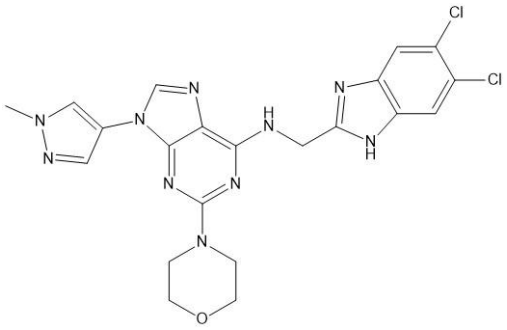
Tribioscience

SR-4835, CDK12/13 inhibitor

Catalog	Unit
TBI4864-5MG	5 mg
TBI4864-25MG	25 mg

Product Details

Formal Name: N-[(5,6-Dichloro-1H-benzimidazol-2-yl)methyl]-9-(1-methylpyrazol-4-yl)-2-morpholin-4-ylpurin-6-amine
Molecular Formula: $C_{21}H_{20}Cl_2N_{10}O$
Formula Weight: 499.4
CAS Number: 2387704-62-1
Purity: >98%
Formulation: Powder
Solubility: Soluble in DMSO (> 25 mg/ml).
Storage: -20°C
Stability: ≥ 2 years



Applications

CDK12/13 inhibitor

Functions

Potent and selective inhibitor of cyclin-dependent kinases 12 and 13 (KD_{50}s: CDK12 = 98 nM, CDK13 = 4.9 nM). Displayed efficacy against multiple triple-negative breast cancer cell lines (EC_{50}s: MDA-MB-231 15.5 nM; MDA-MB-468 22.1 nM; HS578T 19.9 nM; MDA-MB-436 24.9 nM). Inhibition of CDK12/13 with SR-4835 resulted in down-regulation of multiple DNA damage response genes and caused increased DNA damage and apoptosis. Acted synergistically with DNA-damaging agents and PARP inhibitors to cause triple-negative breast cancer cell death. It acted synergistically with PD-1 blockade to provide a durable immune-mediated antitumor response in a syngeneic breast cancer mouse model. Has been found to act as a molecular glue that promotes cyclin K degradation. Inhibition of CDK12 with SR-4835 suppressed tumor initiation and growth in a colorectal cancer mouse model and impaired liver metastasis of colorectal cancer cells while also diminishing cancer stem cell traits through ß-catenin pathway activation.

Application Procedures

First dissolved in Soluble in DMSO (>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.