YM-58790

Cat. No.: HY-101679

CAS No.: 214558-72-2

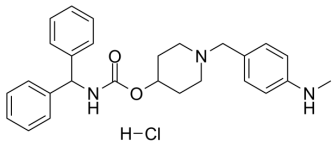
Molecular Formula: $C_{27}H_{32}ClN_3O_2$

Molecular Weight: 466.01

Target: mAChR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (214.59 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1459 mL	10.7294 mL	21.4588 mL
	5 mM	0.4292 mL	2.1459 mL	4.2918 mL
	10 mM	0.2146 mL	1.0729 mL	2.1459 mL

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

BIOLOGICAL ACTIVITY

Description

YM-58790 is a potent antagonist of mAChR. YM-58790 binds M1, M2, M3 with K_i values of 28 nM, 260 nM, and 15 nM. YM-58790 exhibits potent inhibitory activity on bladder pressuer in reflexly-evoked rhythmic contraction in rats[1].

IC_{50} & Target

mAChR3	mAChR1	mAChR2
15 nM (Ki)	28 nM (Ki)	260 nM (Ki)

In Vitro

YM-58790 (compound 18b) (0-1 μM) shows potent inhibitory effect on urinary bladder contraction, but has little effect on bradycardia. YM-58790 exhibits selective antagonism between urinary bladder contraction and salivary secretion in vitro[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

YM-58790 (3 mg/kg, i.v.) has no effect on oxotremorine-induced tremor in mice[1].
YM-58790 (6.0 mg/kg; i.v.) shows poor M1 and M2 antagonism effect in vivo on McN-A343-induced pressor in pithed rats, but displays potent efficacy on M3 antagonism with an ED_{30} value of 0.36 mg/kg (i.v.) and an ID_{50} value of 2.4 mg/kg (i.v.)[1].
YM-58790 exhibits potent inhibitory activity on bladder pressuer in reflexly-evoked rhythmic contraction, similar to Oxybutynin (HY-B0267), and has about ten times less inhibitory effect on oxotremorine-induced salivary secretion than oxybutynin in rats[1].

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

REFERENCES

[1]. Naito R, et al. Selective muscarinic antagonists. I. Synthesis and antimuscarinic properties of 4-piperidyl benzhydrylcarbamate derivatives. Chem Pharm Bull (Tokyo). 1998 Aug;46(8):1274-85.

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