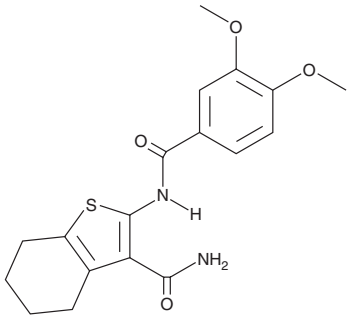
PRODUCT INFORMATION

FLT3 Inhibitor

Item No. 18462

CAS Registry No.:	301305-73-7
Formal Name:	2-[(3,4-dimethoxybenzoyl)amino]-4,5,6,7-tetrahydro-benzo[b]thiophene-3-carboxamide
Synonyms:	Fms-like Tyrosine Kinase Inhibitor, TCS 359
MF:	$C_{18}H_{20}N_2O_4S$
FW:	360.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 220, 256, 349 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

FLT3 Inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the FLT3 inhibitor in the solvent of choice, which should be purged with an inert gas. FLT3 Inhibitor is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of FLT3 inhibitor in these solvents is approximately 3 and 5 mg/ml, respectively.

FLT3 Inhibitor is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, FLT3 inhibitor should first be dissolved in DMF and then diluted with the aqueous buffer of choice. FLT3 inhibitor has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Fms-like tyrosine kinase (FLT3) is a cell-surface receptor with important roles in stem cell development, hematopoiesis, and cancer.[1,2] FLT3 Inhibitor is a cell-permeable, ATP-competitive inhibitor of FLT3 (IC_{50} = 27 nM) that blocks the proliferation of myelomonocytic leukemia MV4-11 cells (IC_{50} = 0.41 µM).[3] It is selective for FLT3 over a panel of 22 other kinases. FLT3 Inhibitor synergistically increases the cytotoxicity of an AF4-mimetic peptide against MV4-11 cells.[4]

References

1. Grafone, T., Palmisano, M., Nicci, C., *et al.* An overview on the role of FLT3-tyrosine kinase receptor in acute myeloid leukemia: Biology and treatment. *Oncol. Rev.* **6(1)**, 64-74 (2012).
2. Lyman, S.D. and Jacobsen, S.E.W. c-Kit ligand and Flt3 ligand: Stem/progenitor cell factors with overlapping yet distinct activities. *Blood* **91(4)**, 1101-1134 (1998).
3. Patch, R.J., Baumann, C.A., Liu, J., *et al.* Identification of 2-acylaminothiophene-3-carboxamides as potent inhibitors of FLT3. *Bioorg. Med. Chem. Lett.* **16(12)**, 3282-3286 (2006).
4. Bennett, C.A., Winters, A.C., Barretti, N.N., *et al.* Molecular targeting of MLL-rearranged leukemia cell lines with the synthetic peptide PFWT synergistically enhances the cytotoxic effect of established chemotherapeutic agents. *Leuk. Res.* **33(7)**, 937-947 (2009).

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