CSF1R-IN-1

Cat. No.: HY-101774

CAS No.: 2095849-04-8

Molecular Formula: $C_{25}H_{20}F_3N_5O_2$

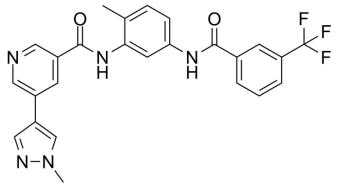
Molecular Weight: 479.45

Target: c-Fms

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (173.80 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0857 mL	10.4286 mL	20.8572 mL
	5 mM	0.4171 mL	2.0857 mL	4.1714 mL
	10 mM	0.2086 mL	1.0429 mL	2.0857 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 (4.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CSF1R-IN-1 is a CSF1R inhibitor with an with an IC_{50} of 0.5 nM.

IC_{50} & Target

IC50: 0.5 nM (CSF1R)[1]

In Vitro

CSF1R is thought to play an important role in recruitment and differentiation of tumor-associated macrophages (TAMs). CSF1R-IN-1 (compound 22) shows good intestinal permeability in a Caco2 assay[1].

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

In Vivo

CSF1R-IN-1 has favorable pharmacokinetics when dosed orally to mice. It appears suitable for in vivo pharmacology testing in the appropriate preclinical tumor model to demonstrate proof of concept.[1].

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

Animal Model:	Male CD-1 mice, 25-35 grams (8-11 weeks old)[1]
Dosage:	2 mg/kg IV or 10 mg/kg orally (Per Os)
Administration:	i.v. or oral
Result:	I.V.: C_{max}=3.55, $T_{1/2}$=0.87P.O.: C_{max}=4.6, $T_{1/2}$=1.8, Bioavailability=64%

REFERENCES

[1]. Ramachandran SA, et al. Design, synthesis and optimization of bis-amide derivatives as CSF1R inhibitors. Bioorg Med Chem Lett. 2017 May 15;27(10):2153-2160.

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