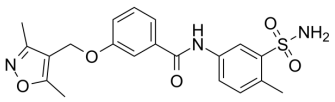
Z62954982

Cat. No.:	HY-115376
CAS No.:	1090893-12-1
Molecular Formula:	$C_{20}H_{21}N_3O_5S$
Molecular Weight:	415.46
Target:	Ras
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 14.29 mg/mL (34.40 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4070 mL	12.0349 mL	24.0697 mL
	5 mM	0.4814 mL	2.4070 mL	4.8139 mL
	10 mM	0.2407 mL	1.2035 mL	2.4070 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: 1.43 mg/mL (3.44 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.43 mg/mL (3.44 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 1.43 mg/mL (3.44 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Z62954982 (ZINC08010136) is a potent, selective and cell-permeable Rac1 (IC_{50}=12 μM) inhibitor that is 4 times more effective than NSC23766 (HY-15723A) (IC_{50}=50 μM). Z62954982 disrupts the Rac1/Tiam1 complex and decreases cytoplasmic levels of active Rac1 (GTP-bound Rac1), without affecting the activity of other Rho GTPases (such as Cdc42 or RhoA)[1][2].

In Vitro

Z62954982 (5-100 μM; 4 hours) reduces the intracellular levels of Rac1- GTP in a concentration-dependent manner,and shows the most potent inhibitory action with an IC_{50} of 12.2 μM in Human SMCs[1].
Z62954982 (25 μM; 4 hours) significantly reduces the ratio Rac1-GTP/Rac1 and has the most potent inhibitory action (86.0%) in cultured SMCs[1].

Z62954982 (10-100 μM; 72 hours) causes a concentration-dependent decrease in transendothelial electrical resistance (TER) in both HDMEC and HUVEC monolayers[2].

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

In Vivo

Z62954982 (intraperitoneal injection; 10 mg/kg every other day or 20 mg/kg daily; 3 weeks) has no obvious signs of toxicity and decreases both phosphorylation of p38 as well as secreted IL-6 in PASMCs in response to hypoxia in both $abr^{-/-}$ and $bcr^{-/-}$ mice[3].

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

Animal Model:	Male $bcr^{-/-}$, $abr^{-/-}$ and wt mice (8 to 10-week-old littermates) are exposed to hypoxia (10% O2) or normoxia (21% O2) for 3 weeks[3]
Dosage:	10 mg/kg or 20 mg/kg
Administration:	Intraperitoneal injection; 10 mg/kg every other day or 20 mg/kg daily; 3 weeks
Result:	Promoted phosphorylation of p38 MAPK and increased IL-6 in Hypoxia in mice.

REFERENCES

[1]. Nicola Ferri,et al. Virtual Screening Approach for the Identification of New Rac1 Inhibitors. J Med Chem. 2009 Jul 23;52(14):4087-90.

[2]. Min Yu, et al. Lack of BCR and Abr Promotes Hypoxia-Induced Pulmonary Hypertension in Mice. PLoS One

[3]. Xun E Zhang, et al. Activation of RhoA, but Not Rac1, Mediates Early Stages of S1P-Induced Endothelial Barrier Enhancement. PLoS One. 2016 May 17;11(5):e0155490.

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