



Talnetant (hydrochloride)

Catalog No: tcsc1639

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Available Sizes

Size: 10mg

Size: 50mg



Specifications

CAS No:

204519-66-4

Formula:

 $C_{25}H_{23}CIN_2O_2$

Pathway:

Neuronal Signaling; GPCR/G Protein

Target:

Neurokinin Receptor; Neurokinin Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

SB 223412 hydrochloride;SB 223412-A

Observed Molecular Weight:

418.92

Product Description

Talnetant Hcl(SB 223412 Hcl) is a potent and selective NK3 receptor antagonist(ki=1.4 nM, hNK-3-CHO); 100-fold selective for the hNK-3 versus hNK-2 receptor, with no affinity for the hNK-1 at concentrations up to 100 uM.





IC50 Value: 1.4 nM (hNK-3-CHO binding Ki) [1]

Target: NK3 receptor

in vitro: In vitro studies demonstrated that 53 is a potent functional antagonist of the hNK-3 receptor (reversal of senktide-induced contractions in rabbit isolated iris sphincter muscles and reversal of NKB-induced Ca2+ mobilization in CHO cells stably expressing the hNK-3 receptor), while in vivo this compound showed oral and intravenous activity in NK-3 receptor-driven models (senktide-induced behavioral responses in mice and senktide-induced miosis in rabbits) [1]. Talnetant has high affinity for recombinant human NK3 receptors (pKi 8.7) and demonstrates selectivity over other neurokinin receptors (pKi NK2 = 6.6 and NK1 in vivo: Rectal barostat tests were performed on 102 healthy volunteers, randomized to receive either oral talnetant 25 or 100 mg or placebo over 14-17 days [2]. Talnetant (3-30 mg/kg i.p.) significantly attenuated senktide-induced \'wet dog shake\' behaviors in the guinea pig in a dose-dependent manner. Microdialysis studies demonstrated that acute administration of talnetant (30 mg/kg i.p.) produced significant increases in extracellular dopamine and norepinephrine in the medial prefrontal cortex and attenuated haloperidol-induced increases in nucleus accumbens dopamine levels in the freely moving guinea pigs [3].

Toxicity: Talnetant had no effect on rectal compliance, sensory thresholds or intensity ratings compared with placebo [2].

Clinical trial: Study Of Talnetant Versus Placebo And Risperidone In Schizophrenia. Phase 2

All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!