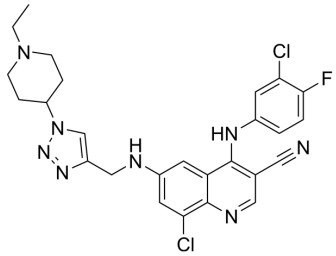
Cot inhibitor-2

Cat. No.:	HY-32018
CAS No.:	915363-56-3
Molecular Formula:	$C_{26}H_{25}Cl_2FN_8$
Molecular Weight:	539.43
Target:	MAP3K
Pathway:	MAPK/ERK Pathway
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 40 mg/mL (74.15 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8538 mL	9.2690 mL	18.5381 mL
5 mM	0.3708 mL	1.8538 mL	3.7076 mL
10 mM	0.1854 mL	0.9269 mL	1.8538 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: ≥ 3 mg/mL (5.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (5.56 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2 mg/mL (3.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cot inhibitor-2 is a potent, selective and orally active cot (Tpl2/MAP3K8) inhibitor with an IC_{50} of 1.6 nM. Cot inhibitor-2 inhibts TNF-α production in LPS-stimulated human whole blood with an IC_{50} of 0.3 μM[1].

IC_{50} & Target

COT/Tpl2[1]

In Vivo

Cot inhibitor-2 (compound 34) is orally administered in rats with 100 mg/kg dosing and showed a C_{max} of 517 ng/mL (0.89 μM) and AUC of 4841 ng h/mL. Cot inhibitor-2 is tested in the LPS-induced TNF-α production model in female Sprague-Dawley

rats. With a 25 mg/kg po dose, Cot inhibitor-2 inhibits LPS-induced TNF-α production by 83%[1].

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

CUSTOMER VALIDATION

- Patent. US20220313700A1.
- Harvard Medical School LINCS LIBRARY

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REFERENCES

[1]. Junjun Wu, et al. Selective inhibitors of tumor progression loci-2 (Tpl2) kinase with potent inhibition of TNF-alpha production in human whole blood. Bioorg Med Chem Lett. 2009 Jul 1;19(13):3485-8.

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