Product Data Sheet

Chemical Properties

Product Name: BQ-788 sodium salt
Cas No.: 156161-89-6
M.Wt: 663.78
Formula: C34H50N5NaO7

Chemical Name: sodium;(2R)-2-[[2R)-2-amino-3-(1-methoxycarbonylindol-3-yl)propanoyl]-[2S)-2-[[2R,6S)-2,6-dimethylpiperidine-1-carbonyl]amino]-4,4-dimethylpentanoyl]amino]hexanoate

Canonical SMILES: CCCCC(C(=O)[O-])N(C(=O)C(CC1=CN(C2=CC=CC=C21)C(=O)OC)N)(=O)C(CC(C)(C)C)NC(=O)N3C(CCCC3C)C.[Na+]

Solubility: >33.2mg/mL in DMSO

Storage: Desiccate at RT

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:

Pathways:

Description:

BQ-788 is a potent and selective antagonist of endothelin (ET) B-receptor with IC50 value of 1.2nM [1].
In the in vitro assay, BQ-788 prevents ET-1 from binding to ETB receptors in human Girardi heart
cells with IC50 value of 1.2nM. The inhibition to ETA receptors is much weaker with IC50 value of 1300nM. BQ-788 also inhibits ET binding to ETB receptors with IC50 values of 0.9nM and 1.2nM in porcine cerebellar membranes and hGH cells, respectively. The inhibition effects are quite poor in pCASM cells and SK-N-MC cells with IC50 values of 280nM and 1300nM, respectively. BQ-788 is specific to ET receptors. It cannot significantly inhibit other peptide hormone receptors at concentration of 10μM. It is found that BQ-788 interacts with ETB receptors competitively in hGH cells. Moreover, the in vivo assay demonstrates that ETB receptors are responsible for ET-1-elicited bronchoconstriction in guinea pigs [1].

Reference:

Protocol

Cell experiment:

<table>
<thead>
<tr>
<th>Cell lines</th>
<th>hGH and pCASM cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparation method</td>
<td>The solubility of this compound in DMSO is &gt; 33.2 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.</td>
</tr>
<tr>
<td>Reacting conditions</td>
<td></td>
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<tr>
<td>Applications</td>
<td>BQ-788 (100 μM) did not increase [Ca2+]i in both hGH and pCASM cells. However, BQ-788 significantly inhibited ET-1-induced increases in [Ca2+]i in both cell lines.</td>
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</tbody>
</table>

Animal experiment [3]:

<table>
<thead>
<tr>
<th>Animal models</th>
<th>Rats</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage form</td>
<td>1 mg/kg; i.v.</td>
</tr>
<tr>
<td>Applications</td>
<td>BQ-788 (1 mg/kg) i.v. administered 5 mins before ET-1 injection completely inhibited the depressor response, correspondingly, causing a rapid onset of apparently enhanced pressor response.</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>
</tr>
</tbody>
</table>
Reference:

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.