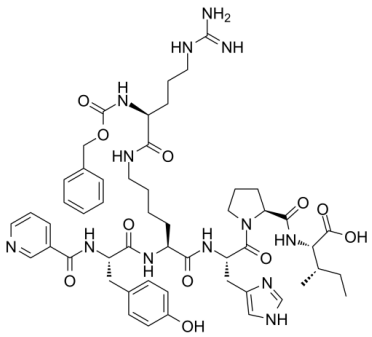
Data Sheet

Product Name:	CGP-42112
Cat. No.:	CS-3414
CAS No.:	127060-75-7
Molecular Formula:	C52H69N13O11
Molecular Weight:	1052.19
Target:	Angiotensin Receptor
Pathway:	GPCR/G Protein
Solubility:	DMSO : ≥ 350 mg/mL (332.64 mM)



BIOLOGICAL ACTIVITY:

CGP-42112(CGP-42112A) is a potent Angiotensin-II subtype 2 receptor(AT2 R) agonist. IC50 value: Target: AT2 R agonist in vitro: CGP42112 (>==1 nM) significantly inhibited cGMP production from the basal value. CGP42112 (>==1 nM) significantly inhibited TH-enzyme activity from the basal value. These inhibitory effects of CGP42112 on TH-enzyme activity and-cGMP production were abolished by PD123319 (AT(2)-R antagonist) while CV-11974 (AT(1)-R antagonist) was ineffective [1]. [125I]CGP 42112 bound selectively to the AT2 angiotensin II receptor subtype. [125I]CGP 42112 bound with higher affinity in the brain than in the adrenal. beta-Mercaptoethanol enhanced [125I]CGP 42112 binding in the brain, but did not alter its binding in the adrenal [2]. [125I]CGP 42112 bound with high affinity (Kd = 0.07-0.3 nM, depending on the area studied). [125I]CGP 42112 binding was selective for AT2 receptors, as determined by lack of competition with the AT1 ligand losartan, and competition by the AT2 ligands PD 123177 and unlabeled CGP 42112 and the non-selective peptides Ang II and angiotensin III (Ang III) [4]. in vivo: Intravenous infusions of CGP 42112 (0.1 and 1 mg kg-1 min-1) and PD 123319 (0.36 and 1 mg kg-1 min-1) shifted the upper limit of CBF autoregulation toward higher blood pressures without affecting baseline CBF [3].

References:

[1]. Takekoshi K, et al. Angiotensin-II subtype 2 receptor agonist (CGP-42112) inhibits catecholamine biosynthesis in cultured porcine adrenal medullary chromaffin cells. Biochem Biophys Res Commun. 2000 Jun 7;272(2):544-50.

[2]. Speth RC. [125I]CGP 42112 binding reveals differences between rat brain and adrenal AT2 receptor binding sites. Regul Pept. 1993 Mar 19;44(2):189-97.

[3]. Naveri L, et al. Angiotensin II AT2 receptor stimulation extends the upper limit of cerebral blood flow autoregulation: agonist effects of CGP 42112 and PD 123319. J Cereb Blood Flow Metab. 1994 Jan;14(1):38-44.

[4]. Heemskerk FM, et al. Quantitative autoradiography of angiotensin II AT2 receptors with [125I]CGP 42112. Brain Res. 1995 Apr 17;677(1):29-38.

CAIndexNames:

L-Isoleucine, N-(3-pyridinylcarbonyl)-L-tyrosyl-N6-[N2-[(phenylmethoxy)carbonyl]-L-arginyl]-L-lysyl-L-histidyl-L-prolyl-

SMILES:

CC[C@H](C)[C@@H](C(O)=O)NC([C@H]1N(C([C@H](CC2=CNC=N2)NC([C@H](CCCCNC([C@H](CCCNC(N)=N)NC(OCC3=CC=CC=C3)=O)=O)NC([C@H](CC4=CC=C(C=C4)O)NC(C5=CC=CN=C5)=O)=O)=O)=O)CCC1)=O

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA