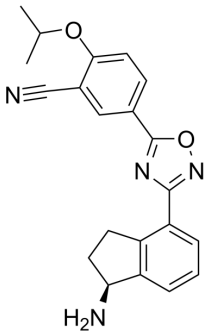
RP101075

Cat. No.:	HY-136576
CAS No.:	1306760-73-5
Molecular Formula:	$C_{21}H_{20}N_4O_2$
Molecular Weight:	360.41
Target:	LPL Receptor; Drug Metabolite
Pathway:	GPCR/G Protein; Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	RP101075, an active metabolite of Ozanimod, is a potent, orally active S1PR (sphingosine-1-phosphate receptor 1) agonist, with an EC_{50} of 0.27 nM. RP101075 displays >100-fold selectivity over S1PR5 (EC_{50}=5.9 nM) and >10000-fold over S1PR 2, 3, and 4. RP101075 displays superior cardiovascular safety profile[1].

IC_{50} & Target	S1PR1	S1PR5
	0.27 nM (EC50)	5.9 nM (EC50)

In Vivo

RP101075 (0.3-0.6 mg/kg; p.o.) significantly attenuated neurological deficits and reduced brain edema in intracerebral hemorrhage (ICH) mice. RP101075 reduced the counts of brain-infiltrating lymphocytes, neutrophils, and microglia, as well as cytokine expression after ICH. Enhanced blood-brain barrier integrity and alleviated neuronal death were also seen in ICH mice after RP101075 treatment[1].

RP101075 (0.3-3 mg/kg; p.o.; daily from week 23 until week 42) inhibits lymphocytes and pDC in the spleens of mice[2].

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

Animal Model:	NZBWF1 female mice[2]
Dosage:	0.3, 1, 3 mg/kg
Administration:	P.o.; daily from week 23 until week 42
Result:	Dose-dependent reduction in lymphocytes in the spleen following 20 weeks of treatment; a reduction in plasmacytoid dendritic cells (pDC).

REFERENCES

[1]. Sun N, et al. Selective Sphingosine-1-Phosphate Receptor 1 Modulation Attenuates Experimental Intracerebral Hemorrhage. Stroke. 2016;47(7):1899-1906.

[2]. Taylor Meadows KR, et al. Ozanimod (RPC1063), a selective S1PR1 and S1PR5 modulator, reduces chronic inflammation and alleviates kidney pathology in murine systemic lupus erythematosus. PLoS One. 2018;13(4):e0193236. Published 2018 Apr 2.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA