Product Data Sheet

Chemical Properties

Product Name: BMS-582949
Cas No.: 623152-17-0
M.Wt: 406.48
Formula: C22H26N6O2

Chemical Name: 4-((5-(cyclopropylcarbamoyl)-2-methylphenyl)amino)-5-methyl-N-propylpyrrolo[2,1-f][1,2,4]triazine-6-carboxamide
Canonical SMILES: O=C(C1=CN2N=CN=CC(C(NC4CC4)=O)=CC=C3C)C2=C1C)NCC

Solubility: Soluble 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: MAPK Signaling
Pathways: p38

Description:
IC50: 13 nM
BMS-582949 is a p38 MAPK inhibitor
p38R MAP kinase plays a key role in regulating the biosynthesis of various inflammatory
cytokines, such as tumor necrosis factor alpha and interleukin-1β. Thus, p38R inhibitors are considered as a promising therapy for inflammatory disease treatment.

*In vitro*: BMS-582949 was found to be 450-fold selective over Jnk2, a MAP kinase involved in inflammation, and 190-fold selective against Raf. Moreover, the binding mode of BMS-582949 with p38R was further demonstrated by X-ray crystallographic analyses [1].

*In vivo*: In a pseudoestablished rat adjuvant arthritis model, BMS-582949 at doses of 10 and 100 mg/kg was found to display dose-dependent reduction in paw swelling with qd dosing. In addition, with bid dosing at doses of 1 and 5 mg/kg, BMS-582949 showed improved efficacy, resulting in great reduction in paw swelling. Moreover, the statistically significant reduction in paw swelling was also observed with the treatment of BMS-582949 at doses as low as 0.3 mg/kg bid [1].

Clinical trial: A multicenter FDG-PET trial showed that in stable atherosclerosis, 12 weeks of treatment with BMS-582949 was not able to reduce arterial inflammation or hs-CRP when compared with placebo, while intensification of statin therapy could decrease arterial inflammation significantly [2].

**Reference:**


**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.