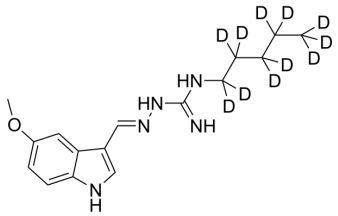
Tegaserod-d_{11}

Cat. No.:	HY-14153S
CAS No.:	1134188-56-9
Molecular Formula:	$C_{16}H_{12}D_{11}N_5O$
Molecular Weight:	312.45
Target:	5-HT Receptor; Apoptosis; Isotope-Labeled Compounds
Pathway:	GPCR/G Protein; Neuronal Signaling; Apoptosis; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Tegaserod-d_{11} is deuterated labeled Tegaserod (HY-14153). Tegaserod is an orally active serotonin receptor 4 (HTR4; 5-HT_4R) agonist and a 5-HT_{2B} receptor antagonist. Tegaserod has pK_is of 7.5, 8.4 and 7.0 for human recombinant 5-HT_{2A}, 5-HT_{2B} and 5-HT_{2C} receptors, respectively. Tegaserod causes tumor cell apoptosis, blunts PI3K/Akt/mTOR signaling and decreases S6 phosphorylation. Tegaserod has anti-tumor activity and has the potential for irritable bowel syndrome (IBS) research[1][2][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]. Tegaserod (3-5 μM; 24-72 h) causes a significant time and dose-dependent increase in apoptosis[2]. Tegaserod (3-5 μM; 8-18 h) decreases phosphorylation of the kinase directly upstream of S6, p70 S6 at Thr^{421}/Ser^{424}[2]. Tegaserod (0.1-3 μM; 24h) inhibits 5-HT-mediated contraction of the rat isolated stomach fundus potently (pA_2=8.3), consistent with 5-HT_{2B} receptor antagonist activity[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Tegaserod (5?mg/kg/day; ip; for five consecutive days) delays tumor growth, reduces metastases, increases survival and suppresses p-S6 in vivo[2]. Tegaserod (0.1-2.0 mg/kg; IP 15 min prior to gastric loading) significantly accelerates the gastric emptying rate of glucose in db/db mice, reducing the fraction of the meal remaining in the stomach at 30 min by 80% with 0.1mg/kg[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Wei Liu, et al. Repurposing the serotonin agonist Tegaserod as an anticancer agent in melanoma: molecular mechanisms and clinical implications. J Exp Clin Cancer Res. 2020 Feb 21;39(1):38.

[2]. M D Crowell, et al. The effects of tegaserod, a 5-HT receptor agonist, on gastric emptying in a murine model of diabetes mellitus. Neurogastroenterol Motil. 2005 Oct;17(5):738-43.

[3]. D T Beattie, et al. The 5-HT4 receptor agonist, tegaserod, is a potent 5-HT2B receptor antagonist in vitro and in vivo. Br J Pharmacol. 2004 Nov;143(5):549-60.

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

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA