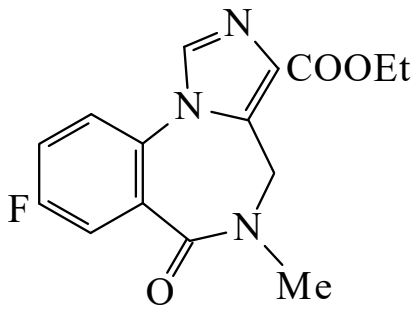
>>**Entry Name: Flumazenil,** BAN, INN, USAN

Synonym(s): Ethyl 8-fluoro-5,6-dihydro-5-methyl-6-oxo-4*H*-imidazo[1,5-*a*][1,4]benzodiazepine-3-carboxylate, 9CI. Flumazepil. Anexate. Lanexat. Mazicon. Romazicon. Ro 15-1788



CAS Registry Number: 78755-81-4

Molecular Formula: $C_{15}H_{14}FN_3O_3$
Molecular Weight: 303.292
Accurate Mass: 303.10192
Percentage Composition: C 59.40%; H 4.65%; F 6.26%; N 13.85%; O 15.83%
Use/Importance: Benzodiazepine receptor antagonist, used therapeutically to attenuate or reverse central effects of benzodiazepines. Anticonvulsant agent
Physical Description: Cryst. ($EtOAc/Et_2O$)
Development Status: Launched 1987
Melting Point: Mp 199-200°
Calculated Log P Data: Log P 1.06 (uncertain value) (calc)
Hazard & Toxicity: LD_{50} (rat, orl) 4200 mg/kg. LD_{50} (rat, ivn) 85 mg/kg. Exp. reprod. effects

References:

Eur. Pat., 1981, *Hoffmann-La Roche*, 27 214; *CA*, **95**, 115621g, *(synth, pharmacol)*
Hunkeler, W. *et al.*, *Nature (London)*, 1981, **290**, 514, *(pharmacol)*
Hillier, K.*, Drugs of the Future*, 1982, **7**, 402, *(rev)*
File, S.E.*, Psychopharmacology (Berlin)*, 1986, **88**, 1, *(rev)*
Klotz, U. *et al.*, *Clin. Pharmacokinet.*, 1988, **14**, 1, *(rev)*
Pietrogrande, M.C. *et al.*, *J. Chromatogr.*, 1988, **447**, 404, *(hplc)*
Watjen, F. *et al.*, *J. Med. Chem.*, 1989, **32**, 2282, *(cryst struct)*
Marken, P.A.*, J. Pharm. Technol.*, 1990, **6**, 99, *(rev, pharmacol)*
Breimer, L.T.M. *et al.*, *Clin. Pharmacokinet.*, 1991, **20**, 491, *(pharmacokinet)*
Brogden, R.N. *et al.*, *Drugs*, 1991, **42**, 1061; 1992, **43**, 442, *(rev)*
Hoffman, E.J. *et al.*, *Clin. Pharm.*, 1993, **12**, 641, *(rev)*
Martindale, The Extra Pharmacopoeia, 30th edn., Pharmaceutical Press, 1993, 682
Meredith, T.J. *et al.*, *Naloxone, Flumazenil and Dantrolene as Antidotes*, WHO/EC/Cambridge University Press, 1993, *(book)*