

Product Data Sheet

Product Name: Dinaciclib(SCH727965)

Cat. No.: GC17648

Chemical Properties

Cas. No. 779353-01-4

Chemical Name 2-[(2S)-1-[3-ethyl-7-[(1-oxidopyridin-1-ium-3-yl)methylamino]pyrazolo[1,5-a]pyrimidin-5-yl]piperidin-2-yl]ethanol

SMILES CCC1=C2N=C(C=C(N2N=C1)NCC3=C[N+](=CC=C3)[O-])N4CCCCC4CCO

Formula $C_{21}H_{28}N_6O_2$

M.Wt 396.49

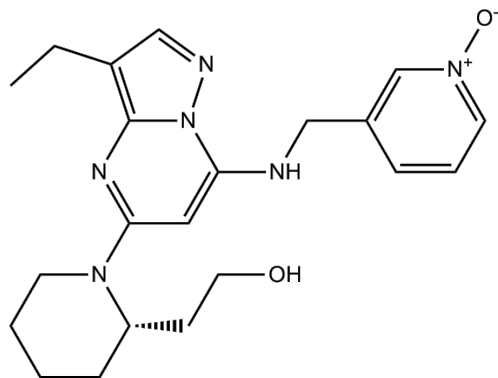
Solubility $\geq 17.15\text{mg/mL}$ in DMSO

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



Protocol

Cell experiment [1]:

Cell lines

HuCCT1 cells

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

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Preparation Method	HuCCT1 cells were RPMI-1640 medium supplemented with 100µg/ml streptomycin, 100µg/ml penicillin and 5% fetal bovine serum at 37°C in an incubator with 5% CO ₂ . HuCCT1 cells (2×10 ³ /well) were seeded in the 96-well plates and cultured overnight followed by treatment with different concentrations of Dinaciclib (0, 1, 5, 10, 20, and 30nM) for 24h. Cells viability was measured.
Reaction Conditions	0, 1, 5, 10, 20, and 30nM; 24h
Applications	Dinaciclib reduced cell viability of HuCCT1 in a dose-dependent manner.
Animal experiment [2]:	
Animal models	Athymic NCR nude mice

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Preparation Method	Athymic NCR nude mice were housed in cages and maintained in air-conditioned animal quarters with a 12h light-dark cycle and free access to autoclaved tap water and a standard chow diet. A transverse incision was generated over the left flank of the nude mice, and 1.5×10^6 luciferase-transduced SH-SY5Y cells, which were diluted in 0.1ml of PBS, were surgically injected into the left renal capsule and toward the superior pole of the left kidney of the nude mice. After allowing the injected mice to engraft for 2 to 3 weeks, tumor-bearing mice with similar sizes (using bioluminescent imaging to monitor the tumor size) were randomly divided into two groups: a DMSO control group and a Dinaciclib treated group (20mg/kg by intraperitoneal injection once daily for 21 days). Each group contained four mice with SH-SY5Y-luciferase tumors. At the end of the treatment, all mice were sacrificed. Tumors and the right kidneys (control) were harvested, weighed and photographed.
Dosage form	20mg/kg/day; 21 days; i.p.
Applications	Dinaciclib treatment reduced tumor growth in mice with SH-SY5Y-luciferase xenografts.
References: [1] Saqub H, Proetsch-Gugerbauer H, Bezrookove V, et al. Dinaciclib, a cyclin-dependent kinase inhibitor, suppresses cholangiocarcinoma growth by targeting CDK2/5/9[J]. Scientific reports, 2020, 10(1): 18489. [2] Chen Z, Wang Z, Pang J C, et al. Multiple CDK inhibitor dinaciclib suppresses neuroblastoma growth via inhibiting CDK2 and CDK9 activity[J]. Scientific reports, 2016, 6(1): 29090.	

Background

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Dinaciclib(SCH727965) is a potent cyclin-dependent kinase (CDK) inhibitor with IC₅₀ values of 1nM, 1nM, 3nM, and 4nM for CDK2, CDK5, CDK1 and CDK9, respectively^[1]. Dinaciclib induces apoptosis by inhibiting the phosphorylation of retinoblastoma protein (Rb) and promoting the accumulation of p85 PARP caspase cleavage product (p85 PARP)^[2]. Dinaciclib has been widely used in cancer research to inhibit the growth of various cancer cells^[3].

In vitro, Dinaciclib treatment for 96 hours inhibited the viability of Rh41, BT-12 and TC-71 cells, with IC₅₀ values of 10.5nM, 8.5nM and 3.9nM, respectively^[4]. Treatment with 500nM Dinaciclib for 24 hours reduced the expression of the anti-apoptotic protein Mcl-1 in HL-60 cells and induced the cleavage of the PARP protein, promoting cell cycle arrest^[5]. 8nM of Dinaciclib incubation for 24 hours inhibited the proliferation of HuCCT1 cells, resulting in a decrease in the proportion of cells in the S phase, accompanied by an increase in caspase3/7 activity^[6].

In vivo, Dinaciclib treatment via intraperitoneal injection at a dose of 30mg/kg, twice a week for 6 weeks, prolonged the survival period of bearing Eμ-Myc and IG-cMYC-translocated lymphomas^[7]. Intraperitoneal injection of Dinaciclib at a dose of 20mg/kg daily for 21 consecutive days inhibited tumor growth and suppressed the phosphorylation of Rb (Ser807/811) and RNA polymerase II (Ser2) in tumor tissues in SH-SY5Y xenograft mice^[8].

References:

[1] Kumar S K, LaPlant B, Chng W J, et al. Dinaciclib, a novel CDK inhibitor, demonstrates encouraging single-agent activity in patients with relapsed multiple myeloma[J]. Blood, The Journal of the American Society of Hematology, 2015, 125(3): 443-448.

[2] Parry D, Guzi T, Shanahan F, et al. Dinaciclib (SCH 727965), a novel and potent cyclin-dependent kinase inhibitor[J]. Molecular cancer therapeutics, 2010, 9(8): 2344-2353.

[3] Lao C D, Moon J, Ma V T, et al. Southwest Oncology Group S0826: A phase 2 trial of SCH 727965 (NSC 727135, dinaciclib) in patients with stage IV melanoma[J]. Cancer, 2025, 131(1): e35587.

[4] Gorlick R, Kolb E A, Houghton P J, et al. Initial testing (stage 1) of the cyclin dependent kinase inhibitor SCH 727965 (dinaciclib) by the pediatric preclinical testing program[J]. Pediatric blood &

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cancer, 2012, 59(7): 1266-1274.

[5] Sadowska M, Muvarak N, Lapidus R G, et al. Single agent activity of the cyclin-dependent kinase (CDK) inhibitor dinaciclib (SCH 727965) in acute myeloid and lymphoid leukemia cells[J]. Blood, 2010, 116(21): 3981.

[6] Saqub H, Proetsch-Gugerbauer H, Bezrookove V, et al. Dinaciclib, a cyclin-dependent kinase inhibitor, suppresses cholangiocarcinoma growth by targeting CDK2/5/9[J]. Scientific reports, 2020, 10(1): 18489.

[7] Gregory G P, Hogg S J, Kats L M, et al. CDK9 inhibition by dinaciclib potently suppresses Mcl-1 to induce durable apoptotic responses in aggressive MYC-driven B-cell lymphoma in vivo[J]. Leukemia, 2015, 29(6): 1437-1441.

[8] Chen Z, Wang Z, Pang J C, et al. Multiple CDK inhibitor dinaciclib suppresses neuroblastoma growth via inhibiting CDK2 and CDK9 activity[J]. Scientific reports, 2016, 6(1): 29090.

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