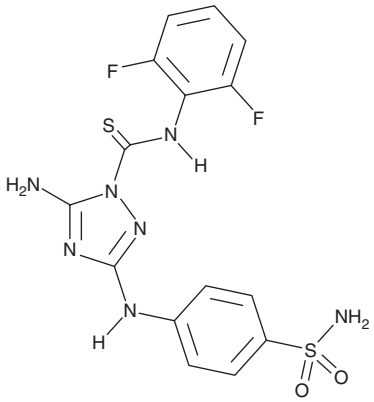
PRODUCT INFORMATION

Cdk1/2 Inhibitor III

Item No. 18859

CAS Registry No.: 443798-47-8
Formal Name: 5-amino-3-[[4-(aminosulfonyl) phenyl]amino]-N-(2,6-difluorophenyl)-1H-1,2,4-triazole-1-carbothioamide
Synonym: Cyclin-dependent kinase 1/2 Inhibitor III
MF: $C_{15}H_{13}F_2N_7O_2S_2$
FW: 425.4
Purity: ≥95%
Supplied as: A solid
Storage: -20°C
Stability: ≥2 years
Special Conditions: Protect from light



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

BILITY KNOWN

Laboratory Procedures

Cdk1/2 Inhibitor III is supplied as a solid. A stock solution may be made by dissolving the Cdk1/2 inhibitor III in the solvent of choice. Cdk1/2 Inhibitor III is soluble in the organic solvent DMSO, which should be purged with an inert gas. The solubility of Cdk1/2 inhibitor III in DMSO is approximately
LITY IN WATER 10 mg/ml. Cdk1/2 Inhibitor III is also soluble in acetone and tetrahydrofuran.

Cdk1/2 Inhibitor III is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

LITY IN WATER Cyclin-dependent kinases (Cdks) are key regulators of cell cycle progression and are therefore promising targets for cancer therapy.[1] Cdk1/2 Inhibitor III is a cell-permeable inhibitor of Cdk1/cyclin B and Cdk2/cyclin A (IC_{50}s = 0.6 and 0.5 nM, respectively).[2] It less potently inhibits CDC2-like kinases 1 and 3, VEGFR2, and GSK-3β (IC_{50}s = 8.9, 29, 32, and 140 nM, respectively) and is without effect against a panel of other kinases.[2,3] Cdk1/2 Inhibitor III blocks the growth of several cancer cell lines (IC_{50} values range from 20 to 92 nM).[2]

References

1. Bettayeb, K., Baunbaek, D., Delehouze, C., *et al*. CDK inhibitors roscovitine and CR8 trigger Mcl-I down-regulation and apoptotic cell death in neuroblastoma cells. *Genes Cancer* **1(4)**, 369-380 (2010).
2. Lin, R., Connolly, P.J., Huang, S., *et al*. 1-Acyl-1H-[1,2,4]triazole-3,5-diamine analogues as novel and potent anticancer cyclin-dependent kinase inhibitors: Synthesis and evaluation of biological activities. *J. Med. Chem.* **48(13)**, 4208-4211 (2005).
3. Fedorov, O., Huber, K., Eisenreich, A., *et al.* Specific CLK inhibitors from a novel chemotype for regulation of alternative splicing. *Chem. Biol.* **18(1)**, 67-76 (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