# BI605906

**Cat. No.:** HY-13019

**CAS No.:** 960293-88-3

**Molecular Formula:** $C_{17}H_{22}F_2N_4O_3S_2$

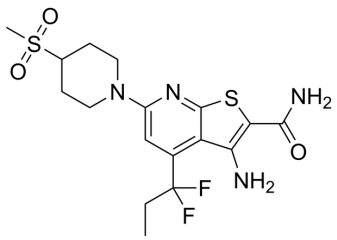
**Molecular Weight:** 432.51

**Target:** IKK

**Pathway:** NF-κB

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 50 mg/mL (115.60 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.3121 mL | 11.5604 mL | 23.1209 mL |
| 5 mM | 0.4624 mL | 2.3121 mL | 4.6242 mL |
| 10 mM | 0.2312 mL | 1.1560 mL | 2.3121 mL |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.25 mg/mL (2.89 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.25 mg/mL (2.89 mM); Suspended solution; Need ultrasonic

## BIOLOGICAL ACTIVITY

**Description**

BI605906 is a novel IKKβ inhibitor with an $IC_{50}$ value of 380 nM when assayed at 0.1 mM ATP.

**$IC_{50}$ & Target**

IKKβ
380 nM ($IC_{50}$, at 0.1 mM ATP)

**In Vitro**

BI605906 is an inhibitor of IKKβ with improved selectivity. BI605906 inhibits IKKβ in vitro with an $IC_{50}$ value of 380 nM when assayed at 0.1 mM ATP. The only other protein kinase that is inhibited of over 100 tested, which include IKKα, IKKε and TBK1, is the insulin-like growth factor 1 (IGF1) receptor ($IC_{50}$=7.6 μM). BI605906 partially inhibits the IL-1-stimulated activation of IKKε/TBK1[1]. In primary mouse hepatocytes, Metformin treatment for 3 hours suppresses TNFα-induced degradation of the NF-κB negative regulator IκB, while modulating AMPK and MTOR signaling in a dose-dependent manner. The magnitude of

the effect on IκB is comparable with BI605906. Unlike Metformin, BI605906 (10 μM ) does not suppress signaling downstream of mTOR nor does it activate AMPK. TNF-α-dependent expression of CINC-1/CXCL1, CXCL2, IL-1β, and IL-6 is strongly inhibited by both Metformin and BI605906 (10 μM)[2].

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

## PROTOCOL

**Cell Assay** [2]

Primary hepatocytes are incubated in serum-free medium overnight and then stimulated for 3 h with or without 2 mM Metformin and TNF-α. In addition, cells are incubated with/without 10 μM BI605906 or 100 nM Rapamycin, before lysis and immunoblotting[2].

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

## CUSTOMER VALIDATION

- Mol Cell. 2017 Jun 1;66(5):698-710.e5.
- J Biol Chem. 2020 Oct 16;295(42):14325-14342.
- Sci Rep. 2019 Jan 17;9(1):193.
- Cytokine. 2023 Jul 20;169:156302.
- Cell Biochem Funct. 2019 Jan;37(1):4-10.

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## REFERENCES

[1]. Clark K, et al. Novel cross-talk within the IKK family controls innate immunity. Biochem J. 2011 Feb 15;434(1):93-104.

[2]. Cameron AR, et al. Anti-Inflammatory Effects of Metformin Irrespective of Diabetes Status. Circ Res. 2016 Aug 19;119(5):652-65.



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