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: