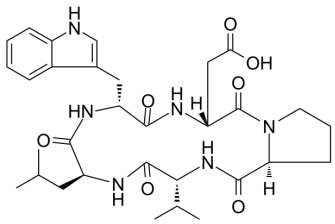
BQ-123

Cat. No.:	HY-12378
CAS No.:	136553-81-6
Molecular Formula:	$C_{31}H_{42}N_6O_7$
Molecular Weight:	610.7
Target:	Endothelin Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (81.87 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6375 mL	8.1873 mL	16.3747 mL
	5 mM	0.3275 mL	1.6375 mL	3.2749 mL
	10 mM	0.1637 mL	0.8187 mL	1.6375 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (8.19 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (8.19 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (8.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BQ-123 is a potent and selective endothelin A (ETA) receptor antagonist with an IC_{50} of 7.3 nM and a K_i of 25 nM. BQ-123 inhibits endothelin-1-mediated proliferation of human pulmonary artery smooth muscle cells and lowers blood pressure in different rat models of hypertension[1][2][3].

IC_{50} & Target

IC50: 7.3 nM (Endothelin A receptors)[1]
Ki: 25 nM (Endothelin A receptors)[2]

In Vivo

Sustained infusions of BQ-123 (0.16-164 nmol/kg per min, intravenously, for 6 h) produces dose-dependent reductions in mean arterial pressure in spontaneously hypertensive rats (SHR), the maximal reduction being obtained with a dose of 16 nmol/kg per min[4].

BQ-123 (3 mg/kg; i.v.; given 15 minutes before pentylenetetrazole (PTZ)) impedes the formation and spread of seizure to a great degree in PTZ (50 mg/kg; i.p.) +BQ-123 groups[5].

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

Animal Model:	Male Wistar albino rats[5]
Dosage:	3 mg/kg
Administration:	Intravenous injection; given 15 minutes before PTZ
Result:	Number of rats with major seizure decreased.

CUSTOMER VALIDATION

- PLoS Pathog. 2020 Oct 19;16(10):e1008947.

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REFERENCES

[1]. Ihara M, et al. In vitro biological profile of a highly potent novel endothelin (ET) antagonist BQ-123 selective for the ETA receptor. J Cardiovasc Pharmacol. 1992;20 Suppl 12:S11-S14.

[2]. Sakamoto A, et al. Distinct subdomains of human endothelin receptors determine their selectivity to endothelinA-selective antagonist and endothelinB-selective agonists. J Biol Chem. 1993 Apr 25;268(12):8547-53.

[3]. Erdogan H et al. The protective effects of endothelin-A receptor antagonist BQ-123 in pentylenetetrazole-induced seizure in rats. Hum Exp Toxicol, 2014 Oct, 33(10):1008-16.

[4]. Zamora MA, et al. BQ123, an ETA receptor antagonist, inhibits endothelin-1-mediated proliferation of human pulmonary artery smooth muscle cells. Am J Respir Cell Mol Biol. 1993;9(4):429-433.

[5]. Douglas SA, et al. BQ-123, a selective endothelin subtype A-receptor antagonist, lowers blood pressure in different rat models of hypertension. J Hypertens. 1994;12(5):561-567.

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