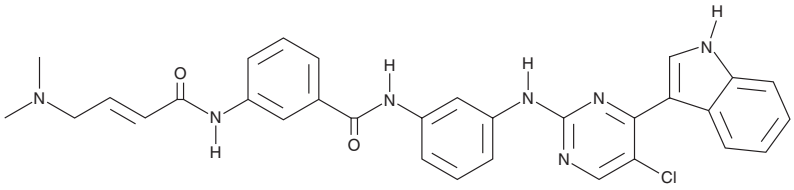
PRODUCT INFORMATION

THZ2

Item No. 31055

CAS Registry No.:	1604810-84-5
Formal Name:	N-[3-[[5-chloro-4-(1H-indol-3-yl)-2-pyrimidinyl]amino]phenyl]-3-[[(2E)-4-(dimethylamino)-1-oxo-2-buten-1-yl]amino]-benzamide
MF:	$C_{31}H_{28}ClN_7O_2$
FW:	566.1
Purity:	≥98%
UV/Vis.:	λ_{max}: 214, 278 nm
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

THZ2 is supplied as a solid. A stock solution may be made by dissolving the THZ2 in the solvent of choice, which should be purged with an inert gas. THZ2 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of THZ2 in these solvents is approximately 30 mg/ml.

THZ2 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, THZ2 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. THZ2 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

THZ2 is a Cdk7 inhibitor (IC_{50} = 13.9 nM) and a derivative of THZ1 (Item No. 9002215).[1] It is selective for Cdk7 over Cdk1, -2, -5, -8, and -9 (IC_{50}s = 96.9, 222, 134, 6,830, and 194 nM, respectively). THZ2 (0.001-1 nM) reduces proliferation of BT-549, HCC70, and MDA-MB-468 triple-negative breast cancer (TNBC) cells. *In vivo*, THZ2 (10 mg/kg) reduces tumor volume in an MDA-MB-231 mouse xenograft model. It also reduces tumor weight and volume, as well as the number of lung metastases, in an SJSA-1 osteosarcoma orthotopic mouse xenograft model.[2]

References

1. Wang, Y., Zhang, T., Kwiatkowski, N., *et al*. CDK7-dependent transcriptional addiction in triple-negative breast cancer. *Cell* **163(1)**, 174-186 (2015).
2. Zhang, J., Liu, W., Zou, C., *et al*. Targeting super-enhancer-associated oncogenes in osteosarcoma with THZ2, a covalent CDK7 inhibitor. *Clin. Cancer Res.* **26(11)**, 2681-2692 (2020).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM