

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

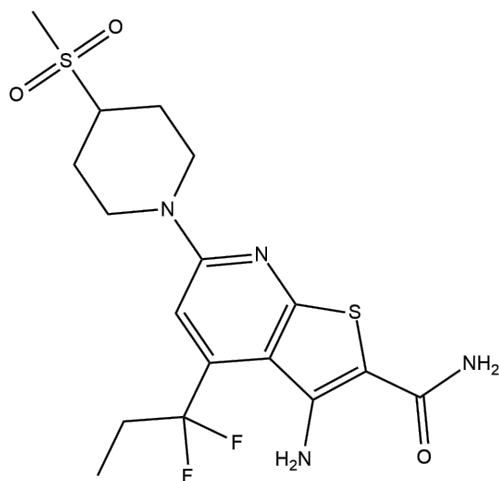
Solubility Acetonitrile: 1 mg/ml, DMSO: 30 mg/ml

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

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

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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 μ M 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 IKK β inhibitor with an IC₅₀ value of 380 nM when assayed at 0.1 mM ATP.

BI605906 is an inhibitor of IKK β with improved selectivity. BI605906 inhibits IKK β 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 IKK α , IKK ϵ and TBK1, is the insulin-like growth factor 1 (IGF1) receptor (IC₅₀=7.6 μ M). BI605906 partially inhibits the IL-1-stimulated activation of IKK ϵ /TBK1[1]. In primary mouse hepatocytes, Metformin treatment for 3 hours suppresses TNF α -induced degradation of the NF- κ B negative regulator I κ B, while modulating AMPK and MTOR signaling in a dose-dependent manner. The magnitude of the effect on I κ B is comparable with BI605906. Unlike Metformin, BI605906 (10 μ M) does not suppress signaling downstream of mTOR nor does it activate AMPK. TNF- α -dependent expression of CINC-1/CXCL1, CXCL2, IL-1 β , and IL-6 is strongly inhibited by both Metformin and BI605906 (10 μ M)[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.

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