

Product Data Sheet

Product Name: TAK-659
Cat. No.: GC37723

Chemical Properties

Cas. No. 1312691-33-0

SMILES FC1=C(N[C@@H]2CCCC[C@@H]2N)N=C(C3=CN(C)N=C3)C4=C1CNC4=O

Formula $C_{17}H_{21}FN_6O$ M.Wt 344.39

Solubility Soluble 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.

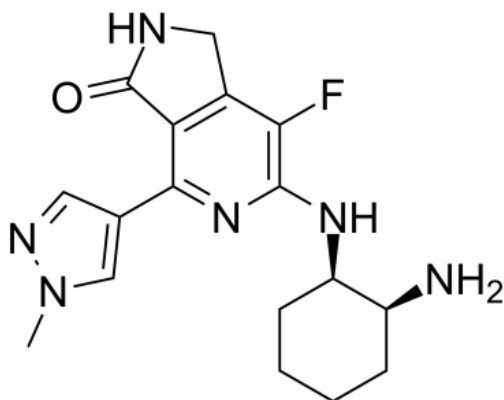
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Background

TAK-659 is a highly potent, selective, reversible and orally available dual inhibitor of spleen tyrosine kinase (SYK) and fms related tyrosine kinase 3 (FLT3), with an IC₅₀ of 3.2 nM and 4.6 nM for SYK and FLT3, respectively. TAK-659 induces cell death in tumor cells but not in nontumor cells, and with potential for the treatment of chronic lymphocytic leukemia (CLL)[1][2][3][4]. IC₅₀: 3.2 nM (Syk), 4.6 nM (FLT3)[1]

TAK-659 inhibits cellular proliferation in SYK-dependent DLBCL and FLT3-dependent AML cell lines[1][3]. TAK-659 (5 μM; 1-24 hours) induces Casp3 activation in the LMP2A/MYC cells which was readily apparent at 4 h and reached maximum levels at 8 h of treatment[4]. TAK-659 (0.01-10 μM; 1 hour) stimulates expression of phospho-Syk at Tyr525 and Tyr352 and phospho-ERK1/2 increased in Ramos cells[2]. Apoptosis Analysis[4] Cell Line: LMP2A/MYC cells

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TAK-659 (100 mg/kg/day; p.o.; for 10 days) treatment totally abrogates splenomegaly and tumor development in LMP2A/MYC mice in both pretumor and tumor cell transfer experiments[4]. TAK-659 treatment kills tumor cells, but not host cells within the spleen and tumors[4]. TAK-659 treatment abrogates metastasis of tumor cells into bone marrow[4]. Animal Model: LMP2A/MYC double transgenic mice[4]

[1]. Lam B, et al. Discovery of TAK-659 an orally available investigational inhibitor of Spleen Tyrosine Kinase (SYK). Bioorg Med Chem Lett. 2016 Dec 15;26(24):5947-5950. [2]. Purroy N, et al. Inhibition of BCR signaling using the Syk inhibitor TAK-659 prevents stroma-mediated signaling in chronic lymphocytic leukemia cells. Oncotarget. 2017 Jan 3;8(1):742-756. [3]. Jie Yu, et al. Anti-tumor activity of TAK-659, a dual inhibitor of SYK and FLT-3 kinases, in AML models. Journal of Clinical Oncology 34, no. 15_suppl. [4]. Cen O, et al. Spleen Tyrosine Kinase Inhibitor TAK-659 Prevents Splenomegaly and Tumor Development in a Murine Model of Epstein-Barr Virus-Associated Lymphoma. mSphere. 2018 Aug 22;3(4).

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