Ketanserin

Cat. No.: HY-10562
CAS No.: 74050-98-9
Molecular Formula: C₂₂H₂₂FN₃O₃
Molecular Weight: 395.43
Target: 5-HT Receptor; Potassium Channel; Autophagy
Pathway: GPCR/G Protein; Neuronal Signaling; Membrane Transporter/Ion Channel; Autophagy
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 : 8 mg/mL (20.23 mM; Need ultrasonic and warming)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.5289 mL</td>
<td>12.6445 mL</td>
<td>25.2889 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5058 mL</td>
<td>2.5289 mL</td>
<td>5.0578 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2529 mL</td>
<td>1.2644 mL</td>
<td>2.5289 mL</td>
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</tbody>
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Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Ketanserin is a selective 5-HT receptor antagonist. Ketanserin also blocks hERG current (I_{hERG}) in a concentration-dependent manner (IC₅₀=0.11 μM).

IC₅₀ & Target

IC₅₀: 0.11 μM (hERG current)[¹]
IC₅₀: 152±23 μM (5-HT receptor)[²]

In Vitro

Ketanserin at 0.3 μM inhibits the voltage-dependent step current (I_{hERG,step}) and tail current (I_{hERG,tail}) of hERG channels with a 5-min exposure[¹]. The synergistic effect observed for AA with 5-HT is, also, blocked by the 5-HT receptor blockers cyproheptadine (IC₅₀=22.0±7 μM), Ketanserin (IC₅₀=152±23 μM). Ketanserin (50-350 μM) inhibits the synergism by blocking the receptor in a dose-dependent manner. The IC₅₀ value of Cyproheptadine is 22±7 μM and Ketanserin is 152±23 μM[²]. Ketanserin inhibits platelet aggregation with an IC₅₀ of 240 (169-339) nM[³].

In Vivo

Ketanserin is a 5-HT2A receptor antagonist. Ketanserin significantly reduces BDNF protein levels in numerous brain
regions (CA1 and CA3 of the hippocampus, prefrontal cortex, central amygdaloid nucleus, dorsomedial hypothalamic nucleus, dentate gyrus, shell of the nucleus accumbens and midbrain periaqueductal gray). 5-HT$_{2A}$ antagonist Ketanserin can significantly reduce BDNF mRNA levels in various brain regions[4].

### PROTOCOL

#### Cell Assay [1]

The established HEK 293 cell line stably expressing hERG channels is cultured in Dulbecco’s modified Eagle’s medium (DMEM) supplemented with 10% foetal bovine serum, 400 μg/mL G418. The HEK 293 cell line stably expressing recombinant human cardiac KCNQ1/KCNE1 channel current (I$_{Ks}$) is maintained in DMEM containing 10% foetal bovine serum and 100 μg/mL hygromycin. Cells used for electrophysiology are seeded on a glass coverslip. The mutant hERG channels are constructed, and are transiently expressed in HEK 293 cells using 10 μL of Lipofectamine 2000 with 4 μg of hERG mutant cDNA in pCDNA3 vector[1].

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

#### Animal Administration [4]

<table>
<thead>
<tr>
<th>Parameters</th>
<th>Descriptions</th>
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</thead>
<tbody>
<tr>
<td>Rat[4]</td>
<td>A total of 155 specific-pathogen-free 2-month-old male Sprague-Dawley rats, weighing 180-220 g, are used. The rats are randomly divided into the following six groups: 5-HT$<em>{1A}$ receptor agonist (8-OH-DPAT) PS group (DPAT-PS group, n=30); 5-HT$</em>{1A}$ receptor antagonist (MDL73005) PS group (MDL-PS group, n=30); 5-HT$<em>{2A}$ receptor agonist (DOI) PS group (DOI-PS group, n=30); 5-HT$</em>{2A}$ receptor antagonist (Ketanserin) PS group (Ketan-PS group, n=30); the solvent control no-stress group (0.9% physiological saline group, CON group); and the PS only group (PS group, n=30). The DPAT-PS, MDL-PS, DOI-PS, Ketan-PS and PS groups are further divided into six subgroups (n=5 each) according to the time between the stress and analysis; immediately after stress, and 0.5, 1, 2, 6 and 24 hours after stress. The CON group (n=5) receive normal feed. For the Ketan-PS group, Ketanserin, dissolved in 0.9% physiological saline, is injected intraperitoneally at 5 mg/kg at 1 hour before each stress exposure. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</td>
</tr>
</tbody>
</table>

### REFERENCES


