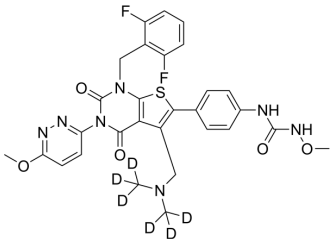
Relugolix-d_6

Cat. No.:	HY-16474S
Molecular Formula:	$C_{29}H_{21}D_6F_2N_7O_5S$
Molecular Weight:	629.67
Target:	GnRH Receptor; Isotope-Labeled Compounds
Pathway:	GPCR/G Protein; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Relugolix-d_6 is deuterium labeled Relugolix. Relugolix (TAK-385) is a potent, orally active, nonpeptidic gonadotropin-releasing hormone (GnRH) antagonist. Relugolix possesses high affinity and potent antagonistic activity for human receptor (binding IC50=0.33 nM) and monkey receptor (IC50=0.32 nM) compared with TAK-013 (HY-100209)[1]. Relugolix is used for the study of sex-hormone-dependent diseases, such as including endometriosis, uterine fibroids and prostate cancer et al[2].
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]. Daisuke Nakata, et al. Suppression of the hypothalamic-pituitary-gonadal axis by TAK-385 (relugolix), a novel, investigational, orally active, small molecule gonadotropin-releasing hormone (GnRH) antagonist: studies in human GnRH receptor knock-in mice. Eur J Pharmacol. 2014 Jan 15;723:167-74.

[3]. Kazuhiro Miwa, et al. Discovery of 1-{4-[1-(2,6-Difluorobenzyl)-5-[(dimethylamino)methyl]-3-(6-methoxypyridazin-3-yl)-2,4-dioxo-1,2,3,4-tetrahydrothieno[2,3-d]pyrimidin-6-yl]phenyl}-3-methoxyurea (TAK-385) as a Potent, Orally Active, Non-Peptide Antagonist of the Human Gonadotropin-Releasing Hormone Receptor. doi/10.1021/jm200216q

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