

GW843682X

Catalog No: tcsc0070



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

660868-91-7

Formula:

$C_{22}H_{18}F_3N_3O_4S$

Pathway:

Cell Cycle/DNA Damage

Target:

Polo-like Kinase (PLK)

Purity / Grade:

>98%

Solubility:

DMSO : 33.33 mg/mL (69.81 mM; Need ultrasonic); H2O :

Alternative Names:

GW843682

Observed Molecular Weight:

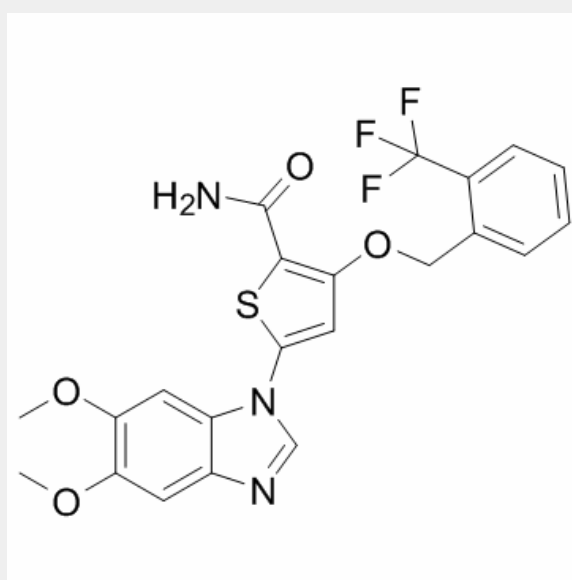
477.46

Product Description

GW843682X is a selective, ATP-competitive inhibitor of **PLK1** and **PLK3**, with **IC₅₀s** of 2.2 nM and 9.1 nM, respectively, and is also >100-fold selective against ~30 other kinases.

IC50 & Target: IC50: 2.2 nM (PLK1), 9.1 nM (PLK3)^[1]

In Vitro: GW843682X (compound 1) 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^[1]. 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^[2]. 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^[3].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!