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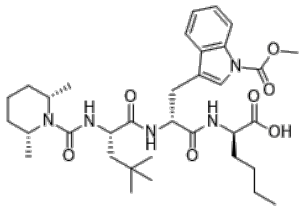
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BQ-788
Cat. No.	:	PC-23820
CAS No.	:	173326-37-9
Molecular Formula	:	$C_{34}H_{51}N_5O_7$
Molecular Weight	:	641.81
Target	:	Endothelin Receptor
Solubility	:	10 mM in DMSO



Biological Activity

BQ-788 is a potent, selective endothelin-B receptor (ETB) antagonist with IC50 of 1.2 nM for inhibition of ET-1 binding to human Girardi heart cells, >1000-fold selective over ETA receptor.
BQ-788 poorly inhibits the binding to ETA receptors on human neuroblastoma cell line SK-N-MC cells (IC50, 1300 nM).
BQ-788 shows no agonist activity up to 10 microM in isolated rabbit pulmonary arteries.
BQ-788 competitively antagonizes the vasoconstriction induced by an ETB-selective agonist, BQ-3020 (pA2, 8.4).
BQ-788 (1 mg/kg, i.v.) abolishes the depressor response, resulting in a rapid onset of apparently enhanced pressor response in rats.

References

Fukuroda T, et al. Biochem Biophys Res Commun. 1994 Mar 30;199(3):1461-5.

Ishikawa K, et al. Proc Natl Acad Sci U S A. 1994 May 24;91(11):4892-6.

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