

Product Data Sheet

Product Name: SR-5037

Cat. No.: GC80892

Chemical Properties

Cas. No. 2387704-74-5

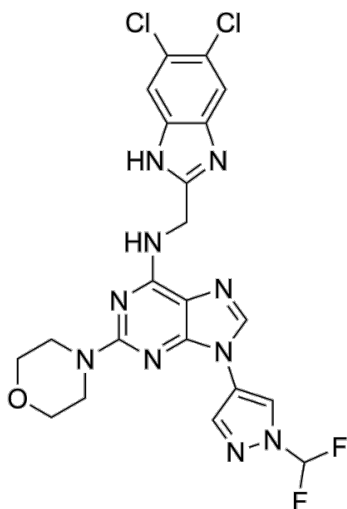
Formula $C_{21}H_{18}Cl_2F_2N_{10}O$ M.Wt 535.34

Solubility DMSO: 4.17 mg/mL (7.79 mM); ultrasonic and warming and heat to 60°C) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

SR-5037 is an orally active CDK12 ($IC_{50} = 31$ nM)/ CDK13 inhibitor and CycK ($DC_{50} = 30$ nM; $D_{max} > 98\%$) molecular glue degrader. SR-5037 inhibits the enzymatic activity of CDK12 /CycK and CDK13 /CycK complexes. SR-5037 promotes the recruitment of DDB1 to the CDK12 /CycK complex, thereby triggering proteasome-mediated CycK degradation. SR-5037 degrades active CycK in mouse models of triple-negative breast cancer. SR-5037 can be used in the research of cancers such as triple-negative breast cancer [1].

Caution: Product has not been fully validated for medical applications. For research use only.

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In Vivo, SR-5037 (2-18 mg/kg; p.o.; single dose) induces dose-dependent depletion of cyclin K in orthotopic triple-negative breast cancer tumors in female NSG mice, with target modulation correlating with plasma drug concentration^[1].

In Vitro, SR-5037 (1 μ M) degrades CycK (DC_{50} = 30 nM; D_{max} > 98%) and inhibits the kinase activities of WEE1 (59.8%), mTOR (50.6%) and CDK15 (49.2%)^[1]. SR-5037 (0.000001-10 μ M; 2 h (room temperature)) inhibits the enzymatic activity of purified human CDK12/CycK (IC_{50} = 58.7 nM, K_d = 139 nM) and CDK13/CycK (IC_{50} = 134.6 nM) complexes, and promotes the formation of a stable ternary complex between CDK12/CycK and DDB1 (K_d = 2.81 nM)^[1]. SR-5037 (100 nM, 1-4 h) potently and rapidly induces the degradation of CycK in MDA-MB-231 cells^[1]. SR-5037 (2 h) degrades cyclin K in HiBiT-CycK knock-in A549 cells, with a DC_{50} of 30 nM and a D_{max} > 95%^[1].

References:

[1]. Pandurangan T, et al. Structure-Activity and Structure-Degradation Relationship Studies of 2-Amino-6-(benzimidazol-2-ylmethyl)-N9-heteroaryl purines as Potent and Selective CDK12/13 Inhibitors and Cyclin K Degradors. J Med Chem. 2026 Feb 12;69(3):2287-2309.

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