# Azilsartan

**Cat. No.:** HY-14914  
**CAS No.:** 147403-03-0  
**Molecular Formula:** C_{25}H_{20}N_{4}O_{5}  
**Molecular Weight:** 456.45  
**Target:** Angiotensin Receptor  
**Pathway:** GPCR/G Protein  
**Storage:**  
- Powder: -20°C for 3 years, 4°C for 2 years  
- In solvent: -80°C for 6 months, -20°C for 1 month

## SOLVENT & SOLUBILITY

**In Vitro**  
DMSO: 25 mg/mL (54.77 mM; Need ultrasonic)  
H_{2}O: < 0.1 mg/mL (insoluble)

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Mass (mL) 1 mg</th>
<th>Mass (mL) 5 mg</th>
<th>Mass (mL) 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.1908 mL</td>
<td>10.9541 mL</td>
<td>21.9082 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4382 mL</td>
<td>2.1908 mL</td>
<td>4.3816 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2191 mL</td>
<td>1.0954 mL</td>
<td>2.1908 mL</td>
</tr>
</tbody>
</table>

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 (5.48 mM); Clear solution  
2. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (5.48 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**  
Azilsartan (TAK-536) is a specific and potent angiotensin II type 1 receptor antagonist with IC50 of 2.6 nM. IC50 Value: 2.6 nM [1]  
Target: AT1 receptor in vitro: Azilsartan inhibited the specific binding of 125I-Sar1-Ile8-AII to human angiotensin type 1 receptors with an IC50 of 2.6 nM. The inhibitory effect of AZL persisted after washout of the free compound (IC(50) value of 7.4 nM). AZL also inhibited the accumulation of AII-induced inositol 1-phosphate (IP1) in the cell-based assay with an IC50 value of 9.2 nmol; this effect was resistant to washout (IC50 value of 81.3 nM). Olmesartan and valsartan inhibited IP1 accumulation with IC50 values of 12.2 and 59.8 nM, respectively [1]. Azilsartan is not readily biodegradable. Results of the water sediment study demonstrated significant shifting of azilsartan metabolites to sediment. Based on the equilibrium partitioning method, metabolites are unlikely to pose a risk to
sediment-dwelling organisms [2]. In vivo: In 4 randomized controlled trials (3 published to date), azilsartan medoxomil/chlorthalidone 40 mg/12.5 mg and 40 mg/25 mg reduced blood pressure (BP) significantly more than comparators did, including an approximately 5-mm Hg greater BP reduction than olmesartan medoxomil/hydrochlorothiazide 40 mg/25 mg and azilsartan medoxomil/hydrochlorothiazide [3]. Both TAK-536 and candesartan suppressed the increase in plasma glucose level in the OGTT without significant change in insulin concentration and improved insulin sensitivity. In adipose tissue, TAK-536 and candesartan reduced TNF-alpha expression but increased the expression of adiponectin, PPARgamma, C/EBalpha, and aP2 [4].


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