

# 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 :  $\geq 100$  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 ( $k_i=1.4$  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  $\mu$ M.

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

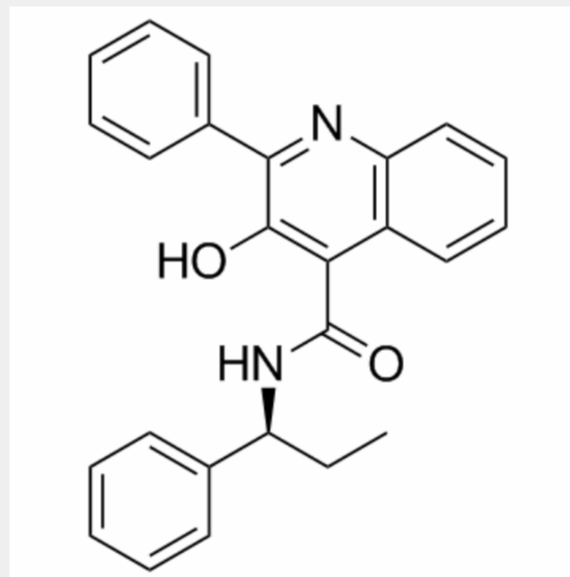
Target: NK3 receptor

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 Ca<sup>2+</sup> 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



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