Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

BQ-788 (sodium salt)

Catalog No: tcsc2685

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Specifications

CAS No:
156161-89-6

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

Pathway:
GPCR/G Protein

Target:
Endothelin Receptor

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 43 mg/mL (64.78 mM)

Observed Molecular Weight:
663.78

Product Description

BQ-788 (sodium salt) is a potent, selective **ETB receptor** antagonist with **IC_{50}** of 1.2 nM for inhibition of ET-1 binding to human Girardi heart cells, poorly inhibiting the binding to ETA receptors in human neuroblastoma cell line SK-N-MC cells with **IC_{50}** of 1300 nM.

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

IC50 & Target: IC50: 1.2 nM (ETB)

In Vitro: BQ-788 potently and competitively inhibits ^{125}I-labeled ET-1 binding to ETB receptors in human Girrardi heart cells (hGH) 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].

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].