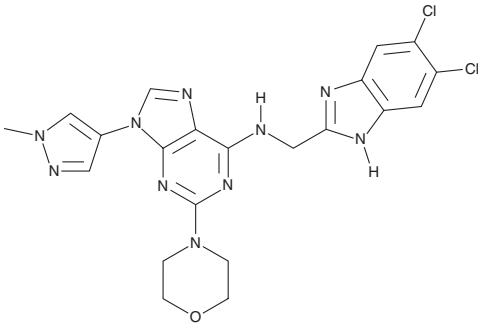
PRODUCT INFORMATION

SR 4835

Item No. 39158

CAS Registry No.:	2387704-62-1
Formal Name:	N-[(5,6-dichloro-1H-benzimidazol-2-yl)methyl]-9-(1-methyl-1H-pyrazol-4-yl)-2-(4-morpholinyl)-9H-purin-6-amine
MF:	$C_{21}H_{20}Cl_2N_{10}O$
FW:	499.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 212, 293, 299 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

SR 4835 is supplied as a solid. A stock solution may be made by dissolving the SR 4835 in the solvent of choice, which should be purged with an inert gas. SR 4835 is soluble in DMSO and methanol.

Description

SR 4835 is an inhibitor of cyclin-dependent kinase 12 (Cdk12) and Cdk13.[1] It binds to Cdk12 and Cdk13 (K_ds = 98 and 4.9 nM, respectively) and inhibits Cdk12- and Cdk13-dependent phosphorylation of RNA polymerase II in MDA-MB-231 cells (EC_{50} = 105.5 nM). SR 4835 inhibits the proliferation of MDA-MB-231, MDA-MB-468, Hs 578T, and MDA-MB-436 breast cancer cells (EC_{50}s = 15.5, 22.1, 19.9, and 24.9 nM, respectively). It induces the DNA damage response and apoptosis in MDA-MB-231 cells when used at concentrations ranging from 30 to 90 nM. *In vivo*, SR 4835 (20 mg/kg) reduces tumor volume and decreases the number of mice with tumors greater than 1,000 mm^3 in a patient-derived xenograft (PDX) model of breast cancer.

Reference

1. Quereda, V., Bayle, S., Vena, F., *et al.* Therapeutic targeting of CDK12/CDK13 in triple-negative breast cancer. *Cancer Cell* **36(5)**, 545-558 (2019).

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