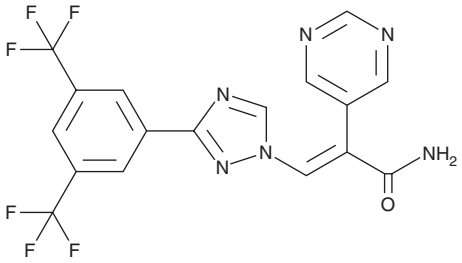
PRODUCT INFORMATION

Eltanexor

Item No. 43585

CAS Registry No.: 1642300-52-4
Formal Name: αE-[[3-[3,5-*bis*(trifluoromethyl) phenyl]-1H-1,2,4-triazol-1-yl] methylene]-5-pyrimidineacetamide
Synonym: KPT-8602
MF: $C_{17}H_{10}F_6N_6O$
FW: 428.3
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Eltanexor is supplied as a solid. A stock solution may be made by dissolving the eltanexor in the solvent of choice, which should be purged with an inert gas. Eltanexor is sparingly soluble (1-10 mg/ml) in DMSO.

Description

Eltanexor is an inhibitor of exportin 1 (XPO1/CRM1).[1] It inhibits the binding of XPO1/CRM1 to various nuclear export signals (NESs) in cell-free pull-down assays when used at a concentration of 10 µM. Eltanexor inhibits Cas9-encoding mRNA nuclear export in HEK293T cells in a concentration-dependent manner and CRISPR-Cas9 gene editing in a reporter assay using HEK293 cells (IC_{50} = 94 nM).[2] It inhibits human cytomegalovirus (CMV) replication in primary human foreskin fibroblasts (IC_{50} = 37.62 nM).[3] Eltanexor (100 nM) induces IκBα and NF-κB p65 nuclear accumulation and inhibits RANKL-induced osteoclast differentiation in isolated mouse bone marrow-derived macrophages (BMDMs).[4] It induces apoptosis in primary human chronic lymphocytic leukemia (CLL) cells and increases survival and decreases spleen leukemic burden in an MV4-11 acute myeloid leukemia (AML) mouse xenograft model.[1] Eltanexor (5 mg/kg five times per week) also increases locomotor activity and tibialis anterior myofiber size and decreases serum osteopontin (OPN) levels in the D2-*mdx* mouse model of Duchenne muscular dystrophy (DMD).[5]

References

1. Hing, Z.A., Fung, H.Y., Ranganathan, P., *et al.* Next-generation XPO1 inhibitor shows improved efficacy and *in vivo* tolerability in hematological malignancies. *Leukemia* **30(12)**, 2364-2372 (2016).
2. Cui, Y.R., Wang, S.J., Ma, T., *et al.* KPT330 improves Cas9 precision genome- and base-editing by selectively regulating mRNA nuclear export. *Commun. Biol.* **5(1)**, 237 (2022).
3. Liao, Y., Ke, X., Deng, T., *et al.* The second-generation XPO1 inhibitor eltanexor inhibits human cytomegalovirus (HCMV) replication and promotes type I interferon response. *Front. Microbiol.* **12:675112**, (2021).
4. Chen, J., Song, D., Xu, Y., *et al.* Anti-osteoclast effect of exportin-1 inhibitor eltanexor on osteoporosis depends on nuclear accumulation of IκBα-NF-κB p65 complex. *Front. Pharmacol.* **13:896108**, (2022).
5. English, K.G., Reid, A.L., Samani, A., *et al.* Next-generation SINE compound KPT-8602 ameliorates dystrophic pathology in zebrafish and mouse models of DMD. *Biomedicines* **10(10)**, 2400 (2022).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM