

## Product Data Sheet

Product Name: Talnetant

Cat. No.: GC16026

### Chemical Properties

Cas. No. 174636-32-9

Chemical Name 3-hydroxy-2-phenyl-N-(1-phenylpropyl)quinoline-4-carboxamide

SMILES CCC(C1=CC=CC=C1)NC(=O)C2=C(C(=NC3=CC=CC=C32)C4=CC=CC=C4)O

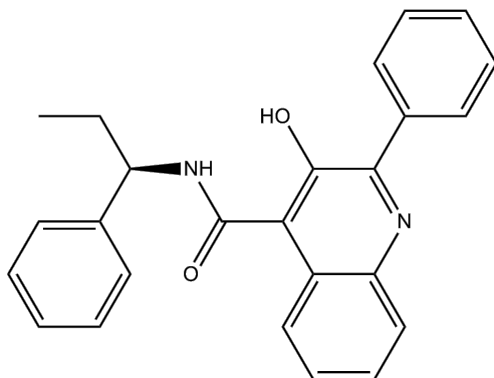
Formula  $C_{25}H_{22}N_2O_2$  M.Wt 382.45

Solubility DMSO: 25 mg/ml Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



### Background

Description: IC<sub>50</sub> Value: 1.4 nM (hNK-3-CHO binding Ki) [1] Talnetant (SB 223412) is a potent and selective NK3 receptor antagonist. Selectivity studies versus the other neurokinin receptors (hNK-2-CHO and hNK-1-CHO) revealed that Talnetant is about 100-fold selective for the hNK-3 versus hNK-2 receptor, with no affinity for the hNK-1 at concentrations up to 100 microM. 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

**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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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<4). In native tissue-binding studies, talnetant displayed high affinity for the guinea pig NK3 receptor (pKi 8.5) [3]. 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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