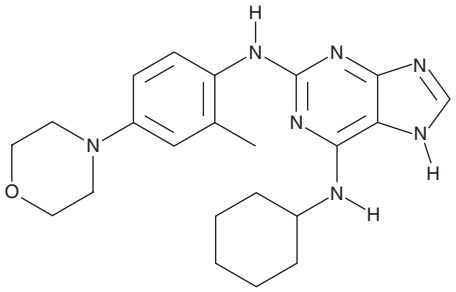
PRODUCT INFORMATION

MPI-0479605

Item No. 22136

CAS Registry No.:	1246529-32-7
Formal Name:	N^6-cyclohexyl-N^2-[2-methyl-4-(4-morpholinyl) phenyl]-9H-purine-2,6-diamine
MF:	$C_{22}H_{29}N_7O$
FW:	407.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 262 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

MPI-0479605 is supplied as a crystalline solid. A stock solution may be made by dissolving the MPI-0479605 in the solvent of choice, which should be purged with an inert gas. MPI-0479605 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of MPI-0479605 in these solvents is approximately 1.25 and 1 mg/ml, respectively.

MPI-0479605 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MPI-0479605 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. MPI-0479605 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

MPI-0479605 is a potent and ATP-competitive inhibitor of the mitotic kinase MPS1 (IC_{50} = 1.8 nM).[1] It is selective for MPS1 over a panel of 79 kinases at a concentration of 500 nM. MPI-0479605 induces time-dependent degradation of cyclin B and securin and decreases phosphorylation of BUBR1 resulting in failed cytokinesis in HeLa cells arrested by nocodazole (Item No. 13857). It also causes misalignment of chromosomes at the anaphase plate and aneuploidy in A549 cells and slows cell cycle progression of HCT116 and COLO 205 cells irrespective of p53 activity. MPI-0479605 (30-150 mg/kg) reduces tumor volume in an HCT116 mouse colon cancer xenograft model in a dose-dependent manner.

Reference

1. Tardif, K.D., Rogers, A., Cassiano, J., *et al.* Characterization of the cellular and antitumor effects of MPI-0479605, a small-molecule inhibitor of the mitotic kinase Mps1. *Mol. Cancer Ther.* **10(12)**, 2267-2275 (2011).

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