Almorexant-¹³C,d₃

Cat. No.:	HY-10805S
Molecular Formula:	$C_{28}^{13}CH_{28}^{1}D_{3}F_{3}N_{2}O_{3}$
Molecular Weight:	516.57
Target:	Orexin Receptor (OX Receptor); Isotope-Labeled Compounds
Pathway:	GPCR/G Protein; Neuronal Signaling; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



Product Data Sheet

BIOLOGICAL ACTIVITY	
Description	Almorexant- ¹³ C,d ₃ is the ¹³ C- and deuterium labeled Almorexant. Almorexant (ACT 078573) is a potent and competitive dual orexin 1 receptor (OX1)/orexin 2 receptor (OX2) antagonist with Ki values of 1.3 and 0.17 nM, respectively.
IC ₅₀ & Target	OX ₂ Receptor
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]. Cruz HG, et al. Assessment of the abuse liability of a dual orexin receptor antagonist: a crossover study of almorexant and zolpidem in recreational drug users. CNS Drugs. 2014 Apr;28(4):361-72.

[3]. Malherbe P, et al. Biochemical and electrophysiological characterization of almorexant, a dual orexin 1 receptor (OX1)/orexin 2 receptor (OX2) antagonist: comparison with selective OX1 and OX2 antagonists. Mol Pharmacol. 2009 Sep;76(3):618-31.

[4]. Sifferlen T, et al. Novel pyrazolo-tetrahydropyridines as potent orexin receptor antagonists. Bioorg Med Chem Lett. 2010 Mar 1;20(5):1539-42.

[5]. Black SW, et al. Almorexant promotes sleep and exacerbates cataplexy in a murine model of narcolepsy. Sleep. 2013 Mar 1;36(3):325-36.

[6]. Dayot S, et al. In vitro, in vivo and ex vivo demonstration of the antitumoral role of hypocretin-1/orexin-A and almorexant in pancreatic ductal adenocarcinoma. Oncotarget. 2018 Jan 9;9(6):6952-6967.

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

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