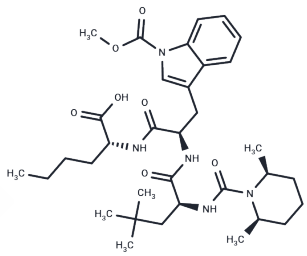
Data Sheet (Cat.No.T10595)

TargetMol

BQ-788

Chemical Properties



CAS No. : 173326-37-9

Formula: C34H51N5O7

Molecular Weight: 641.8

Storage: 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 is a selective and potent ETB receptor antagonist with potential hypertensive activity.BQ-788 inhibits ET-1 binding to ETB receptors and inhibits exogenous ET-1-induced elevation of coronary artery perfusion pressure.
Targets(IC50)	Endothelin Receptor
In vitro	BQ-788 potently and competitively inhibits the binding of (125I-labeled ET-1) to ETB receptors in human Girardi heart cells (hGH) with an IC50 of 1.2 nM, but poorly inhibits the binding to ETA receptors in human neuroblastoma cells (SK-N-MC) with an IC50 of 1300 nM. Up to 10 μM, BQ-788 shows no agonistic activity and competitively inhibits vasoconstriction induced by an ETB-selective agonist (pA2, 8.4). Additionally, BQ-788 inhibits several bioactivities of ET-1, including bronchoconstriction, cell proliferation, and clearance of perfused ET-1[1].
In vivo	In conscious rats, BQ-788 (3 mg/kg/h, i.v.) completely inhibits ETB receptor-mediated depressor responses induced by a pharmacological dose of ET-1 or sarafotoxin6c (0.5 nmol/kg, i.v.), but not pressor responses. Additionally, BQ-788 markedly increases the plasma concentration of ET-1, considered an index of potential ETB receptor blockade in vivo. In Dahl salt-sensitive hypertensive (DS) rats, BQ-788 (3 mg/kg/h, i.v.) raises blood pressure by about 20 mm Hg. It is reported that BQ-788 also inhibits ET-1-induced bronchoconstriction, tumor growth, and lipopolysaccharide-induced organ failure[1]. BQ 788 (3 mg/kg) results in an eightfold leftward shift in the ET-1 dose-response curve, suggesting significant involvement of ETB dilator receptors[2]. In mice treated with 30 nmol BQ-788 by intraplantar administration, there is a reduction in mechanical hyperalgesia (47% and 42%), thermal hyperalgesia (68% and 76%), oedema (50% and 30%), myeloperoxidase activity (64% and 32%), and overt-pain like behaviors. Additionally, intraplantar treatment with clazosentan or BQ-788 decreases spinal (45% and 41%) and peripheral (47% and 47%) superoxide anion production as well as spinal (47% and 47%) and peripheral (33% and 54%) lipid peroxidation, respectively[3].

Solubility Information

Solubility	DMSO: 150 mg/mL (233.72 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+90% Corn Oil: 5 mg/mL (7.79 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	1.5581 mL	7.7906 mL	15.5812 mL
5 mM	0.3116 mL	1.5581 mL	3.1162 mL
10 mM	0.1558 mL	0.7791 mL	1.5581 mL
50 mM	0.0312 mL	0.1558 mL	0.3116 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

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