BQ-123 TFA

Cat. No.: HY-12378A

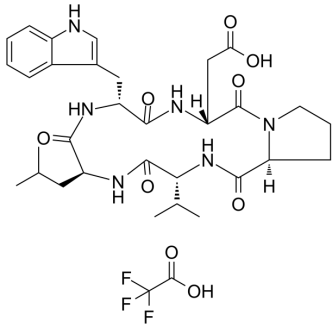
Molecular Formula: $C_{33}H_{43}F_3N_6O_9$

Molecular Weight: 724.72

Target: Endothelin Receptor

Pathway: GPCR/G Protein

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	BQ-123 TFA 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 TFA 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]. 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.

[4]. 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.

[5]. 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.

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