**Prucalopride Datasheet**

**Technical Data**

<table>
<thead>
<tr>
<th>Molecular Weight (MW)</th>
<th>367.87</th>
</tr>
</thead>
<tbody>
<tr>
<td>Formula</td>
<td>C_{18}H_{26}ClN_{3}O_{2}</td>
</tr>
<tr>
<td>CAS No.</td>
<td>179474-81-8, 179474-80-7 (HCl)</td>
</tr>
<tr>
<td>Synonyms</td>
<td>N/A</td>
</tr>
<tr>
<td>Solubility (25°C)</td>
<td>DMSO 60 mg/mL</td>
</tr>
<tr>
<td></td>
<td>Water &lt;1 mg/mL</td>
</tr>
<tr>
<td></td>
<td>Ethanol 38 mg/mL</td>
</tr>
<tr>
<td>Storage</td>
<td>2 years -20°C Powder</td>
</tr>
<tr>
<td></td>
<td>2 weeks 4°C in DMSO</td>
</tr>
<tr>
<td></td>
<td>6 months -80°C in DMSO</td>
</tr>
</tbody>
</table>

**Biological Activity**

**Description**
Prucalopride is a potent 5-HT4 receptor inhibitor with IC50 of 2.5 nM.

**Targets**
5-HT4A, 5-HT4B (IC50 2.5 nM, 8 nM).

**In vitro**
Prucalopride (10 μM) tends to inhibit the 5-HT3-induced contractions in guinea-pig proximal colon, though this inhibition is not significant. Prucalopride induces the 5-HT4-induced contractions in a concentration-dependent manner in guinea-pig proximal colon. Prucalopride (1 μM) significantly amplifies the rebound contraction of the guinea-pig proximal colon after electrical field stimulation. Prucalopride induces relaxation of the rat oesophagus preparation, yielding a monophasic concentration–response curve. 

**In vivo**
Prucalopride (< 1.25 mg/kg, oral) alters colonic contractile motility patterns in a dose-dependent fashion by stimulating high-amplitude clustered contractions in the proximal colon and by inhibiting contractile activity in the distal colon in conscious dogs. Prucalopride facilitates the occurrence of giant migrating contraction after treatment and also decreases the time to the first giant migrating contraction in a dose-dependent fashion. Prucalopride induces giant migrating contraction occurred in the first hour after treatment, especially at higher doses. Prucalopride, given orally or intravenously, alters colonic motility in the fasted conscious dog in a dose-dependent fashion.

**Clinical Trials**
Prucalopride is in phase 4 clinical study in patients with Chronic Constipation.

**Features**

**Protocol (Only for Reference)**

**Kinase Assay:**
Membrane fractions from cells or from tissue homogenates are incubated with a radioactively ([3]H) or ([125]I) labelled ligand with high affinity for a particular receptor. Specific receptor binding of the radioligand is distinguished from the nonspecific labelling by addition of an excess of an unlabelled compound, known to compete with the radioligand for binding to the receptor sites. The remaining nonspecific labelling is subtracted from total binding values obtained without the competitor. To determine the receptor binding affinity of unlabelled prucalopride, the ligand is added at various concentrations to the incubation mixture.

**Binding assay**
Membrane fractions from cells or from tissue homogenates are incubated with a radioactively ([3]H)- or ([125]I)-labelled ligand with high affinity for a particular receptor. Specific receptor binding of the radioligand is distinguished from the nonspecific labelling by addition of an excess of an unlabelled compound, known to compete with the radioligand for binding to the receptor sites. The remaining nonspecific labelling is subtracted from total binding values obtained without the competitor. To determine the receptor binding affinity of unlabelled prucalopride, the ligand is added at various concentrations to the incubation mixture. The membranes are harvested by rapid filtration and filters are placed in counting vials. 2 mL of Ultima Gold MV are added and filter-bound radioactivity is counted after shaking and resting (>6 h) in a Packard liquid scintillation counter.

**Animal Study**

**Animal Models**
Female beagles

**Formulation**
Saline

**Doses**
1.25 mg/kg

**Administration**
Orally or intravenous injection

**References**

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**Website:**
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www.selleckchem.com/datasheet/prucalopride-DataSheet.html
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