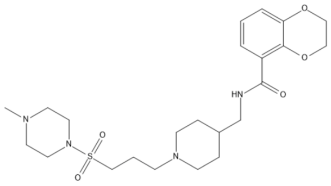
5-HT4 antagonist 1

Cat. No.:	HY-100170
CAS No.:	261766-73-8
Molecular Formula:	$C_{23}H_{36}N_4O_5S$
Molecular Weight:	480.62
Target:	5-HT 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 : 20 mg/mL (41.61 mM; ultrasonic and warming and adjust pH to 3 with HCl and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0806 mL	10.4032 mL	20.8065 mL
	5 mM	0.4161 mL	2.0806 mL	4.1613 mL
	10 mM	0.2081 mL	1.0403 mL	2.0806 mL

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

BIOLOGICAL ACTIVITY

Description	5-HT4 antagonist 1 is a 5-HT_4 receptor antagonist with a pK_i of 9.6.
IC_{50} & Target	5-HT_4 Receptor 9.6 (pKi)
In Vitro	5-HT4 antagonist 1 (compound 6b) is a 5-HT_4 receptor antagonist with a pK_i of 9.6. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	5-HT4 antagonist 1 (compound 6b) demonstrates good exposure and prolongs $t_{1/2}$ in other species, including the mouse ($t_{1/2}$ 7 h), rat ($t_{1/2}$ 12 h) and mini-pig ($t_{1/2}$ 21 h). In Phase I clinical trial, it is found that 5-HT4 antagonist 1 has good oral bioavailability with a steady state plasma $t_{1/2}$ of >100 h[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Clark RD, et al. Identification of a 5-HT4 receptor antagonist clinical candidate through side-chain modification. Bioorg Med Chem Lett. 2005 Mar 15;15(6):1697-700.

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