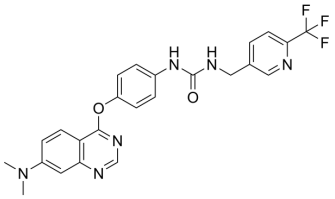
BPR1R024

Cat. No.:	HY-132935
CAS No.:	2503015-75-4
Molecular Formula:	$C_{24}H_{21}F_3N_6O_2$
Molecular Weight:	482.46
Target:	c-Fms
Pathway:	Protein Tyrosine Kinase/RTK
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 : 10 mg/mL (20.73 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0727 mL	10.3636 mL	20.7271 mL
	5 mM	0.4145 mL	2.0727 mL	4.1454 mL
	10 mM	0.2073 mL	1.0364 mL	2.0727 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

BPR1R024 is an orally active and selective colony-stimulating factor-1 receptor (CSF1R) inhibitor. BPR1R024 has potent CSF1R inhibition activity with an IC_{50} value of 0.53 nM. BPR1R024 can be used for the research of immuno-oncology[1].

IC_{50} & Target

IC50: 0.53 nM (CSF1R); 10 μM (AURA); 1.40 μM (AURB)[1].

In Vitro

BPR1R024 (compound 12) has potent CSF1R inhibition activity with an IC_{50} value of 0.53 nM[1].
BPR1R024 exhibits weake AURA and AURB inhibitory activity in enzyme activity assay with IC_{50} values of ⊠10 μM and 1.40 μM, respeactively[1].
BPR1R024 (0-500 nM) significantly suppressed the CSF1R signal in a dose-dependent manner[1].
BPR1R024 (10 nM, 100 nM) inhibits CSF1/CSF1R signaling-mediated TNF-α production[1].
BPR1R024 (0-10 μM) specifically inhibits protumor M2-like macrophage survival with a minimal effect on antitumor M1-like macrophage growth[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	RAW264.7 and THP-1 cells
Concentration:	0-500 nM
Incubation Time:	16 h
Result:	Significantly suppressed the CSF1R signal in a dose-dependent manner, at concentrations of approximately 50-75 and 1-10 nM in RAW264.7 and THP-1 cells, respectively.

In Vivo

BPR1R024 (compound 12) (oral; 100 mg/kg; twice a day) exhibits antitumor and immunomodulatory activity in a murine colon tumor model[1].

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

Animal Model:	Rats[1]
Dosage:	5, 20, 25 mg/kg
Administration:	IV, PO
Result:	Exhibited high systemic drug exposure with the dose-normalized area under curve (DNAUC) values of 3635 ng/mL*h by the IV route and 362 ng/mL*h by the PO route and the modification increased oral bioavailability (F=35%).

Animal Model:	C57BL/6 mice (six-week-old, male)[1]
Dosage:	100 mg/kg
Administration:	Oral, twice a day
Result:	Delayed the MC38 murine colon tumor growth and reversed the immunosuppressive tumor microenvironment with the increased M1/M2 ratio.

REFERENCES

[1]. Lee KH, et al. Discovery of BPR1R024, an Orally Active and Selective CSF1R Inhibitor that Exhibits Antitumor and Immunomodulatory Activity in a Murine Colon Tumor Model. J Med Chem. 2021 Oct 14;64(19):14477-14497.

Caution: Product has not been fully validated for medical applications. For research use only.

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