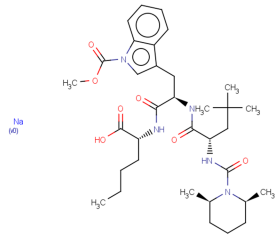
Data Sheet (Cat.No.T10595L)

TargetMol

BQ-788 sodium salt

Chemical Properties



CAS No. : 156161-89-6

Formula: C34H51N5NaO7

Molecular Weight: 664.8

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	BQ-788 sodium salt is a potent and selective antagonist of ETB receptor [ETB receptors] with an IC50 of 1.2 nM in human Girrardi heart cells.
Targets(IC50)	Others
In vitro	BQ-788 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). It inhibits ET-1 bioactivities, including bronchoconstriction, cell proliferation, and clearance of perfused ET-1[1], and shows no agonistic activity up to 10 μM. Additionally, BQ-788 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 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 results in a significant increase in blood pressure, approximately 20 mm Hg. Moreover, BQ-788 is noted to inhibit ET-1-induced bronchoconstriction, tumor proliferation, and lipopolysaccharide-triggered organ failure. It notably shifts the ET-1 dose-response curve eightfold to the left, highlighting a substantial role of ETB dilator receptors. Additionally, BQ-788 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 reduces mechanical and thermal hyperalgesia, oedema, and myeloperoxidase activity by significant margins, alongside diminishing overt pain-like behaviors. Likewise, intraplantar interventions with either clazosentan or BQ-788 lower superoxide anion production and lipid peroxidation in both spinal and peripheral contexts.

Solubility Information

Solubility	DMSO: 43 mg/mL (64.68 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

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.

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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