Product Data Sheet

Chemical Properties

Product Name: Empagliflozin (BI 10773)

Cas No.: 864070-44-0

M.Wt: 450.91

Formula: C23H27ClO7

Synonyms: N/A

Chemical Name: (2S,3R,4R,5S,6R)-2-[4-chloro-3-[[4-[(3S)-oxolan-3-yl]oxyphenyl]methylyphenyl]-6-(hydroxymethyl)oxane-3,4,5-triol

Canonical SMILES: C1COCC1OC2=CC=C(C=C2)CC3=C(C=CC(=C3)C4C(C(C(C(O4)CO)O)O)O)OCl

Solubility: ≥20.75mg/mL in DMSO, ≥7.06 mg/mL in EtOH with ultrasonic, <2.59 mg/mL in H2O

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shopping Condition: Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Biological Activity

Targets: Metabolism

Pathways: SGLT

Description:

Empagliflozin is a selective inhibitor of SGLT-2 with IC50 value of 3.1 nM [1]. Sodium glucose cotransporter-2 (SGLT-2) is a member of sodium glucose co-transporter family and plays a pivotal role in glucose reabsorption in the kidney [2].
Empagliflozin is a potent SGLT-2 inhibitor and has a high degree of selectivity over SGLT-1, 4, 5 and 6 than other reported SGLT-2 inhibitors. When tested with a panel of human cell lines over-expressed SGLT-1, 2, 4, 5 and 6, Empagliflozin treatment competitively bind to SGLT-2 over glucose at low dose [1]. In human proximal tubular cell (PTC) cell line HK2 cells, Empagliflozin treatment for 72 h inhibits the expression of SGLT-2 which in turn reversed high glucose induced TLR4 expression, NF-κB binding, IL-6 secretion, AP-1 binding and CIV expression [3]. In Zucker diabetic fatty rat model, oral administration of Empagliflozin shows good efficiency with moderate total plasma clearance (CL) and bioavailability (BA) which indicated that Empagliflozin as an innovative therapeutic approach to treat diabetes in clinic [1]. When treated Zucker diabetic fatty rat model with Empagliflozin, both single and multiple doses results in the urinary glucose excretion and reductions in blood glucose levels [4].

Reference:

Protocol

Cell experiment:

Cell lines
HK2 cells

Preparation method
The solubility of this compound in DMSO is > 20.75 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.

Reacting conditions

Applications
In HK2 cells, Empagliflozin blocked SGLT2 without causing a compensatory increase in the other glucose transporters. Empagliflozin at both concentrations significantly inhibited high glucose-induced TLR4 expression by 97.2 ± 8.2% and 64.4 ± 12.6%, respectively. Besides, at the dose of 500 nM, Empagliflozin significantly inhibited high glucose-induced NF-κB binding by 91.7 ± 14.9%. In addition, Empagliflozin reduced high glucose-induced secretion of IL-6 by 92.0 ± 11.7% and 116.5 ± 19.6% at the doses of 100 and 500 nM, respectively.
**Animal experiment [3]:**

<table>
<thead>
<tr>
<th>Animal models</th>
<th>ZDF rats and beagle dogs</th>
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</thead>
<tbody>
<tr>
<td>Dosage form</td>
<td>2 mL/kg; i.v. or p.o.</td>
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<tr>
<td>Applications</td>
<td>Empagliflozin achieved high exposure in dogs, with plasma concentrations &gt; 100-fold above the IC50 value (measured 24 hrs after administration). In ZDF rat, the total plasma clearance of Empagliflozin was 43 mL/min/kg, while in dogs, was lower at 1.8 mL/min/kg. The Cmax values of Empagliflozin for ZDF rat and dogs were 167 nM and 17254 nM, respectively.</td>
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<tr>
<td>Other notes</td>
<td>Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.</td>
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</table>

**Reference:**


**Product Citations**


**Caution**

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes
shipped at a temperature that differs from the recommended storage temperature. Short term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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