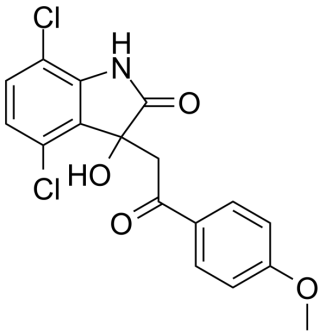
YK-4-279

Cat. No.:	HY-14507
CAS No.:	1037184-44-3
Molecular Formula:	$C_{17}H_{13}Cl_2NO_4$
Molecular Weight:	366.2
Target:	DNA/RNA Synthesis; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (68.27 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7307 mL	13.6537 mL	27.3075 mL
	5 mM	0.5461 mL	2.7307 mL	5.4615 mL
	10 mM	0.2731 mL	1.3654 mL	2.7307 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: ≥ 2.5 mg/mL (6.83 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.83 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.83 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

YK-4-279 blocks RNA Helicase A (RHA) binding with EWS-FLI1 (oncogenic protein). YK-4-279 induces apoptosis and shows anti-proliferation activities towards various cancer cells. YK-4-279 has a chiral center and it can be separated into two enantiomers. YK-4-279 can be used for the research of cancer[1][2].

IC_{50} & Target

IC50: 0.94 μM (TC32), 1.83 μM (TC71), 1.03 μM (RDES), 0.33 μM (SKES), 0.94 μM (MMH-ES-1), 0.60 μM (STA-ET 7.2), 1.46 μM (A4573), 4.95 μM (PC3), 22.82 μM (MCF7), 0.82 μM (MDA-MB-231), 1.514 μM (PANC1), 14.28 μM (ASPC1)[2]

In Vitro

YK-4-279 (3-30 μM; overight) dissociates EWS-FLI1 from RHA in Ewing's sarcoma family tumor (ESFT) cells[1].
YK-4-279 (3-30 μM; 14 h) nearly eliminates cyclin D1 in TC32 cells[1].
YK-4-279 (3-30 μM; 72 h) potently and specifically inhibits ESFTs[1].
YK-4-279 (50 μM; 6 h) induces substantial apoptosis of ESFT cells[1].
YK-4-279 (0.1-30 μM; 72 h) inhibits the growth of ESFT, prostate, breast and pancreatic cancer cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	TC32, ES925, GUES1, TC71, A673, A4573, CHP100, PANC1, ASP1, MCF-7, MDA-MB-231, PC-3, HFK and HEC cell lines
Concentration:	3-30 μM
Incubation Time:	72 h
Result:	Inhibited cell growth with IC_{50}s of 900 nM, 1 μM and 8 μM for TC32, ES925 and GUES1 cells, respectively.

Apoptosis Analysis[1]

Cell Line:	TC32, HEK, HEC and HFK cell lines
Concentration:	50 μM
Incubation Time:	6 h
Result:	Induced apoptosis of ESFT cells and increased caspase-3 activity.

Cell Viability Assay[2]

Cell Line:	TC32, TC71, RDES, SKES, MMH-ES-1, STA-ET 7.2, A4573, PC3, MCF7, MDA-MB-231, PANC1 and ASPC1cell lines
Concentration:	0.1-30 μM
Incubation Time:	72 h
Result:	Inhibited cell growth with IC_{50}s of 0.94, 1.83, 1.03, 0.33, 0.94, 0.60, 1.46, 4.95, 22.82, 0.82, 1.514 and 14.28 μM for TC32, TC71, RDES, SKES, MMH-ES-1, STA-ET 7.2, A4573, PC3, MCF7, MDA-MB-231, PANC1 and ASPC1 cells, respectively.

In Vivo

YK-4-279 (1.5 mg; i.p. once) inhibited ESFT tumor growth[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Beige mice with orthotopic ESFT and ESFT xenografts[1]
Dosage:	1.5 mg
Administration:	Intraperitoneal injection; 1.5 mg once
Result:	Effectively redeced tumor volume of CHP100 and ESFT xenografts (TC71 and CHP100).

CUSTOMER VALIDATION

- Cancer Lett. 2022 Nov 30;216028.
- NPJ Precis Oncol. 2023 May 18;7(1):44.
- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.
- Int J Med Sci. 2017 Apr 7;14(4):356-366.
- Research Square Preprint. 2023 May 31.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Erkizan HV, et al. A small molecule blocking oncogenic protein EWS-FLI1 interaction with RNA helicase A inhibits growth of Ewing's sarcoma. Nat Med. 2009 Jul;15(7):750-6.

[2]. Barber-Rotenberg JS, et al. Single enantiomer of YK-4-279 demonstrates specificity in targeting the oncogene EWS-FLI1. Oncotarget. 2012 Feb;3(2):172-82.

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