Product Data Sheet

Chemical Properties

Product Name: Sorafenib Tosylate
Cas No.: 475207-59-1
M.Wt: 637.03
Formula: C21H16ClF3N4O3.C7H8O3S
Synonyms: N/A

Chemical Name: 4-[4-[(4-chloro-3-(trifluoromethyl)phenyl)carbamoyl]amino]phenoxy]-N-methylpyridine-2-carboxamide;4-methylbenzenesulfonic acid
 Canonical SMILES: CC1=CC=CC=CC1(=O)(=O)NC=C=C1OC2=CC=C2ClNC3=CC=C3Cl(C)(F)(F)F

Solubility: ≥31.85mg/mL in DMSO
Storage: Store at -20°C
General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Tyrosine Kinase
Pathways: PDGFR
Description:
Sorafenib tosylate, also named nexavar, is a small-molecule anticancer compound [1]. It is also a novel oral Raf kinase and a vascular endothelial growth factor receptor (VEGFR) inhibitor. It
inhibits tumor cell proliferation and tumor angiogenesis [2]. To HepG2 cells (1× 10^6), the IC50 of sorafenib tosylate is 2.09μg/ml [3]. Raf is a mitogen-stimulated protein kinase that functions as a component of the signaling cascade that leads to the stimulation of mitogen-activated protein kinase [4]. Vascular endothelial growth factor (VEGF) is a highly specific mitogen for vascular endothelial cells [5].

Treatment with nexavar potently inhibited the cell proliferation of MV4-11 cells (FLT3-ITD) in a dose-dependent manner with an IC50 of 0.88 nM. In MV4-11 cells, sorafenib tosylate of a concentration of 100 nM induced 43.6±5.2% of the cells to undergo apoptosis whereas in EOL-1 cells a concentration as low as 10 nM induced 89.29±1.8% of the cells to be apoptotic [6]. Nude rats at the age of 6 weeks injected with 10^5 MDA-MB-231 cells were involved. After monotherapy with sorafenib tosylate a significant reduction of the osteolytic lesion volume was observed on days 45 and 55 and of the soft tissue component volume on day 55 in comparison to untreated animals (p < 0.05). Compared to controls, treatment with sorafenib tosylate made bone metastases show significantly decreased values of Amplitude A and kep from day 35 to 55 (Amplitude A: p<0.01; kep p<0.01 on days 35 and 55; p<0.05 on day 45) [7].

Reference:

Protocol

Cell experiment:

Cell lines MV4-11 and EOL-1 cells
Preparation method The solubility of this compound in DMSO is > 31.9 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at
37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.

Reacting conditions

Applications
At a concentration of 100 nM, Sorafenib induced 43.6 ± 5.2% of the cells to undergo apoptosis. In EOL-1 cells, Sorafenib at a concentration as low as 10 nM promoted 89.29 ± 1.8% of the cells to undergo apoptosis. In addition, cell cycle analysis of Sorafenib-treated MV4-11 cells showed that Sorafenib dose-dependently induced cell cycle arrest with an increase in the percentages of cells in G0/G1 from 52.7 ± 0.9% (the control group) to 66.8 ± 1.5% (the 100 nM Sorafenib group).

Animal experiment [3]:

Animal models
Athymic mice bearing FLT3-ITD tumors

Dosage form
0.3, 1.0, 3 or 10 mg/kg; p.o.

Applications
In athymic mice bearing FLT3-ITD tumors, Sorafenib showed dose-dependent antitumor activity. At the doses of 3 and 10 mg/kg, 6 and 9 out of 10 mice showed complete responses, respectively. According to the Western blot analysis of MV4-11 tumors, phosphorylation of STAT5 was completely abolished 3 hrs after the second administration. Meanwhile, phospho-histone H3 was also significantly reduced.

Other notes
Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Product Citations

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.