2-PCCA hydrochloride

Cat. No.: HY-100013C

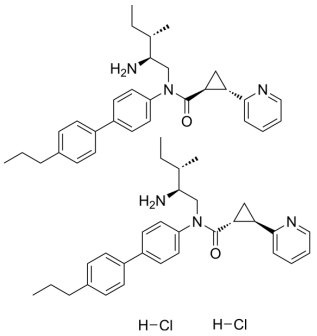
Molecular Formula: $C_{30}H_{38}Cl_3N_3O$

Molecular Weight: 492.1

Target: GPR88

Pathway: GPCR/G Protein

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 (203.21 mM; Need ultrasonic)
H_2O : 20 mg/mL (40.64 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Mass / Solvent	1 mg	5 mg	10 mg
1 mM	2.0321 mL	10.1605 mL	20.3211 mL
5 mM	0.4064 mL	2.0321 mL	4.0642 mL
10 mM	0.2032 mL	1.0161 mL	2.0321 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 (5.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.08 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

2-PCCA hydrochloride is a GPR88 receptor agonist, and inhibits GPR88-mediated cAMP production, with an EC_{50} of 116 nM in HEK293 cells.

IC_{50} & Target

EC50: 116 nM (GPR88 receptor, HEK293 cells)[1]

In Vitro

2-PCCA hydrochloride inhibits GPR88-mediated cAMP production through a Gαi-coupled pathway, with an EC_{50} of 116 nM in HEK293 cells stably expressing the human GPR88 receptor and the GloSensor-22F cAMP construct[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	2-PCCA (0.1-3.2 mg/kg, i.p.) decreases the locomotor activity in rats in a dose-dependent manner in rats. 2-PCCA combined with 1.0 mg/kg methamphetamine also dose-dependently reduces methamphetamine-induced hyperactivity. 2-PCCA (1-3.2 mg/kg, i.p.) alone does not produce methamphetamine-like discriminative stimulus effects, or alter the discriminative stimulus effects of methamphetamine, when studied in combination[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats[1] For the locomotor activity tests, rats are only used once. The test is conducted in an adjacent room from the animal colony room with similar environmental conditions (light, temperature and humidity). Before tests begin, rats are exposed to at least three days of handling by the experimenter. When only 2-PCCA (1.0 and 3.2 mg/kg) is studied, the drug is (i.p.) injected immediately before the rats are put into the test chambers and the locomotor activity is simultaneously recorded for 60 min. When 2-PCCA is studied in combination with methamphetamine, the locomotor activity is recorded for 20 min and then both drugs are simultaneously injected and the locomotor activity is recorded for 120 more min[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Li JX, et al. The GPR88 receptor agonist 2-PCCA does not alter the behavioral effects of methamphetamine in rats. Eur J Pharmacol. 2013 Jan 5;698(1-3):272-7.

[2]. Jin C, et al. Effect of Substitution on the Aniline Moiety of the GPR88 Agonist 2-PCCA: Synthesis, Structure-Activity Relationships, and Molecular Modeling Studies. ACS Chem Neurosci. 2016 Oct 19;7(10):1418-1432.

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