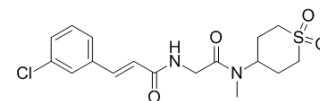


ML264

Cat. No.:	HY-19994		
CAS No.:	1550008-55-3		
Molecular Formula:	C ₁₇ H ₂₁ ClN ₂ O ₄ S		
Molecular Weight:	384.88		
Target:	KLF		
Pathway:	MAPK/ERK Pathway		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (259.82 mM)
 H₂O : < 0.1 mg/mL (insoluble)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.5982 mL	12.9911 mL	25.9821 mL
	5 mM	0.5196 mL	2.5982 mL	5.1964 mL
	10 mM	0.2598 mL	1.2991 mL	2.5982 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 3.25 mg/mL (8.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ML264 is an antitumor agent that potently and selectively inhibits Krüppel-like factor five (KLF5) expression.

IC₅₀ & Target

KLF5^[1]

In Vitro

ML264 is highly active (IC₅₀=29 nM is a cell-based assay for proliferation of DLD-1 cells, IC₅₀=81 nM in a cell-based luciferase assay). ML264 lacks cytotoxicity in the IEC-6 control cell line (IC₅₀>50 μM, <50% inhibition is observed at 100 μM). Robust activity is also seen in several other KLF5-expressing cell types as well (e.g., HCT116, IC₅₀=560 nM; HT29, IC₅₀=130 nM; SW620, IC₅₀=430 nM). Western blot analysis shows that ML264 significantly reduces KLF5 expression^[1]. The effects of ML264 are tested on the rate of cell proliferation of colon cancer cells lines DLD-1 and HCT116 over 72 hours. ML264 efficiently inhibits the rate of proliferation of both cell lines. A significant decrease in proliferation is evident within 24 hours of

treatment and by 72 hours the live cell numbers of ML264-treated and vehicle-treated cells differed by 15- to 30- fold. An MTS assay that allows the quantification of metabolically active cells is performed. ML264 treatment significantly reduces the number of cells undergoing mitosis in DLD-1 cells at 24, 48 and 72 hours^[2].

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

In Vivo

Single daily injections of ML264 at 10 mg/kg do not significantly affect tumor growth. However, twice daily injections of ML264 at 10 mg/kg or 25 mg/kg result in significant reductions in tumor growth, and this effect can be detected as early as two days after the first injection. The data also show that there is a concentration-dependent effect of ML264 on the tumor volume. Statistical analysis of tumor growth reveals significant tumor size reduction in mice treated twice daily with ML264 compared to those receiving only vehicle at day 5 and 10^[2].

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PROTOCOL

Cell Assay ^[2]

For cell proliferation experiments, DLD-1 and HCT116 cells are treated with 10 μ M ML264 or with vehicle (DMSO). Live cells are collected at 24, 48 and 72 hours post treatment and their numbers are determined by counting using a Coulter counter. Each experiment is done in triplicate. In MTS assay, DLD-1 and HCT116 cells are treated with 10 μ M ML264 or with vehicle (DMSO). After 24, 48, and 72 hours of incubations, 20 μ L of MTS solution is added to each well and an analysis is performed. The measurement of the control (cells with medium and DMSO) is defined as 100% and the results from other measurements are calculated accordingly. Each experiment is done in sextuplicate. A cell cycle progression assay is performed. Each experiment is done in triplicate. The apoptosis rate is determined using the Alexa Fluor 488 Annexin V/Dead Cell Apoptosis Kit with analysis by flow cytometry. Each experiment is done in triplicate^[2].

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

Animal Administration ^[2]

Mice^[2]

Nude mice are housed under specific pathogen-free conditions in ventilated and filtered cages under positive pressure. Xenograft tumors are generated by injecting subcutaneously 5×10^6 DLD-1 human colorectal cells into the right flank of 6-7 week old male nude mice. Tumor volume is determined by caliper measurement and calculated by established methods. When tumors reach a volume of about 100 mm³, mice are treated intraperitoneally (i.p.) with varying doses of ML264: 10 mg/kg daily, 10 mg/kg twice per day and 25 mg/kg twice per day, with each treatment regimen lasting for a duration of 10 days. The vehicle solution is used as the control treatment. Mice are monitored and weighed every two days. Experiments are terminated when the tumor's greatest measurement reaches 2 cm. Tumors are excised and retained for further analyses^[2].

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CUSTOMER VALIDATION

- PLoS Biol. 2020 Aug 20;18(8):e3000808.

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REFERENCES

[1]. Bialkowska A, et al. ML264: An Antitumor Agent that Potently and Selectively Inhibits Krüppel-like Factor Five (KLF5) Expression: A Probe for Studying Colon Cancer Development and Progression.

[2]. Ruiz de Sabando A, et al. ML264, A Novel Small-Molecule Compound That Potently Inhibits Growth of Colorectal Cancer. Mol Cancer Ther. 2016 Jan;15(1):72-83.

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

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