BAR501

Cat. No.: HY-101274

CAS No.: 1632118-69-4

Molecular Formula: $C_{26}H_{46}O_3$

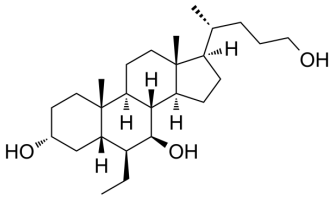
Molecular Weight: 406.64

Target: G protein-coupled Bile Acid Receptor 1

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

Ethanol : 120 mg/mL (295.10 mM; Need ultrasonic)

DMSO : ≥ 50 mg/mL (122.96 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	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

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

In Vivo

1. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (7.38 mM); Clear solution
2. Add each solvent one by one: 10% EtOH >> 90% corn oil
   Solubility: ≥ 3 mg/mL (7.38 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (6.76 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (6.76 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (6.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BAR501 is a potent and selective agonist of GPBAR1 with an EC_{50} of 1 μM.

IC_{50} & Target	EC50: 1 μM (GPBAR1)[1]
In Vitro	BAR501 is a selective GPBAR1 agonist devoid of FXR agonistic activity. It effectively transactivates GPBAR1 in HEK293 cells overexpressing a CRE along with GPBAR1, with an EC_{50} of 1 μM. Exposure of GLUTAg cells to BAR501 (10 μM) increases the expression of GLP-1 mRNA by 2.5 folds[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Pretreating rats for 6 days with BAR501, 15 mg/kg, reduces basal portal pressure and blunts the vasoconstriction activity of norepinephrine. Pretreatment with BAR501 attenuates the hepatic vasomotor activity induced by shear stress and methoxamine. Administration of BAR501 exerts a direct vasodilatory activity in the CCl4 model. Treating mice with BAR501 at the dose of 15 mg/Kg reduces portal pressure and AST plasma levels. BAR501 attenuates endothelial dysfunction by regulating CSE expression/activity[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: C57BL6 mice are administered i.p. 500 μL/Kg body weight 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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. PLoS One. 2015 Nov 5;10(11):e0141082.

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