Lusaperidone

**Cat. No.**: HY-U00117

**CAS No.**: 214548-46-6

**Molecular Formula**: C₂₂H₂₁N₃O₂

**Molecular Weight**: 359.42

**Target**: 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

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**SOLVENT & SOLUBILITY**

In Vitro: DMSO : 3.45 mg/mL (9.60 mM; ultrasonic and warming and heat to 60°C)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass (1 mg)</th>
<th>Mass (5 mg)</th>
<th>Mass (10 mg)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>2.7823 mL</td>
<td>13.9113 mL</td>
<td>27.8226 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.5565 mL</td>
<td>2.7823 mL</td>
<td>5.5645 mL</td>
</tr>
<tr>
<td>10 mM</td>
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</tbody>
</table>

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

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**BIOLOGICAL ACTIVITY**

**Description**
Lusaperidone (R107474) is an α₂ adrenergic receptor antagonist with \( K_i \) of 0.13 and 0.15 nM for α₂A and α₂C, respectively.

**IC\(_{50}\) & Target**
Ki: 0.13 nM (α₂A adrenergic receptor), 0.15 nM (α₂C adrenergic receptor)\(^1\)

**In Vitro**
Lusaperidone has subnanomolar affinity for α₂A and α₂C adrenergic receptor (\( K_i = 0.13 \) and 0.15 nM, respectively) and shows nanomolar affinity for the α₂B adrenergic receptor and h5-HT7 receptors (\( K_i = 1 \) and 5 nM, respectively). Lusaperidone interacts weakly (\( K_i \) values ranging between 81 and 920 nM) with dopamine-hD2L, -hD3 and -hD4, h5-HT1D-, h5-HT1F-, h5-HT2A-, h5-HT2C-, and h5-HT5A receptors. Lusaperidone, tested up to 10 \( \mu \)M, interacts only at micromolar concentrations or not at all with any of the other receptor or transporter binding sites tested in this study. Lusaperidone has been shown to reverse the clonidine-induced inhibition of cyclic AMP production mediated by human α₂A and α₂C adrenoceptors expressed in cell lines (\( K_i \) is 2.8 and 4.4 nM, respectively) and is a full antagonist on both receptor subtypes\(^1\).

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

**In Vivo**
Lusaperidone occupies the α₂A and α₂C adrenergic receptor with an \( ED_{50} \) of 0.014 mg/kg sc (0.009-0.019) and 0.026 mg/kg sc (0.022-0.030), respectively. The uptake of R107474 after in vivo intravenous administration is very rapid; in most tissues...
(including the brain) it reaches maximum concentration at 5 min after tracer injection\textsuperscript{[1]}. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**PROTOCOL**

**Animal Administration** \textsuperscript{[1]}

Rats: Radio labeled Lusaperidone (24–28 GBq/μmol) is injected into the tail vein of diethyl ether anesthetized male Wistar rats (200–250 g). The rats received 30–40 MBq (injected at the start of the experiment) in 300 μL saline including 10% (v/v) ethanol. The rats are sacrificed by cervical dislocation at 5, 10, 20, and 30 min post injection under diethyl ether anesthesia. A blood sample is taken by cardiac puncture and selected tissues are rapidly dissected and weighed. The radioactivity is measured\textsuperscript{[1]}. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**REFERENCES**