**Product Name:** Perospirone

**Catalog Number:** T4576

**CAS Number:** 150915-41-6

**Molecular Formula:** C23H30N4O2S

**Molecular Weight:** 426.57

**Description:** Perospirone is an antagonist of serotonin 5HT2A receptors and dopamine D2 receptors. It also displays affinity towards 5HT1A receptors as a partial agonist.

**Storage:** 2 years -80°C in solvent; 3 years -20°C powder;

**Solubility**

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Solubility</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO</td>
<td>20 mg/mL</td>
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</tbody>
</table>

(< 1 mg/ml refers to the product slightly soluble or insoluble)

**Receptor (IC50)**

<table>
<thead>
<tr>
<th>Receptor</th>
<th>IC50 (nM)</th>
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</thead>
<tbody>
<tr>
<td>5-HT1A</td>
<td>2.9 (Ki)</td>
</tr>
<tr>
<td>5-HT2A</td>
<td>1.3 (Ki)</td>
</tr>
<tr>
<td>D2</td>
<td>0.6 (Ki)</td>
</tr>
</tbody>
</table>

**In vitro Activity**

In CHO cells expressing human 5-HT1A receptors, perospirone shows a high affinity (Ki: 0.72 nM) and exhibits partial agonistic efficacy[1]. Perospirone changes epigenetic profiles of neural genes. It can cause DNA methylation changes in cell cultures[2]. Perospirone is an inhibitor of Pgp which interferes directly and indirectly with the function of Pgp. The inhibition of Pgp by perospirone may cause clinically significant drug-drug interactions, especially in the tissue in which it accumulated[3].

**In vivo Activity**

Perospirone shows potent 5-HT2 and D2 receptor blocking activities in various animal models in vivo. Perospirone inhibits various dopaminergic behaviours (e.g. methamphetamine-induced hyperactivity and apomorphine-induced stereotypy or climbing behaviour) in rodents. It also inhibits the rat conditioned avoidance response. In behavioural tests, perospirone markedly inhibits serotonergic behaviour (e.g. tryptamine-induced clonic seizures, and p-chlorphenamine-induced hyperthermia) in rats. Perospirone has anxiolytic-like effects and mood stabilizing effects in various animal models. It inhibits motor coordination in a rota-rod test and potentiates the duration of hexobarbital-induced anaesthesia with ED50 values of 34 and 37 mg/kg (p.o.), respectively[1].

**Animal Experiment**

**Animal Model:**

**Cell Assay**

Cell lines: Human neuroblastoma SK-N-SH cells

Concentrations: 10.5 or 105.5 nM

Cells are maintained in Eagle's minimal essential medium containing 10% fetal bovine serum for 8 days. The cells are exposed to either a high dose (105.5 nM, assigned as the "high-dose group") or low dose (10.5 nM, assigned as the "low-dose group") of perospirone. The concentrations are determined based on dosages typically used in the clinical setting. The medium is changed on days 2, 5, and 8 with media containing perospirone, and on day 9, cells are harvested and processed.

**Cell line:**

Reference


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