Saralasin TFA

Cat. No.: HY-P0205B

Molecular Formula: $C_{44}H_{66}F_3N_{13}O_{12}$

Molecular Weight: 1026.07

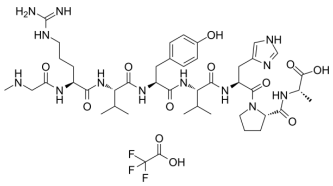
Sequence: {Sar}-Arg-Val-Tyr-Val-His-Pro-Ala

Sequence Shortening: {Sar}-RVYVHPA

Target: Angiotensin Receptor

Pathway: GPCR/G Protein

Storage: Sealed storage, away from moisture
Powder -80°C 2 years
-20°C 1 year
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (97.46 mM; Need ultrasonic)
DMSO : 50 mg/mL (48.73 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9746 mL	4.8730 mL	9.7459 mL
	5 mM	0.1949 mL	0.9746 mL	1.9492 mL
	10 mM	0.0975 mL	0.4873 mL	0.9746 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (97.46 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (2.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (2.44 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Saralasin ([Sar1,Ala8] Angiotensin II) TFA is an octapeptide analog of angiotensin II. Saralasin TFA is a competitive angiotensin II receptor antagonist with a K_i value of 0.32 nM for 74% of the binding sites, and has partial agonist activity as well. Saralasin TFA can be used for the research of renovascular hypertension, renin-dependent (angiotensinogenic)

	hypertension[1][3][6].
IC_{50} & Target	Ki: 0.32 nM (Angiotensin II receptor)[3]
In Vitro	Saralasin TFA (1 nM, 48 or 72 h) inhibits cell growth in 3T3 and SV3T3 cells[1]. Saralasin TFA (5 μM, 2h) restores $I_{to,\,fast}$ (Fast-Inactivating Transient Outward K+ Current in Mouse Ventricle) and I K, slow (Slow-Inactivating Transient Outward K+ Current in Mouse Ventricl) to control levels in myocytes[2]. Saralasin TFA (0.1-10 nM, 40 min) inhibits binding of FITC-Ang II to rat liver membrane preparation (used as the source of angiotensin receptors) with a K_i value of 0.32 nM for 74% of the binding sites and 2.7 nM for the remaining binding sites[3]. Saralasin TFA (1 μM, perfused rat ovary in vitro) inhibits the ovulation rate versus control and reduces prostaglandin E2 and 6-keto-prostaglandin $F_{1\alpha}$ levels[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	3T3 and SV3T3 cells
Concentration:	1 nM
Incubation Time:	48 h, 72 h
Result:	Inhibited cell growth in 3T3 and SV3T3 cells and caused an increase of cellular renin concentration.

In Vivo	Saralasin TFA (intravenous injection, 5-50 μg/kg, a single dose) ameliorates the oxidative stress and tissue injury in cerulein-induced pancreatitis[5]. Saralasin TFA (subcutaneous injection, 10 and 30 mg/kg, a single dose) increases serum renin activity (SRA) in normal, conscious rats, without markedly altering blood pressure or heart rate[6]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Cerulein-induced acute pancreatitis rats model[5]
Dosage:	5, 10, 20, and 50 μg/kg, a single dose.
Administration:	Intravenous injection
Result:	Restored the pancreatic morphological characteristics to the control level. Reduced pancreatic injury and suppressed the glutathione depletion induced by cerulean.

Animal Model:	Male Sprague-Dawley rats[6]
Dosage:	10 and 30 mg/kg, a single dose.
Administration:	Subcutaneous injection
Result:	Stimulated renin release without altering blood pressure or heart rate at the time of measuring serum renin levels 20 minutes after injection.

REFERENCES

[1]. P Schelling, et al. Effects of angiotensin II and angiotensin II antagonist saralasin on cell growth and renin in 3T3 and SV3T3 cells. J Cell Physiol. 1979 Mar;98(3):503-13.

[2]. Jeremy H Kim, et al. Pressure-overload-induced angiotensin-mediated early remodeling in mouse heart. PLoS One. 2017 May 2;12(5):e0176713.

[3]. Maziar Mohammad Akhavan, et al. A non-radioactive method for angiotensin II receptor binding studies using the rat liver. J Pharmacol Toxicol Methods. 2006 May-

Jun;53(3):206-14.

[4]. M Mikuni, et al. Saralasin-induced inhibition of ovulation in the in vitro perfused rat ovary is not replicated by the angiotensin II type-2 receptor antagonist PD123319. Am J Obstet Gynecol. 1998 Jul;179(1):35-40.

[5]. Siu Po Ip, et al. Saralasin, a nonspecific angiotensin II receptor antagonist, attenuates oxidative stress and tissue injury in cerulein-induced acute pancreatitis. Pancreas. 2003 Apr;26(3):224-9.

[6]. Campbell WB, et al. Saralasin-induced renin release: its blockade by prostaglandin synthesis inhibitors in the conscious rat. Hypertension. 1979;1(6):637-642.

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