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产品名称：非马沙坦
产品别名：Fimasartan ；BR-A-657

生物活性：

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 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].

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.