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Produktinformation

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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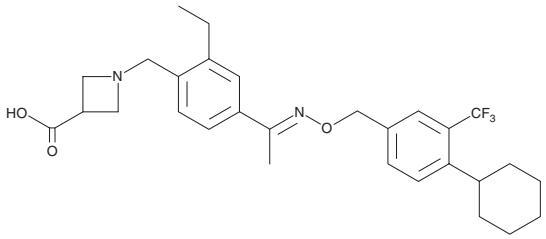
PRODUCT INFORMATION

Siponimod

Item No. 22057

CAS Registry No.:	1230487-00-9
Formal Name:	1-[[4-[(1 E)-1-[[[4-cyclohexyl-3-(trifluoromethyl)phenyl]methoxy]imino]ethyl]-2-ethylphenyl]methyl]-3-azetidinecarboxylic acid
Synonym:	BAF312
MF:	$C_{29}H_{35}F_3N_2O_3$
FW:	516.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 260 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Siponimod is supplied as a crystalline solid. A stock solution may be made by dissolving the siponimod in the solvent of choice, which should be purged with an inert gas. Siponimod is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of siponimod in these solvents is approximately 3, 20, and 16 mg/ml, respectively.

Siponimod is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, siponimod should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Siponimod has a solubility of approximately 0.04 mg/ml in a 1:20 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Siponimod is an agonist of sphingosine-1-phosphate receptor 1 ($S1P_1$) and $S1P_5$.[1,2] It is selective for $S1P_1$ and $S1P_5$ over $S1P_2$, $S1P_3$, and $S1P_4$ (EC_{50}s = 0.39, 0.98, >10,000, >1,000, and 750 nM, respectively, in GTP-γS binding assays).[1] Siponimod (10 nM) reduces demyelination induced by lysophosphatidylcholine or psychosine (Item Nos. 31594 | 20338) in mouse organotypic cerebellar slice cultures.[3] It decreases plasma levels of urea and creatinine in a rat model of renal ischemia-reperfusion injury when administered at doses of 10 and 30 mg/kg.[4] Siponimod (0.3 and 3 mg/kg) reduces disease severity in a rat model of experimental autoimmune encephalomyelitis (EAE).[1] Formulations containing siponimod have been used in the treatment of multiple sclerosis.

References

1. Gergely, P., Nuesslein-Hildesheim, B., Guerini, D., *et al.* The selective sphingosine 1-phosphate receptor modulator BAF312 redirects lymphocyte distribution and has species-specific effects on heart rate. *Br. J. Pharmacol.* **167(5)**, 1035-1047 (2012)
2. Pan, S., Gray, N.S., Gai, W., *et al.* Discovery of BAF312 (siponimod), a potent and selective S1P receptor modulator. *ACS Med. Chem. Lett.* **4(3)**, 333-337 (2013).
3. O'Sullivan, C., Schubart, A., Mir, A.K., *et al.* The dual S1PR1/S1PR5 drug BAF312 (siponimod) attenuates demyelination in organotypic slice cultures. *J. Neuroinflammation* **13**, 31 (2016).
4. Poirier, B., Briand, V., Kadereit, D., *et al.* A G protein-biased $S1P_1$ agonist, SAR247799, protects endothelial cells without affecting lymphocyte numbers. *Sci. Signal.* **13(634)**, eaax8050 (2020).

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