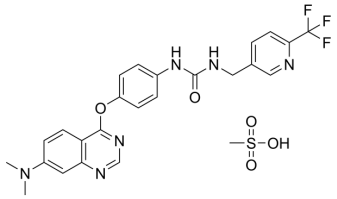
BPR1R024 mesylate

Cat. No.:	HY-132935A
CAS No.:	2763365-40-6
Molecular Formula:	$C_{25}H_{25}F_3N_6O_5S$
Molecular Weight:	578.56
Target:	c-Fms
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	BPR1R024 mesylate is an orally active and selective colony-stimulating factor-1 receptor (CSF1R) inhibitor.BPR1R024 mesylate is the equivalent of BPR1R024 (HY-132935). 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]. Kun-Hung Lee, 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.

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