

Product Name: BAF312 (Siponimod)

Revision Date: 01/10/2021

Product Data Sheet

BAF312 (Siponimod)

Cat. No.: B3225

CAS No.: 1230487-00-9

Formula: C29H35F3N2O3

M.Wt: 516.6

Synonyms:

Target: GPCR/G protein

Pathway: S1P receptor

Storage: Store at -20°C

Solvent & Solubility

≥194.8 mg/mL in DMSO; insoluble in H2O; ≥3.27 mg/mL in EtOH

In Vitro

Preparing Stock Solutions	Solvent Concentration	1mg	5mg	10mg
	1 mM	1.9357 mL	9.6787 mL	19.3573 mL
	5 mM	0.3871 mL	1.9357 mL	3.8715 mL
	10 mM	0.1936 mL	0.9679 mL	1.9357 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	S1P agonist, potent and selective			
IC ₅₀ & Target	0.39nM (EC50) (S1P1 rec	0.39nM (EC50) (S1P1 receptors), 0.98nM (EC50) (S1P5 receptors)		
	Cell Viability Assay			
	Cell Line: 1800 c. Cell	human atrial myocytes		
In Vitro	Preparation method:	This compound is soluble in DMSO. General tips for obtaining a higher		
		concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in		
		the ultrasonic bath for a while. Stock solution can be stored below -20°C for		
		several months.		
	Reacting conditions:	0.001-1000 nM		

	Applications:	In human atrial myocytes, BAF312 concentration-dependently increased GIRK			
		current amplitude with EC50 value of 15.8 nM and reached approximately 80%			
		of the carbachol-induced maximal current activation.			
	Animal experiment	Animal experiment			
In Vivo	Animal models:	rat experimental autoimmune encephalomyelitis (EAE) model; Lewis rats			
	Dosage form:	0.03, 0.3 or 3 mg/kg, orally administrated, 24 day; 1 mg/kg, orally administrated			
	Applications:	In rat experimental autoimmune encephalomyelitis (EAE) model, BAF312			
		starting on day 11 significantly inhibited established neurological deficits.			
		BAF312 at 0.3 or 3 mg/kg caused a significant reduction of disease scores			
		(AUC (area under the concentration-time curve) from days 12 to 34). In Lewis			
		rats, BAF312 (Siponimod) (1 mg/kg) dose-dependently reduced peripheral			
		lymphocyte counts. At the Tmax of 8 h postadministration, the lymphocyte			
		counts were decreased by 88%.			
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may			
	the Unitown	slightly differ with the theoretical value. This is caused by an experimental			
	Pleaton Ethole	system error and it is normal.			

Product Citations

1. Fettel J, Kühn B, et al. "Sphingosine-1-phosphate (S1P) induces potent anti-inflammatory effects in vitro and in vivo by S1P receptor 4-mediatedsuppression of 5-lipoxygenase activity." FASEB J. 2018 Sep 6:fj201800221R.PMID:30188757

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References

[1] Gergely P1, Nuesslein-Hildesheim B, Guerini D, Brinkmann V, Traebert M, Bruns C, Pan S, Gray NS, Hinterding K, Cooke NG, Groenewegen A, Vitaliti A, Sing T, Luttringer O, Yang J, Gardin A, Wang N, Crumb WJ Jr, Saltzman M, Rosenberg M, Wallstrm E. The selective sphingosine 1-phosphate receptor modulator BAF312 redirects lymphocyte distribution and has species-specific effects on heart rate. Br J Pharmacol. 2012 Nov;167(5):1035-47.

[2] Pan S1, Gray NS1, Gao W1, Mi Y1, Fan Y1, Wang X1, Tuntland T1, Che J1, Lefebvre S1, Chen Y1, Chu A1, Hinterding K2, Gardin A2, End P2, Heining P2, Bruns C2, Cooke NG2, Nuesslein-Hildesheim B2. Discovery of BAF312 (Siponimod), a Potent and Selective S1P Receptor Modulator. ACS Med Chem Lett. 2013 Jan 4;4(3):333-7.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for

long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



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