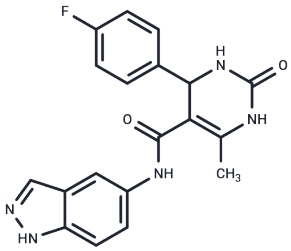
Data Sheet (Cat.No.T3513)

TargetMol

GSK180736A

Chemical Properties



CAS No. : 817194-38-0

Formula: C19H16FN5O2

Molecular Weight: 365.36

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	GSK180736A is an effective and selective GRK2 inhibitor (IC50: 0.77 μM) and >100-fold selectivity over other GRKs. It is a weak inhibitor of PKA (IC50: 30 μM), but highly effective against ROCK1 (IC50: 100 nM).
Targets(IC50)	GRK,PKA,ROCK
In vitro	GSK180736A exhibits a 770 nM IC50 value against GRK2 and 300-fold less potency against GRK5[2]. It is a weak inhibitor of PKA with an IC50 of 30 μM, but highly potent against ROCK1 (IC50 = 100 nM)[3].
Cell Research	Cardiac myocytes are isolated from LV free wall and septum of C57/Bl6 mice. Cells are treated with isoproterenol (0.5 μM) for 2 min for the recording of contraction, with pretreatment of either PBS as vehicle or paroxetine (10 μM), 215022 (0.1, 0.5, 1, 10 μM), 215023 (0.1, 0.5, 1, 10 μM), 224064 (0.1, 0.5, 1, 10 μM), and GSK180736A (0.5, 1 μM), for 10 min[1].

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 60 mg/mL (164.22 mM),Sonication is recommended. Ethanol: 3 mg/mL (8.21 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.737 mL	13.6851 mL	27.3703 mL
5 mM	0.5474 mL	2.737 mL	5.4741 mL
10 mM	0.2737 mL	1.3685 mL	2.737 mL
50 mM	0.0547 mL	0.2737 mL	0.5474 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

Homan KT, et al. ACS Chem Biol. 2015, 10(1):310-9.
Homan KT, et al. J Biol Chem. 2015, 290(34):20649-59.
Helen V. Waldschmidt, et al. J Med Chem. 2016, 59 (8):3793-3807.

Tel:781-999-4286 E_mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481