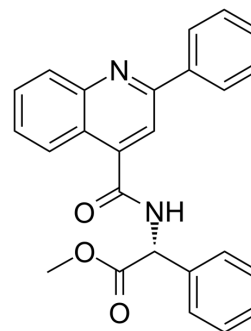


SB 218795

| | |
|---------------------------|---|
| Cat. No.: | HY-107692 |
| CAS No.: | 174635-53-1 |
| Molecular Formula: | C ₂₅ H ₂₀ N ₂ O ₃ |
| Molecular Weight: | 396.44 |
| Target: | Neurokinin Receptor |
| Pathway: | GPCR/G Protein; Neuronal Signaling |
| Storage: | Please store the product under the recommended conditions in the Certificate of Analysis. |



BIOLOGICAL ACTIVITY

| | | |
|-------------------------------------|--|-----------------------------------|
| Description | SB 218795 is a potent and selective non-peptide NK3 receptor antagonist, with a K _i 13 nM for hNK3. SB 218795 shows about 90-fold and 7000-fold selectivity for hNK3 over hNK2 and hNK1, respectively. SB 218795 can inhibit NK3 receptor-mediated pupillary constriction of the rabbit ^{[1][2]} . | |
| IC₅₀ & Target | hNK3 13 nM (K _i) | hNK2 1220 nM (K _i) |
| In Vitro | <p>SB 218795 (3-30 nM) antagonizes the contractile responses induced by the NK3 receptor agonist senktide in a surmountable and concentration-dependent manner^[2].</p> <p>SB 218795 (0.3-3 μM) does not affect the contractile responses of the NK3 receptor agonist [MePhe7]-NKB in the rabbit iris sphincter muscle^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> | |
| In Vivo | <p>SB 218795 (0.25-1 mg/kg; i.v.) inhibits Senktide-induced miosis in rabbits by the maximum inhibition of 78%^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> | |

REFERENCES

- [1]. Giardina GA, et, al. Discovery of a novel class of selective non-peptide antagonists for the human neurokinin-3 receptor. 1. Identification of the 4-quinolinecarboxamide framework. *J Med Chem.* 1997 Jun 6;40(12):1794-807.
- [2]. Medhurst AD, et, al. In vitro and in vivo characterization of NK3 receptors in the rabbit eye by use of selective non-peptide NK3 receptor antagonists. *Br J Pharmacol.* 1997 Oct;122(3):469-76.
- [3]. Valero MS, et, al. Contractile effect of tachykinins on rabbit small intestine. *Acta Pharmacol Sin.* 2011 Apr;32(4):487-94.

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

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