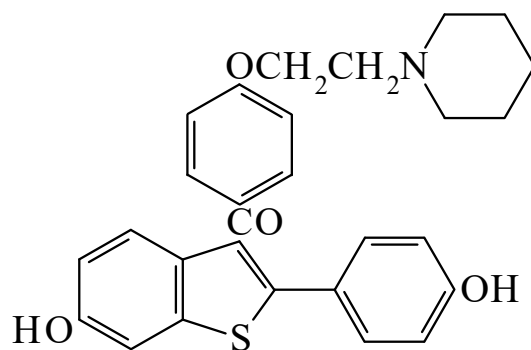




>>Entry Name: Raloxifene, BAN, INN

Synonym(s): [6-Hydroxy-2-(4-hydroxyphenyl)benzo[*b*]thien-3-yl][4-[2-(1-piperidinyloxy)phenyl]methanone, 9Cl. Keoxifene



CAS Registry Number: 84449-90-1

Molecular Formula: C₂₈H₂₇NO₄S

Molecular Weight: 473.592

Accurate Mass: 473.166079

Percentage Composition: C 71.01%; H 5.75%; N 2.96%; O 13.51%; S 6.77%

Biological Use/Importance: Antiestrogen. Prevents bone loss and reduces serum cholesterol in ovariectomized rats. Used in the treatment of postmenopausal osteoporosis

Physical Description: Cryst. (Me₂CO)

Development Status: Approved by FDA (1997). Worldwide 96th best selling prescription drug (as Evista) (\$0.52 bn) (Eli Lilly) (2000, Pharma Business)

Melting Point: Mp 143-147°

Calculated Log P Data: Log P 6.86 (calc)

>>Derivative: Hydrochloride

Synonym(s): Raloxifene hydrochloride, USAN. Evista. LY 156758

CAS Registry Number: 82640-04-8

Physical Description: Cryst. (MeOH aq.)

Melting Point: Mp 258°

>>Derivative: 2,3-Dihydro, *trans*

Synonym(s): Dihydroraloxifene

CAS Registry Number: 230635-61-7

Molecular Formula: C₂₈H₂₉NO₄S

Molecular Weight: 475.607

Accurate Mass: 475.181729

Percentage Composition: C 70.71%; H 6.15%; N 2.95%; O 13.46%; S 6.74%

Biological Use/Importance: Potent selective oestrogen receptor modulator (SERM)

References:

- Wakeling, A.E. *et al.*, *J. Endocrinol.*, 1983, **99**, 455, (*pharmacol*)
Jones, C.D. *et al.*, *J. Med. Chem.*, 1984, **27**, 1057, (*synth, pharmacol*)
Wakeling, A.E. *et al.*, *J. Steroid Biochem.*, 1984, **20**, 111, (*pharmacol*)
Lindstrom, T.D. *et al.*, *Xenobiotica*, 1984, **14**, 841, (*metab*)
Sato, M. *et al.*, *J. Bone Min. Res.*, 1994, **9**, 715, (*pharmacol*)
Black, L.J. *et al.*, *J. Clin. Invest.*, 1994, **93**, 63, (*pharmacol*)
Schmid, C.R. *et al.*, *Bioorg. Med. Chem. Lett.*, 1999, **9**, 1137-1140, (*dihydroraloxifene*)
Clemett, D. *et al.*, *Drugs*, 2000, **60**, 379-411, (*rev*)