Product Data Sheet

Product Name: BMS-582949
Cat. No.: GC16997

Chemical Properties

Cas. No.	623152-17-0		
Chemical Name	4-((5-(cyclopropylcarbamoyl)-2-methylphenyl)amino)-5-methyl-N-propylpyrrolo[2,1-f][1,2,4]triazine-6-carboxamide		
SMILES	O=C(C1=CN2N=CN=C(NC3=CC(C(NC4CC4)=O)=CC=C3C)C2=C1C)NCCC		
Formula	$C_{22}H_{26}N_6O_2$	M.Wt	406.48
Solubility	DMF: 30 mg/ml,DMF:PBS(pH 7.2)(1:1): 0.5 mg/ml,DMSO: 15 mg/ml,Ethanol: 1 mg/ml,PBS (pH 7.2): slightly soluble	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	BMS-582949		

Background

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].

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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].

References:
[1]. Liu C, et al. Discovery of 4-(5-(cyclopropylcarbamoyl)-2-methylphenylamino)-5-methyl- N-propylpyrrolo[1,2-f][1,2,4]triazine-6-carboxamide (BMS-582949), a clinical p38α MAP kinase inhibitor for the treatment of inflammatory diseases. J Med Chem. 2010 Sep 23;53(18):6629-39.
[2]. Emami H, et al. The effect of BMS-582949, a P38 mitogen-activated protein kinase (P38 MAPK) inhibitor on arterial inflammation: a multicenter FDG-PET trial. Atherosclerosis. 2015 Jun;240(2):490-6.

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