PRODUCT INFORMATION



Chk2 Inhibitor

Item No. 21184

CAS Registry No.: 724708-21-8

Formal Name: (5Z)-5-(2-amino-1,5-dihydro-5-oxo-4H-

imidazol-4-ylidene)-3,4,5,10-tetrahydro-

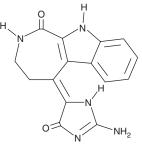
azepino[3,4-b]indol-1(2H)-one

Synonym: SC-203885 MF: $C_{15}H_{13}N_5O_2$ FW: 295.3 **Purity:**

UV/Vis.: λ_{max} : 236, 297, 355 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

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



Laboratory Procedures

Chk2 inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the Chk2 inhibitor in the solvent of choice, which should be purged with an inert gas. Chk2 inhibitor is soluble in the organic solvent DMSO.

Description

Chk2 inhibitor is an inhibitor of checkpoint kinase 2 (Chk2; IC₅₀ = 13.5 nM).¹ It is selective for Chk2 over Chk1 (IC_{50} = 220.4 nM). It inhibits Chk2 autophosphorylation at the serine in position 516 in non-cancerous 184B5 cells that contain wild-type p53 and in MDA-MB-231 cells that contain mutated p53 when used at concentrations ranging from 0.1 to 30 μM. Chk2 inhibitor enhances survival of 184B5, but not MDA-MB-231, cells following ionizing radiation and inhibits cell cycle arrest at the G_2 stage induced by ionizing radiation in 184B5 cells. It also inhibits the production of IL-2 in Jurkat cells stimulated by phorbol 12-myristate 13-acetate (PMA; Item No. 10008014) and the production of TNF-α in LPS-stimulated THP-1 cells (IC_{50} s = 3.5 and 8.2 μ M, respectively).² Chk2 inhibitor also inhibits the growth of CEM leukemia T cells $(GI_{50} = 1.73 \mu M).$

References

- 1. Nguyen, T.N.T., Saleem, R.S.Z., Luderer, M.J., et al. Radioprotection by hymenialdisine-derived checkpoint kinase 2 inhibitors. ACS Chem. Biol. 7(1), 172-184 (2012).
- 2. Sharma, V., Lansdell, T.A., Jin, G., et al. Inhibition of cytokine production by hymenialdisine derivatives. J. Med. Chem. 47(14), 3700-3703 (2004).

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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