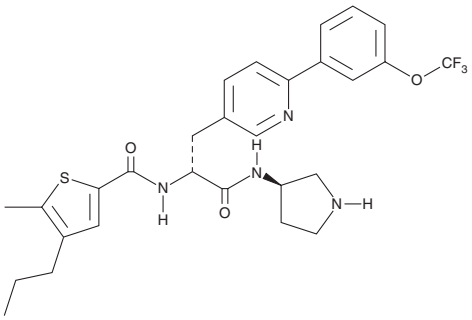
PRODUCT INFORMATION

AZ82

Item No. 21898

CAS Registry No.:	1449578-65-7
Formal Name:	αR-[[(5-methyl-4-propyl-2-thienyl) carbonyl]amino]-N-(3R)-3-pyrrolidinyl-6-[3-(trifluoromethoxy)phenyl]-3-pyridinepropanamide
MF:	$C_{28}H_{31}F_3N_4O_3S$
FW:	560.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 252, 282 nm
Supplied as:	A crystalline 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

AZ82 is supplied as a crystalline solid. A stock solution may be made by dissolving the AZ82 in the solvent of choice, which should be purged with an inert gas. AZ82 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AZ82 in these solvents is approximately 20 mg/ml.

AZ82 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AZ82 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. AZ82 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AZ82 is a small molecule inhibitor of KIFC1/HSET, a kinesin-14 family protein that is important for assembling bipolar spindles in cancer cells containing supernumerary centrosomes.[1] AZ82 binds to the KIFC1/microtubule complex and inhibits its microtubule-stimulated activity (IC_{50} = 300 nM) in an ATP-competitive (K_i = 43 nM) and microtubule-noncompetitive manner but does not inhibit basal KIFC1 activity at a concentration of 100 µM.[2] It is selective for KIFC1 over a panel of nine kinesin motor proteins at a concentration of 5 µM. AZ82 induces multipolar spindle formation in cancer cell lines with high (BT549), but not low (HeLa and MCF-7), numbers of extra chromosomes.

References

1. Godinho, S.A. and Pellman, D. Causes and consequences of centrosome abnormalities in cancer. *Philos. Trans. R. Soc. Lond. B Biol. Sci.* **369(1650)**, 20130467 (2014).
2. Wu, J., Mikule, K., Wang, W., *et al.* Discovery and mechanistic study of a small molecule inhibitor for motor protein KIFC1. *ACS Chem. Biol.* **8(10)**, 2201-2208 (2013).

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