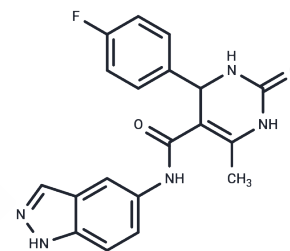


GSK180736A

Chemical Properties

CAS No. : 817194-38-0
 Formula: C₁₉H₁₆FN₅O₂
 Molecular Weight: 365.36
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
 Actual storage temperature shall be subject to the COA.



Biological Description

Description	GSK180736A is an effective and selective GRK2 inhibitor (IC ₅₀ : 0.77 μM) and >100-fold selectivity over other GRKs. It is a weak inhibitor of PKA (IC ₅₀ : 30 μM), but highly effective against ROCK1 (IC ₅₀ : 100 nM).
Targets(IC ₅₀)	GRK,PKA,ROCK
In vitro	GSK180736A exhibits a 770 nM IC ₅₀ value against GRK2 and 300-fold less potency against GRK5[2]. It is a weak inhibitor of PKA with an IC ₅₀ of 30 μM, but highly potent against ROCK1 (IC ₅₀ = 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	H ₂ O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 100 mg/mL (273.7 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)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.47 mM),Sonication is recommended. Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.

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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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