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产品名称：BQ-788 (sodium salt)
产品别名：BQ-788 sodium salt

生物活性：

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.
IC_{50} & 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].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 43 mg/mL (64.78 mM)** * "≥" means soluble, but saturation unknown.

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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