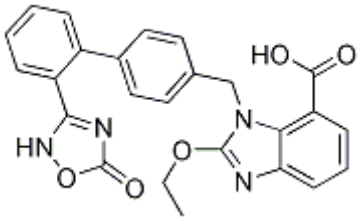
Product Introduction

Azilsartan

Azilsartan is an **angiotensin II type 1 (AT1)** receptor antagonist with **IC50** of 2.6 nM.

Technical Data:

Molecular Weight (MW):	456.45	
Formula:	$C_{25}H_{20}N_4O_5$	
Solubility (25 ℃) * <1 mg/ml means slightly soluble or insoluble:	DMSO 91 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	147403-03-0	

Biological Activity

Azilsartan inhibits the specific binding of 125I-Sar1-Ile8-AII to human angiotensin type 1 receptors. Azilsartan also inhibits the accumulation of AII-induced inositol 1-phosphate (IP1) in the cell-based assay with an IC50 value of 9.2 nM. In isolated rabbit aortic strips, Azilsartan reduces the maximal contractile response to AII with a pD'2 value of 9.9. The inhibitory effects of Azilsartan on contractile responses induced by AII persists after the strips are washed. [1] Azilsartan suppresses the increase in plasma glucose level in the oral glucose tolerance test (OGTT) without significant change in insulin concentration and improved insulin sensitivity. In skeletal muscle, Azilsartan decreases the expression of TNF-α at doses of 0.001%. In adipose tissue, Azilsartan reduces TNF-α expression but increases the expression of adiponectin, PPARγ, C/EBα, and aP2. [2] In cultured 3T3-L1 preadipocytes, Azilsartan enhances adipogenesis and exertes greater effects than valsartan on expression of genes encoding peroxisome proliferator-activated receptor-α (PPARα), PPARδ, leptin, adipsin, and adiponectin. Azilsartan also

potently inhibits vascular cell proliferation in the absence of exogenously supplemented angiotensin II. [3]

In Koletsky rats, Azilsartan treatment lowers blood pressure, basal plasma insulin concentration and the homeostasis model assessment of insulin resistance index, and inhibited over-increase of plasma glucose and insulin concentrations during oral glucose tolerance test. Azilsartan downregulates 11β-hydroxysteroid dehydrogenase type 1 expression. [4]

A potent, orally active and specific AII receptor antagonist.

References

[1] Ojima M, et al. J Pharmacol Exp Ther, 2011, 336(3), 801-808.

[2] Iwai M, et al. Am J Hypertens, 2007, 20(5), 579-586.

[3] Kajiya T, et al. J Hypertens, 2011, 29(12), 2476-2483.

[4] Zhao M, et al. Diabetes Obes Metab, 2011, 13(12), 1123-1129.