Lasofoxifene tartrate

Cat. No.: HY-A0038

CAS No.: 190791-29-8

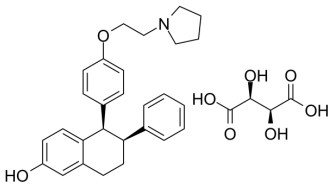
Molecular Formula: $C_{32}H_{37}NO_8$

Molecular Weight: 563.64

Target: Estrogen Receptor/ERR

Pathway: Vitamin D Related/Nuclear Receptor

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (147.84 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	1.7742 mL	8.8709 mL	17.7418 mL
	5 mM	0.3548 mL	1.7742 mL	3.5484 mL
	10 mM	0.1774 mL	0.8871 mL	1.7742 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.69 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.69 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lasofoxifene (CP-336156) tartrate is an orally active and selective estrogen receptor modulator (SERM)[1]. Lasofoxifene tartrate exhibits an anti-osteoporotic function and also inhibits primary tumor growth and metastases. Lasofoxifene tartrate can be used for the research of breast cancer and postmenopausal osteoporosis[1][2].

IC_{50} & Target

Target: Estrogen Receptor[1]

In Vitro

Lasofoxifene tartrate (1 nM-1 μM; 48 h) shows antagonist activity on ER+ breast cancer cells without being affected by the expression level of activating ERα mutants relative to wild-type (WT) ERα[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Lasofoxifene tartrate (4 mg/mice; s.c.; 5 day/week; for 43 d) decreases arthritis severity, by reducing cartilage oligomeric matrix protein (COMP), the serum marker of cartilage destruction and reducing serum IL-6 (inflammatory cytokine) levels[1].
Lasofoxifene tartrate (4 mg/mice; s.c.; 5 day/week; for 43 d) protects against generalised bone loss in CIA by increasing trabecular bone mineral density (BMD), cortical thickness in mice[1].
Lasofoxifene tartrate (5, and 10 mg/kg; s.c.; 5 day/week; for 70 d) exerts function of inhibiting primary tumor growth and reducing metastases to the lung and the liver in mice[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Post-menopausal RA model on OVX (ovariectomised) DBA/1 mice (female DBA/1 mice, 8-10 weeks old, CIA-treated)[1]
Dosage:	4 mg/mouse/day
Administration:	Subcutaneous injection; 5 days a week from the first signs of arthritis (day 18); 43 days
Result:	Reduced in arthritis severity, including synovial inflammation and destruction of joints reduction. The mean arthritis frequency was 47% while the vehicle group was 81% at 42 days post immunization.

Animal Model:	NSG mices with xenograft tumors model (MIND, mammary intraductal): WT, Y537S and D538G ERα render tumors[3]
Dosage:	1, 5, or 10 mg/kg
Administration:	Subcutaneous injection; 5 days per week; for 70 days
Result:	Elicited a superior inhibitory effect at a dose of 10 mg/kg, resulted potential tumor shrinkage in Y537S and D538G tumors. And also reduced tumor weight to 60% for Y537S and 50% for D538G at 5 and 10 mg/kg, respectively.

CUSTOMER VALIDATION

- NPJ Breast Cancer. 2022 Dec 14;8(1):130.
- Mol Cancer Ther. 2020 Jul;19(7):1395-1405.
- Gynecol Oncol. 2019 Jul;154(1):199-206.

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REFERENCES

[1]. Lainé M, et al. Lasofoxifene as a potential treatment for therapy-resistant ER-positive metastatic breast cancer. Breast Cancer Res. 2021 May 12. 23(1):54.

[2]. Andreano KJ, et al. The Dysregulated Pharmacology of Clinically Relevant ESR1 Mutants is Normalized by Ligand-activated WT Receptor. Mol Cancer Ther. 2020 Jul. 19(7):1395-1405.

[3]. Andersson A, et al. Selective oestrogen receptor modulators lasofoxifene and bazedoxifene inhibit joint inflammation and osteoporosis in ovariectomised mice with collagen-induced arthritis. Rheumatology (Oxford). 2016 Mar;55(3):553-63.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA