# CGP-42112

**Cat. No.:** HY-12405

**CAS No.:** 127060-75-7

**Molecular Formula:** $C_{52}H_{69}N_{13}O_{11}$

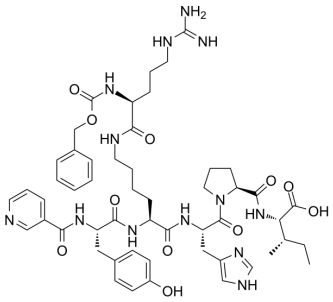
**Molecular Weight:** 1052.19

**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 : 100 mg/mL (95.04 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 0.9504 mL | 4.7520 mL | 9.5040 mL |
| | 5 mM | 0.1901 mL | 0.9504 mL | 1.9008 mL |
| | 10 mM | 0.0950 mL | 0.4752 mL | 0.9504 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: 2.5 mg/mL (2.38 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (2.38 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (2.38 mM); Clear solution; Need ultrasonic

## BIOLOGICAL ACTIVITY

**Description**

CGP-42112 (CGP-42112A) is a potent Angiotensin-II subtype 2 receptor(AT2 R) agonist[1].

**In Vitro**

CGP-42112 (≥1 nM) significantly inhibits cGMP production from the basal value. CGP-42112 (≥1 nM) significantly inhibits TH-enzyme activity from the basal value. These inhibitory effects of CGP-42112 on TH-enzyme activity and-cGMP production are abolished by PD123319 (AT(2)-R antagonist) while CV-11974 (AT(1)-R antagonist) is ineffective[1]. [$^{125}$I]CGP-42112 binds selectively to the AT2 angiotensin II receptor subtype. [$^{125}$I]CGP-42112 binds with higher affinity in the brain than in the adrenal. beta-Mercaptoethanol enhanced [$^{125}$I]CGP-42112 binding in the brain, but does not alter its binding in the adrenal [2]. [$^{125}$I]CGP-42112 binds with high affinity (Kd = 0.07-0.3 nM, depending on the area studied). [$^{125}$I]CGP-42112 binding is

| | |
|---|---|
| | 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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Cancer Cell. 2020 Jun 8;37(6):800-817.e7.
- Sci Rep. 2019 Dec 19;9(1):19450.

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## 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.





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