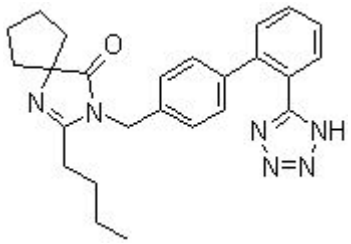
Product Introduction

Irbesartan

Irbesartan (SR-47436, BMS-186295) is a highly potent and specific **angiotensin II type 1 (AT1)** receptor antagonist with **IC50** of 1.3 nM.

Technical Data:

Molecular Weight (MW):	428.53	
Formula:	$C_{25}H_{28}N_6O$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 1 mg/mL	
	Water <1 mg/mL	
	Ethanol 3 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	138402-11-6	

Biological Activity

Irbesartan competes with angiotensin II (AII) for binding at the AT1 receptor subtype and antagonizes AII-induced contraction in rabbit aorta ring with IC50 of 4 nM. Irbesartan has no affinity for AT2 receptors. [1] Irbesartan (10 μM) blocks angiotensin II induced increase in α_v, β_1, β_3, and β_5 integrins, osteopontin, and α-actinin mRNA and protein levels in rat cardiac fibroblasts, leading to the decrease of cell attachment to extracellular matrix (ECM) proteins. [2] Irbesartan treatment markedly induces the expression of the adipogenic marker gene adipose protein 2 (aP2) in 3T3-L1 cells in a concentration-dependent manner

with EC50 of 3.5 μM and 3.3-fold induction at the concentration of 10 μM. Irbesartan (10 μM) markedly induces transcriptional activity of the peroxisome proliferator–activated receptor-γ (PPARγ) by 3.4-fold independent of its AT1 receptor blocking action. [3] Pretreatment with Irbesartan (~10 μM) decreases angiotensin II-induced apoptosis in rat vascular smooth muscle cells by blocking angiotensin II internalization in a concentrationdependent manner. [4]

Oral administration of Irbesartan (1 mg/kg) reduces angiotensin II (AII)-induced hypertension, equipotent with losartan in conscious normotensive rats, markedly more active than losartan (10 mg/kg) in normotensive cynomolgus monkeys. [1] Administration of Irbesartan (7 mg/kg/day) significantly prevents skeletal muscle apoptosis and muscle atrophy in rats with monocrotaline-induced congestive heart failure (CHF), which is involved with the decrease of TNFα level and attributed to AT1 receptor blocking. [5]

Irbesartan is a longer acting AT1 receptor antagonist relative to losartan and valsartan.

References

[1] Bernhart CA, et al. J Med Chem, 1993, 36(22), 3371-3380.

[2] Kawano H, et al. Hypertension, 2000, 35, 273-279.

[3] Schupp M, et al. Circulation, 2004, 109(17), 2054-2057.

[4] Ruiz E, et al. Eur J Pharmacol, 2007, 567(3), 231-239.

[5] Dalla Libera L, et al. Circulation, 2001, 103(17), 2195-2200.

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