# PRODUCT INFORMATION



## **Fimasartan**

Item No. 36524

CAS Registry No.: 247257-48-3

Formal Name: 2-butyl-1,6-dihydro-N,N,4-trimethyl-

> 6-oxo-1-[[2'-(2H-tetrazol-5-yl) [1,1'-biphenyl]-4-yl]methyl]-5pyrimidineethanethioamide

Synonym: BR-A-657 MF:  $C_{27}H_{31}N_7OS$ FW: 501.6

**Purity:** ≥98% UV/Vis.:  $\lambda_{max}$ : 262 nm Supplied as: A solid -20°C Storage: ≥4 years Stability:

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### **Laboratory Procedures**

Fimasartan is supplied as a solid. A stock solution may be made by dissolving the fimasartan in the solvent of choice, which should be purged with an inert gas. Fimasartan is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of fimasartan in these solvents is approximately 0.5, 20, and 10 mg/ml, respectively.

### Description

Fimasartan is an antagonist of the angiotensin II type 1 (AT<sub>1</sub>) receptor (IC<sub>50</sub> = 0.16 nM in HEK293 cells expressing the human receptor). It is selective for the  $AT_1^-$  over the  $AT_2^-$  receptor (IC<sub>50</sub> = 69  $\mu$ M). Fimasartan (62.5, 125, and 250 μM) inhibits LPS-induced increases in inducible nitric oxide synthase (iNOS) levels and NO production, as well as NF-kB nuclear translocation in RAW 264.7 macrophages.<sup>2</sup> It reduces angiotensin II-induced contractions of isolated rabbit aortic rings in a concentration-dependent manner.<sup>1</sup> In vivo, fimasartan decreases mean arterial pressure in rats with hypertension induced by furosemide (Item No. 17273) and renal hypertensive rats when administered at doses of 10 and 0.3 mg/kg, respectively. Formulations containing fimasartan have been used in the treatment of hypertension.

#### References

- 1. Chi, Y.H., Lee, J.H., Kim, J.H., et al. Pharmacological characterization of BR-A-657, a highly potent nonpeptide angiotensin II receptor antagonist. Biol. Pharm. Bull. 36(7), 1208-1215 (2013).
- 2. Ryu, S., Shin, J.-S., Cho, Y.-W., 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. 36(3), 467-474 (2013).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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