yang NN, et al. Estrogen and raloxifene stimulate transforming growth factor-beta 3 gene expression in rat bone: a potential mechanism for estrogen- or raloxifene-mediated bone maintenance. Endocrinology. 1996 May;137(5):2075-84.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

E-mail: tech@MedChemExpress.com