Data Sheet

Product Name: BMS-509744  
Cat. No.: HY-11092  
CAS No.: 439575-02-7  
Molecular Formula: C₃₂H₃₄N₅O₄S₂  
Molecular Weight: 623.83  
Target: Itk  
Pathway: Protein Tyrosine Kinase/RTK  
Solubility: DMSO: 21.9 mg/mL; in vivo: suspended with formulation of H₂O:ethanol:Tween 80=90:5:5.

BIOLOGICAL ACTIVITY:
BMS-509744 is a potent and selective Itk kinase inhibitor with an IC₅₀ value of 19 nM. IC₅₀ & Target: IC₅₀: 19 nM (Itk)[1]  

In Vitro: BMS-509744 reduces T-cell receptor-induced functions including PLCγ1 tyrosine phosphorylation, calcium mobilization, IL-2 secretion, and T-cell proliferation in vitro in both human and mouse cells. BMS-488516 and BMS-509744 potently inhibit Itk in vitro with IC₅₀ values of 96 and 19 nM, respectively. Both compounds exhibit competitive kinetics with respect to ATP, suggesting that they bind to the ATP binding site of the Itk kinase domain[1].  

In Vivo: BMS-509744 and BMS-488516 suppress the production of IL-2 induced by anti-T-cell receptor antibody administered to mice. BMS-509744 exhibits a 50% inhibitory capacity when dosed at 50 mg/kg, irrespective of the amount of induction antibody. BMS-509744 also significantly diminishes lung inflammation in a mouse model of ovalbumin-induced allergy/asthma[1].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: [1]BMS-509744 activity (IC₅₀) is determined by kinase assays. The kinase reactions are performed in the presence of 10 μM GST-SLP-76 and various concentrations of ATP for 10 min using 10 ng of enzyme. The concentrations of BMS-509744 Animal Administration: [1]Mouse: Balb/c mice are injected subcutaneously with the compounds (BMS-509744 and BMS-488516) or vehicle (H₂O:ethanol:Tween 80 ) 90:5:5) 15 min before intravenous administration of anti-CD3 antibody. Serum is collected for the analysis of IL-2 and compound levels at 90 min after anti-CD3 antibody administration. IL-2 is measured by ELISA, and compound levels are measured by mass spectrometry[1].

References:

Caution: Product has not been fully validated for medical applications. For research use only.
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