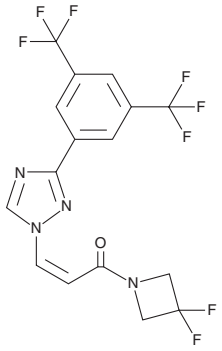
PRODUCT INFORMATION

KPT-276

Item No. 29158



CAS Registry No.:	1421919-75-6
Formal Name:	(2Z)-3-[3-[3,5-*bis*(trifluoromethyl) phenyl]-1H-1,2,4-triazol-1-yl]-1-(3,3-difluoro-1-azetidinyl)-2-propen-1-one
MF:	$C_{16}H_{10}F_8N_4O$
FW:	426.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 268 nm
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

KPT-276 is supplied as a solid. A stock solution may be made by dissolving the KPT-276 in the solvent of choice, which should be purged with an inert gas. KPT-276 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of KPT-276 in these solvents is approximately 1, 2, and 10 mg/ml, respectively.

KPT-276 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, KPT-276 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. KPT-276 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

KPT-276 is an orally bioavailable inhibitor of Exportin 1 (XPO1/CRM1) with anticancer activity.[1] *In vivo*, KPT-276 (150 mg/kg) increases survival and reduces spleen weight and white blood cell count in an MV4-11 acute myeloid leukemia (AML) mouse xenograft model. It also reduces tumor volume and increases survival in a BT 145 glioblastoma mouse xenograft model when administered at a dose of 75 mg/kg.[2]

References

1. Ranganathan, P., Yu, X., Na, C., *et al.* Preclinical activity of a novel CRM1 inhibitor in acute myeloid leukemia. *Blood* **120(9)**, 1765-1773 (2012).
2. Green, A.L., Ramkissoon, S.H., McCauley, D., *et al.* Preclinical antitumor efficacy of selective exportin 1 inhibitors in glioblastoma. *Neuro. Oncol.* **17(5)**, 697-707 (2015).

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