Kevetrin hydrochloride

Cat. No.: HY-16271

CAS No.: 66592-89-0

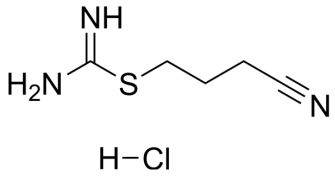
Molecular Formula: $C_5H_{10}ClN_3S$

Molecular Weight: 179.67

Target: MDM-2/p53; Apoptosis

Pathway: Apoptosis

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (556.58 mM; Need ultrasonic)
DMSO : ≥ 40 mg/mL (222.63 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	5.5658 mL	27.8288 mL	55.6576 mL
5 mM	1.1132 mL	5.5658 mL	11.1315 mL
10 mM	0.5566 mL	2.7829 mL	5.5658 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (556.58 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (13.91 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (13.91 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (13.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Kevetrin hydrochloride is a potent activator of p53, induces apoptosis in TP53 wild-type and mutant acute myeloid leukemia cells. Kevetrin a preferential cytotoxic activity against blast cells[1][2].

In Vitro

Kevetrin hydrochloride (85, 170, 340 μM; 6 h) significantly inhibits KASUMI-1 cells growth in a dose-dependent manner, without affecting MOLM-13 cells[1].

?Kevetrin hydrochloride (340 μM; 6 h) induces metallothionein (MT) expression in acute myeloid leukemia (AML) cells, and also down-regulates genes in relation to p53 activity, the regulator of WNT/β-catenin signaling forkhead box K2 and the transcription factor signal transducer and activator of transcription 5A (STAT5A)[1].
?Kevetrin hydrochloride (340 μM; 24 h) induces apoptosis on KASUMI-1 cell line, without leading cell cycle alteration[1].
?Kevetrin hydrochloride (100, 200, 400 μM; 48 h) increases the mRNA and protein level of p53 and induces p21 protein production in A2780 cells[1].

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

Cell Viability Assay[1]

Cell Line:	MOLM-13 and KASUMI-1 cells
Concentration:	85, 170 and 340 μM
Incubation Time:	6 h, 6 h + 66 h wash-out (wo,×1), 6 h + 66 h wo (×2), 6 h + 66 h wo (×3)
Result:	Only inhibited the cell viability of KASUMI-1 cells and decreased cells viability in a dose- and time-dependent manner.

Apoptosis Analysis[1]

Cell Line:	MOLM-13, KASUMI-1, TP53-wt OCI-AML3, and TP53-mutant NOMO-1 cells
Concentration:	85, 170 and 340 μM
Incubation Time:	24, 48, and 72 hours
Result:	Induced KASUMI-1 apoptosis at 340 μM on 24 hours and inhibited MOLM-13 at 340 μM on 48 h.

Cell Cycle Analysis[1]

Cell Line:	MOLM-13, KASUMI-1, TP53-wt OCI-AML3, and TP53-mutant NOMO-1 cells
Concentration:	340 μM
Incubation Time:	24, and 48 hours
Result:	Arrested cell cycle of OCI-AML3 and NOMO-1 cells at G0/G1 phase, without altering cell cycle of MOLM-13 and KASUMI-1 cells.

In Vivo

Kevetrin hydrochloride (150-200 mg/kg; i.p.; 20 d) induces ~40% cell death in OV-90 or OVCAR-3 xenograft tumors, also inhibits tumor growth and extents survival time of mice with tumor xenograft mode[2].

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

Animal Model:	A2780 xenograft tumor model in nude mice[2]
Dosage:	200 mg/kg
Administration:	Intraperitoneal injection; 3 times per week, for 20 days
Result:	Inhibited tumor growth and suppressed the tumor volume.

Animal Model:	SKOV-3 xenograft ascites model in mice[2]
Dosage:	150 mg/kg

Administration:	Intraperitoneal injection
Result:	Prolonged the survival time of mice, and maintained 100% survival rate more over 35 days.

REFERENCES

[1]. Napolitano R, et al. Kevetrin induces apoptosis in TP53 wildtype and mutant acute myeloid leukemia cells. Oncol Rep. 2020 Oct;44(4):1561-1573.

[2]. Kumar A, et al. Abstract 3221: kevetrin induces p53-dependent and independKumar A, et al. Abstract 3221: kevetrin induces p53-dependent and independent cell cycle arrest and apoptosis in ovarian cancer cell lines representing heterogeneous histologies. Cancer Research. 2017. 77(13 Supplement), 3221-3221.

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