Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Talnetant

Catalog No: tcsc1638

Available Sizes

Size: 10mg

Size: 50mg

Specifications

CAS No:
174636-32-9

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

Pathway:
Neuronal Signaling;GPCR/G Protein

Target:
Neurokinin Receptor;Neurokinin Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (261.47 mM)

Alternative Names:
SB 223412

Observed Molecular Weight:
382.45

Product Description

Talnetant (SB 223412) 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.

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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