

Product Name : GW843682X

Synonyms : GW843682

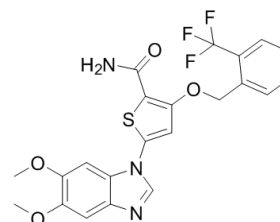
Cat No. : M21895

CAS Number : 660868-91-7

Molecular Formula : C₂₂H₁₈F₃N₃O₄S

Formula Weight : 477.46

Chemical Name : —



Description : GW843682X is effective on inhibition of growth of tumor cells, with IC₅₀s of 0.41, 0.57, 0.11, 0.38, and 0.70 μ M for A549, BT474, HeLa, H460 and HCT116 cell lines. GW843682X dose-dependently inhibits PLK1 phosphorylation of Ser15-p53, with an IC₅₀ of 0.14 μ M. GW843682X (3 μ M) causes a strong G₂-M arrest in HDF cells and H460 cells after treatment for 24, 48, and 72 h. GW843682X (5 μ M) leads to apoptosis in H460 cells instead of HDF cells. GW843682X inhibits proliferation of U937 cells with an EC₅₀ of 120 nM. GW843682X (500 nM) in combination with 5 μ M VP-16 suppresses 50% of entry into mitosis in U937 cells. GW843682X (0.06-1 μ M) has inhibitory activities against proliferation of acute leukemia cells, and potentiates the anti-proliferative activity of vincristine. Moreover, GW843682X (0.1-1 μ M) induces apoptosis of leukemia cells in a dose- and time-dependent manner. GW843682X (0.5-1 μ M) dephosphorylates Bcl-xL in leukemia cells.

Pathway : Cell Cycle/DNA Damage

Target : PLK

Receptor : PLK1/PDGFR1 β /VEGFR2/CDK2/cyclin A

Solubility : DMSO : 33.33 mg/mL (69.81 mM; Need ultrasonic); H₂O : < 0.1 mg/mL (insoluble)

SMILES : O=C(C1=C(OCC2=CC=CC=C2C(F)(F)F)C=C(N3C4=CC(OC)=C(OC)C=C4N=C3)S1)N

Storage : (-20°C)

Stability : $\geq$ 2 years

Reference :

1. Lansing TJ, et al. In vitro biological activity of a novel small-molecule inhibitor of polo-like kinase 1. Mol Cancer Ther. 2007 Feb;6(2):450-9. Epub 2007 Jan 31.
2. Didier C, et al. Evaluation of Polo-like Kinase 1 inhibition on the G₂/M checkpoint in Acute Myelocytic Leukaemia. Eur J Pharmacol. 2008 Sep 4;591(1-3):102-5.
3. Ikezoe T, et al. A novel treatment strategy targeting polo-like kinase 1 in hematological malignancies. Leukemia. 2009 Sep;23(9):1564-76.