Urapidil

Cat. No.: HY-B0716
CAS No.: 34661-75-1
Molecular Formula: C₂₀H₂₉N₅O₃
Molecular Weight: 387.48
Target: 5-HT Receptor; Adrenergic Receptor
Pathway: GPCR/G Protein; Neuronal Signaling
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 : 25 mg/mL (64.52 mM;需超声)
H₂O : < 0.1 mg/mL (不溶)

<table>
<thead>
<tr>
<th>Preparing</th>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Stock Solutions</td>
<td>1 mM</td>
<td>2.5808 mL</td>
<td>12.9039 mL</td>
<td>25.8078 mL</td>
<td></td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.5162 mL</td>
<td>2.5808 mL</td>
<td>5.1616 mL</td>
<td></td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2581 mL</td>
<td>1.2904 mL</td>
<td>2.5808 mL</td>
<td></td>
</tr>
</tbody>
</table>

In Vivo
1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.45 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Urapidil is an α₁ adrenoreceptor antagonist and a 5-HT₁A receptor agonist.

IC₅₀ & Target
α₁ adrenoreceptor, 5-HT₁A receptor[^1]

In Vitro
Urapidil is an α₁ adrenoreceptor antagonist and a 5-HT₁A receptor agonist. Urapidil does not affect vascular tone at
concentrations up to $10^{-5}$ M. Urapidil ($10^{-5}$ M) markedly inhibits the alpha 1-adrenergic agonist (phenylephrine)-induced concentration-dependent contractions in aortic rings without endothelium and also to some extent in those with endothelium. Moreover, the inhibitory effect of Urapidil is more pronounced in rings without endothelium than in those with endothelium. Urapidil ($10^{-5}$ M) affects neither the vascular tone nor the concentration-dependent contraction to serotonin.[1]

**PROTOCOL**

**Cell Assay**

The rat aorta is placed in Krebs solution and stripped of connective tissue, then subsequently cut into rings (2 to 3 mm in length). As indicated, the endothelium is removed by rubbing the intimal surface of rings with a pair of forceps. The rings are suspended in organ baths containing oxygenated (95% O$_2$ and 5% CO$_2$) Krebs bicarbonate solution. Following equilibration for 90 min under a resting tension of 2 g, the rings are contracted with KCl (80 mM) to assess their reactivity. After a 30-min washout period, the rings are contracted with the α1-adrenergic receptor agonist phenylephrine ($10^{-6}$ M) to about 80% of the maximal contraction before addition of acetylcholine ($10^{-6}$ M) to check for the presence of a functional endothelium. After a 30-min equilibration period, the rings with or without endothelium are exposed to Urapidil ($10^{-5}$ M) for 25 min before inducing a concentration-contraction curve to phenylephrine.[1]

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**REFERENCES**