BAR501

Cat. No.: HY-101274
CAS No.: 1632118-69-4
Molecular Formula: C_{26}H_{46}O_{3}
Molecular Weight: 406.64
Target: GPCR19
Pathway: GPCR/G Protein
Storage: Powder -20°C 3 years
4°C 2 years
In solvent -80°C 6 months
-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO: ≥ 50 mg/mL (122.96 mM)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.4592 mL</td>
<td>12.2959 mL</td>
<td>24.5918 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4918 mL</td>
<td>2.4592 mL</td>
<td>4.9184 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2459 mL</td>
<td>1.2296 mL</td>
<td>2.4592 mL</td>
</tr>
</tbody>
</table>

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

In Vivo

1. 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
2. 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
3. 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
EC_{50}: 1 μM (GPBAR1)\textsuperscript{[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$^{[1]}$.

**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 CCI4 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$^{[1]}$.

**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 CCI4 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**


Caution: Product has not been fully validated for medical applications. For research use only.

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