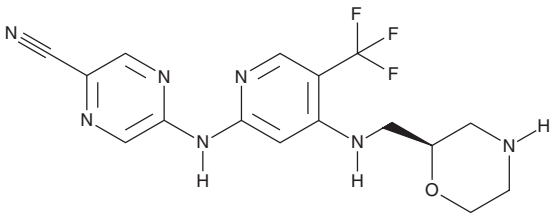
PRODUCT INFORMATION

CCT245737

Item No. 28775

CAS Registry No.: 1489389-18-5
Formal Name: 5-[[4-[[(2R)-2-morpholinylmethyl]amino]-5-(trifluoromethyl)-2-pyridinyl]amino]-2-pyrazinecarbonitrile
MF: $C_{16}H_{16}F_3N_7O$
FW: 379.3
Purity: ≥98%
UV/Vis.: λ_{max}: 224, 293, 339 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

CCT245737 is supplied as a crystalline solid. A stock solution may be made by dissolving the CCT245737 in the solvent of choice, which should be purged with an inert gas. CCT245737 is soluble in the organic solvent DMSO.

Description

CCT245737 is a potent inhibitor of checkpoint kinase 1 (Chk1; IC_{50} = 1.3 nM).[1] It is selective for Chk1 over Chk2 (IC_{50} = 2,440 nM). CCT245737 inhibits G_2 checkpoint arrest induced by etoposide (Item No. 12092) in HT-29 colon cancer cells (IC_{50} = 30 nM). *In vivo*, CCT245737 (300 mg/kg) inhibits Chk1 autophosphorylation induced by gemcitabine (Item No. 11690) in an SW620 colon cancer mouse xenograft model. It reduces tumor volume when administered alone or in combination with gemcitabine in an HT-29 mouse xenograft model. CCT245737 (150 mg/kg) reduces inguinal, brachial/axillary, and mesenteric lymph node weights in the *Eμ-Myc* driven transgenic mouse transplant model of lymph gland-infiltrating B cell lymphoma.[2]

References

1. Osborne, J.D., Matthews, T.P., McHardy, T., *et al.* Multiparameter lead optimization to give an oral checkpoint kinase 1 (CHK1) inhibitor clinical candidate: (R)-5-((4-((morpholin-2-ylmethyl)amino)-5-(trifluoromethyl)pyridin-2-yl)amino)pyrazine-2-carbonitrile (CCT245737). *J. Med. Chem.* **59(11),** 5221-5237 (2016).
2. Walton, M.I., Eve, P.D., Hayes, A., *et al.* The clinical development candidate CCT245737 is an orally active CHK1 inhibitor with preclinical activity in RAS mutant NSCLC and Eμ-MYC driven B-cell lymphoma. *Oncotarget* **7(3),** 2329-2342 (2015).

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