

Product Data Sheet

Product Name: Cenisertib

Cat. No.: GC35651

Chemical Properties

Cas. No. 871357-89-0

SMILES [H][C@@]1([C@H]([C@H]2C(N)=O)NC3=NC(NC4=CC=C(C(C)=C4)N5CCN(CC5)C)=NC=C3F)C[C@]2(C=C1)[H]

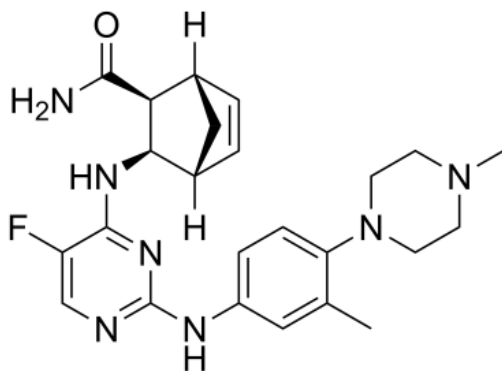
Formula $C_{24}H_{30}FN_7O$ M.Wt 451.54

Solubility DMSO: 250 mg/mL (553.66 mM) 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

Cenisertib (AS-703569) is a multi-kinase inhibitor that blocks the activity of Aurora-kinase-A/B, ABL1, AKT, STAT5 and FLT3. Cenisertib induces major growth-inhibitory effects by blocking the activity of several different molecular targets in neoplastic mast cells (MC)[1]. Cenisertib inhibits tumor growth

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

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in xenograft models of pancreatic, breast, colon, ovarian, and lung tumors and leukemia[2]. Aurora-A
Aurora-B ABL1 Akt STAT5

Cenisertib (AS-703569) (1-1000 nM; for 48 hours) induces dose-dependent inhibition of proliferation in primary neoplastic mast cells (MC) [1]. Cenisertib (5-100 nM; for 24 hours) induces a substantial G2/M cell cycle arrest at low nanomolar concentrations in all MC lines[1]. Cenisertib (1-1000 nM; for 24 hours) induces apoptosis in HMC-1.1, HMC-1.2, C2, and NI-1 cells in a dose-dependent manner[1]. Cenisertib (5-500 nM; for 24 hours) induces cleavage of caspase 3 in both HMC-1 sub-clones as well as in C2 and NI-1 cells[1]. Cell Proliferation Assay[1] Cell Line: HMC-1.1, HMC-1.2, ROSAKIT WT, ROSAKIT D816V and MCPV-1.1 mast cells

Cenisertib (AS-703569) (orally; 7 or 10 mg/kg/day; for 3 days) significantly suppresses tumor growth. Animal Model: Female CB17 Severe Combined Immunodeficiency (SCID) mice bearing NCI-MDR tumors[2]

[1]. Peter B, et al. Drug-induced inhibition of phosphorylation of STAT5 overrides drug resistance in neoplastic mast cells. *Leukemia*. 2018 Apr;32(4):1016-1022. [2]. McLaughlin J, et al. Preclinical characterization of Aurora kinase inhibitor R763/AS703569 identified through an image-based phenotypic screen. *J Cancer Res Clin Oncol*. 2010 Jan;136(1):99-113.

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