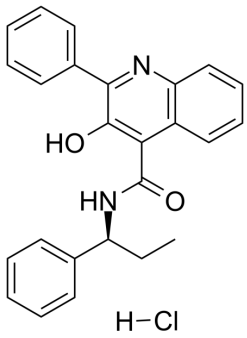
Talnetant hydrochloride

Cat. No.:	HY-14552A
CAS No.:	204519-66-4
Molecular Formula:	$C_{25}H_{23}ClN_2O_2$
Molecular Weight:	418.92
Target:	Neurokinin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Talnetant (SB 223412) hydrochloride is a selective, competitive, brain-permeable NK3 receptor antagonist with a K_i of 1.4 nM in hNK-3-CHO cells. Talnetant hydrochloride is 100-fold more selective for hNK-3 relative to the hNK-2 receptor and has no affinity for hNK-1. Talnetant hydrochloride can be used in schizophrenia-related studies[1][2][3].

In Vitro

Talnetant (SB 223412) (0.1-1 μM) hydrochloride can reduce the accumulation of NKB-induced IP in U-2OS cells expressing the human NK3 receptor[3].

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

In Vivo

Talnetant (SB 223412) hydrochloride (0.5-2 mg/kg iv, 2min pretreatment) can inhibit the miosis induced by senktide (25μg, iv) in a dose-dependent manner with an ED_{50} of 0.44mg/kg in conscious rabbits[1].

Talnetant (SB 223412) hydrochloride (i.p., 1-100 mg/kg, 1 h) can significantly attenuate senktide-induced "wet dog wagging" behavior in a dose-dependent manner, significantly increase extracellular dopamine and norepinephrine in the medial prefrontal cortex and reduce haloperidol-induced increases in dopamine levels in the vomeronasal nucleus of freely moving guinea pigs[3].

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

Animal Model:	Male Dunkin-Hartley guinea pig[3]
Dosage:	1, 3, 10, 30 or 100 mg/kg
Administration:	Intraperitoneal injection; 1 h
Result:	Showed a significant attenuation of wet dog shake (WDS) behavior from 31.1 to 16.7 at 30 mg/kg. Significantly increased extracellular DA levels to 238% and NE levels to 227.1%, without affecting 5-HT levels.

CUSTOMER VALIDATION

- Patent. US20170231979A1.

- Patent. US20170020855A1.
- Patent. US20150272927A1.

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REFERENCES

[1]. Giardina GA, et al. Discovery of a novel class of selective non-peptide antagonists for the human neurokinin-3 receptor. 2. Identification of (S)-N-(1-phenylpropyl)-3-hydroxy-2-phenylquinoline-4-carboxamide (SB 223412). J Med Chem. 1999 Mar 25;42(6):1053-65.

[2]. Houghton LA, et al. Effect of the NK(3) receptor antagonist, talnetant, on rectal sensory function and compliance in healthy humans. Neurogastroenterol Motil. 2007 Sep;19(9):732-43.

[3]. Dawson LA, et al. In vitro and in vivo characterization of the non-peptide NK3 receptor antagonist SB-223412 (talnetant): potential therapeutic utility in the treatment of schizophrenia. Neuropsychopharmacology. 2008 Jun;33(7):1642-52.

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