TK216

Cat. No.: HY-122903

CAS No.: 1903783-48-1

Molecular Formula: $C_{19}H_{15}Cl_2NO_3$

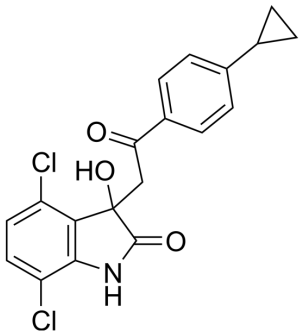
Molecular Weight: 376.23

Target: DNA/RNA Synthesis

Pathway: Cell Cycle/DNA Damage

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 : ≥ 250 mg/mL (664.49 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6579 mL	13.2897 mL	26.5795 mL
5 mM	0.5316 mL	2.6579 mL	5.3159 mL
10 mM	0.2658 mL	1.3290 mL	2.6579 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 (5.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TK216 is an orally active and potent E26 transformation specific (ETS) inhibitor[1]. TK216 directly binds EWS-FLI1 and inhibits EWS-FLI1 protein interactions. TK216 blocks the binding between EWS-FLI1 and RNA helicase A. TK216 has anticancer activity[2].

IC_{50} & Target

ETS[1]

In Vitro

TK216 (500 nM; for 24-72 hours) induces apoptosis in DLBCL cell lines[1].

TK216 (0.03, 0.06, 0.125, 0.25, 0.5 μM) results in a dose-dependent inhibition of proliferation in Ewing Sarcoma A4573 cell line [1].

TK216 (0.1, 0.3, 1 μM) induces apoptosis in DLBCL cell lines, with the amount of cleaved-Caspase 3 normalized to b-actin and presented as fold over control[1].

TK216 has IC_{50}s of 0.363 μM and 0.152 μM for HL-60 AML cell line and TMD-8 DLBCL cell line[1].

TK216 inhibits EWS-FLI1 (Ewing sarcoma breakpoint region 1/Friend leukemia virus integration 1 fusion protein) protein interactions, leading to a decrease in transcription and proliferation[1].

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

Apoptosis Analysis[1]

Cell Line:	DLBCL cell lines
Concentration:	500 nM
Incubation Time:	For 24, 48 or 72 hours
Result:	Induced apoptosis in DLBCL cell lines.

In Vivo

TK216 (po; 100 mg/kg; twice daily for 13 days) results in tumor growth inhibition of the TMD-8 xenograft model[1].

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

Animal Model:	NOD-Scid mice subcutaneously inoculated with TMD8 cells[1]
Dosage:	100 mg/kg
Administration:	PO; twice daily for 13 days
Result:	Resulted in tumor growth inhibition.

CUSTOMER VALIDATION

- Genome Med. 2020 Nov 20;12(1):99.
- Cancer Res. 2021 Feb 15;canres.3213.2020.
- Genes (Basel). 2023 Oct 8, 14(10), 1916.
- Research Square Preprint. 2022 Jan.
- bioRxiv. 2020 May.

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REFERENCES

[1]. Brian Lannutti, et al. Uses of indolinone compounds. US10159660B2.

[2]. Spriano F, et al. The ETS Inhibitors YK-4-279 and TK-216 Are Novel Antilymphoma Agents. Clin Cancer Res. 2019 Aug 15;25(16):5167-5176.

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

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