PRODUCT INFORMATION



CDKI-73

Item No. 41099

CAS Registry No.: 1421693-22-2

Formal Name: 3-[[5-fluoro-4-[4-methyl-2-

> (methylamino)-5-thiazolyl]-2-pyrimidinyl]amino]-

benzenesulfonamide

Synonym: Asnuciclib

MF: C₁₅H₁₅FN₆O₂S₂

FW: 394.4 **Purity:** ≥98% Supplied as: A 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

CDKI-73 is supplied as a solid. A stock solution may be made by dissolving the CDKI-73 in the solvent of choice, which should be purged with an inert gas. CDKI-73 is sparingly soluble (1-10 mg/ml) in DMSO.

Description

CDKI-73 is an inhibitor of Cdk9/cyclin T1, Cdk1/cyclin B, and Cdk2/cyclin A complexes (K,s = 4, 4, and 3 nM, respectively). It is selective for these complexes over the Cdk7/cyclin H complex ($K_i = 91$ nM). CDKI-73 is cytotoxic to HCT116 colorectal cancer cells (GI₅₀ = <10 nM). CDKI-73 (0.25 or 1 μ M) induces apoptosis in COLO 205, HCT116, HT-29, and KM12 colorectal cancer cells.² It reduces the levels of Bcl-2, cyclin D1, and myeloid cell leukemia-1 (Mcl-1), as well as decreases the mitochondrial membrane potential, in HCT116 cells when used at a concentration of 0.25 μM. In vivo, CDKI-73 (100 mg/kg every three days) decreases tumor volume in an HCT116 mouse xenograft model to a greater extent than the DNA-crosslinking agent cisplatin (Item No. 13119).

References

- 1. Shao, H., Shi, S., Huang, S., et al. Substituted 4-(thiazol-5-yl)-2-(phenylamino)pyrimidines are highly active CDK9 inhibitors: Synthesis, X-ray crystal structures, structure-activity relationship, and anticancer activities. J. Med. Chem. 56(3), 640-659 (2013).
- 2. Rahaman, M.H., Lam, F., Zhong, L., et al. Targeting CDK9 for treatment of colorectal cancer. Mol. Oncol. 13(10), 2178-2193 (2019).

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

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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