Data Sheet (Cat.No.T10595L)

TargetMol

BQ-788 sodium salt

Chemical Properties

CAS No. : 156161-89-6

Formula: C34H51N5NaO7

Molecular Weight: 664.80

Storage: Keep away from direct sunlight,Store under nitrogen
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	BQ-788 sodium salt is a potent and selective antagonist of the Endothelin receptor type B, inhibiting the binding of Endothelin-1 to the receptor at nanomolar concentrations (reported IC_{50} ≈ 1.2 nM).
Targets(IC50)	Endothelin Receptor
In vitro	BQ-788 sodium salt potently and competitively inhibits 125I-labeled ET-1 binding to ETB receptors in human Girrardi heart cells (hGH) with an IC50 of 1.2 nM, while poorly inhibiting ETA receptor binding in human neuro-blastoma cell line SK-N-MC cells (IC50, 1300 nM). BQ-788 sodium salt inhibits ET-1 bioactivities, including bronchoconstriction, cell proliferation, and clearance of perfused ET-1[1], and shows no agonistic activity up to 10 μM. BQ-788 sodium salt competitively inhibits vasoconstriction induced by an ETB-selective agonist (pA2, 8.4).
In vivo	Administered intravenously at a dosage of 3 mg/kg/h, BQ-788 sodium salt effectively blocks the ETB receptor-mediated depressor responses induced by pharmacological levels of ET-1 or sarafotoxin6c (0.5 nmol/kg) in conscious rats, without affecting pressor responses. In Dahl salt-sensitive hypertensive rats, this dosage of BQ-788 sodium salt Results: in a significant increase in blood pressure, approximately 20 mm Hg. BQ-788 sodium salt is noted to inhibit ET-1-induced bronchoconstriction, tumor proliferation, and lipopolysaccharide-triggered organ failure [1]. BQ-788 sodium salt shifts the ET-1 dose-response curve eightfold to the left, highlighting a substantial role of ETB dilator receptors [2]. BQ-788 sodium salt significantly raises plasma ET-1 levels, indicating its potential as an ETB receptor blocker in vivo. In mice, intraplantar administration of 30 nmol BQ-788 sodium salt reduces mechanical and thermal hyperalgesia, oedema, and myeloperoxidase activity by significant margins, alongside diminishing overt pain-like behaviors.

Solubility Information

Solubility	DMSO: 20.00 mg/mL (30.08 mM),Sonication is recommended. H2O: 40.00 mg/mL (60.17 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.30 mg/mL (4.96 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5042 mL	7.5211 mL	15.0421 mL
5 mM	0.3008 mL	1.5042 mL	3.0084 mL
10 mM	0.1504 mL	0.7521 mL	1.5042 mL
50 mM	0.0301 mL	0.1504 mL	0.3008 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Okada M, et al. BQ-788, a selective endothelin ET(B) receptor antagonist. Cardiovasc Drug Rev. 2002 Winter;20(1): 53-66.

Sargent CA, et al. Effect of endothelin antagonists with or without BQ 788 on ET-1 responses in pithed rats. J Cardiovasc Pharmacol. 1995;26 Suppl 3:S216-8.

Fattori V, et al. Differential regulation of oxidative stress and cytokine production by endothelin ETA and ETB receptors in superoxide anion-induced inflammation and pain in mice. J Drug Target. 2016 Oct 5:1-27

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