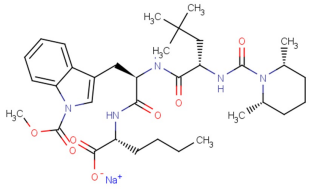
Data Sheet (Cat.No.T10595L)

TargetMol
A DRUG SCREENING EXPERT

BQ-788 sodium salt

Chemical Properties



CAS No.:	156161-89-6
Formula:	C34H50N5NaO7
Molecular Weight:	663.78
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

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(IC_{50})	ETB: 1.2 nM
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. However, it only poorly inhibits the binding to ETA receptors in human neuro-blastoma cell line SK-N-MC cells (IC50, 1300 nM). BQ-788 also inhibits several bioactivities of ET-1, such as bronchoconstriction, cell proliferation, and clearance of perfused ET-1[1]. BQ-788 shows no agonistic activity up to 10 μM and competitively inhibits thevasoconstriction induced by an ETB-selective agonist (pA2, 8.4).
In vivo	BQ-788 (3 mg/kg/h, i.v.) completely inhibits a pharmacological dose of ET-1- or sarafotoxin6c (0.5 nmol/kg, i.v.)-induced ETB receptor-mediated depressor, but not pressor responses in conscious rats. In Dahl salt-sensitive hypertensive (DS) rats, BQ-788 (3 mg/kg/h, i.v.) increases blood pressure by about 20 mm Hg. It is reported that BQ-788 also inhibits ET-1-induced bronchoconstriction, tumor growth and lipopolysaccharide-induced organfailure[1]. BQ 788 (3 mg/kg) results in an eightfold leftward shift in the ET-1 dose-response curve, suggesting a significant involvement of ETB dilator receptors[2]. BQ-788 markedly increases the plasma concentration of ET-1, which is considered an index of potential ETB receptor blockade in vivo. Mice are treated with 30 nmol BQ-788 by intraplantar, reduce mechanical hyperalgesia (47% and 42%), thermal hyperalgesia (68% and 76%), oedema (50% and 30%); myeloperoxidase activity (64% and 32%), and overt-pain like behaviours. 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: 43 mg/mL (64.78 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.507 mL	7.533 mL	15.065 mL
5 mM	0.301 mL	1.507 mL	3.013 mL
10 mM	0.151 mL	0.753 mL	1.507 mL
50 mM	0.03 mL	0.151 mL	0.301 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Okada M, et al. BQ-788, a selective endothelin ET(B) receptor antagonist. Cardiovasc Drug Rev. 2002 Winter;20(1):53-66.
2. 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.
3. 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

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481