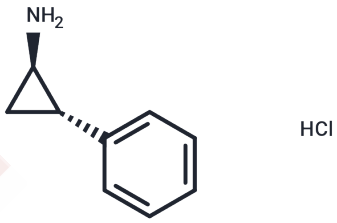
Data Sheet (Cat.No.T1025)

TargetMol

Tranylcypromine (2-PCPA) hydrochloride

Chemical Properties



CAS No. : 1986-47-6

Formula: C9H11N·HCl

Molecular Weight: 169.66

Appearance: no data available

Storage: store under nitrogen,store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Tranylcypromine (2-PCPA) hydrochloride (SKF-385 HCl), a Monoamine Oxidase inhibitor, is effective in the treatment of major depression, dysthymic disorder, and atypical depression.
Targets(IC50)	Histone Demethylase,MAO
In vitro	Compared with the control group, Tranylcypromine at dosages of 5 mg/kg and 10 mg/kg significantly and gradually increased muscle activity in male rats; however, a 2 mg/kg dosage of Tranylcypromine had no effect. Additionally, Tranylcypromine administered at 10 mg/kg markedly increased the number of copulatory behaviors in male rats.
In vivo	In bovine aortic endothelial cells, Tranylcypromine (500 μg/mL) markedly inhibits bradykinin-induced release of arachidonic acid. Additionally, Tranylcypromine suppresses the activity of CYP2A6 (IC50: 0.42 μM) and CYP2E1 (IC50: 3 μM) in human liver microsomes (HLMs), inducing type II and cyclopropylbenzene type I difference spectra in HLMs. Nicotine metabolism mediated by CYP2A6 in HLMs is completely inhibited by R-(+)-Tranylcypromine (Ki: 0.05 μM), (±)-Tranylcypromine (Ki: 0.08 μM), and S-(-)-Tranylcypromine (Ki: 2.0 μM).
Kinase Assay	PDE activity is determined with some modifications. The assay mixture contain 50 mM Tris (pH 7.4), 5 mM MgCl2, 0.5 μM cAMP or cGMP, and [3H]cAMP or [3H]cGMP (about 30,000 cpm/assay), the indicated concentration of the inhibitor and an aliquot of the enzyme solution at a final assay volume of 200 μL. Stock solutions of the compounds are diluted 1:100 (v/v) in the Tris buffer mentioned above; appropriate dilutions are prepared in 1% (v/v) DMSO/Tris buffer, which are diluted 1:2 (v/v) in the assays to obtain the desired final concentrations of the inhibitors at a DMSO concentration of 0.5% (v/v). DMSO itself affected none of the PDE activities. After preincubation for 5 min at 37°C, the reaction is started by the addition of substrate (cAMP or cGMP) and the assays are incubated for further 15 min at 37°C. Then 50 μL of 0.2 N HCl is added to stop the reaction and the assays are left on ice for about 10 min. Following incubation with 25 μg of 5′-nucleotidase (Crotalus atrox snake venom) for 10 min at 37°C, the assays are loaded on QAE Sephadex A-25 (1 mL of bed volume in Poly-Prep chromatography columns). The columns are eluted with 2 mL of 30 mM ammonium formate (pH 6.0) and the eluate is counted for radioactivity. Results are corrected for blank values (measured in the presence of denatured protein) that are below 5% of total radioactivity. The amount of cyclic nucleotides hydrolyzed did not exceed 30% of the original substrate

	concentration[3].

Solubility Information

Solubility	H2O: 17 mg/mL (100.2 mM),Sonication is recommended. DMSO: 65 mg/mL (383.12 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	5.8941 mL	29.4707 mL	58.9414 mL
5 mM	1.1788 mL	5.8941 mL	11.7883 mL
10 mM	0.5894 mL	2.9471 mL	5.8941 mL
50 mM	0.1179 mL	0.5894 mL	1.1788 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Zhang W, et al. Drug Metab Dispos, 2001, 29(6), 897-902.
Hong SL, et al. J Biol Chem, 1980, 255(20), 9538-9540.
Taavitsainen P, et al. Drug Metab Dispos, 2001, 29(3), 217-222.
Negishi T, et al. Environ Health Perspect, 2004, 112(11), 1159-1164.