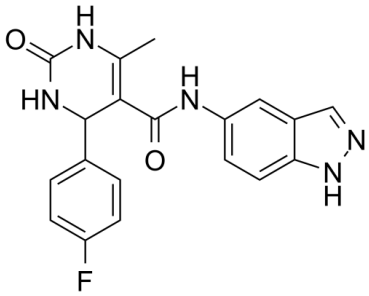
Data Sheet

Product Name:	GSK180736A
Cat. No.:	CS-6388
CAS No.:	817194-38-0
Molecular Formula:	C19H16FN5O2
Molecular Weight:	365.36
Target:	ROCK
Pathway:	Cell Cycle/DNA Damage; Stem Cell/Wnt; TGF-beta/Smad
Solubility:	DMSO : ≥ 30 mg/mL (82.11 mM)



BIOLOGICAL ACTIVITY:

GSK180736A is a G protein-coupled receptor kinase 2 (**GRK2**) inhibitor with an **IC_{50}** of 0.77 μM. IC50 & Target: IC50: 0.77 μM (GRK2), 100 nM (ROCK1)[1] **In Vitro:** GSK180736A is a compound structurally similar to paroxetine that is developed as a ROCK inhibitor, is shown to be an even more potent and selective inhibitor of GRK2 with an IC_{50} of 0.77 μM and more than 100-fold selectivity over other GRKs. ROCK1 is a potential therapeutic target in the treatment of cardiovascular diseases such as hypertension. GSK180736A is a weak inhibitor of PKA with an IC_{50} of 30 μM, but highly potent against ROCK1 (IC_{50}=100 nM)[1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [1]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].

References:

[1]. Waldschmidt HV, et al. Structure-Based Design, Synthesis, and Biological Evaluation of Highly Selective and Potent G Protein-Coupled Receptor Kinase 2 Inhibitors. J Med Chem. 2016 Apr 28;59(8):3793-807.

CAIndexNames:

5-Pyrimidinecarboxamide, 4-(4-fluorophenyl)-1,2,3,4-tetrahydro-N-1H-indazol-5-yl-6-methyl-2-oxo-

SMILES:

CC(N1)=C(C(NC2=CC=C(NN=C3)C3=C2)=O)C(C4=CC=C(F)C=C4)NC1=O

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