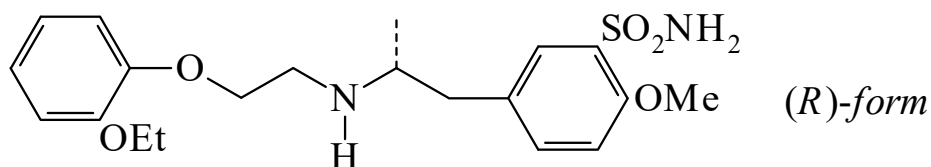




>>Entry Name: Tamsulosin, BAN, INN

Synonym(s): 5-[2-[[2-(2-Ethoxyphenoxy)ethyl]amino]propyl]-2-methoxybenzenesulfonamide, 9CI. Alna. Amsulosin. Cartonic. Flomax. Harnal. Omix. Omnic. Pradif. LY 253352. YM 12617



Related CAS Registry Numbers(s): 80223-99-0 94666-07-6 106138-88-9 112101-78-7

Molecular Formula: C₂₀H₂₈N₂O₅S

Molecular Weight: 408.518

Accurate Mass: 408.171893

Percentage Composition: C 58.80%; H 6.91%; N 6.86%; O 19.58%; S 7.85%

Biological Use/Importance: Prostate selective α_1 -adrenoceptor antagonist. Used in the treatment of benign prostatic hyperplasia. Antineoplastic agent

Development Status: Marketed drug. Launched 1993 (Japan). Worldwide 79th best selling prescription drug (\$0.62 bn) (Yamanouchi) (2000, PharmaBusiness)

Calculated Log P Data: Log P 1.8 (calc)

>>Variant: (R)-form

CAS Registry Number: 106133-20-4

Molecular Formula: C₂₀H₂₈N₂O₅S

Molecular Weight: 408.518

Accurate Mass: 408.171893

Percentage Composition: C 58.80%; H 6.91%; N 6.86%; O 19.58%; S 7.85%

Other Data: Pharmacol. active isomer

Hazard & Toxicity: Exp. reprod. effects (male fertility)

>>Derivative: Hydrochloride

Synonym(s): Tamsulosin hydrochloride, USAN. Flomax[‡]

CAS Registry Number: 106463-17-6

Melting Point: Mp 228-230°

Optical Rotation: $[\alpha]_D^{24} -4$ (c, 0.35 in MeOH)

Hazard & Toxicity: LD₅₀ (rat, orl) 650 mg/kg. LD₅₀ (rat, scu) 347 mg/kg. LD₅₀ (rat, ivn) 70 mg/kg

References:

- Eur. Pat.*, 1981, *Yamanouchi Pharm*, 34432; *CA*, **96**, 19812v, (*synth*)
Honda, K. *et al.*, *J. Pharmacol. Exp. Ther.*, 1986, **239**, 512; 1990, **253**, 427, (*pharmacol*)
Honda, K. *et al.*, *J. Pharm. Pharmacol.*, 1987, **39**, 316
Honda, K. *et al.*, *Naunyn-Schmiedeberg's Arch. Pharmacol.*, 1987, **336**, 295, (*pharmacol*)
Lepor, H. *et al.*, *Br. J. Pharmacol.*, 1988, **95**, 139; 702, (*activity*)
Wheeler, W.J. *et al.*, *J. Labelled Compd. Radiopharm.*, 1989, **27**, 171, (*synth*)
Yazawa, H. *et al.*, *J. Pharmacol. Exp. Ther.*, 1992, **263**, 201, (*pharmacol*)
Ratnasooriya, W.D. *et al.*, *Andrologia*, 1994, **26**, 107, (*tox*)
Jonler, M. *et al.*, *Drugs*, 1994, **47**, 66, (*use*)
Rabasseda, X. *et al.*, *Drugs of Today (Barcelona)*, 1996, **32**, 259, (*rev*)
Martindale, The Extra Pharmacopoeia, 32nd edn., *Pharmaceutical Press*, 1999, 951