
Product Data Sheet

Product Name: CH5138303

Cat. No.: GC17822

Chemical Properties

Cas. No. 959763-06-5

SMILES C1C=C(C2=NC(N)=NC(SCCCC(N)=O)=N2)C3=CC=CC4=C3C(COC4)=C1Formula C₁₉ H₁₈ Cl N₅ O₂ S M.Wt 415.9

Solubility ≥ 19.95mg/mL in DMSO Storage Desiccate 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 **Background**

CH5138303 is an inhibitor of Hsp90 with K_d value of 0.48 nM [1].

Heat shock protein 90 (HSP90) is a chaperone protein that stabilizes proteins against heat stress, assists proteins to fold properly and aids in protein degradation. Also, HSP90 stabilizes proteins required for tumor growth.

CH5138303 is an orally available Hsp90 inhibitor. CH5138303 showed improved water solubility with 646 μM and high affinity against Hsp90α with K_d value of 0.48 nM. In NCI-N87 cells, CH5138303 decreased the protein level and phosphorylation of Hsp90 target proteins such as Raf1, AKT, EGFR and HER2 [1].

In mice, CH5138303 exhibited high plasma clearance, oral bioavailability and total systemic exposure (AUC). In mice bearing human NCI-N87 gastric cancer xenograft model, CH5138303 exhibited potent antitumor efficacy with tumor growth inhibition (TGI) of 136% and ED₅₀ value of 3.9 mg/kg [1].

Reference:

[1]. Suda A, Kawasaki K, Komiyama S, et al. Design and synthesis of 2-amino-6-(1H,3H-

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

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benzo[de]isochromen-6-yl)-1,3,5-triazines as novel Hsp90 inhibitors. Bioorg Med Chem, 2014, 22(2): 892-905.

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