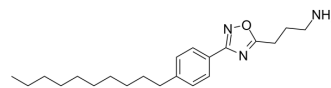


SLF1081851

Cat. No.:	HY-149004
CAS No.:	2763730-97-6
Molecular Formula:	C ₂₁ H ₃₃ N ₃ O
Molecular Weight:	343.51
Target:	LPL Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	SLF1081851 is a Spns2 inhibitor, inhibits S1P release (IC ₅₀ =1.93 μM). SLF1081851 plays a key role in development and immune system ^{[1][2]} .																	
IC₅₀ & Target	Spns2 (spinster homologue 2) ^[1]																	
In Vitro	<p>Sphingosine 1-phosphate (S1P) is a pleiotropic signaling molecule, and Spns2 exerts the functions to maintain lymph S1P^[1]. SLF1081851 (compound 16d) (0-5 μM; 18-20 h) inhibits S1P release with an IC₅₀ value of 1.93 μM in Hela cells^[1]. SLF1081851 (0-30 μM; 20 min) inhibits mSphK1 (recombinant mouse SphK) (10 μM) and mSphK2 (5 μM) in a dose-dependent manner and suggests at least 15-fold selectivity (SphK1 IC₅₀≥30 μM; SphK2 IC₅₀≈30 μM)^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																	
In Vivo	<p>SLF1081851 (20 mg/kg; i.p., 4 h postdose) significantly inhibits circulating lymphocytes and plasma S1P, and recapitulates the genetic phenotype of Spns2 null mice^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 30%;">Animal Model:</td> <td>C57BL/6 mice^[1]</td> </tr> <tr> <td>Dosage:</td> <td>20 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Intraperitoneal injection; blood was drawn 4 h postdose</td> </tr> <tr> <td>Result:</td> <td>Significantly decreased circulating lymphocyte count and plasma S1P concentration.</td> </tr> <tr> <td>Animal Model:</td> <td>SpragueDawley mice (4-week-old)^[1]</td> </tr> <tr> <td>Dosage:</td> <td>10 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Intraperitoneal injection; single dose; measured at 0, 0.5, 1, 2, 4, 6, and 24 h postdose</td> </tr> <tr> <td>Result:</td> <td>Reached a maximum concentration of 5 μM in blood at 2 h with drug levels sustained at ≥ 2 μM for at least 24 h, proved a half-life of over 8 h in rats. The appearance of SPNS2-IN-1 in circulation correlated with a maximal decrease in lymphocyte count at 4 h (25% lower compared to time =0).</td> </tr> </table>		Animal Model:	C57BL/6 mice ^[1]	Dosage:	20 mg/kg	Administration:	Intraperitoneal injection; blood was drawn 4 h postdose	Result:	Significantly decreased circulating lymphocyte count and plasma S1P concentration.	Animal Model:	SpragueDawley mice (4-week-old) ^[1]	Dosage:	10 mg/kg	Administration:	Intraperitoneal injection; single dose; measured at 0, 0.5, 1, 2, 4, 6, and 24 h postdose	Result:	Reached a maximum concentration of 5 μM in blood at 2 h with drug levels sustained at ≥ 2 μM for at least 24 h, proved a half-life of over 8 h in rats. The appearance of SPNS2-IN-1 in circulation correlated with a maximal decrease in lymphocyte count at 4 h (25% lower compared to time =0).
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REFERENCES

[1]. Russell Fritzemeier, et al. Discovery of In Vivo Active Sphingosine-1-phosphate Transporter (Spsn2) Inhibitors. J Med Chem. 2022 Jun 9;65(11):7656-7681

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

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