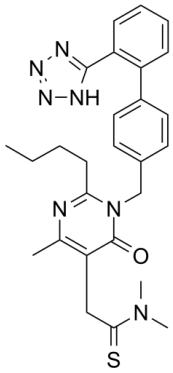
Data Sheet

Product Name:	Fimasartan
Cat. No.:	CS-3509
CAS No.:	247257-48-3
Molecular Formula:	C27H31N7OS
Molecular Weight:	501.65
Target:	Angiotensin Receptor; Apoptosis
Pathway:	Apoptosis; GPCR/G Protein
Solubility:	DMSO : ≥ 49 mg/mL (97.68 mM)



BIOLOGICAL ACTIVITY:

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 antagonist in 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].

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.

CAIndexNames:

5-Pyrimidineethanethioamide, 2-butyl-1,6-dihydro-N,N,4-trimethyl-6-oxo-1-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-

SMILES:

O=C1N(C(CCCC)=NC(C)=C1CC(N(C)C)=S)CC2=CC=C(C3=C(C4=NN=NN4)C=CC=C3)C=C2

Caution: Product has not been fully validated for medical applications. For research use only.

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