SB 218795

Cat. No.: HY-107692

CAS No.: 174635-53-1

Molecular Formula: $C_{25}H_{20}N_2O_3$

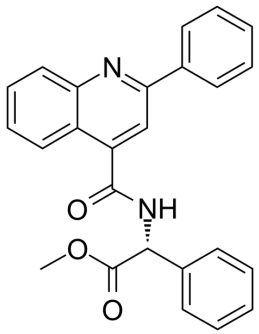
Molecular Weight: 396.44

Target: Neurokinin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (630.61 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5224 mL	12.6122 mL	25.2245 mL
5 mM	0.5045 mL	2.5224 mL	5.0449 mL
10 mM	0.2522 mL	1.2612 mL	2.5224 mL

Please refer to the solubility information to select the appropriate solvent.

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].

IC_{50} & Target

hNK3	hNK2
13 nM (Ki)	1220 nM (Ki)

In Vitro

SB 218795 (3-30 nM) antagonizes the contractile responses induced by the NK3 receptor agonist senktide in a surmountable and concentration-dependent manner[2].

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

SB 218795 (0.25-1 mg/kg; i.v.) inhibits Senktide-induced miosis in rabbits by the maximum inhibition of 78%[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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