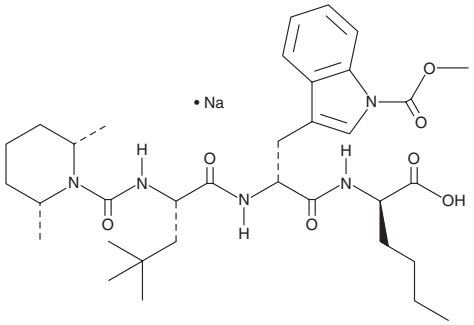
PRODUCT INFORMATION

BQ-788 (sodium salt)

Item No. 17403



CAS Registry No.:	156161-89-6
Formal Name:	N-[[(2R,6S)-2,6-dimethyl-1-piperidinyl]carbonyl]-4-methyl-L-leucyl-1-(methoxycarbonyl)-D-tryptophyl-D-norleucine, monosodium salt
MF:	$C_{34}H_{51}N_5O_7 \bullet Na$
FW:	664.8
Purity:	≥95%
UV/Vis.:	λ_{max}: 229, 258, 286, 294 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BQ-788 (sodium salt) is supplied as a solid. A stock solution may be made by dissolving the BQ-788 (sodium salt) in the solvent of choice, which should be purged with an inert gas. BQ-788 (sodium salt) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of BQ-788 (sodium salt) in these solvents is approximately 30 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of BQ-788 (sodium salt) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of BQ-788 (sodium salt) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

BQ-788 is a peptide endothelin type B (ET_B) receptor antagonist (IC_{50} = 1.2 nM).[2] It is selective for ET_B over ET_A receptors (IC_{50} = 280 nM), as well as angiotensin, calcitonin, or neuropeptide receptors at 10 µM. BQ-788 inhibits calcium mobilization induced by endothelin-1 (ET-1) in human Girrardi heart cells (IC_{50} = 0.54 nM) and vasoconstriction induced by the ET_B-selective agonist BQ-3020 in isolated rat pulmonary arteries (pA_2 = 8.4).[1] *In vivo*, BQ-788 (1 mg/kg, i.v.) inhibits ET-1-induced depressor responses and induces pressor responses in rats. It protects against laparotomy and surgical gut handling-induced inhibition of intestinal motility in rats.[3] BQ-788 also attenuates delayed hypotension, vascular hyporeactivity to norepinephrine, and hepatocellular injury and dysfunction in a rat model of LPS-induced endotoxemia.[4]

References

1. Ishikawa, K., Ihara, M., Noguchi, K., *et al.* Biochemical and pharmacological profile of a potent and selective endothelin B-receptor antagonist, BQ-788. *Proc. Natl. Acad. Sci. USA* **91(11)**, 4892-4896 (1994).
2. Okada, M. and Nishikibe, M. BQ-788, a selective endothelin ET_B receptor antagonist. *Cardiovasc. Drug Rev.* **20(1)**, 53-66 (2002).
3. Ługowska-Umer, H., Umer, A., Kuziemski, K., *et al.* The protective effect of endothelin receptor antagonists against surgically induced impairment of gastrointestinal motility in rats. *J. Smooth Muscle Res.* **55**, 23-33 (2019).
4. Ruetten, H. and Thiemermann, C. Effect of selective blockade of endothelin ET_B receptors on the liver dysfunction and injury caused by endotoxaemia in the rat. *Br. J. Pharmacol.* **119(3)**, 479-486 (1996).

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