# Chemical Properties

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Product Name:</strong></td>
<td>BQ-123</td>
</tr>
<tr>
<td><strong>Cas No.:</strong></td>
<td>136553-81-6</td>
</tr>
<tr>
<td><strong>M.Wt:</strong></td>
<td>611</td>
</tr>
<tr>
<td><strong>Formula:</strong></td>
<td>C31H42N6O7</td>
</tr>
</tbody>
</table>

**Chemical Name:** 2-((3R,6S,9S,12S,17aS)-9-((1H-indol-3-yl)methyl)-6-isobutyl-3-isopropyl-1,4,7,10,13-pentaoxohexadecahydro-1H-pyrrolo[1,2-a][1,4,7,10,13]pentaazacyclopentadecin-12-yl)acetic acid

**Canonical SMILES:** O=C([C@H]1N(C([C@H](CC(O)=O)NC([C@H](CC2=CNC3=CC=CC=C23)NC4=O)=O)O)CCC1)N[C@@H](C(N[C@H]4CC(C)C)=O)C(C)C

**Solubility:** Soluble to 0.40 mg/ml in sterile water

**Storage:** Desiccate 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:** GPCR/G protein

**Pathways:** ETA Receptors

**Description:**
BQ-123 is a potent and selective antagonist of ETA endothelin receptor with Ki values of 1.4 and 1500 nM for ETA and ETB receptors, respectively. Endothelin receptor is a G protein-coupled receptor. ETA receptor increases intracellular-free
Ca²⁺. Also, Activation of ETA receptor increases vasoconstriction and blood pressure. BQ-123 is a selective ETA receptor antagonist. In the ETA-expressing cells, BQ123 (10⁻⁶ M) inhibited endothelin-1 (ET-1) (10⁻⁶ M)-induced [Ca²⁺]ᵢ increase by 95% [1]. In rat vascular smooth muscle cells (VSMC), BQ-123 inhibited ET-1 receptor binding, cellular contraction, [Ca²⁺]ᵢ mobilization, [³H]thymidine incorporation, MAP kinase activation and MTT reduction induced by ET-1. However, BQ-123 didn’t inhibit angiotensin II (Ang II)- and arginine vasopressin (AVP)-induced increases in MAP kinase activity and [Ca²⁺]ᵢ mobilization [2].

In spontaneously hypertensive rats (SHR), renin hypertensive rats and normotensive rats, BQ-123 (16 nM/kg/min) reduced mean arterial pressure in a dose-dependent way in SHR. Also, BQ-123 lowered blood pressure in both renin hypertensive rats and normotensive rats [3]. In a kidney transplantation rat model with reperfusion injury, BQ-123 prevented reperfusion injury and inhibited the synthesis and release of ET-1,2 [4].

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. Shortterm 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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