Tasosartan

Cat. No.: HY-A0250

CAS No.: 145733-36-4

Molecular Formula: $C_{23}H_{21}N_7O$

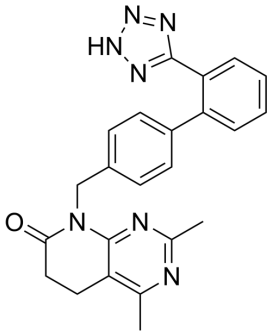
Molecular Weight: 411.46

Target: Angiotensin Receptor

Pathway: GPCR/G Protein

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (303.80 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4304 mL	12.1518 mL	24.3037 mL
	5 mM	0.4861 mL	2.4304 mL	4.8607 mL
	10 mM	0.2430 mL	1.2152 mL	2.4304 mL

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: ≥ 2.08 mg/mL (5.06 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.06 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tasosartan is a long-acting angiotensin II (AngII) receptor antagonist.

IC_{50} & Target

AT1 Receptor

In Vitro

Tasosartan is an orally active nonpeptide AngII antagonist that has demonstrates specific and selective AT1 receptor antagonistic activity in vitro. IC_{50} for inhibition of specific binding of ^{125}I-AngII to rat adrenal membrane in the absence of proteins in binding buffer is 1.2±0.6 nM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Administration of Tasosartan at doses of 1.0 and 3.0 mg/kg (iv) significantly ($p<0.05$) attenuates the pressor response to angiotensin-II in rats[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Rats[2] Pressor response to angiotensin-II administration in four groups of rats (n=3-5 each, weighing 343±8 g). Each rat is subjected to four separate bolus injections of angiotensin-II following the introduction of either the vehicle or graded doses of Tasosartan (0.3, 1.0, or 3.0 mg/kg, iv)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Maillard MP, et al. Tasosartan, enoltasosartan, and angiotensin II receptor blockade: the confounding role of protein binding. J Pharmacol Exp Ther. 2000 Nov;295(2):649-54.

[2]. Elokdah HM, et al. Novel human metabolites of the angiotensin-II antagonist Tasosartan and their pharmacological effects. Bioorg Med Chem Lett. 2002 Aug 5;12(15):1967-71.

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