Pentoxyverine

Cat. No.: HY-134004

CAS No.: 77-23-6

Molecular Formula: $C_{20}H_{31}NO_3$

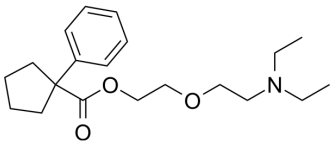
Molecular Weight: 333.47

Target: Sigma Receptor; mAChR

Pathway: Neuronal Signaling; GPCR/G Protein

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 (749.69 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9988 mL	14.9939 mL	29.9877 mL
	5 mM	0.5998 mL	2.9988 mL	5.9975 mL
	10 mM	0.2999 mL	1.4994 mL	2.9988 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.24 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.24 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.24 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pentoxyverine (Carbetapentane) is an orally active sigma-1 receptor agonist, with K_is of 41 nM, 894 nM and 75 nM for σ1, σ2 and guinea-pig brain membran σ1, respectively. Pentoxyverine is a muscarinic antagonist. Pentoxyverine is a potent antitussive, anticonvulsant, and spasmolytic agent. Pentoxyverine can be used for inhibiting bronchial interceptor, weakening of cough reflex, bronchial smooth muscle relaxation and reduction of airway resistance[1][2][3][4].

IC_{50} & Target	σ1	σ2
	41 nM (Ki)	894 nM (Ki)

In Vivo

Pentoxyverine (Carbetapentane; 1-32 mg/kg; SC) dose-dependently potentiates the sensitizing effect of capsaicin to the mechanical stimulus when the mice were stimulated in the paw injected with Capsaicin (HY-10448)[4].
Pentoxyverine (16 mg/kg; SC) shows strong potentiation of Capsaicin-induced secondary mechanical allodynia[4].
Pentoxyverine (50 mg/kg; orally;), used for positive control, increases the percentage of the aqueous ammonia-induced latent period of cough by 121.72%, inhibits cough frequency by 45.45% after administration for 7 days in mice of either sex (22-25 g)[5].

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

Animal Model:	Female wild-type weighing 25 to 30 g[4]
Dosage:	0.5, 1, 2, 4, 8, 16, 32 mg/kg
Administration:	SC; 30 min before the intraplantar (i.pl.) administration of capsaicin (0.125 μg)
Result:	Dose-dependently potentiated the sensitizing effect of capsaicin to the mechanical stimulus when the mice were stimulated in the paw injected with capsaicin.

REFERENCES

[1]. J M Entrena, et al. Sigma-1 Receptor Agonism Promotes Mechanical Allodynia After Priming the Nociceptive System with Capsaicin. Sci Rep. 2016 Nov 25:6:37835. doi: 10.1038/srep37835.

[2]. Yuebin Ge, et al. In Vivo Evaluation of the Antiasthmatic, Antitussive, and Expectorant Activities and Chemical Components of Three Elaeagnus Leaves. Evid Based Complement Alternat Med. 2015:2015:428208.

[3]. Mohamed SH, et, al. Extraction-free spectrophotometric assay of the antitussive drug pentoxyverine citrate using sulfonephthalein dyes. Spectrochim Acta A Mol Biomol Spectrosc. 2019 Nov 5;222:117186.

[4]. Calderon SN, et, al. Novel 1-phenylcycloalkanecarboxylic acid derivatives are potent and selective sigma 1 ligands. J Med Chem. 1994 Jul 22;37(15):2285-91.

[5]. Brown C, et, al. Antitussive activity of sigma-1 receptor agonists in the guinea-pig. Br J Pharmacol. 2004 Jan;141(2):233-40.

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