SZABO SCANDIC

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# Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

## Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

## Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand


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# Eltanexor

**Cat. No.:** HY-100423

**CAS No.:** 1642300-52-4

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

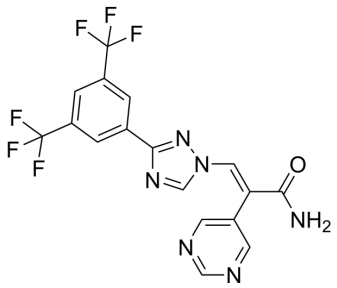
**Molecular Weight:** 428.29

**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.

See more customer validations on www.MedChemExpress.com

## 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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