Product Data Sheet

Product Name: Monoacylglycerol Lipase Inhibitor 21
Cat. No.: GC12031

Chemical Properties

Cas. No.	1643657-35-5		
Chemical Name	1,3-benzodioxol-5-ylmethyl ester [1,1'-biphenyl]-4-hexanoic acid		
SMILES	O=C(OCC1=CC(OCO2)=C2C=C1)CCCCCC(C=C3)=CC=C3C4=CC=CC=C4		
Formula	$C_{26}H_{26}O_4$	M.Wt	402.5
Solubility	≤21mg/ml in ethanol;0.5mg/ml in DMSO;30mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Background

Ki: 0.4 μM for MAGL

Monoacylglycerol Lipase Inhibitor 21 is an inhibitor of monoacylglycerol lipase (MAGL) and FAAH.

Endocannabinoids such as 2-arachidonoyl glycerol (2-AG) and arachidonoyl ethanolamide (AEA) are biologically active lipids involved in various synaptic processes including activation of cannabinoid receptors. Fatty acid amide hydrolase (FAAH) and monoacylglycerol lipase (MAGL) mediate the hydrolysis of AEA and 2-AG, respectively.

In vitro: A previous study confirmed that Monoacylglycerol Lipase Inhibitor 21 could inhibit MAGL in a reversible manner. Moreover, the kinetic studies indicated that Monoacylglycerol Lipase Inhibitor 21 acted as a noncompetitive inhibitor. In addition, Monoacylglycerol Lipase Inhibitor 21 did not bind CB1 or CB2 receptors. Furthermore,

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the selectivity of Monoacylglycerol Lipase Inhibitor 21 was studied in a broad panel that includes a variety of receptors and enzymes, and the results showed that Monoacylglycerol Lipase Inhibitor 21 did not inhibit significantly any of the analyzed targets [1].

In vivo: Multiple sclerosis (MS) mouse model was used to evaluated the in-vivo efficacy of Monoacylglycerol Lipase Inhibitor 21. Treatment started at day 6 post-immunization and consisted of daily injections of Monoacylglycerol Lipase Inhibitor 21 (5 mg/kg, i.p.) for the following 21 days. Results showed that the administration of Monoacylglycerol Lipase Inhibitor 21 could clearly ameliorate the progression of the disease, as assessed by the significantly lower clinical score in the MS model. This improvement correlated with an increase of the 2-AG levels in the spinal cord of treated animalsand with evident changes at the histological level, as Monoacylglycerol Lipase Inhibitor 21 was able to significantly decrease leukocyte infiltration and microglial response, prevent axonal damage, as well as partially restore myelin morphology in EAE mice [1].

Clinical trial: So far, no clinical study has been conducted.

Reference:
[1] Hernández-Torres, G. ,Cipriano, M.,Hedén, E., et al. A reversible and selective inhibitor of monoacylglycerol lipase ameliorates multiple sclerosis. Angewandte Chemistry International Edition English 53(50), 13765-13770 (2014).