Product Data Sheet

Product Name:	BQ-3020
Cat. No.:	GC14416

Chemical Properties

Cas. No.	143113-45-5		
Chemical Name	(2S,3Z,5S,6Z,8S,9Z,11S,12Z,14S,15Z,17S,18Z,20S,21Z,23S,24Z,26S,27Z,29S,30Z,32S,33Z,35S,36Z,38S,39Z,41S)-17-((1H-imidazol-5-yl)methyl)-2-((1H-indol-3-yl)methyl)-38-(4-aminobutyl)-23-benzyl-5,8-di((S)-sec-butyl)-35-(2-carboxyethyl)-11-(carboxymethyl)-4,7,10		
SMILES	CC[C@](C@@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/C)([H])CC(C)C)([H])CCSC)([H])CC(O)=O)([H])CCCCN)([H]		
Formula	$C_{96}H_{140}N_{20}O_{25}S$	M.Wt	2006.32
Solubility	Soluble to 0.50 mg/ml in sodium bicarbonate (0.03M)	Storage	Desiccate at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	BQ-3020		

Background

BQ-3020 is a linear endothelin (ET) analog that is highly selective for ETB receptors. It displaces [125I] ET-1 binding to ETB receptors in porcine cerebellar membranes with an IC50 value of 0.2 nM [1].

ET-1, a 21-amino acid peptide, is one of known isoforms of endothelin (ET-1, ET-2, ET-3), it has strong vasoconstrictor and mitogenic activity. ETB receptor is one of endothelin receptors (i.e. ETA and ETB). ETB receptor has nearly the same affinity for all endothelin isoforms [2].

BQ-3020 displaced [125I] ET-1 binding to ETB receptors in porcine cerebellar membranes at a concentration 4,700 times lower than that to ETA receptors (selective to ET-1) on aortic vascular smooth muscle cells (IC50: 940 nM) [1].

In eight healthy men aged from 20-28 years, the local response of Sarafotoxin S6c (SFTX6c) (5 pmol/min) or BQ-3020 (50 pmol/min) to intra-arterial or intravenous infusion was assessed. Comparing these studies, there was no significant difference between baseline measurements. All the effects were just in the infused arm. Following intra-arterial infusion, both SFTX6c and BQ-3020 produced vasoconstriction indicated by the reduction in forearm blood flow (-25±7% and -27±7%, respectively). Following intravenous infusion, both SFTX6c and BQ-3020 produced a vein diameter reduction (-30±8% and -16±7%, respectively) [3].

References:
[1]. Ihara M, Saeki T, Fukuroda T, et al. A novel radioligand [125I]BQ-3020 selective for endothelin (ETB) receptors. Life Sci., 1992, 51(6):PL47-52.
[2]. Seo B, Oemar BS, Siebenmann R, et al. Both ETA and ETB receptors mediate contraction to endothelin-1 in human blood vessels. Circulation, 1994, 89(3):1203-8.
[3]. Strachan FE, Crockett TR, Mills NL, et al. Constriction to ETB receptor agonists, BQ-3020 and sarafotoxin S6c, in human resistance and capacitance vessels in vivo. Br J Clin Pharmacol., 2000, 50(1):27-30.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA