

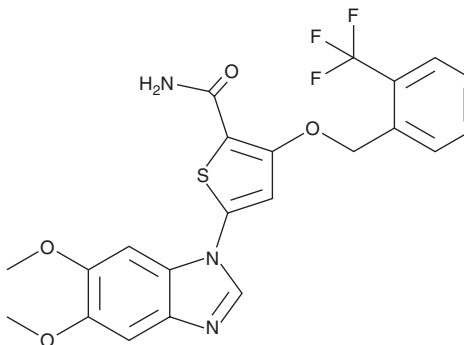
PRODUCT INFORMATION



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: C₂₂H₁₈F₃N₃O₄S
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 (IC₅₀s = 2.2 and 9.1 nM, respectively) over PDGFR1β, VEGFR2, Aurora A, and Cdk2/cyclin A (IC₅₀s = 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 (IC₅₀ = 0.14 μM in a reporter assay using chimeric Plk1). It inhibits growth in nine cancer cell lines in a panel (IC₅₀s = 0.11-0.7 μM) but not of PC3 human prostate cancer cells (IC₅₀ = 6.82 μM) or non-cancerous human diploid fibroblasts (HDFs; IC₅₀ = 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 (IC₅₀s = 0.21 and 0.21 μM, respectively). It also inhibits the growth of patient-derived leukemia cells (IC₅₀s = <0.25-0.8 μM).² GW843682X induces G₂/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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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