PRODUCT INFORMATION



KPT-330

Item No. 18127

CAS Registry No.: 1393477-72-9

Formal Name: (2Z)-3-[3-[3,5-bis(trifluoromethyl)

> phenyl]-1H-1,2,4-triazol-1-yl] -2-propenoic acid 2-(2-pyrazinyl)

hydrazide

Selinexor Synonyms: MF: $C_{17}H_{11}F_6N_7O$

FW: 443.3 **Purity:** ≥98% UV/Vis.: λ_{max} : 272 nm A crystalline solid Supplied as:

-20°C Storage:

Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when

stored properly

Laboratory Procedures

KPT-330 is supplied as a crystalline solid. A stock solution may be made by dissolving the KPT-330 in the solvent of choice. KPT-330 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of KPT-330 in these solvents is approximately 14, 30, and 20 mg/ml, respectively.

KPT-330 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, KPT-330 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. KPT-330 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

KPT-330 is a selective inhibitor of nuclear export proteins. It covalently binds to Cys⁵²⁸ in the nuclear export signal-binding groove of CRM1 (exportin 1), inhibiting its nuclear export function. ^{1,2} It demonstrates potent anticancer activity, inducing apoptosis in various leukemia and multiple myeloma cell lines $(IC_{50}s = 34-203 \text{ nM})$ and mouse models.^{3,4} KPT-330 has been examined in several phase I and phase II clinical trials in both solid and hematological malignancies.⁴

References

- 1. Etchin, J., Montero, J., Berezovskaya, A., et al. Activity of a selective inhibitor of nuclear export, selinexor (KPT-330), against AML-initiating cells engrafted into immunosuppressed NSG mice. Leukemia 30(1), 190-199 (2016).
- Etchin, J., Sun, Q., Kentsis, A., et al. Antileukemic activity of nuclear export inhibitors that spare normal hematopoietic cells. Leukemia 27(1), 66-74 (2013).
- Etchin, J., Sanda, T., Mansour, M.R., et al. KPT-330 inhibitor of CRM1 (XPO1)-mediated nuclear export has selective anti-leukaemic activity in preclinical models of T-cell acute lymphoblastic leukaemia and acute myeloid leukaemia. Br. J. Haematol. 161(1), 117-127 (2013).
- 4. Das, A., Wei, G., Parikh, K., et al. Selective inhibitors of nuclear export (SINE) in hematological malignancies. Exp. Hermatol. Oncol. 4(7), (2015).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website

Copyright Cayman Chemical Company, 06/30/2016

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