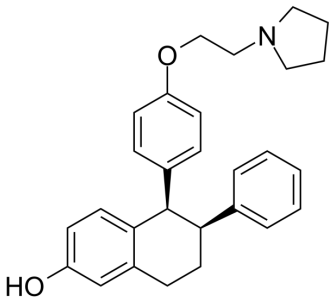
Lasofoxifene

Cat. No.:	HY-A0037
CAS No.:	180916-16-9
Molecular Formula:	$C_{28}H_{31}NO_2$
Molecular Weight:	413.55
Target:	Estrogen Receptor/ERR
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Lasofoxifene (CP-336156) is an orally active and selective estrogen receptor modulator (SERM). Lasofoxifene exhibits an anti-osteoporotic function and also inhibits primary tumor growth and metastases. Lasofoxifene can be used for research of breast cancer and postmenopausal osteoporosis[1][2].
IC_{50} & Target	Target: Estrogen Receptor[1]
In Vitro	Lasofoxifene (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 (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 in mice[1]. Lasofoxifene (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 (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

- 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.

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