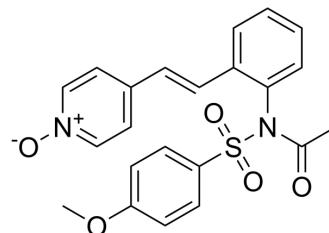


HMN-214

Cat. No.:	HY-12045		
CAS No.:	173529-46-9		
Molecular Formula:	C ₂₂ H ₂₀ N ₂ O ₅ S		
Molecular Weight:	424.47		
Target:	Polo-like Kinase (PLK)		
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 : 8.33 mg/mL (19.62 mM; Need ultrasonic)				
		Solvent Concentration	Mass		
	Preparing Stock Solutions			1 mg	5 mg
		1 mM		2.3559 mL	11.7794 mL
		5 mM		0.4712 mL	2.3559 mL
	10 mM		0.2356 mL	1.1779 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: ≥ 0.62 mg/mL (1.46 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 0.62 mg/mL (1.46 mM); Clear solution				
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 0.62 mg/mL (1.46 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	HMN-214, an orally bioavailable proagent of HMN-176, is an inhibitor of polo-like kinase-1 (plk1), with antitumor activity.
IC₅₀ & Target	PLK1
In Vitro	HMN-214 is a prodrug of HMN-176. HMN-176 shows potent activities against 22 human tumor cell lines, with a mean IC ₅₀ s of 118 nM ^[1] . HMN-176 (3-300 nM) inhibits luciferase expression driven by the MDR1 promoter in a dose dependent manner in HeLa cells. HMN-176 (30-3000 nM) also dose-dependently suppresses complex formation on the Y-box ^[3] . HMN-214 (3.3 μM)

enhances luciferase expression relative to vehicle control with the 1,4C-1,4Bis polymer (11-fold) and PEI (37-fold) in PC3-PSMA cells. HMN-214 ($\geq 3.3 \mu\text{M}$) significantly reduces cell proliferation, causes considerable changes in cell morphology in MB49 cells^[4].

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

In Vivo

HMN-214 (33 mg/kg, p.o.) converts to HMN-176 in rats. HMN-214 has no effect on the conduction velocity and the amplitude of action potentials in the sciatic and tibial nerves. HMN-214 (20 mg/kg, p.o.) exhibits antitumor activity in mice^[1]. HMN-214 (10, 20 mg/kg, p.o.) decreases MDR1 mRNA expression in nude mice bearing KB- and KB-A.1.-derived tumors^[3].

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

PROTOCOL

Cell Assay ^[4]

Cell proliferation in case of different treatment conditions, relative to untreated control cells (treated as 100% viable, or a live control), is quantified using 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide (MTT), a yellow colored reagent which is converted to formazan (a purple dye) by living cells. For screening experiments, transfections are carried out in 96-well cell culture plates, that are seeded with 50,000 cells per well. Following 48 h of transfection, 10 μL of MTT reagent is added to the cells and incubated at 37°C for 2-4 h, and the cells are then lysed by adding 20 μL of MTT detergent and incubated for an additional 2 h at room temperature. Inhibitor dose-optimization transfections are carried out in 24-well plates that are seeded with 50,000 cells per well. After 48 h, 20 μL MTT reagent is added, followed by 100 μL of MTT detergent for lysis for 2 h^[4].

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Animal Administration ^[3]

The ground HMN-214 is suspended with an agate pestle by gradually adding 0.5% methylcellulose 4000 solution to make a 3 mg/mL suspension. This is additionally diluted with methylcellulose 4000 solution to obtain suspensions of the appropriate concentration. Tumor tissue is grown in advance by s.c. transplantation into nude mice. The resulting tumors are removed, cut into cubic fragments of 8 mm³, and transplanted s.c. into the right axillary region of nude mice with a trocar. When the theoretical volume of the tumor had reached about 145 mm³, oral administration of HMN-214 is started (day 1)^[3].

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CUSTOMER VALIDATION

- Pharmaceuticals. 2022 Apr 24;15(5):523.
- PLoS Negl Trop Dis. 2016 Jan 11;10(1):e0004356.
- Department of Pathology. University of California. 2016.
- Harvard Medical School LINCS LIBRARY

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REFERENCES

- [1]. Takagi M, et al. In vivo antitumor activity of a novel sulfonamide, HMN-214, against human tumor xenografts in mice and the spectrum of cytotoxicity of its active metabolite, HMN-176. *Invest New Drugs*. 2003 Nov;21(4):387-99.
- [2]. Garland LL, et al. A phase I pharmacokinetic study of HMN-214, a novel oral stilbene derivative with polo-like kinase-1-interacting properties, in patients with advanced solid tumors. *Clin Cancer Res*. 2006 Sep 1;12(17):5182-9.
- [3]. Tanaka H, et al. HMN-176, an active metabolite of the synthetic antitumor agent HMN-214, restores chemosensitivity to multidrug-resistant cells by targeting the transcription factor NF- κ B. *Cancer Res*. 2003 Oct 15;63(20):6942-7.

[4]. Christensen MD, et al. Kinome-level screening identifies inhibition of polo-like kinase-1 (PLK1) as a target for enhancing non-viral transgene expression. J Control Release. 2015 Apr 28;204:20-9.

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

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