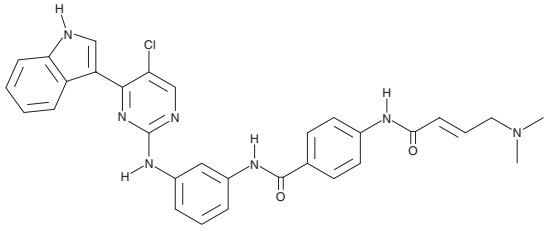
PRODUCT INFORMATION

THZ1

Item No. 9002215

CAS Registry No.:	1604810-83-4
Formal Name:	N-[3-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]phenyl]-4-[[(2E)-4-(dimethylamino)-1-oxo-2-buten-1-yl]amino]-benzamide
MF:	$C_{31}H_{28}ClN_7O_2$
FW:	566.1
Purity:	≥95%
UV/Vis.:	λ_{max}: 214, 295 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

THZ1 is supplied as a crystalline solid. A stock solution may be made by dissolving the THZ1 in the solvent of choice, which should be purged with an inert gas. THZ1 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of THZ1 in these solvents is approximately 25 and 30 mg/ml, respectively.

THZ1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, THZ1 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. THZ1 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

THZ1 is a Cdk7 inhibitor (IC_{50}s = 3.2-15.6 nM *in vitro*) that selectively targets a remote cysteine residue located outside of the classic kinase domain.[1] THZ1 also targets Cdk12 kinase activity although at a higher concentration (IC_{50} = 250 nM).[1] It displays broad anti-proliferative activity against cancer cell lines, particularly T-ALL cell lines that display characteristic misregulation of T cell lineage-specific transcription factors.[1] THZ1 is reported to induce apoptotic cell death in triple-negative breast cancer cells that are highly dependent on Cdk7.[2]

References

1. Kwiatkowski, N., Zhang, T., Rahl, P. B., *et al*. Targeting transcription regulation in cancer with a covalent CDK7 inhibitor. *Nature* **511(7511)**, 616-620 (2014).
2. Wang, Y., Zhang, T., Kwiatkowski, N., *et al*. CDK7-dependent transcriptional addiction in triple-negative breast cancer. *Cell* **163(1)**, 174-186 (2015).

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