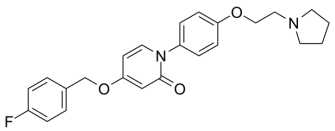
TC-MCH 7c

Cat. No.:	HY-107623
CAS No.:	864756-35-4
Molecular Formula:	$C_{24}H_{25}FN_2O_3$
Molecular Weight:	408.47
Target:	MCHR1 (GPR24)
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



BIOLOGICAL ACTIVITY

Description	TC-MCH 7c, a phenylpyridone derivative, is an orally available, selective and brain-penetrable MCH_1R antagonist with an IC_{50} of 5.6 nM for $hMCH_1R$[1]. TC-MCH 7c has K_is of 3.4 nM and 3.0 nM of human and mouse MCH_1R, respectively[2].
IC_{50} & Target	IC50: 5.6 nM ($hMCH_1R$)[1] Ki: 3.4 nM ($hMCH_1R$) and 3.0 nM (mouse MCH_1R)[1]
In Vitro	TC-MCH 7c has an IC_{50} of 9.7 μM for MCH_1R in $[Ca^{2+}]i$ mobilization[1]. TC-MCH 7c has IC_{50}s of 23 nM and 9.0 μM for FLIPR and hERG, respectively[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	TC-MCH 7c (oral; 3-30 mg/kg; once-daily for 1.5 months) exhibits excellent body weight reduction in a dose-dependent manner in DIO mice model[1]. TC-MCH 7c (oral; 3-30 mg/kg) with 30 mg/kg has plasma concentrations of 5.1, 1.8, and 0.7 μM at 2, 15, and 24 hours, respectively[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6J DIO mice[1]
Dosage:	3, 10 and 30 mg/kg
Administration:	Oral; once-daily for 1.5 months
Result:	Exhibited excellent body weight reduction in a dose-dependent manner.

Animal Model:	Diet-induced obesity mice[2]
Dosage:	3, 10 and 30 mg/kg (Pharmacokinetic Analysis)
Administration:	Oral
Result:	Plasma concentrations at 2, 15, and 24 hours were 5.1, 1.8, and 0.7 μM, respectively.

REFERENCES

[1]. Ito M, et al. Melanin-concentrating hormone 1-receptor antagonist suppresses body weight gain correlated with high receptor occupancy levels in diet-induced obesity mice. Eur J Pharmacol. 2009 Dec 10;624(1-3):77-83.

[2]. Haga Y, et al. Discovery of novel phenylpyridone derivatives as potent and selective MCH1R antagonists. Bioorg Med Chem. 2011 Jan 15;19(2):883-93.

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