BQ-788 sodium salt

Cat. No.: HY-15894

CAS No.: 156161-89-6

Molecular Formula: $C_{34}H_{50}N_5NaO_7$

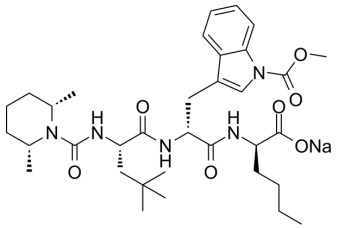
Molecular Weight: 663.78

Target: Endothelin Receptor

Pathway: GPCR/G Protein

Storage: -20°C, protect from light, stored under nitrogen

* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 30 mg/mL (45.20 mM; ultrasonic and warming and heat to 60°C)

H_2O : 20 mg/mL (30.13 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.5065 mL	7.5326 mL	15.0652 mL
5 mM	0.3013 mL	1.5065 mL	3.0130 mL
10 mM	0.1507 mL	0.7533 mL	1.5065 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 3 mg/mL (4.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (4.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (4.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BQ-788 sodium salt is a potent and selective ETB receptor antagonist, inhibiting ET-1 binding to ETB receptors with an IC_{50} of 1.2 nM in human Girrardi heart cells[1].

IC_{50} & Target

ET_B

In Vitro

BQ-788 potently and competitively inhibits ^{125}I-labeled ET-1 binding to ETB receptors in human Girrardi heart cells with an IC_{50} of 1.2 nM, but only poorly inhibits the binding to ETA receptors in human neuro-blastoma cell line SK-N-MC cells (IC_{50}, 1300 nM). BQ-788 shows no agonistic activity up to 10 μM and competitively inhibits thevasoconstriction induced by an ETB-

	selective agonist (pA2, 8.4). BQ-788 also inhibits several bioactivities of ET-1, such as bronchoconstriction, cell proliferation, and clearance of perfused ET-1[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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. Furthermore, BQ-788 markedly increases the plasma concentration of ET-1, which is 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.) 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]. 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Environ Pollut. 2016 Nov;218:487-496.
- Environ Pollut. 2016 Feb;209:11-20.
- PLoS Pathog. 2020 Oct 19;16(10):e1008947.
- Cells. 2021, 10(11), 3072.
- Environ Sci Pollut Res Int. 2018 May;25(15):14713-14725.

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REFERENCES

[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

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