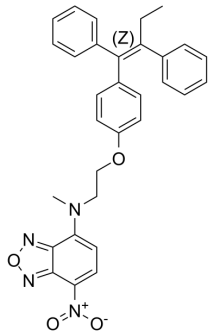
FLTX1

Cat. No.:	HY-119437
CAS No.:	1481401-71-1
Molecular Formula:	$C_{31}H_{28}N_4O_4$
Molecular Weight:	520.58
Target:	Estrogen Receptor/ERR
Pathway:	Vitamin D Related/Nuclear Receptor
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (48.02 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9209 mL	9.6047 mL	19.2093 mL
	5 mM	0.3842 mL	1.9209 mL	3.8419 mL
	10 mM	0.1921 mL	0.9605 mL	1.9209 mL

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

BIOLOGICAL ACTIVITY

Description

FLTX1 is a fluorescent Tamoxifen derivative that can specifically label intracellular Tamoxifen-binding sites (estrogen receptors) under permeabilized and non-permeabilized conditions. FLTX1 exhibits the potent antiestrogenic properties of Tamoxifen in breast cancer cells. FLTX1 is devoid of the estrogenic agonistic effect on the uterus[1][2].

IC_{50} & Target

ERα
87.5 nM (IC_{50})

In Vitro

FLTX1 (0.01-10 μM; 6 d) reduces MCF7 cell proliferation in a dose-dependent manner. FLTX1 (pretreated 24 h) counteracts the increase in cell growth induced by E_2 down to the vehicle level[1].
FLTX1 (50 μM; 2 h) exhibits a dose-dependent competition with Tamoxifen (Tx) in MCF7 cells[1].
FLTX1 (0.1 nM-100 μM; 18 h) competitively displaces the [^{3}H] E_2 binding to rat uterine estrogen receptors (ER) rat uterus cytosol, with an IC_{50} of 87.5 nM[1].
FLTX1 (0.1 nM-10 μM; pretreated 8 h) reduces the estradiol-induced luciferase expression activity in a dose-dependent manner. FLTX1 (15-16 h) is devoid of the potent estrogenic agonist activity in both transiently transfected MCF7 cells and stably transfected T47D-KBluc cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	MCF7 cells
Concentration:	0.01, 0.1, 1, 5, 10 μM
Incubation Time:	6 days
Result:	Inhibited MCF7 cell proliferation in a dose-dependent manner, being significantly more effective than Tx already at 0.1 μM.

In Vivo

FLTX1 (0.01-1 mg/kg/d; s.c. for 3 d) is lacked of the estrogenic uterotrophic (and also cervical and vaginal), hyperplasic and hypertrophic effects, and failed to alter basal proliferating cell nuclear antigen immunoreactivity in mice and rats[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Int J Mol Sci. 2022, 23(22), 13751

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REFERENCES

[1]. Morales A, et, al. Colocalization of Estrogen Receptors with the Fluorescent Tamoxifen Derivative, FLTX1, Analyzed by Confocal Microscopy. Methods Mol Biol. 2016;1366:163-173.

[2]. Marrero-Alonso J, et, al. Unique SERM-like properties of the novel fluorescent tamoxifen derivative FLTX1. Eur J Pharm Biopharm. 2013 Nov;85(3 Pt B):898-910.

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