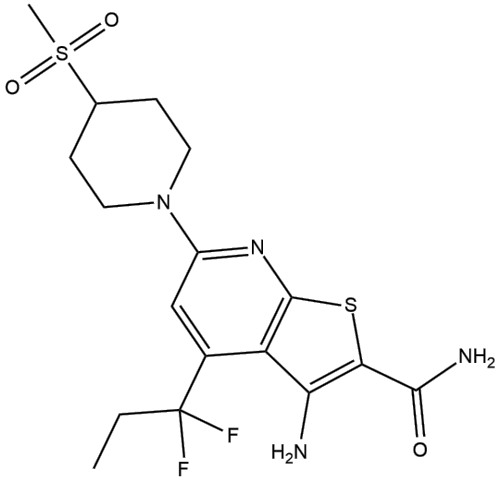
# Product Data Sheet

Product Name: BI-605906
Cat. No.: GC18200

## Chemical Properties

| | | | |
|---|---|---|---|
| Cas. No. | 960293-88-3 | | |
| Chemical Name | 3-amino-4-(1,1-difluoropropyl)-6-[4-(methylsulfonyl)-1-piperidinyl]-thieno[2,3-b]pyridine-2-carboxamide | | |
| SMILES | NC1=C(C(N)=O)SC2=NC(N3CCC(S(C)(=O)=O)CC3)=CC(C(F)(F)CC)=C21 | | |
| Formula | $C_{17}H_{22}F_2N_4O_3S_2$ | M.Wt | 432.5 |
| Solubility | Acetonitrile: 1 mg/ml,DMSO: 30 mg/ml | 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. | | |
| Shipping Condition | Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request. | | |

Structure




## Protocol

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**Cell experiment:** 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].

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.

## Background

BI605906 is a novel IKKβ inhibitor with an IC50 value of 380 nM when assayed at 0.1 mM ATP.

BI605906 is an inhibitor of IKKβ with improved selectivity. BI605906 inhibits IKKβ in vitro with an IC50 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 (IC50=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].

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.