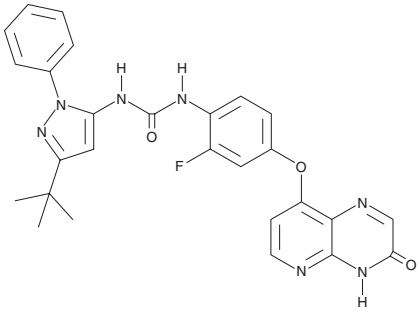
PRODUCT INFORMATION

CCT196969

Item No. 25537

CAS Registry No.: 1163719-56-9
Formal Name: N-[4-[(3,4-dihydro-3-oxopyrido[2,3-b]pyrazin-8-yl)oxy]-2-fluorophenyl]-N'-[3-(1,1-dimethylethyl)-1-phenyl-1H-pyrazol-5-yl]-urea
MF: $C_{27}H_{24}FN_7O_3$
FW: 513.5
Purity: ≥95%
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

CCT196969 is supplied as a solid. A stock solution may be made by dissolving the CCT196969 in the solvent of choice, which should be purged with an inert gas. CCT196969 is soluble in the organic solvent DMSO.

Description

CCT196969 is a multi-kinase inhibitor that inhibits B-RAF, B-RAF^{V600E}, C-RAF, Src, and LCK (IC_{50}s = 100, 40, 12, 26, and 14 nM, respectively).[1] It is selective for RAFs, Src, LCK, and MAPKs in a panel of 63 kinases when used at a concentration of 1 µM. CCT196969 inhibits MEK and ERK signaling in B-RAF mutant WM266.4 cells, but not B-RAF wild-type D35 cells, and inhibits growth of B-RAF mutant melanoma cells in a concentration-dependent manner. *In vivo*, CCT196969 (20 mg/kg per day) induces tumor regression in a B-RAF mutant A375 mouse xenograft model. CCT196969 also inhibits ERK and Src signaling and induces tumor regression in B-RAF inhibitor-resistant patient-derived xenograft (PDX) mouse models including those resistant to both dabrafenib (Item No. 16989) and trametinib (Item No. 16292).

Reference

1. Girotti, M.R., Lopes, F.J.P., Preece, N., *et al.* Paradox-breaking RAF inhibitors that also target SRC are effective in drug-resistant BRAF mutant melanoma. *Cancer Cell* **31(3)**, 85-96 (2017).

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