Fimasartan

Cat. No.: HY-B0780
CAS No.: 247257-48-3
Molecular Formula: C₂₇H₃₁N₇OS
Molecular Weight: 501.65
Target: Angiotensin Receptor; Apoptosis
Pathway: GPCR/G Protein; Apoptosis
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 : ≥ 49 mg/mL (97.68 mM)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th></th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparing</td>
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<tr>
<td>Stock Solutions</td>
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<tr>
<td>1 mM</td>
<td>1.9934 mL</td>
<td>9.9671 mL</td>
<td>19.9342 mL</td>
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<tr>
<td>5 mM</td>
<td>0.3987 mL</td>
<td>1.9934 mL</td>
<td>3.9868 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1993 mL</td>
<td>0.9967 mL</td>
<td>1.9934 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description
Fimasartan (BR-A-657) is a non-peptide angiotensin II receptor antagonist used for the treatment of hypertension and heart failure. IC50 value: Target: AT1 receptor antagonist in vitro: Fimasartan suppressed the expressions of inducible nitric oxide synthase (iNOS) by down-regulating its transcription, and subsequently inhibited the productions of nitric oxide (NO). In addition, fimasartan attenuated LPS-induced transcriptional and DNA-binding activities of nuclear factor-kappa B (NF-kB) and activator protein-1 (AP-1) [1]. BR-A-657 displaced [125I][Sar1-Ile8]angiotensin II (Ang II) from its specific binding sites to AT1 subtype receptors in membrane fractions of HEK-293 cells with an IC50 of 0.16 nM [2]. In vivo: After oral administration of 240 mg fimasartan, the mean area under the plasma concentration-time curve from time zero to infinity was 2899.0 ng/ml/h in the older, which was significantly greater than in young subjects (1767.4 ng/ml/h; p = 0.03) [3]. Compared with atorvastatin alone, coadministration of fimasartan and atorvastatin increased the atorvastatin acid mean (95% confidence interval) maximum concentration (Cmax,ss) by 1.89-fold (1.49-2.39) and the area under the concentration curve (AUCτ,ss) by 1.19-fold (0.96-1.48). Fimasartan also increased the mean 2-hydroxy atorvastatin acid Cmax,ss and AUCτ,ss by 2.45-fold (1.80-3.35) and 1.42-fold (1.09-1.85), respectively [4].
REFERENCES


