Product Data Sheet

Product Name: Trilaciclib (G1T28)
Cat. No.: GC34118

Chemical Properties

Cas. No.	1374743-00-6		
SMILES	O=C1NCC2(N3C1=CC4=CN=C(NC5=NC=C(N6CCN(C)CC6)C=C5)N=C43)CCCCC2		
Formula	$C_{24}H_{30}N_8O$	M.Wt	446.55
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Trilaciclib (G1T28)		

Protocol

Kinase experiment:

HS68, WM2664, and A2058 cells are treated with 300 nM Trilaciclib (G1T28) or DMSO (0.1%), for 4, 8, 16, or 24 hours. Whole cell extracts are prepared using 1× radioimmunoprecipitation assay buffer containing 1× HALT protease and phosphatase inhibitors. Total protein concentration is determined by using the kit, according to the manufacturer's instructions. For Western blot analysis, protein is processed as described previously. Antibodies to total RB andβ-tubulin run as a loading control are assessed[1].

Cell experiment:

HS68 cells are treated for 24 hours with Trilaciclib (G1T28) at 10, 30, 100, 300, 1,000, or 3,000 nM final concentration. Cells are harvested and fixed in ice-cold methanol. Fixed cells are stained with 20 µg propidium iodide, 50 µg RNAse A in PBS-CMF (calcium magnesium free)+1% BSA, Fraction V. Samples are processed on Cyan ADP Analyzer, and cell-cycle analysis is completed using software[1].

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Animal experiment:

Mice[1]Female athymic nude mice are implanted with H69 cells and monitored until treatment initiation. Once tumors reach an acceptable size (150 mm3), mice are dosed in various combinations of Trilaciclib (100 mg/kg) and topotecan for 5 days per week for 4 weeks. Tumors are measured for up to 60 days after treatment. All mice that reach excessive tumor burden before 60 days are humanely euthanized. Topotecan and Trilaciclib levels in blood plasma from the mice treated with Trilaciclib hydrochloride and/or topotecan are processed and analyzed using established methods.

References:

[1]. Bisi JE, et al. Preclinical Characterization of G1T28: A Novel CDK4/6 Inhibitor for Reduction of Chemotherapy-Induced Myelosuppression. Mol Cancer Ther. 2016 May;15(5):783-93.

Background

Trilaciclib (G1T28, G1T28-1) is a highly potent, selective and reversible cyclin-dependent kinase 4 and 6 (CDK4/6) inhibitor. Trilaciclib inhibits CDK4/cyclin D1 and CDK6/cyclin D3 with IC50 of 1 nM and 4 nM, respectively.

G1T28 is a potent and selective CDK4/6 inhibitor that inhibits the phosphorylation of RB and induces an exclusive, reversible G1 arrest. In vitro and in vivo, G1T28 protects RB competent cells from damage by chemotherapy as assessed by gamma-H2A.X (γH2AX) and apoptosis through caspase 3/7 activation.[1]

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In vivo, G1T28 regulates the proliferation of HSPCs in both mouse and canine bone marrow, in a reversible, doseand time-dependent manner. Pretreatment of mice with G1T28 allows a faster recovery of complete blood counts (CBCs) following chemotherapy. In addition, G1T28 does not protect RB deficient tumors from chemotherapy but, instead, adds to the anti-tumor effect.[1]

[1] John E Bisi, et al. Mol Cancer Ther. 2016 May;15(5):783-93. [2] Anne Y Lai, et al. J Immunother Cancer. 2020 Oct;8(2):e000847.

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