XCT790

Cat. No.: HY-10426

CAS No.: 725247-18-7

Molecular Formula: $C_{23}H_{13}F_9N_4O_3S$

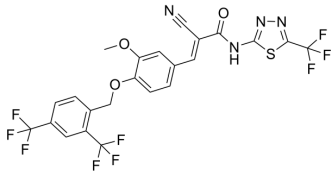
Molecular Weight: 596.42

Target: Estrogen Receptor/ERR; Autophagy

Pathway: Vitamin D Related/Nuclear Receptor; Autophagy

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 : 16.67 mg/mL (27.95 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6767 mL	8.3834 mL	16.7667 mL
	5 mM	0.3353 mL	1.6767 mL	3.3533 mL
	10 mM	0.1677 mL	0.8383 mL	1.6767 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: 1.67 mg/mL (2.80 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

XCT-790 is a potent and selective inverse agonist for ERRα with an IC_{50} value of 0.37 μM. XCT-790 induces cell death in chemotherapeutic resistant cancer cells. XCT-790 (Compound 12) is inactive against ERRγ and the estrogen receptors ERα and ERβ[1][2].

IC_{50} & Target

ERRα
0.37 μM (IC_{50})

In Vitro

XCT-790 (0-40 μM; 48 hours and 72 hours) reduces the viability of MES-SA, MES-SA/DX5, and HepG2 cells in a dose-dependent manner[1].
?XCT-790 (10 μM; 24 hours and 48 hours) reduces the protein levels of ERRα in HepG2 and R-HepG2 cell lines after 24 hours and maintains these reduced levels after 48 hours[1].
?XCT-790 (10 μM; 48 hours) induces apoptosis in the two cell lines with HepG2 being more sensitive compared to R-HepG2[1].

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

Cell Viability Assay[1]

Cell Line:	MES-SA, MES-SA/DX5, HepG2 and R-HepG2 cells
Concentration:	0 μM, 5 μM, 10 μM, 20 μM, and 40 μM
Incubation Time:	48 hours and 72 hours
Result:	The cells proliferation were decreased in a dose-dependent fashion.

Western Blot Analysis[1]

Cell Line:	HepG2 and R-HepG2 cells
Concentration:	10 μM
Incubation Time:	24 hours and 48 hours
Result:	Reduced the protein levels of ERRα.

Apoptosis Analysis[1]

Cell Line:	HepG2 and R-HepG2 cells
Concentration:	10 μM
Incubation Time:	48 hours
Result:	Induced apoptosis in HepG2 and R-HepG2 cells.

In Vivo

XCT-790 (XCT790; 4 mg/kg; intravenous injection; every three days; for 3 weeks; BALB/c mice) significantly inhibits tumor growth and angiogenesis, and induces apoptosis without a reduction in body weight, in xenograft models[3].

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

Animal Model:	Female BALB/c mice (4 weeks of age) with HEC-1A xenograft[3]
Dosage:	4 mg/kg
Administration:	Intravenous injection; every three days; for 3 weeks
Result:	Suppressed endometrial cancer growth and angiogenesis, and induced apoptosis.

CUSTOMER VALIDATION

- Mol Cancer. 2022 Mar 18;21(1):77.
- J Exp Clin Cancer Res. 2018 Sep 5;37(1):218.
- Oncogene. 2016 Sep 22;35(38):5033-42.
- Cell Death Discov. 2022 Feb 17;8(1):69.
- Genes Dis. 2020 Dec 23;8(6):891-906.

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REFERENCES

[1]. Wu F, et al. Estrogen-related receptor alpha (ERRalpha) inverse agonist XCT-790 induces cell death in chemotherapeutic resistant cancer cells. Chem Biol Interact. 2009 Oct 7;181(2):236-42.

[2]. Busch BB, et al. Identification of a selective inverse agonist for the orphan nuclear receptor estrogen-related receptor alpha. J Med Chem. 2004 Nov 4;47(23):5593-6.

[3]. Kokabu T, et al. Antitumor effect of XCT790, an ERRα inverse agonist, on ERα-negative endometrial cancer cells. Cell Oncol (Dordr). 2019 Apr;42(2):223-235.

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