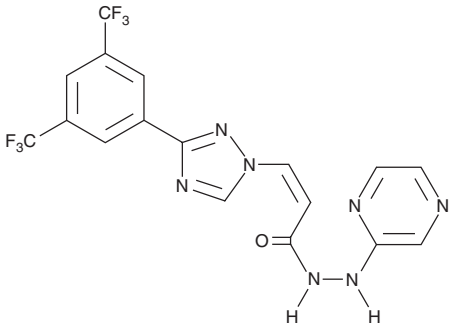
PRODUCT INFORMATION

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
Synonyms: Selinexor
MF: $C_{17}H_{11}F_6N_7O$
FW: 443.3
Purity: ≥98%
UV/Vis.: λ_{max}: 272 nm
Supplied as: A crystalline solid
Storage: -20°C
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 Cys^{528} 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 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).
2. 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).
3. 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).

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