Product Datasheet

Ozanimod

Catalog No. **BP-01862** · CAS **1306760-87-1** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-07**

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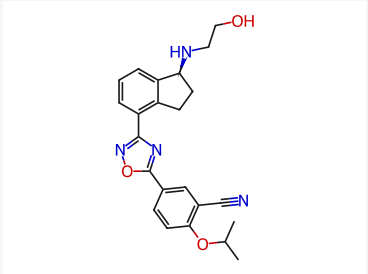
Target	Research area
LPL Receptor	**Neurological Disease; Inflammation/Immunology**

Molecular formula	$C_{23}H_{24}N_4O_3$
Molecular weight	**404.4617**

STRUCTURE



DESCRIPTION

Ozanimod (RPC-1063), a sphingosine 1-phosphate (S1P) receptor modulator that binds with high affinity selectively to S1P receptor subtypes 1 (S1P1) and 5 (S1P5). Ozanimod has modulate effect for hS1P1 and hS1P5 receptor with EC50s of 1.03 nM and 8.6 nM, respectively. Ozanimod can be used for the research of relapsing multiple sclerosis (MS).

BIOLOGICAL ACTIVITY

In Vitro

Ozanimod (RPC-1063) has potency and intrinsic activity of S1P receptor modulators for S1P5 across species with [35S]-GTPgS binding, and the EC50 values of 1.03 nM, 1.29 nM, 0.90 nM, 1.02 nM and 0.61 nM for Human S1P1, Cynomolgus monkey S1P1, Mouse S1P1, Rat S1P1 and Canine S1P1, respectively; and the EC50 values of 8.6 nM, 15.9 nM, 957.5 nM, 2032.7 nM and 1662.0 nM for Human S1P5, Cynomolgus monkey S1P5, Mouse S1P5, Rat S1P5 and Canine S1P5, respectively.

Ozanimod restores the potency with EC50 from 958 nM for mS1P5 to 6.7 nM for mS1P5_A120T to closely mirror the EC50 for hS1P5 of 8.6 nM by mutating the alanine in the mouse sequence.

Ozanimod has binding affinity with Ki values of 2.0 nM, 59.9 nM and 5.6 nM for hS1P5, mS1P5 and mS1P5 _A120T, respectively.

Ozanimod has saturation binding of [3H]-ozanimod to hS1P5, and mS1P5_A120T with KD values of 6.56 nM, 7.35 nM, respectively and also has saturation binding for [3H]-A971432 to S1P5D value of 8.75 nM.

In Vivo

Ozanimod (RPC-1063) (oral gavage; 0.05, 0.2, or 1 mg/kg; once daily; for 14 consecutive days) exposures sufficient to engage S1P1, but not S1P5, results in reduced circulating lymphocytes, disease scores, and body weight loss; reduces inflammation, demyelination, and apoptotic cell counts in the spinal cord; and reduces circulating levels of the neuronal degeneration marker, neurofilament light.

Ozanimod (oral gavage; 5 mg/kg; once-daily) prevents axonal degradation and myelin loss during toxin challenge but does not facilitate enhanced remyelination after intoxication.
Ozanimod (oral, 1 or 5 mg/kg, for 7 days) has good pharmacokinetics in mice.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Julie V Selkirk, et al. Deconstructing the Pharmacological Contribution of Sphingosine-1 Phosphate Receptors to Mouse Models of Multiple Sclerosis Using the Species Selectivity of Ozanimod, a Dual Modulator of Human Sphingosine 1-Phosphate Receptor Subtyp

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