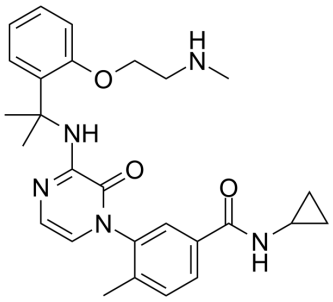
p38α inhibitor 2

Cat. No.:	HY-131335
CAS No.:	1095003-80-7
Molecular Formula:	$C_{27}H_{33}N_5O_3$
Molecular Weight:	475.58
Target:	p38 MAPK
Pathway:	MAPK/ERK Pathway
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 (525.67 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1027 mL	10.5135 mL	21.0270 mL
	5 mM	0.4205 mL	2.1027 mL	4.2054 mL
	10 mM	0.2103 mL	1.0513 mL	2.1027 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: ≥ 6.25 mg/mL (13.14 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 6.25 mg/mL (13.14 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6.25 mg/mL (13.14 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

p38α inhibitor 2 is a highly potent and selective p38α MAPK inhibitor, with a pIC_{50} of 9.6. p38α inhibitor 2 inhibits the hERG ion channel (IC_{50}=27 μM) and shows a promising selectivity profile when tested in a panel of 51 other protein kinases (<30% inhibition at 10 μM concentration) and a panel of 141 other biological targets[1].

IC_{50} & Target

p38α MAPK
9.6 (pIC_{50})

REFERENCES

[1]. Raubo P, et al. The discovery and evaluation of 3-amino-2(1H)-pyrazinones as a novel series of selective p38α MAP kinase inhibitors [published online ahead of print, 2020 Jul 15]. Bioorg Med Chem Lett. 2020;30(18):127412.

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