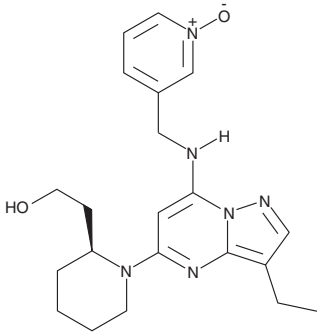
PRODUCT INFORMATION

Dinaciclib

Item No. 14707

CAS Registry No.:	779353-01-4
Formal Name:	1-[3-ethyl-7-[[(1-oxido-3-pyridinyl) methyl]amino]pyrazolo[1,5-a] pyrimidin-5-yl]-2S-piperidineethanol
Synonym:	SCH 727965
MF:	$C_{21}H_{28}N_6O_2$
FW:	396.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 216, 259 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

Dinaciclib is supplied as a crystalline solid. A stock solution may be made by dissolving the dinaciclib in the solvent of choice, which should be purged with an inert gas. Dinaciclib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of dinaciclib in ethanol and DMF is approximately 25 mg/ml and approximately 15 mg/ml in DMSO.

Dinaciclib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, dinaciclib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Dinaciclib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Cyclin-dependent kinases (CDKs) are critical positive regulators of cell cycle progression and cellular transcription whose dysregulation can lead to the development of cancer. Dinaciclib inhibits CDK1, CDK2, CDK5, and CDK9 activity *in vitro* with IC_{50} values of 3, 1, 1, and 4 nM, respectively.[1] Compared to the pan-CDK inhibitor flavopiridol (Item No. 10009197), dinaciclib is an equally potent inhibitor of CDK1 and CDK9 but a 12-14-fold more potent inhibitor of CDK2 and CDK5.[1] It has been shown to inhibit DNA synthesis by blocking thymidine incorporation in A2780 ovarian cancer cells with an IC_{50} value of 4 nM.[1] At 5 mg/kg it prevents tumor growth by 50% in an A2780 ovarian carcinoma mouse xenograft model and is active against a broad spectrum of human tumor cell lines *in vitro* (IC_{50}s = 7-17 nM).[1]

Reference

1. Parry, D., Guzi, T., Shanahan, F., *et al.* Dinaciclib (SCH 727965), a novel and potent cyclin-dependent kinase inhibitor. *Mol. Cancer Ther.* **9(8)**, 2344-2353 (2010).

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