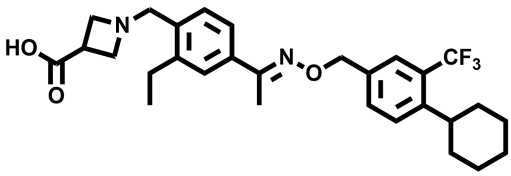
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

S1P1/5 Selective Agonist BAF312 (Siponimod)

Chemical Name: (E)-1-(4-(1-(((4-cyclohexyl-3-(trifluoromethyl)benzyl)oxy)imino)ethyl)-2-ethylbenzyl)azetidine-3-carboxylic acid



Molecular Weight:	516.60
Formula:	$C_{29}H_{35}F_3N_2O_3$
Purity:	≥98%
CAS#:	800379-64-0
Solubility:	DMSO up to 20mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

BAF312 is a next-generation potent sphingosine 1-phosphate (S1P) receptor agonist, selective for S1P1 (EC_{50} ~0.39 nM) and S1P5 (EC_{50} ~0.98 nM). As S1P1 plays a central role in lymphocyte egress from lymph nodes and is a validated drug target in immune-mediated diseases, BAF312 is currently in clinical trials to treat multiple sclerosis.

How to Use:

In vitro: BAF312 was used at 0.1μM in vitro.

In vivo: BAF312 was orally dosed once daily at 0.3-3 mg/kg in chronic EAE, DA rats and was shown good efficacy.

Reference:

1. Gergely P, et al. The selective S1P receptor modulator BAF312 redirects lymphocyte distribution and has species-specific effects on heart rate: translation from preclinical to clinical studies. (2012) Br J Pharmacol. 167(5):1035-47.
2. Pan SF, et al. Discovery of BAF312 (Siponimod), a Potent and Selective S1P Receptor Modulator. (2013) ACS Med. Chem. Lett. In press.
3. Fryer RM, et al. The clinically-tested S1P receptor agonists, FTY720 and BAF312, demonstrate subtype-specific bradycardia ($S1P_1$) and hypertension ($S1P_3$) in rat. (2012) PLoS One. (12):e52985.