

Data Sheet

Product Name: Romaciclib (hydrochloride)

 Cat. No.:
 CS-0040595

 CAS No.:
 1609452-30-3

Target: CDK

Pathway: Cell Cycle/DNA Damage

Solubility: DMSO: 62.5 mg/mL (ultrasonic)

Br N NH

x HCI

BIOLOGICAL ACTIVITY:

SEL120-34A hydrochloride is a potent, selective, orally available, ATP-competitive **CDK8** inhibitor, with **IC**₅₀s of 4.4 nM and 10.4 nM for CDK8/CycC and CDK19/CycC, respectively, with antitumor activity. IC50 & Target:IC50: 4.4 nM (CDK8/CycC), 10.4 nM (CDK19/CycC)^[1] *In Vitro:* SEL120-34A hydrochloride is a selective, ATP-competitive CDK8 inhibitor, with IC₅₀ of 4.4 nM and 10.4 nM for CDK8/CycC and CDK19/CycC, respectively. SEL120-34A hydrochloride shows no obvious inhibition on CDK1, 2, 4, 6, 5, 7, and only weakly suppresses CDK9 (IC₅₀, 1070 nM). SEL120-34A hydrochloride is active against a panel of AML cell lines (GI₅₀<1 μ M), such as SKNO-1, KG-1, HEL-60, MOLM-16, MV-4-11, OciAML-2, MOLM-6 and OciAML-3 cells, consistent with the effective inhibition range of STAT1 S727 and STAT5 S726^[1]. *In Vivo:* SEL120-34A (30, 60 mg/kg, p.o.) hydrochloride inhibits the growth of tumor in mice bearing MV4-11 cancer cells, and also arrests the growth of KG-1-derived tumors at 30 mg/kg via oral administration^[1].

References:

[1]. Rzymski T, et al. SEL120-34A is a novel CDK8 inhibitor active in AML cells with high levels of serine phosphorylation of STAT1 and STAT5 transactivation domains. Oncotarget. 2017 May 16;8(20):33779-33795.

CAIndexNames:

 $4 H-Imidazo [4,5,1-ij] quino line,\ 7,8-dibromo-5,6-dihydro-9-methyl-2-(1-piperazinyl)-,\ hydrochloride\ (1:x)$

SMILES:

CC1=C(Br)C(Br)=C2C3=C1N=C(N4CCNCC4)N3CCC2.CI

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

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