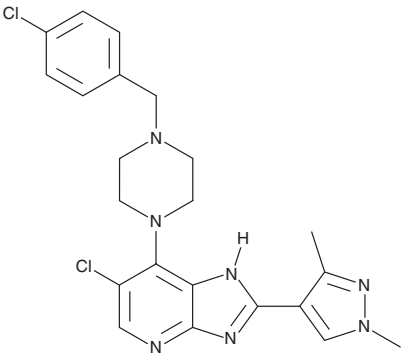
PRODUCT INFORMATION

CCT241736

Item No. 26185



CAS Registry No.:	1402709-93-6
Formal Name:	6-chloro-7-[4-[(4-chlorophenyl) methyl]-1-piperazinyl]-2-(1,3-dimethyl-1H-pyrazol-4-yl)-3H-imidazo[4,5-b]pyridine
MF:	$C_{22}H_{23}Cl_2N_7$
FW:	456.4
Purity:	≥90%
UV/Vis.:	λ_{max}: 218, 256, 310 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

CCT241736 is supplied as a crystalline solid. A stock solution may be made by dissolving the CCT241736 in the solvent of choice, which should be purged with an inert gas. CCT241736 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of CCT241736 in these solvents is approximately 2 and 10 mg/ml, respectively.

CCT241736 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CCT241736 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CCT241736 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

CCT241736 is a dual inhibitor of Aurora kinases (K_ds = 7.5 and 48 nM for Aurora A and B, respectively) and FLT3 (K_ds = 6.2, 38, and 14 nM for wild-type, $FLT3^{ITD}$, and $FLT3^{D835Y}$, respectively).[1] It also inhibits the activity of 22 additional kinases by greater than 90% in a panel of 386 nonmutant kinases at 1 µM. CCT241736 inhibits cell growth of SW620, HCT116, MOLM-13, and MV4-11 cancer cells (GI_{50}s = 1, 0.3, 0.1, and 0.3 µM, respectively). Oral administration of CCT241736 (50 mg/kg twice per day) reduces tumor growth by 58% in an MV4-11 mouse xenograft model.

Reference

1. Bavetsias, V., Crumpler, S., Sun, C., *et al.* Optimization of imidazo[4,5-b]pyridine-based kinase inhibitors: Identification of a dual FLT3/Aurora kinase inhibitor as an orally bioavailable preclinical development candidate for the treatment of acute myeloid leukemia. *J. Med. Chem.* **55(20)**, 8721-8734 (2012).

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