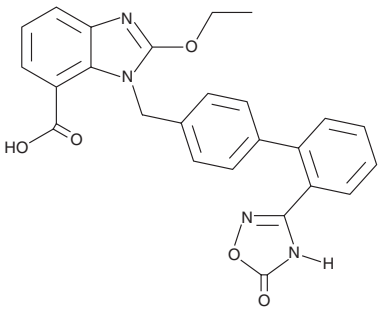
PRODUCT INFORMATION

Azilsartan

Item No. 26091

CAS Registry No.:	147403-03-0
Formal Name:	1-[[2'-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)[1,1'-biphenyl]-4-yl]methyl]-2-ethoxy-1H-benzimidazole-7-carboxylic acid
Synonym:	TAK-536
MF:	$C_{25}H_{20}N_4O_5$
FW:	456.5
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Azilsartan is supplied as a crystalline solid. A stock solution may be made by dissolving the azilsartan in the solvent of choice, which should be purged with an inert gas. Azilsartan is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of azilsartan in these solvents is approximately 0.1, 3, and 5 mg/ml, respectively.

Azilsartan is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, azilsartan should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Azilsartan has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Azilsartan is an antagonist of the angiotensin II type 1 receptor (AT_1; IC_{50} = 0.42 µM) and the active metabolite of azilsartan medoxomil (Item No. 23805).[1,2] Azilsartan is formed from azilsartan medoxomil by hydrolysis in the gastrointestinal tract and liver.[3] Azilsartan also acts as an inverse agonist, inhibiting angiotensin II-induced accumulation of inositol-1-phosphate in COS-7 cells expressing recombinant human AT_1 (IC_{50} = 2.6 nM).[2] It reduces the maximal contractile response induced by angiotensin II in isolated rabbit aortic strips (pD_2 = 9.9).[2] Azilsartan (100 ng/kg, i.v.) inhibits the angiotensin II-induced pressor response in conscious normotensive rats.[1]

References

1. Kohara, Y., Kubo, K., Imamiya, E., *et al*. Synthesis and angiotensin II receptor antagonistic activities of benzimidazole derivatives bearing acidic heterocycles as novel tetrazole bioisosteres. *J. Med. Chem.* **39(26)**, 5228-5235 (1996).
2. Ojima, M., Igata, H., Tanaka, M., *et al*. In vitro antagonistic properties of a new angiotensin type 1 receptor blocker, azilsartan, in receptor binding and function studies. *J. Pharmacol. Exp. Ther.* **336(3)**, 801-808 (2011).
3. Clas, S.-D., Sanchez, R.I., and Nofsinger, R. Chemistry-enabled drug delivery (prodrugs): Recent progress and challenges. *Drug Discov. Today* **19(1)**, 79-87 (2014).

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