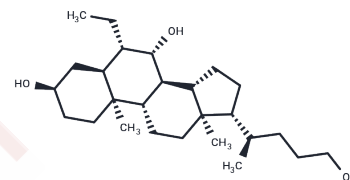


BAR501

## Chemical Properties

CAS No. : 1632118-69-4  
 Formula: C<sub>26</sub>H<sub>46</sub>O<sub>3</sub>  
 Molecular Weight: 406.64  
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year  
*Actual storage temperature shall be subject to the COA.*



## Biological Description

Description	BAR501 is an effective and specific GPBAR1 agonist (EC <sub>50</sub> : 1 μM).
Targets(IC <sub>50</sub> )	GPCR19
In vitro	In HEK293 cells overexpressing a CRE along with GPBAR1, BAR501 effectively transactivates GPBAR1 (EC <sub>50</sub> : 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 CCl <sub>4</sub> 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 mice Administration: i.p., 500 μL/kg of CCl <sub>4</sub> in an equal volume of paraffin oil twice a week for 9 weeks. CCl <sub>4</sub> 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)
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In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.92 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>
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### 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Renga B, et al. Reversal of Endothelial Dysfunction by GPBAR1 Agonism in Portal Hypertension Involves a AKT/FOXO1 Dependent Regulation of H<sub>2</sub>S Generation and Endothelin-1.

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