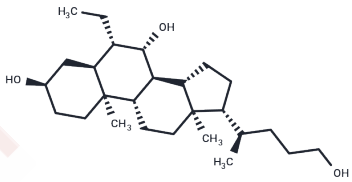
Data Sheet (Cat.No.T4083)

TargetMol

BAR501

Chemical Properties



CAS No. : 1632118-69-4

Formula: C26H46O3

Molecular Weight: 406.64

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	BAR501 is an effective and specific GPBAR1 agonist (EC50: 1 μM).
Targets(IC50)	GPCR19
In vitro	In HEK293 cells overexpressing a CRE along with GPBAR1, BAR501 effectively transactivates GPBAR1 (EC50: 1 μM). In GLUTAg cells, BAR501 (10 μM) increases the mRNA expression of GLP-1 by 2.5 folds.
In vivo	Pretreating rats for 6 days with BAR501 (15 mg/kg) reduces basal portal pressure and blunts the vasoconstriction activity of norepinephrine. BAR501 attenuates hepatic vasomotor activity induced by methoxamine and shear stress and exerts direct vasodilatory activity in the CCl4 model. BAR501 (15 mg/kg) also reduces AST plasma levels and portal pressure, and it attenuates endothelial dysfunction by regulating CSE expression/activity.
Cell Research	For GPBAR1 mediated transactivation, HEK-293T cells are plated at 10000 cells/well in a 24 well-plate and transfected with 200 ng of pGL4.29, a reporter vector containing a cAMP response element (CRE) that drives the transcription of the luciferase reporter gene luc2P, with 100 ng of pCMVSPORT6-human GPBAR1, and with 100 ng of pGL4.70. At 24 h post-transfection, HepG2 and HEK293T cells are incubated with 10 μM BAR501 for 18 h and luciferase activities are assayed and normalized against the Renilla activities [1].
Animal Research	Animal: C57BL6 miceAdministration: i.p., 500 μL/kg of CCl4 in an equal volume of paraffin oil twice a week for 9 weeks. CCL4 mice are randomized to receive BAR501 (15 mg/Kg daily by gavage) or vehicle (distilled water). Serum bilirubin, albumin, aspartate aminotransferase, alanine aminotransferase and alkaline phosphatase are measured by routine biochemical clinical chemistry[1].

Solubility Information

Solubility	DMSO: 50 mg/mL (122.96 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4592 mL	12.2959 mL	24.5918 mL
5 mM	0.4918 mL	2.4592 mL	4.9184 mL
10 mM	0.2459 mL	1.2296 mL	2.4592 mL
50 mM	0.0492 mL	0.2459 mL	0.4918 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Renga B, et al. Reversal of Endothelial Dysfunction by GPBAR1 Agonism in Portal Hypertension Involves a AKT/FOXOA1 Dependent Regulation of H2S Generation and Endothelin-1.