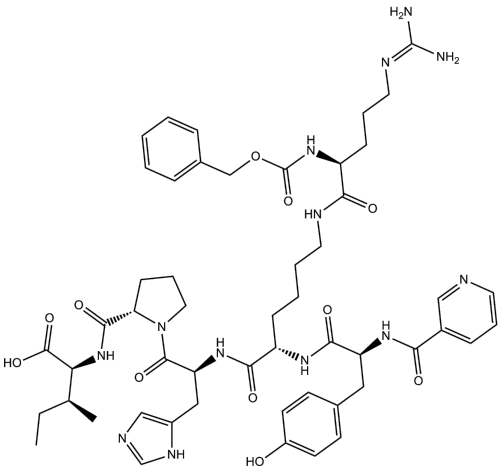
Product Data Sheet

Product Name: CGP 42112
Cat. No.: GC15406

Chemical Properties

Cas. No.	127060-75-7		
Formula	$C_{52}H_{69}N_{13}O_{11}$	M.Wt	1052.2
Solubility	DMF: 30 mg/ml,DMSO: 30 mg/ml,Ethanol: 30 mg/ml,PBS (pH 7.2): 10 mg/ml	Storage	Desiccate at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C 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



Protocol

Cell experiment [1]:

Cell lines NR8383 cells

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Preparation Method	Rat alveolar macrophages (NR8383) were cultured in F12K medium supplemented with 10% fetal bovine serum (FBS) and 1% penicillin/streptomycin. Cells were seeded on 6-well plates at a density of 4 × 10^6 cells/ml for Western blot, PCR and flow cytometry experiments. After 24h incubation, the cells were stimulated with DMSO medium, LPS (100ng/mL)、AT2R activator CGP 42112 (2nM)、AT2R inhibitor PD123319 (70nM) and AT1R inhibitor valsartan (100μM, CGP 48933) for 6h or 24h. In addition, the cells were mounted onto slides for fluorescent immunohistochemistry.
Reaction Conditions	2nM; 6h, 24h
Applications	The AT2R agonist CGP 42112 can weaken the effect of LPS, significantly reducing the expression of M1 polarization markers (including iNOS and TNF-α), and simultaneously inhibiting the expressions of p-p65, p50, p-ERK1/2 and p-IκBα.

Animal experiment [2]:

Animal models	Sprague-Dawley rats

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Preparation Method	Adjuvant-induced arthritis (AIA) rats were randomly assigned to the following treatments: losartan (5, 10 and 15mg/kg/day, orally, once daily), MTX (0.5mg/kg, intraperitoneally, per 3 days), and vehicle (NS). Sham-operated rats received the vehicle (NS). The treatment started on day 14 after immunization and continued until day 28. After 15 days of treatment, on day 28, all rats were anesthetized with the chloral hydrate and killed (n = 6 per group). The serum, paw and spleen were collected for further study. To study the effects of AT2R agonist on rats with AIA, CGP 42112 (5, 10 and 20μg/kg, once every 3 days, total three times) and triamcinolone acetonide (1mg/kg, once every 3 days, total three times) were administered by intra-articular injection into the left hind (non-injected) paws following the onset of the secondary arthritis (n = 7 per group). Normal and model rats received NS instead.
Dosage form	5, 10 and 20μg/kg, once every 3 days, total three times; intra-articular injection
Applications	Intra-articular injection of AIA rats with CGP 42112 (10 and 20μg/kg) significantly decreased the arthritis index of single left hind (non-injected) paw on days 22 and 26.

References:

[1]Zheng X, Xu Z, Xu L, et al. Angiotensin II Type 2 receptor inhibits M1 polarization and apoptosis of alveolar macrophage and protects against mechanical ventilation-induced lung injury[J]. Inflammation, 2025, 48(1): 165-180.
[2] Wang D, Hu S, Zhu J, et al. Angiotensin II type 2 receptor correlates with therapeutic effects of losartan in rats with adjuvant?induced arthritis[J]. Journal of cellular and molecular medicine, 2013, 17(12): 1577-1587.

Background

CGP 42112 is an effective angiotensin II subtype 2 receptor (AT2R) agonist [1]. AT2R plays a crucial role in the development of the kidney and exerts anti-proliferative, anti-fibrotic and pro-apoptotic effects in vivo [2]. CGP 42112 can be used for studies on isolated rat hearts and vascular endothelial function [3].

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In vitro, treatment of NR8383 cells with CGP 42112 (2nM) for 6-24 hours can weaken the effect of lipopolysaccharide (LPS) on the cells, significantly reduce the expression of M1 polarization markers (including iNOS and TNF-α), and inhibit the expression of p-p65, p50, p-ERK1/2 and p-IκBα [4]. CGP 42112 (0.1-100μM) treated the renal proximal tubule suspension (1mg/ml) at 37°C for 30 minutes can inhibit NKA activity in a dose-dependent manner and increase the accumulation of cGMP in the proximal tubules [5].

In vivo, CGP 42112 (5, 10 and 20μg/kg, once every 3 days, total three times) administered by intra-articular injection to rats can significantly reduce the arthritis index of a single left hind paw. CGP 42112 can improve the histological signs of arthritis rats [6]. CGP 42112 (1mg/kg; once; i.p.) administered to ATla-deficient mice has no effect on the plasma aldosterone and corticosterone levels of the mice [7].

References:
[1] Takekoshi K, Ishii K, Isobe K, et al. Angiotensin-II subtype 2 receptor agonist (CGP-42112) inhibits catecholamine biosynthesis in cultured porcine adrenal medullary chromaffin cells[J]. Biochemical and Biophysical Research Communications, 2000, 272(2): 544-550.
[2] Elbaz N, Bedecs K, Masson M, et al. Functional trans-inactivation of insulin receptor kinase by growth-inhibitory angiotensin II AT2 receptor[J]. Molecular Endocrinology, 2000, 14(6): 795-804.
[3] Raffai G, Durand M J, Lombard J H. Acute and chronic angiotensin-(1–7) restores vasodilation and reduces oxidative stress in mesenteric arteries of salt-fed rats[J]. American Journal of Physiology-Heart and Circulatory Physiology, 2011, 301(4): H1341-H1352.
[4] Zheng X, Xu Z, Xu L, et al. Angiotensin II Type 2 receptor inhibits M1 polarization and apoptosis of alveolar macrophage and protects against mechanical ventilation-induced lung injury[J]. Inflammation, 2025, 48(1): 165-180.
[5] Hakam A C, Hussain T. Angiotensin II AT2 receptors inhibit proximal tubular Na+-K+-ATPase activity via a NO/cGMP-dependent pathway[J]. American Journal of Physiology-Renal Physiology, 2006, 290(6): F1430-F1436.
[6] Wang D, Hu S, Zhu J, et al. Angiotensin II type 2 receptor correlates with therapeutic effects of losartan in rats with adjuvant-induced arthritis[J]. Journal of cellular and molecular medicine, 2013, 17(12): 1577-1587.
[7] Naruse M, Tanabe A, Sugaya T, et al. Deferential roles of angiotensin receptor subtypes in adrenocortical function in mice[J]. Life sciences, 1998, 63(18): 1593-1598.

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