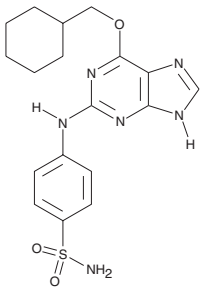
PRODUCT INFORMATION

NU 6102

Item No. 13317

CAS Registry No.: 444722-95-6
Formal Name: 4-[[6-(cyclohexylmethoxy)-9H-purin-2-yl]amino]-benzenesulfonamide
MF: $C_{18}H_{22}N_6O_3S$
FW: 402.5
Purity: ≥90%
UV/Vis.: λ_{max}: 309 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

NU 6102 is supplied as a crystalline solid. A stock solution may be made by dissolving the NU 6102 in the solvent of choice, which should be purged with an inert gas. NU 6102 is soluble in organic solvents such as ethanol and DMSO. The solubility of NU 6102 in these solvents is approximately 1 mg/ml.

Description

Cyclin-dependent kinases (CDKs) play a key role in regulating cell division by phosphorylating distinct substrates in different phases of the cell cycle. Cell cycle deregulation in many cancers often results from altered CDK activity. Thus, CDKs are potential pharmacological targets for anticancer agents. NU 6102 is a potent inhibitor of Cdk1 and Cdk2 with K_i values of 9 and 6 nM and IC_{50} values of 9.5 and 5.4 nM, respectively.[1,2] NU 6102 inhibits Cdk4 activity with an IC_{50} vlaue of 1.6 µM, suggesting it is most selective for Cdk2.[3] Time-lapse videomicroscopy reveals that 20 µM NU 6102 delays cell entry into mitosis where most cells appear to eventually complete mitotic division but cannot correctly undergo cytokinesis, and hence become binucleated with an abnormal number of centrosomes.[4] In SKUT-1B cancer cells a 24 h exposure to NU 6102 induced G_2 arrest, inhibition of target protein phosphorylation, and cytotoxicity with an LC_{50} value of 2.6 µM.[4]

References

1. Sayle, K.L., Bentley, J., Boyle, F.T., *et al.* Structure-based design of 2-arylamino-4-cyclohexylmethyl-5-nitroso-6-aminopyrimidine inhibitors of cyclin-dependent kinases 1 and 2. *Bioorg. Med. Chem. Lett.* **13(18)**, 3079-3082 (2003).
2. Hardcastle, I.R., Arris, C.E., Bentley, J., *et al.* N^2-substituted O^6-cyclohexylmethylguanine derivatives: Potent inhibitors of cyclin-dependent kinases 1 and 2. *J. Med. Chem.* **47(15)**, 3710-3722 (2004).
3. Pratt, D.J., Bentley, J., Jewsbury, P., *et al.* Dissecting the determinants of cyclin-dependent kinase 2 and cyclin-dependent kinase 4 inhibitor selectivity. *J. Med. Chem.* **49(18)**, 5470-5477 (2006).
4. Krasinska, L., Cot, E., and Fisher, D. Selective chemical inhibition as a tool to study Cdk1 and Cdk2 functions in the cell cycle. *Cell Cycle* **7(12)**, 1702-1708 (2008).
5. Thomas, H.D., Wang, L.-Z., Roche, C., *et al.* Preclinical *in vitro* and *in vivo* evaluation of the potent and specific cyclin-dependent kinase 2 inhibitor NU 6102 and a water soluble prodrug NU6301. *Eur. J. Cancer* **47(13)**, 2052-2059 (2011).

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