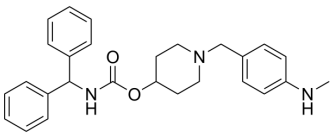
YM-58790 free base

Cat. No.:	HY-101679A
CAS No.:	168830-70-4
Molecular Formula:	$C_{27}H_{31}N_3O_2$
Molecular Weight:	429.55
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	YM-58790 free base is a potent antagonist of mAChR. YM-58790 free base binds M1, M2, M3 with K_i values of 28 nM, 260 nM, and 15 nM. YM-58790 free base exhibits potent inhibitory activity on bladder pressuer in reflexly-evoked rhythmic contraction in rats[1].		
IC_{50} & Target	mAChR3 15 nM (Ki)	mAChR1 28 nM (Ki)	mAChR2 260 nM (Ki)
In Vitro	YM-58790 free base (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 free base (3 mg/kg, i.v.) has no effect on oxotremorine-induced tremor in mice[1]. YM-58790 free base (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 free base 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.

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