**BMS-509744**

**Cat. No.:** HY-11092  
**CAS No.:** 439575-02-7  
**Molecular Formula:** C₃₂H₄₁N₅O₄S₂  
**Molecular Weight:** 623.83  
**Target:** Itk  
**Pathway:** Protein Tyrosine Kinase/RTK  
**Storage:**  
- Powder  
  -20°C: 3 years  
  4°C: 2 years  
- In solvent  
  -80°C: 6 months  
  -20°C: 1 month

**Solvent & Solubility**

**In Vitro**

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass (mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO: 21.9 mg/mL (35.11 mM; Need ultrasonic and warming)</td>
<td></td>
</tr>
</tbody>
</table>

- **Preparing Stock Solutions**
  - **Concentration**
    - 1 mM: 1.6030 mL  
      - 5 mg: 8.0150 mL  
      - 10 mg: 16.0300 mL  
    - 5 mM: 0.3206 mL  
      - 1 mg: 1.6030 mL  
      - 5 mg: 3.2060 mL  
    - 10 mM: 0.1603 mL  
      - 1 mg: 0.8015 mL  
      - 10 mg: 1.6030 mL  

Please refer to the solubility information to select the appropriate solvent.

**BIOLOGICAL ACTIVITY**

**Description**

| Description | 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]. |

**IC₅₀ & Target**

| IC₅₀ & Target | IC₅₀: 19 nM (Itk)[1] |

**In Vitro**

| In Vitro | 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]. |

**In Vivo**

| In Vivo | 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]. |
PROTOCOL

Kinase Assay [1]

BMS-509744 activity (IC$_{50}$) 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

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration [1]

Mice: 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].

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