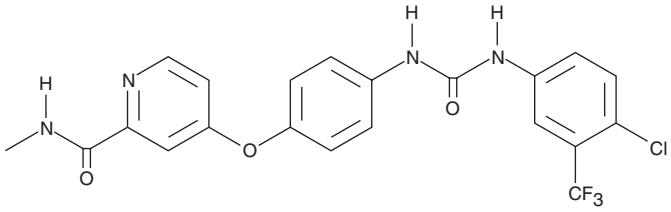
PRODUCT INFORMATION

Sorafenib

Item No. 10009644

CAS Registry No.: 284461-73-0
Formal Name: 4-[4-[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-N-methyl-2-pyridinecarboxamide
Synonym: BAY 43-9006
MF: $C_{21}H_{16}ClF_3N_4O_3$
FW: 464.8
Purity: ≥98%
UV/Vis.: λ_{max}: 204, 266 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

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

Sorafenib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, sorafenib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Sorafenib has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Sorafenib is a multi-kinase inhibitor that inhibits Raf-1 and B-RAF (IC_{50}s = 6 and 22 µM, respectively), as well as the receptor tyrosine kinases VEGFR2, VEGFR3, PDGFRβ, FLT3, and c-Kit (IC_{50}s = 90, 15, 20, 57, and 58 nM, respectively).[1,2] It is selective for these kinases over 12 other kinases, including ERK1, MEK1, EGFR, and HER2 (IC_{50}s = >10 µM for all).[2] Sorafenib inhibits proliferation of PLC/PRF/5 and HepG2 cells (IC_{50s} = 6.3 and 4.5 µM, respectively) and induces apoptosis in these cells.[3] It completely inhibits tumor growth in a PLC/PRF/5 mouse xenograft model when administered at a dose of 30 mg/kg and reduces basic FGF-induced angiogenesis in a Matrigel™ assay *in vivo*.[3,4] Sorafenib (10 µM) induces ferroptotic cell death in HT-1080 fibrosarcoma cells, an effect that can be blocked by the ferroptosis inhibitors ferrostatin-1 (Item No. 17729), deferoxamine (Item No. 14595), and β-mercaptoethanol, but does not induce ferroptosis in a variety of other cancer cell lines.[5,7] It inhibits glutamate release by the system x_c^- cystine/glutamate transporter in HT-1080 cells when used at concentrations ranging from 2.5 to 10 µM, decreases glutathione levels, and increases lipid peroxidation.[5] Sorafenib also inhibits replication of hepatitis C virus (HCV) in Huh7.5 cells (IC_{50} = 7.2 µM).[6] Formulations containing sorafenib have been used in the treatment of hepatocellular, renal cell, and thyroid carcinomas.

References

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2. Wilhelm, S.M., Carter, C., and Tang, L. *Cancer Res.* **64(19)**, 7099-7109 (2004).
3. Liu, L., Cao, Y., Chen, C., *et al. Cancer Res.* **66(24)**, 11851-11858 (2006).
4. Murphy, D.A., Makonnen, S., Lassoued, W., *et al. Am. J. Pathol.* **169(5)**, 1875-1885 (2006).
5. Dixon, S.J., Patel, D.N., Welsch, M., *et al. Elife 3*, e02523 (2014).
6. Himmelsbach, K., Sauter, D., Baumert, T.F., *et al. Gut* **58(12)**, 1644-1653 (2009).
7. Zheng, J., Sato, M., Mishima, E., *et al. Cell Death Dis.* **12(7)**, 698 (2021).

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