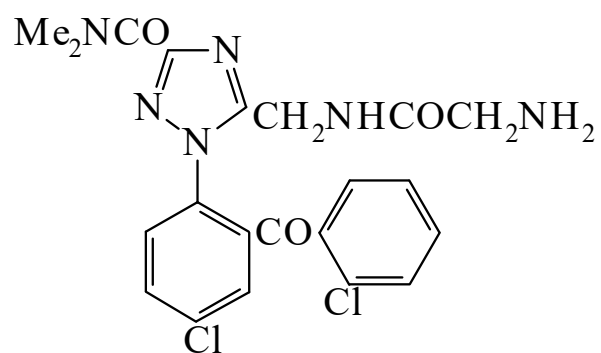




>>Entry Name: Rilmazafone, INN

Synonym(s): 5-[[[(Aminoacetyl)amino]methyl]-1-[4-chloro-2-(2-chlorobenzoyl)phenyl]-*N,N*-dimethyl-1*H*-1,2,4-triazole-3-carboxamide, 9Cl. Trimazafone. Rhythmy. 450191 S



CAS Registry Number: 99593-25-6

Molecular Formula: C₂₁H₂₀Cl₂N₆O₃

Molecular Weight: 475.333

Accurate Mass: 474.097393

Percentage Composition: C 53.06%; H 4.24%; Cl 14.92%; N 17.68%; O 10.10%

Use/Importance: Hypnotic agent. Converted to active metabolites *via* desglycylation by intestinal aminopeptidases

Development Status: Launched 1989

Calculated Log P Data: Log P 0.3 (uncertain value) (calc)

>>Derivative: Hydrochloride

Synonym(s): Rilmafazone hydrochloride, JAN

CAS Registry Number: 85815-37-8

Physical Description: Cryst. + 2H₂O (EtOH aq.)

Melting Point: Mp 107°

Hazard & Toxicity: LD₅₀ (rat, orl) 1000 mg/kg

References:

- Hirai, K. *et al.*, *J. Het. Chem.*, 1982, **19**, 1363, (*synth, props*)
Fujimoto, M. *et al.*, *Biochem. Pharmacol.*, 1984, **33**, 1645, (*metab*)
Koike, M. *et al.*, *Drug Metab. Dispos.*, 1987, **15**, 426, (*metab, props*)
Koninami, G. *et al.*, *J. Chromatogr.*, 1987, **417**, 216, (*hplc*)
Koike, M. *et al.*, *Xenobiotica*, 1988, **18**, 257, (*metab, props*)
Muranushi, N. *et al.*, *Biopharm. Drug Dispos.*, 1993, **14**, 279
Martindale, The Extra Pharmacopoeia, 30th edn., Pharmaceutical Press, 1993, 614