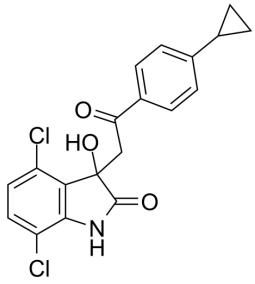
(-)-TK216

Cat. No.:	HY-122903A
CAS No.:	1903783-78-7
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 6 months -20°C 1 month



Rotation (-)

SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (265.79 mM; Need ultrasonic)

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: ≥ 2.5 mg/mL (6.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.64 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(-)-TK216 is an enantiomer of TK216 (HY-122903). TK216 is an orally active and potent E26 transformation specific (ETS) inhibitor. (-)-TK216 has anti-cancer activity[1][2].

In Vitro

(-)-TK216 (compound 14; for 3 days) has an IC_{50}<5 μM in SKES cells (Ewing Sarcoma cell line)[2].
(-)-TK216 has $T_{1/2}$s of 27.7 mins, 2.8 mins, 23.1 mins and 40.8 mins for human, rat, mouse, dog liver microsomes[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	(-)-TK216 (compound 14; 5 mg/kg for iv or 25 mg/kg for po) has $T_{1/2}$s of 0.8 hours and 3.1 hours, and AUCs of 4131 h•(ng/mL) and 13152 h•(ng/mL) for iv and po, respectively, in BALB/c mice or Sprague Dawley rats[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. 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.

[2]. Jean-Michael Vernier, et al. Indolinone compounds and uses thereof. WO2016057698A1.

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

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