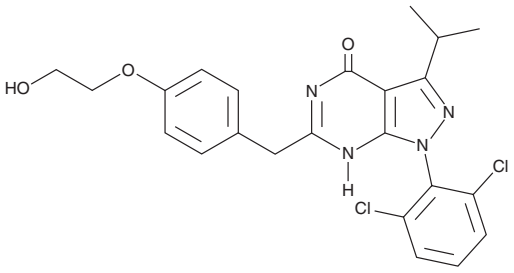
PRODUCT INFORMATION

CDK/CRK Inhibitor

Item No. 21195

CAS Registry No.: 784211-09-2
Formal Name: 1-(2,6-dichlorophenyl)-1,5-dihydro-6-[[4-(2-hydroxyethoxy)phenyl]methyl]-3-(1-methylethyl)-4H-pyrazolo[3,4-d]pyrimidin-4-one
Synonyms: Cdk7 Inhibitor IV, Cyclin-dependent kinase 7 Inhibitor IV, Cyclin-dependent kinase/CDK-related kinase Inhibitor, RGB-286147
MF: $C_{23}H_{22}Cl_2N_4O_3$
FW: 473.4
Purity: ≥98%
UV/Vis.: λ_{max}: 214 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

CDK/CRK inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the CDK/CRK inhibitor in the solvent of choice, which should be purged with an inert gas. CDK/CRK inhibitor is soluble in organic solvents such as DMSO, and dimethyl formamide (DMF). The solubility of CDK/CRK inhibitor in these solvents is approximately 30 mg/ml.

CDK/CRK inhibitor is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CDK/CRK inhibitor should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CDK/CRK inhibitor has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CDK/CRK inhibitor is an inhibitor of cyclin-dependent kinases (CDK) and CDK-related kinases (CRK) with IC_{50} values ranging from 9-839 nM *in vitro*.[1] It is selective, exhibiting less than 20% inhibition of 60 non-CDK/CRK kinases, at a concentration of 1 µM. CDK/CRK inhibitor induces cell cycle arrest in the G_1 phase, endoreduplication, and apoptosis in HCT116 cells. It exhibits broad anti-tumor activity with an average GI_{50} value of <10 nM for 60 tumorigenic cell lines. It also inhibits growth of non-cycling HCT116 cells with an IC_{50} value of 40 nM.

Reference

1. Caligiuri, M., Becker, F.F., Murthi, K., *et al.* A proteome-wide CDK/CRK-specific kinase inhibitor promotes tumor cell death in the absence of cell cycle progression. *Chem. Biol.* **12(10)**, 1103-1115 (2005).

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