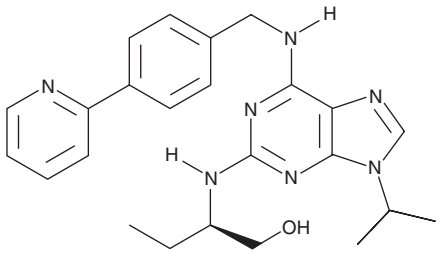
PRODUCT INFORMATION

(R)-CR8

Item No. 14006

CAS Registry No.:	294646-77-8
Formal Name:	2R-[[9-(1-methylethyl)-6-[[[4-(2-pyridinyl)phenyl]methyl]amino]-9H-purin-2-yl]amino]-1-butanol
MF:	$C_{24}H_{29}N_7O$
FW:	431.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 232, 290 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

(R)-CR8 is supplied as a crystalline solid. A stock solution may be made by dissolving the (R)-CR8 in the solvent of choice, which should be purged with an inert gas. (R)-CR8 is soluble in ethanol and DMSO.

Description

Cyclin-dependent kinases (CDKs) are key regulators of cell cycle progression and are therefore promising targets for cancer therapy.[1] (R)-CR8 is a second-generation analog of (R)-roscovitine (Item No. 10009569) that inhibits Cdk1/cyclin B, Cdk2/cyclin A, Cdk2/cyclin E, Cdk5/p25, and Cdk9/cyclin T with IC_{50} values of 0.09, 0.072, 0.041, 0.11, and 0.18 µM, respectively.[2,3] (R)-CR8 has 2- to 4-fold improved potency for the inhibition of CDKs over (R)-roscovitine and can inhibit the proliferation of various cancer cell lines with ~40-fold more potency than (R)-roscovitine (IC_{50}s ~ 0.39 versus 27.8 µM, respectively).[2,3] (R)-CR8 also inhibits casein kinase 1 (CK1δ/ε) with an IC_{50} value of 0.40 µM and inhibits GSK3α/β with an IC_{50} value of 12 µM.[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. Bettayeb, K., Oumata, N., Echalier, A., *et al.* CR8, a potent and selective, roscovitine-derived inhibitor of cyclin-dependent kinases. *Oncogene* **27(44)**, 5797-5807 (2008).
3. Oumata, N., Bettayeb, K., Ferandin, Y., *et al.* Roscovitine-derived, dual-specificity inhibitors of cyclin-dependent kinases and casein kinases 1. *J. Med. Chem.* **51(17)**, 5229-5242 (2008).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

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