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Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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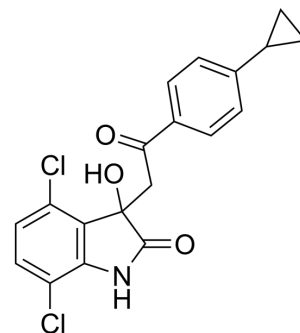
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TK216

Cat. No.:	HY-122903		
CAS No.:	1903783-48-1		
Molecular Formula:	C ₁₉ H ₁₅ Cl ₂ NO 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.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

- 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
- 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
- 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].

IC₅₀ & 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 μ M) results in a dose-dependent inhibition of proliferation in Ewing Sarcoma A4573 cell line^[1].

TK216 (0.1, 0.3, 1 μ M) 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 IC₅₀s of 0.363 μ M and 0.152 μ M 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.

See more customer validations on www.MedChemExpress.com

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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