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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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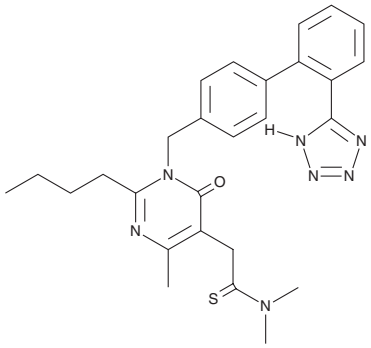
PRODUCT INFORMATION

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]-5-pyrimidineethanethioamide
Synonym:	BR-A-657
MF:	$C_{27}H_{31}N_7OS$
FW:	501.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 262 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



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_1) receptor (IC_{50} = 0.16 nM in HEK293 cells expressing the human receptor).[1] It is selective for the AT_1- over the AT_2 receptor (IC_{50} = 69 µM). 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-κB nuclear translocation in RAW 264.7 macrophages.[2] It reduces angiotensin II-induced contractions of isolated rabbit aortic rings in a concentration-dependent manner.[1] *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).

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