Talnetant

Cat. No.: HY-14552

CAS No.: 174636-32-9

Molecular Formula: $C_{25}H_{22}N_2O_2$

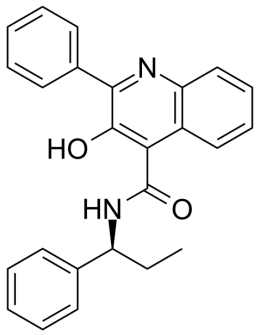
Molecular Weight: 382.45

Target: Neurokinin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (261.47 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6147 mL	13.0736 mL	26.1472 mL
	5 mM	0.5229 mL	2.6147 mL	5.2294 mL
	10 mM	0.2615 mL	1.3074 mL	2.6147 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

In Vitro

Talnetant (SB 223412) (0.1-1 μM) 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) (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) (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.

See more customer validations on www.MedChemExpress.com

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-

[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.

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