BIBS 39

Cat. No.: HY-19732

CAS No.: 133085-33-3

Molecular Formula: $C_{32}H_{36}N_4O_3$

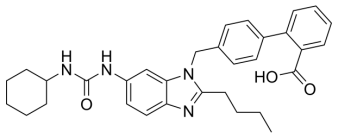
Molecular Weight: 524.65

Target: Angiotensin Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 32 mg/mL (60.99 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent, Mass	1 mg	5 mg	10 mg
1 mM	1.9060 mL	9.5302 mL	19.0603 mL
5 mM	0.3812 mL	1.9060 mL	3.8121 mL
10 mM	0.1906 mL	0.9530 mL	1.9060 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 1.25 mg/mL (2.38 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.25 mg/mL (2.38 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (2.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BIBS 39 is a new nonpeptide angiotensin II (AII) receptor antagonist.Target: Angiotensin Receptorin vitro: BIBS 39 displaces [125I] AII from its specific binding sites with a Ki value of 29 ± 7 nM for the AII subtype 1 (AT1) receptor and a Ki value of 480 ± 110 nM for the AII subtype 2 (AT2) receptor. BIBS 222 shows a Ki value of 20 ± 7 nM for the AT1 subtype and a Ki value of 730 ± 170 nM for the AT2 subtype. BIBS 39 is 17 times more selective for the AT1 subtype and BIBS 222 37 times. BIBS 39 shifts the AII concentration-contractile response curves in isolated rabbit aorta to the right in a parallel fashion. [1]in vivo: In pithed rats, BIBS 39 dependently shifts the dose-response curve of AII to the right without affecting the maximal response. BIBS 222

	also causes parallel shifts to the right but a significant reduction of the maximal responses was observed at 3 and 10 mg/kg i.v. These results show that the benzimidazole derivatives BIBS 39 is a potent and selective AII receptor antagonists. Substitution with a benzimidazole moiety results into a considerable loss of selectivity for the AT1 receptor subtype compared with an imidazole moiety as, for instance, in DuP 753.[1] BIBS 39 is a new nonpeptide angiotensin receptor blockers that has affinity for both AT1- and AT2-receptors, is also a potent antagonist of the cardiovascular effects of AII in pithed rabbits. [2]
IC_{50} & Target	AT2 Receptor AT1 Receptor

REFERENCES

[1]. Zhang J, et al. Characterization of BIBS 39 and BIBS 222: two new nonpeptide angiotensin II receptor antagonists. Eur J Pharmacol. 1992 Jul 21;218(1):35-41.

[2]. Zhang J, et al. Hemodynamic effects of angiotensin II and the influence of angiotensin receptor antagonists in pithed rabbits. J Cardiovasc Pharmacol. 1995 May;25(5):724-31.

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