Product Name: Dasatinib (BMS-354825)

Revision Date: 6/30/2018

Product Data Sheet

Chemical Properties

Product Name: Dasatinib (BMS-354825)

Cas No.: 302962-49-8

M.Wt: 488.01

Formula: C22H26ClN7O2S

Synonyms: Sprycel, dasatinibum, Dasatinib, BMS-354825, BMS354825, BMS 354825

Chemical Name: N-(2-chloro-6-methylphenyl)-2-[[6-[4-(2-hydroxyethyl)piperazin-1-yl]-2-methylpyrimidin-4-yl]amino]-1,3-thiazole-5-carboxamide

Canonical SMILES: CC1=C(C=CC1Cl)NC(=O)C2=CN=C(S2)NC3=NC(=NC(=C3)N4CCN(C4)CCO)C

Solubility: ≥24.4mg/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: TGF-β / Smad Signaling

Pathways: Bcr-Abl

Description:

Dasatinib is a small-molecule inhibitor of both the Src and Bcr-Abl tyrosine kinases with IC50 values of 0.5 nM and 1 nM. The oncogenic tyrosine kinase Bcr-Abl, plays a critical role in the pathogenesis of CML (chronic myelogenous leukemia). In most CML patients, the kinase domain
of Bcr-Abl have mutations that interfere with binding to the first Abl kinase inhibitor, but Dasatinib bind more efficiently to these Abl kinase mutations and thus more effective than imatinib in inhibiting the proliferation cells with wild-type Bcr-Abl or Bcr-Abl mutants.

Reference:

Protocol

Cell experiment:

- **Cell lines**: DU-145 and LNCaP cells
- **Preparation method**: The solubility of this compound in DMSO is >10 mM. 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**: 100 nM, 6 hours for inhibiting FAK phosphorylation 24 hours for decreasing cell-to-cell contact
- **Applications**: Dasatinib almost totally abolished the levels of p-FAK at Tyr576/577 in DU-145 cells, whereas p-FAK was not detected in LNCaP cells even though both cell lines expressed similar levels of total FAK protein. Treatment with 100 nmol/L dasatinib for 24 hours had no effect on cell viability and total cell numbers, although partial inhibition of cell proliferation due to G1 arrest was observed at 48 and 72 hours. Besides, there was a substantial loss of cell-to-cell contact in DU-145 cells. This effect may be related to the decrease in levels of p-FAK and p-p130CAS.

Animal experiment [3]:

- **Animal models**: Pdx1-Cre, Z/EGFP, LSL-Kras G12D/+ , LSL-Trp53R172H/+ mice
- **Dosage form**: Oral administration, 10 mg/kg, daily
- **Applications**: There was no significant difference in survival between the different treatment groups. The median survival of vehicle-treated animals was 131 days compared with 127 days and 130 days for animals treated with dasatinib from 6 weeks and 10 weeks of age,
respectively. Analysis of tumor burden in the mice showed that all mice had invasive PDAC; however, the number of mice with metastases was reduced significantly in dasatinib-treated animals. The incidence of metastases was 61.1% in vehicle-treated animals compared with 26.7% in mice treated with dasatinib from 6 weeks and 23.1% in mice treated with dasatinib from 10 weeks.

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. Shortterm 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.