

Product Data Sheet

Product Name: BQ-123
Cat. No.: GC15887

Chemical Properties

Cas. No. 136553-81-6

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

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

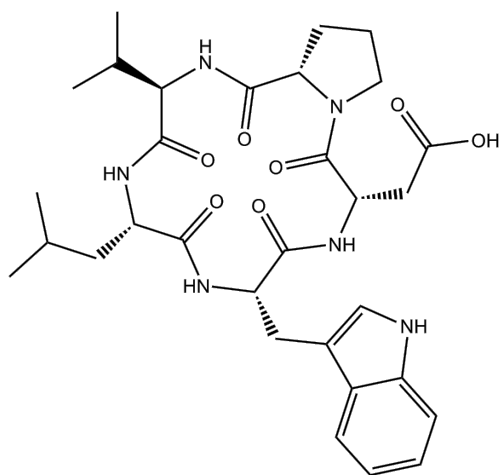
Formula $C_{31}H_{42}N_6O_7$ M.Wt 611

Solubility DMF: 1 mg/ml, DMSO: 20 mg/ml, DMSO:PBS (pH 7.2) (1:2): 0.33 mg/ml, PBS (pH 7.2): slightly
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.

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

Structure



Protocol

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

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Cell experiment [1]:

Cell lines Rat brain primary cultures

Preparation Method Astroglia-rich primary cultures were prepared from the brains of newborn Wistar rats. Cells (1×10^6) were seeded in culture dishes containing glass coverslips. The cells were cultured in 90% DMEM containing 10% FCS, 20mg/ml streptomycin sulfate and 20U/ml penicillin G in an incubator containing a humidified air/10% CO₂ atmosphere at 37°C until 5 days. At this time the medium was replaced by DMEM containing EPO (erythropoietin β , NeoRecormon 5U/ml cell culture medium) or/and 12mM BQ-123(dissolved in PBS). The cell cultures were incubated for 48h under normoxic condition (NC) and hypoxic conditions (HC). The tubulin β -III-positive cells from three experiments (six fields on each coverslip) were manually counted.

Reaction
Conditions 12mM; 48h

Applications Rat brain primary cultures exposed to BQ-123 and/or EPO revealed an increase in the number of β -III tubulin-positive neurons under NC. The hypoxia-caused loss of neurons was abolished by administration of BQ-123 or EPO.

Animal experiment [2]:

Animal models Male Wistar albino rats

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Rats were randomly assigned to 3 groups: Group 1 (control): untreated, sham-operated rats, group 2 (PTZ): animals treated with a single 50 mg/kg intraperitoneal administration of pentylenetetrazole (PTZ), and group 3 (PTZ+BQ-123): animals treated with single 50mg/kg i.p. administration of PTZ and 3mg/kg intravenous injection of BQ-123, given 15min before PTZ. Under Preparation Method ether anesthesia, the animals were killed 30min after the administration of PTZ. Their brains were removed, put on a cold surface, divided into two halves with surgical knife by dissected along the corpus callosum, and then rinsed in cold saline solution. The right brain hemispheres were used for histological examination. The left brain tissue was stored at –80°C until biochemical analyses.

Dosage form 3mg/kg/day; i.v.

Applications The time of epileptic seizures in rats in the BQ-123 group was significantly delayed, and only one rat had a major epileptic seizure. BQ-123 treatment significantly reversed the oxidative effect of PTZ on brain tissue. Chromatin increased in neurons in the hippocampal gyrus dentatus region of rats in the BQ-123 group.

References:

- [1]Danielyan L, Mueller L, Proksch B, et al. Similar protective effects of BQ-123 and erythropoietin on survival of neural cells and generation of neurons upon hypoxic injury[J]. European journal of cell biology, 2005, 84(11): 907-913.
- [2]Erdogan H, Ekici F, Katar M, et al. The protective effects of endothelin-A receptor antagonist BQ-123 in pentylenetetrazole-induced seizure in rats[J]. Human & experimental toxicology, 2014, 33(10): 1008-1016.

Background

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BQ-123 is a highly potent and selective endothelin A (ETA) receptor antagonist with an IC₅₀ value of 7.3nM and a K_i value of 25nM^[1]. BQ-123 is a cyclic pentapeptide first isolated from the fermentation broth of *Streptomyces misakiensis* in 1991^[2]. BQ123 can effectively reverse ischemic acute renal failure and increase the reabsorption of sodium ions by proximal tubular cells^[3].

In vitro, BQ-123 (12mM) treatment of rat brain primary cultures for 48h increased the number of β -III tubulin-positive neurons under normoxic conditions and reduced neuronal loss under hypoxic conditions^[4].

In vivo, BQ-123 (3mg/kg) was intravenously injected into rats with pentylenetetrazol (PTZ)-induced tonic-clonic epilepsy, significantly delayed the onset of epilepsy in rats, significantly reversed the oxidative effects of PTZ on brain tissue, and increased neuronal chromatin in the dentate gyrus of the hippocampus^[5]. BQ-123 (0.16-164nM/kg/min) was treated with continuous intravenous infusion for 6h in spontaneously hypertensive rats (SHR) and reduced mean arterial pressure in a dose-dependent manner^[6].

References:

- [1] Ihara M, Ishikawa K, Fukuroda T, et al. In vitro biological profile of a highly potent novel endothelin (ET) antagonist BQ-123 selective for the ETA receptor[J]. Journal of cardiovascular pharmacology, 1992, 20: S11-S14.
- [2] Peishoff C E, Janes R W, Wallace B A. Comparison of the structures of the endothelin A receptor antagonists BQ123 and N-methyl leucine BQ123 with the crystal structure of the C-terminal tail of endothelin-1[J]. FEBS letters, 1995, 374(3): 379-383.
- [3] Sheridan A M, Bonventre J V. Pathophysiology of ischemic acute renal failure[J]. Blood Purification in Intensive Care, 2001, 132: 7-21.
- [4] Danielyan L, Mueller L, Proksch B, et al. Similar protective effects of BQ-123 and erythropoietin on survival of neural cells and generation of neurons upon hypoxic injury[J]. European journal of cell biology, 2005, 84(11): 907-913.
- [5] Erdogan H, Ekici F, Katar M, et al. The protective effects of endothelin-A receptor antagonist BQ-123 in pentylenetetrazole-induced seizure in rats[J]. Human & experimental toxicology, 2014, 33(10): 1008-1016.
- [6] Douglas S A, Gellai M, Ezekiel M, et al. BQ-123, a selective endothelin subtype A-receptor antagonist, lowers blood pressure in different rat models of hypertension[J]. Journal of hypertension, 2000, 18(12): 1400-1408.

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1994, 12(5): 561-568.

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