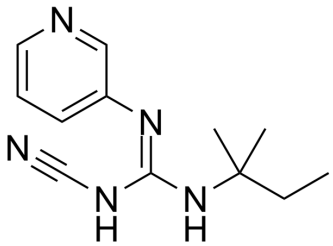
P-1075

Cat. No.:	HY-108573
CAS No.:	60559-98-0
Molecular Formula:	$C_{12}H_{17}N_5$
Molecular Weight:	231
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (1082.25 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.3290 mL	21.6450 mL	43.2900 mL
5 mM	0.8658 mL	4.3290 mL	8.6580 mL
10 mM	0.4329 mL	2.1645 mL	4.3290 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: ≥ 2.08 mg/mL (9.00 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (9.00 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (9.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

P-1075 is a potent activator of sulfonylurea receptor 2-associated ATP-sensitive potassium channels (SUR2-K_{IR}6), with an EC_{50} value of 45 nM for SUR2B-K_{IR}6 channel activation[1]. P-1075 also P1075 opens mitochondrial K(ATP) channels and generates reactive oxygen species resulting in cardioprotection of rabbit hearts[2].

IC_{50} & Target

EC50: 45 nM (SUR2-K_{IR}6)[1].

In Vitro

P1075 (3 nM) induces monophasic inhibition curves by competition-binding experiments, in the presence of MgATP[1].

P1075 (100 μM; 10 min) leads to rabbit cardiomyocytes to produce ROS in a K_{ATP}-dependent fashion[2].
P1075 (150 nM) reduces infarct size in isolated rabbit hearts compared to control animals (10.6% of the area at risk vs. 31.5%, $P < 0.05$)[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	COS-7 cells
Concentration:	3-15 nM
Incubation Time:	
Result:	Showed IC_{50} value of 15 nM and Hill coefficient of 1.0.

Cell Viability Assay[2]

Cell Line:	Adult rabbit cardiomyocytes
Concentration:	100 μM
Incubation Time:	10 min
Result:	Led to a 44% increase in ROS generation (P<0.001 vs. untreated cells).

In Vivo

P1075 (intravenous injection; 1μg/kg; once) treatment shows the reduction of infarct size in ischemia model[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats subjected to 30 minutes of ischemia and 2 hours of reperfusion [3]
Dosage:	1μg/kg
Administration:	Intravenous injection; 1μg/kg; once
Result:	Reduced infarct size (41.8%) compared to the vehicle.

REFERENCES

[1]. Gross ER, et al. GSK3beta inhibition and K(ATP) channel opening mediate acute opioid-induced cardioprotection at reperfusion. Basic Res Cardiol. 2007 Jul;102(4):341-9.

[2]. Schwanstecher M, et al. Potassium channel openers require ATP to bind to and act through sulfonylurea receptors. EMBO J. 1998 Oct 1;17(19):5529-35.

[3]. Oldenburg O, et al. P1075 opens mitochondrial K(ATP) channels and generates reactive oxygen species resulting in cardioprotection of rabbit hearts. J Mol Cell Cardiol. 2003 Sep;35(9):1035-42.

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