Taspoglutide

Cat. No.: HY-P0165
CAS No.: 275371-94-3
Molecular Formula: C₁₅₂H₂₃₂N₄₀O₄₅
Molecular Weight: 3339.71
Target: Glucagon Receptor
Pathway: GPCR/G Protein
Storage: -20°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 28 mg/mL (8.38 mM)
* “≥” means soluble, but saturation unknown.

<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></td>
<td>1 mM</td>
<td>0.2994 mL</td>
<td>1.4971 mL</td>
<td>2.9943 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.0599 mL</td>
<td>0.2994 mL</td>
<td>0.5989 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
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</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description
Taspoglutide is a long-acting glucagon-like peptide 1 (GLP-1) receptor agonist developed for treatment of type 2 diabetes, with an EC₅₀ value of 0.06 nM. Sequence: His-(Aib)-Glu-Gly-Thr-Thr-Ser-Asp-Val-Ser-Ser-Tyr-Leu-Glu-Gly-Gln-Ala-Ala-Lys-Glu-Phe-Ile-Ala-Trp-Leu-Val-Lys-(Aib)-Arg-NH₂.

IC₅₀ & Target
EC₅₀: 0.06 nM (GLP-1)[¹]

In Vitro
Taspoglutide (R1583/BIM51077) is a long acting 10% formulation of (Aib₈-₃₅) human GLP-1 (7-36 amides) with 93% homology with the native polypeptide. It activates the GLP-1 receptor. Taspoglutide has comparable affinity (affinity constant 1.1±0.2 nM) to the natural ligand (affinity constant 1.5±0.3 nM) for the hGLP-1 receptor and exhibits comparable potency in stimulating cAMP production[²].

In Vivo
Taspoglutide has been shown to enhance the rate of glucose-induced insulin secretion from isolated, cultured rat islets and the perfused ZDF rat pancreas. Taspoglutide in Sprague-Dawley rats and diabetic db/db mice have shown a dose-related enhancement of glucose-dependent insulin release, which lower blood glucose in the db/db mouse model of type 2 diabetes[³]. Acute treatment with taspoglutide reduces glucose excursions and increased insulin
response during oGTT. In chronically treated rats, glucose excursion and levels of GIP, PYY and triglycerides during oGTT on day 21 are significantly reduced[4]. Hepatic triglyceride levels are significantly reduced in livers from taspoglutide-treated. Taspoglutide does not reduce plaque area or lipid content in the aortic arch or abdominal aorta, and no significant change in aortic macrophage accumulation is detected after taspoglutide or metformin mice [5].

PROTOCOL

Animal Administration [4][5]

Rats: The Zucker diabetic fatty (ZDF) rats (animal model of type 2 diabetes) are used in the study. ZDF rats are treated acutely (0.1, 1, 10 μg/kg) or chronically (sustained-release of 1 mg) with a single long-acting dose of taspoglutide. Pioglitazone is used as a positive control in the chronic study. Postprandial glucose, body weight, glycaemic control and insulin sensitivity are assessed over 21 days in chronically treated animals[4].

Mice: High-fat diet-fed male ApoE−/− mice are used in the study. Mice with glucose levels from 15-25 mM are then randomized to different groups and treated for 12 wk with a once-monthly sc 0.4-mg taspoglutide microtablet suspension, a sc placebo microtablet, or metformin (400 mg/kg*d) continuously provided in the drinking water plus a sc placebo microtablet[5].

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

REFERENCES


Caution: Product has not been fully validated for medical applications. For research use only.

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