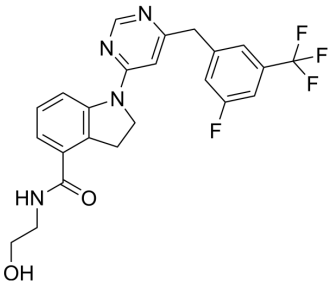
PW0787

Cat. No.:	HY-138639
CAS No.:	2624131-45-7
Molecular Formula:	$C_{23}H_{20}F_4N_4O_2$
Molecular Weight:	460.42
Target:	GPR52
Pathway:	GPCR/G Protein
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (108.60 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1719 mL	10.8596 mL	21.7193 mL
	5 mM	0.4344 mL	2.1719 mL	4.3439 mL
	10 mM	0.2172 mL	1.0860 mL	2.1719 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: ≥ 2.5 mg/mL (5.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PW0787 is a potent, selective, orally active, and brain-penetrant GPR52 agonist (EC_{50}=135 nM). PW0787 suppresses psychostimulant behavior[1].

IC_{50} & Target

EC50: 135 nM (GPR52)[1]

In Vivo

PW0787 (0.3, 1, 3, or 10 mg/kg; IP) displays antipsychotic-like activity by significantly inhibiting amphetamine-induced hyperlocomotor behavior in mice[1].

PW0787 is evaluated in rats after a single dose of 20 mg/kg by oral (PO) or 10 mg/kg by intravenous (IV) administration. PW0787 has excellent plasma exposure after PO ($AUC_{0\text{-}inf}$ = 13,749 ng•h/mL) and IV dosing ($AUC_{0\text{-}inf}$=9030 ng•h/mL), as well as high maximum serum concentration following PO (C_{max}=3407 ng/mL) and IV administration (C_{max}=6726 ng/mL). Additionally, PW0787 displays good volume of plasma distribution (V_{ss}=1.5 L/kg) and acceptable plasma clearance (CL=1.1

L/h/kg) after 10 mg/kg IV. Excellent oral bioavailability (F) with the value of 76% is observed[1].

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

Animal Model:	Naïve male C57/BL6 mice weighing between 24 and 31 g
Dosage:	0.3, 1, 3, or 10 mg/kg (dissolved in 0.9% saline containing 20% HP-β-CD with a final pH of the solution adjusted to 7.4)
Administration:	IP
Result:	Suppressed amphetamine (AMPH)-induced horizontal activity at both 3 mg/kg and 10 mg/kg doses.

REFERENCES

[1]. Wang P, et al. Discovery of Potent and Brain-Penetrant GPR52 Agonist that Suppresses Psychostimulant Behavior. J Med Chem. 2020;63(22):13951-13972.

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