Vilazodone-d_8 hydrochloride

Cat. No.: HY-14262S1

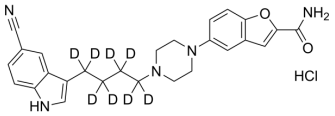
Molecular Formula: $C_{26}H_{20}D_8ClN_5O_2$

Molecular Weight: 486.04

Target: 5-HT Receptor; Serotonin Transporter; Isotope-Labeled Compounds

Pathway: GPCR/G Protein; Neuronal Signaling; Others

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



BIOLOGICAL ACTIVITY

Description

Vilazodone-d_8 hydrochloride is deuterated labeled Vilazodone (HY-14262). Vilazodone (EMD 68843; SB 659746A) is a potent, selective and orally active?serotonin?reuptake inhibitor (SSRI) and partial?5-HT_1A receptor agonist. Vilazodone exhibits antidepressant efficacy in vivo can be used for the research of major depressive disorder (MDD) and affective disorders[1][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].

Vilazodone shows an IC_{50} of 0.2 nM at the human 5-HT_1A receptor and 0.5 nM for the SERT. Vilazodone preferentially binds to the high agonist affinity state of human 5-HT_1A?receptors, and it displays high affinity (pK_i≥9.3) for human recombinant and rat, guinea pig, mouse, and marmoset native tissue 5-HT_{1A}?receptors.

Vilazodone acts as a high efficacy partial agonist at 5-HT_1A?receptors. In [^{35}S]GTPγS binding studies in Sf9 cells expressing h5-HT_1A?receptors, a single concentration of Vilazodone (100nM) increases basal binding by approximately 70% of that produced by the full 5-HT_1A?receptor agonist, 8□OH□PIPAT.

In [^{35}S]GTPγS binding studies in rat hippocampal membranes, Vilazodone acts as a potent 5□HT_{1A}?receptor partial agonist with a pEC_{50}?of 8.1 and an intrinsic activity of 0.61.

Vilazodone acts as a potent 5□HT reuptake inhibitor in rat and guinea pig cortex. In LLCPK cells expressing human SERT, whereby vilazodone inhibits [^{3}H]5□HT uptake with a pIC_{50}?of 8.8[2].

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

In Vivo

Vilazodone (intraperitoneal injection; 3 mg/kg ; single dose) produces increases in extracellular levels of 5□HT in both the frontal cortex (FC) and ventral hippocampus (vHipp) of rats in vivo?microdialysis studies. Maximum increases are observed at 3 mg/kg and reaches 527% and 558% of preinjection baseline values in the FC and vHipp, respectively[3].

Vilazodone (oral gavage ;55 mg/kg ; single dose) inhibits stress□induced vocalizations in the rat ultrasonic vocalizations test at 120 and 210 min post dose[3].

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

REFERENCES

[1]. Cruz MP. Vilazodone HCl (Viibryd): A Serotonin Partial Agonist and Reuptake Inhibitor For the Treatment of Major Depressive Disorder. P T. 2012 Jan;37(1):28-31.

[2]. Lee A. Dawson et al. Vilazodone: A 5-HT1A Receptor Agonist/Serotonin Transporter Inhibitor for the Treatment of Affective Disorders CNS Neuroscience & Therapeutics Volume 15, Issue 2, pages 107-117, June 2009

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

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