
Product Data Sheet

Product Name: DRB
Cat. No.: GC17015

Chemical Properties

Cas. No. 53-85-0

Chemical Name 5,6-dichloro-1- β -D-ribofuranosyl-1H-benzimidazole

SMILES O[C@@H]1[C@H](O)[C@@H](CO)O[C@H]1N2C=NC3=C2C=C(Cl)C(Cl)=C3

Formula $C_{12}H_{12}Cl_2N_2O_4$ M.Wt 319.1

Solubility $\geq 12.6\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure

Background

5, 6-dichloro-1- β -D-ribofuranosylbenzimidazole (DRB) is a transcriptional elongation inhibitor.

Cyclin-dependent kinases (CDKs) belong to a family of protein kinases involved in regulating the cell cycle, transcription, mRNA processing, and the differentiation of nerve cells.[1] They are expressed in all known eukaryotes. With cyclin, CDK shows kinase activity. CDKs are serine-threonine kinases [1].

DRB inhibited the activity of several carboxyl-terminal domain (CTD) kinases including casein kinase II, Cdk7, Cdk8, and Cdk9 with the IC₅₀ of 4-10 μM , $\sim 20 \mu\text{M}$, $\sim 20 \mu\text{M}$, and 3 μM [2-5]. In HeLa cells, DRB (75 μM) inhibited 60-75% of nuclear heterogeneous RNA (hnRNA) synthesis. DRB (75 μM) reduced the appearance of labeled cytoplasmic poly(A)-containing messenger RNA (mRNA) by approximately 95%. DRB inhibited the initiation of hnRNA chains, but did not directly interfere with labeling of poly(A) [6]. DRB inhibited influenza virus multiplication in the chorioallantoic membrane in vitro [7]. DRB inhibited

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a HeLa protein kinase which phosphorylated an RNA polymerase II-derived peptide [8]. DRB can also inhibit HIV transcription ($IC_{50} = \sim 4 \mu M$) by targeting elongation enhanced by the HIV-encoded transactivator Tat.

References:

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- [2]. Yankulov, K., Yamashita, K., Roy, R., et al. The transcriptional elongation inhibitor 5,6-dichloro-1- β -D-ribofuranosylbenzimidazole inhibits transcription factor IIH-associated protein kinase. *The Journal of Biological Chemistry* 270(41), 23922-23925 (1995).
- [3]. Rickert, P., Corden, J.L., and Lees, E. Cyclin C/CDK8 and cyclin H/CDK7/p36 are biochemically distinct CTD kinases. *Oncogene* 18, 1093-1102 (1999).
- [4]. Schang, L.M. Cyclin-dependent kinases as cellular targets for antiviral drugs. *Journal of Antimicrobial Chemotherapy* 50, 779-792 (2002).
- [5] Sehgal P B, Darnell J E, Tamm I. The inhibition of DRB (5, 6-dichloro-1- β -d-ribofuranosylbenzimidazole) of hnRNA and mRNA production in HeLa cells[J]. *Cell*, 1976, 9(3): 473-480.
- [6] Tamm I, Tyrrell D A J. Influenza virus multiplication in the chorioallantoic membrane in vitro: kinetic aspects of inhibition by 5, 6-dichloro-1- β -D-ribofuranosylbenzimidazole[J]. *The Journal of experimental medicine*, 1954, 100(6): 541.
- [7] Stevens A, Maupin M K. 5, 6-Dichloro-1- β -d-ribofuranosylbenzimidazole inhibits a HeLa protein kinase that phosphorylates an RNA polymerase II-derived peptide[J]. *Biochemical and biophysical research communications*, 1989, 159(2): 508-515.

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