Linagliptin (BI-1356) Datasheet

Technical Data

<table>
<thead>
<tr>
<th>Molecular Weight (MW)</th>
<th>472.54</th>
</tr>
</thead>
<tbody>
<tr>
<td>Formula</td>
<td>C_{23}H_{12}N_{5}O_{2}</td>
</tr>
<tr>
<td>CAS No.</td>
<td>668270-12-0</td>
</tr>
<tr>
<td>Synonyms</td>
<td>N/A</td>
</tr>
</tbody>
</table>

Solubility (25°C)

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Solubility</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO 17 mg/mL</td>
<td>Water &lt;1 mg/mL</td>
</tr>
<tr>
<td>Ethanol 1 mg/mL</td>
<td></td>
</tr>
</tbody>
</table>

Storage

<table>
<thead>
<tr>
<th>Duration</th>
<th>Temp</th>
<th>Condition</th>
</tr>
</thead>
<tbody>
<tr>
<td>2 years</td>
<td>-20°C</td>
<td>Powder</td>
</tr>
<tr>
<td>2 weeks</td>
<td>4°C</td>
<td>in DMSO</td>
</tr>
<tr>
<td>6 months</td>
<td>-80°C</td>
<td>in DMSO</td>
</tr>
</tbody>
</table>

Biological Activity

<table>
<thead>
<tr>
<th>Description</th>
<th>Linagliptin is a highly potent, selective DPP-4 inhibitor with IC50 of 1 nM.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Targets</td>
<td>DPP-4</td>
</tr>
<tr>
<td>IC50</td>
<td>1 nM [1]</td>
</tr>
</tbody>
</table>

In vitro

Linagliptin shows a potent inhibition effect against DPP-4 in vitro and a low affinity for hERG channel and M1 receptor (IC50 295 nM). [1] Linagliptin acts as a competitive inhibitor with a K_i of 1 nM, and also shows 10,000-fold more selectivity for DPP-4 than DPP-8, DPP-9, amino-peptidases N and P, prolyl oligopeptidase, tpspin, plasmin, and thrombin, and 90-fold more selectivity than fibroblast activation protein in vitro. [2]

In vivo

In male Wistar rats, Beagle dogs, and Rhesus monkeys, Linagliptin shows a highly efficacious, long-lasting, and potent inhibitory activity against DPP-4 by more than 70% inhibition for all three species after oral administration of 1 mg/kg. Oral administration of Linagliptin to db/db mice 45 min before an oral glucose tolerance test reduces plasma glucose excursion in a dose-dependent manner from 0.1 mg/kg (15% inhibition) to 1 mg/kg (66% inhibition). [1] By inhibiting DPP-4 activity, Linagliptin reduces the expression of the proinflammatory markers cyclooxygenase-2 and macrophage inflammatory protein-2, and enhances the formation of myofibroblasts in healing wounds from ob/ob mice. [3]

Clinical Trials

Linagliptin is currently in Phase IV clinical trials in Type 2 diabetic patients with and without chronic renal failure.

Features

- Protocol (Only for Reference)
- Kinase Assay: [1]

In Vitro DPP-4 Inhibition Assay

For determination of the in vitro potency of inhibitors, an extract from the human colon carcinoma cell line Caco-2 is used as source of the DPP-4 enzyme. Caco-2 cells are grown to confluence in cell culture flasks in EMEM medium supplemented with non-essential amino acids and containing 10% heat-inactivated fetal calf serum. Cells are washed with PBS and 4 mL lysis buffer (10 mM Tris-HCl, 150 mM NaCl, 0.04 U/mL aprotinin, 0.5% Nonidet P40, pH 8.0) are added per flask. After 5 minute incubation at room temperature with gentle agitation, cells are centrifuged at 4 °C for 30 minutes and the supernatant is stored at -80°C. Prior to use, the extract is diluted 1000-fold with assay buffer (100 mM Tris-HCl, 100 mM NaCl, pH 7.8). A 200 mM stock solution

Animal Study: [1]

Animal Models

Male db/db mice

Formulation

Linagliptin is dissolved in 0.1 N HCl and subsequently diluted with a 0.5% aqueous hydroxyethylcellulose solution (final HCl concentration 3 mM).

Doses

≤1 mg/kg

Administration

Administered via p.o.

References


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