Eltanexor

Cat. No.: HY-100423

CAS No.: 1642300-52-4

Molecular Formula: $C_{17}H_{10}F_6N_6O$

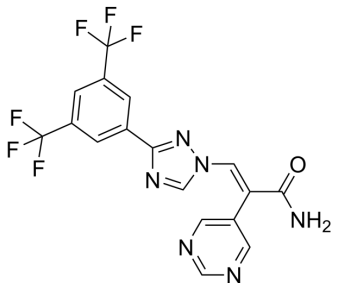
Molecular Weight: 428.29

Target: CRM1

Pathway: Membrane Transporter/Ion Channel

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 : ≥ 100 mg/mL (233.49 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3349 mL	11.6743 mL	23.3487 mL
	5 mM	0.4670 mL	2.3349 mL	4.6697 mL
	10 mM	0.2335 mL	1.1674 mL	2.3349 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 (5.84 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.84 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.84 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Eltanexor (KPT-8602) is a second-generation, highly specific and orally active exportin-1 (XPO1) inhibitor with potent anti-leukemic activity. Eltanexor (KPT-8602) inhibits XPO1-dependent nuclear export (EC_{50}=60.9 nM) by directly targeting XPO1. Eltanexor (KPT-8602) induces Caspase-dependent apoptosis in a panel of leukemic cell lines[1].

IC_{50} & Target

XPO1[1]

In Vitro

KPT-8602 (2-6 nM; 72 hours) reduces cell viability in leukemia cell lines with EC_{50}s ranging from 25 to 145 nM[1].
KPT-8602 (1 nM; 16 hours) induces apoptosis in leukemia cell lines[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	T-ALL cells (Jurkat, MOLT-4, ALL-SIL, DND41, and HPB-ALL), B-ALL cells (BV173, EHEB, and REH), AML cells (MV4-11, MOLM13, K-562, and HL-60)
Concentration:	2, 4, 6 nM
Incubation Time:	72 hours
Result:	Cell viability was reduced with EC_{50} values ranging from 25 to 145 nM.

Western Blot Analysis[1]

Cell Line:	T-ALL, B-ALL, AML cells
Concentration:	1 μM
Incubation Time:	16 hours
Result:	Appearance of cleaved caspase-3 substrate PARP as early as 6 hours.

In Vivo

KPT-8602 (15 mg/kg; oral gavage; daily for 12 days) shows potent anti-lymphoblastic leukemia activity[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/c mice (model with the JAK3 (M511I) mutation)[1]
Dosage:	15 mg/kg
Administration:	Oral gavage; daily for 12 days
Result:	Showed a marked reduction in total white blood cell (WBC) counts after 2 days of treatment compared to placebo-treated animals and the WBC counts continued to drop until they reached normal levels (<10,000 cells/μL) by day 12.

CUSTOMER VALIDATION

- Front Microbiol. 03 May 2021.

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REFERENCES

[1]. Vercruysse T et al. The second-generation exportin-1 inhibitor KPT-8602 demonstrates potent activity against acute lymphoblastic leukemia. Clin Cancer Res. 2016 Oct 25.

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

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