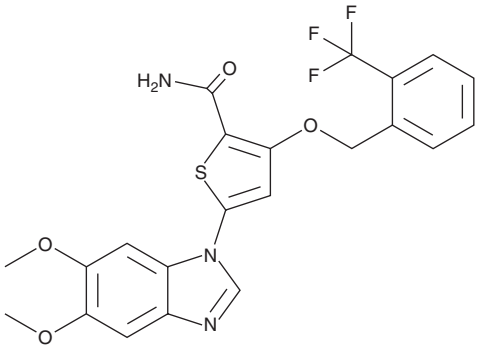
PRODUCT INFORMATION

GW843682X

Item No. 28759



CAS Registry No.:	660868-91-7
Formal Name:	5-(5,6-dimethoxy-1H-benzimidazol-1-yl)-3-[[2-(trifluoromethyl)phenyl]methoxy]-2-thiophenecarboxamide
MF:	$C_{22}H_{18}F_3N_3O_4S$
FW:	477.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 296 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GW843682X is supplied as a crystalline solid. A stock solution may be made by dissolving the GW843682X in the solvent of choice, which should be purged with an inert gas. GW843682X is soluble in organic solvents such as ethanol and DMSO. The solubility of GW843682X in these solvents is approximately 4 and 40 mg/ml, respectively.

Description

GW843682X is a reversible, cell-permeable polo-like kinase (Plk) inhibitor.[1] It selectively inhibits Plk1 and Plk3 (IC_{50}s = 2.2 and 9.1 nM, respectively) over PDGFR1β, VEGFR2, Aurora A, and Cdk2/cyclin A (IC_{50}s = 160, 360, 4,800, and 7,600 nM, respectively), as well as over 30 other kinases, in a cell-free assay. GW843682X also inhibits Plk1 activity *in vitro* in HeLa cells (IC_{50} = 0.14 µM in a reporter assay using chimeric Plk1). It inhibits growth in nine cancer cell lines in a panel (IC_{50}s = 0.11-0.7 µM) but not of PC3 human prostate cancer cells (IC_{50} = 6.82 µM) or non-cancerous human diploid fibroblasts (HDFs; IC_{50} = 6.14 µM). GW843682X inhibits growth of MES-SA human uterine sarcoma cells, as well as of the drug-resistant, P-glycoprotein-expressing MES-SA/Dx5 subline (IC_{50}s = 0.21 and 0.21 µM, respectively). It also inhibits the growth of patient-derived leukemia cells (IC_{50}s = <0.25-0.8 µM).[2] GW843682X induces G_2/M cell cycle arrest and apoptosis of H460 human lung and PALL-2 and MOLM13 human leukemia cancer cells in a concentration-dependent manner.[1,2]

References

1. Lansing, T.J., McConnell, R.T., Duckett, D.R., *et al. In vitro* biological activity of a novel small-molecule inhibitor of polo-like kinase 1. *Mol. Cancer Ther.* **6(2)**, 450-459 (2007).
2. Ikezoe, T., Yang, J., Nishioka, C., *et al.* A novel treatment strategy targeting polo-like kinase 1 in hematological malignancies. *Leukemia* **23(9)**, 1564-1574 (2009).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM