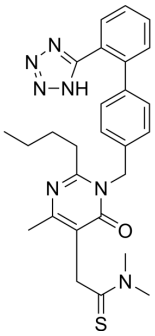
Fimasartan



Cat. No.:	HY-B0780
CAS No.:	247257-48-3
Molecular Formula:	$C_{27}H_{31}N_7OS$
Molecular Weight:	501.65
Target:	Angiotensin Receptor; Apoptosis
Pathway:	GPCR/G Protein; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 49 mg/mL (97.68 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9934 mL	9.9671 mL	19.9342 mL
5 mM	0.3987 mL	1.9934 mL	3.9868 mL
10 mM	0.1993 mL	0.9967 mL	1.9934 mL

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

BIOLOGICAL ACTIVITY

Description

Fimasartan(BR-A-657) is a non-peptide angiotensin II receptor antagonist used for the treatment of hypertension and heart failure.IC50 value:Target: AT1 receptor antagonistin vitro: Fimasartan suppressed the expressions of inducible nitric oxide synthase (iNOS) by down-regulating its transcription, and subsequently inhibited the productions of nitric oxide (NO). In addition, fimasartan attenuated LPS-induced transcriptional and DNA-binding activities of nuclear factor-kappa B (NF-κB) and activator protein-1 (AP-1) [1]. BR-A-657 displaced [125I][Sar1-Ile8]angiotensin II (Ang II) from its specific binding sites to AT1 subtype receptors in membrane fractions of HEK-293 cells with an IC50 of 0.16 nM [2]. in vivo: After oral administration of 240 mg fimasartan, the mean area under the plasma concentration-time curve from time zero to infinity was 2899.0 ng/ml/h in the older, which was significantly greater than in young subjects (1767.4 ng/ml/h; p = 0.03) [3]. Compared with atorvastatin alone, coadministration of fimasartan and atorvastatin increased the atorvastatin acid mean (95% confidence interval) maximum concentration (Cmax,ss) by 1.89-fold (1.49-2.39) and the area under the concentration curve (AUCτ,ss) by 1.19-fold (0.96-1.48). Fimasartan also increased the mean 2-hydroxy atorvastatin acid Cmax,ss and AUCτ,ss by 2.45-fold (1.80-3.35) and 1.42-fold (1.09-1.85), respectively [4].

IC_{50} & Target

AT1 Receptor

CUSTOMER VALIDATION

- Cancer Cell Int. 2023 Jun 9;23(1):111.
- J Pharm Sci. 2023 Jun 29;S0022-3549(23)00248-4.
- J Med Life. 2022 Feb;15(2):241-251.
- J Med Life. 2022 Mar.

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REFERENCES

[1]. Ryu S, et al. Fimasartan, anti-hypertension drug, suppressed inducible nitric oxide synthase expressions via nuclear factor-kappa B and activator protein-1 inactivation. Biol Pharm Bull. 2013;36(3):467-74.

[2]. Chi YH, et al. Pharmacological characterization of BR-A-657, a highly potent nonpeptide angiotensin II receptor antagonist. Biol Pharm Bull. 2013;36(7):1208-15.

[3]. Lee HW, et al. Effect of age on the pharmacokinetics of fimasartan (BR-A-657). Expert Opin Drug Metab Toxicol. 2011 Nov;7(11):1337-44.

[4]. Shin KH, et al. The effect of the newly developed angiotensin receptor II antagonist fimasartan on the pharmacokinetics of atorvastatin in relation to OATP1B1 in healthy male volunteers. J Cardiovasc Pharmacol. 2011 Nov;58(5):492-9.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA