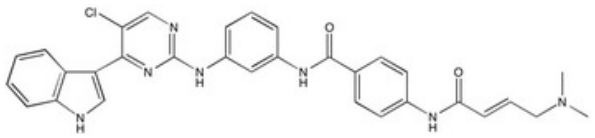
Tribioscience

THZ1, CDK7 inhibitor

Catalog	Unit
TBI4120-5MG	5 mg
TBI4120-25MG	25 mg

Product Details

Formal Name: (E)-N-(3-((5-chloro-4-(1H-indol-3-yl)pyrimidin-2-yl)amino)phenyl)-4-(4-(dimethylamino)but-2-enamido)benzamide
Molecular Formula: $C_{31}H_{28}ClN_7O_2$
Formula Weight: 566.05
CAS Number: 1604810-83-4
Purity: >97%
Formulation: powder
Solubility: Soluble in DMSO (up to at least 25 mg/ml)
Storage: -20°C
Stability: ≥ 1 year.



Applications

CDK7 inhibitor

Functions

THZ1 is an irreversible, covalent inhibitor (dual ATP-site and allosteric covalent binding) of CDK7 (IC50 = 15.6nM @ 20min and 3.2nM @ 180 min). It displayed broad based antiproliferative activity with IC50's of less than 200nM against 53% of the 1000 cancer cell lines it was tested against. THZ1 disrupts transcription of several proteins including RUNX1, TAL1, and GATA3. It suppresses oncogenic transcription of MYCN-driven cancers. THZ1 decreases STAT3 chromatin binding and expression of target genes such as MYC, PIM1, and others in peripheral T-Cell lymphoma cells with the Y640F STAT3 mutation. Addition of THZ1 to targeted cancer therapy increases cell death and hinders the development of drug-resistant cell populations in cellular and in vitro cancer models.

Application Procedures

First dissolved in DMSO (up to at least 25 mg/ml), then diluted to aqueous buffer. Solutions in DMSO may be stored at -20°C for up to 3 months.

For research use only.

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