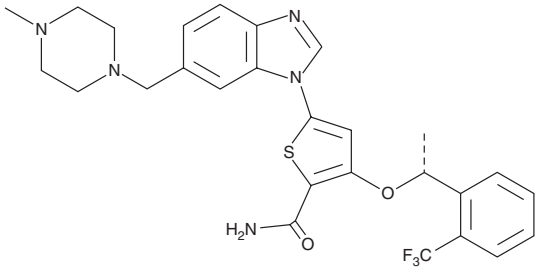
PRODUCT INFORMATION

GSK461364

Item No. 18099

CAS Registry No.:	929095-18-1
Formal Name:	5-[6-[(4-methyl-1-piperazinyl) methyl]-1H-benzimidazol-1-yl]-3-[(1R)-1-[2-(trifluoromethyl)phenyl] ethoxy]-2-thiophenecarboxamide
Synonym:	GSK461364A
MF:	$C_{27}H_{28}F_3N_5O_2S$
FW:	543.6
Purity:	≥95%
UV/Vis.:	λ_{max}: 272, 307 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

GSK461364 is supplied as a crystalline solid. A stock solution may be made by dissolving the GSK461364 in the solvent of choice, which should be purged with an inert gas. GSK461364 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of GSK461364 in these solvents is approximately 20 mg/ml.

GSK461364 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GSK461364 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. GSK461364 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Polo-like kinases (Plks) are serine/threonine kinases with key roles in cell cycling.[1] GSK461364 is a potent, reversible inhibitor of Plk1 (K_i = 2.2 nM).[2] It is less effective against Plk2 and Plk3 (K_is = 860 and 1,000 nM, respectively) and at least 1,000-fold selective for Plk1 over a panel of 48 other kinases.[2] GSK461364 dose-dependently halts cell cycling in diverse proliferating cancer cell lines and, at higher doses, triggers apoptosis.[2] It appears to be more effective against p53-deficient tumors and is able to cross the blood-brain barrier.[3,4] GSK461364 is effective *in vivo*, inducing tumor growth inhibition or growth delay in xenograft models in mice.[1,2]

References

1. Schöffski, P. Polo-like kinase (PLK) inhibitors in preclinical and early clinical development in oncology. *Oncologist* **14(6)**, 559-570 (2009).
2. Gilmartin, A.G., Bleam, M.R., Richter, M.C., *et al.* Distinct concentration-dependent effects of the polo-like kinase 1-specific inhibitor GSK461364A, including differential effect on apoptosis. *Cancer Res.* **69(17)**, 6969-6977 (2009).
3. Degenhardt, Y., Greshock, J., Laquerre, S., *et al.* Sensitivity of cancer cells to Plk1 inhibitor GSK461364A is associated with loss of p53 function and chromosome instability. *Mol. Cancer Ther.* **9(7)**, 2079-2089 (2010).
4. Danovi, D., Folarin, A., Gogolok, S., *et al.* A high-content small molecule screen identifies sensitivity of glioblastoma stem cells to inhibition of polo-like kinase 1. *PLoS One* **8(10)**, 1-13 (2013).

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.

WARRANTY AND LIMITATION OF REMEDY
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