

GNF-2

Catalog No: tcsc0012

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:

778270-11-4

Formula:

 $C_{18}H_{13}F_{3}N_{4}O_{2}$

Pathway: Protein Tyrosine Kinase/RTK

Target:

Bcr-Abl

Purity / Grade:

Solubility: DMSO : \geq 45 mg/mL (120.22 mM)

Observed Molecular Weight:

374.32

Product Description

GNF-2 is a highly selective non-ATP competitive inhibitor of oncogenic Bcr-Abl activity (IC50 = 0.14 μ M).

IC50 value: 0.14 uM [1]

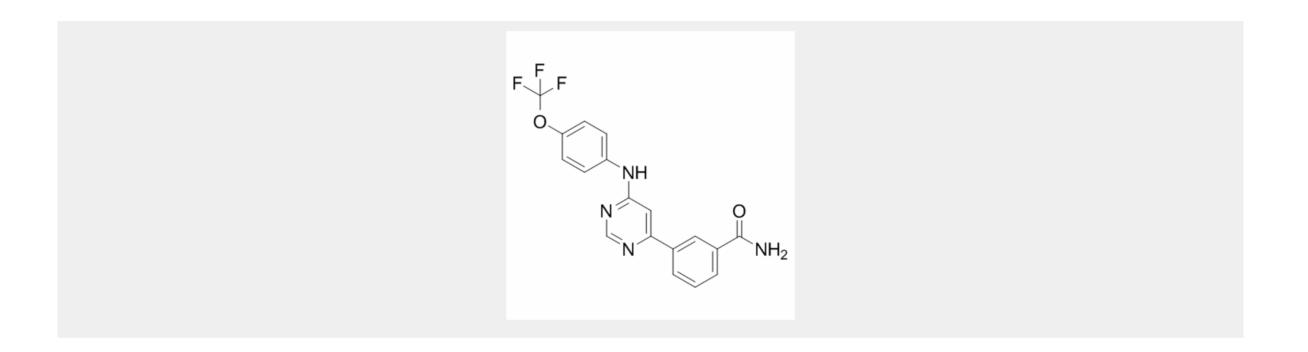
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Target: Bcr-Abl

in vitro: Ba/F3 cells harboring native or T315I mutated Bcr-Abl constructs were treated with GNF-2 and AKIs. We monitored the effect of GNF-2 with AKIs on the proliferation and clonigenicity of the different Ba/F3 cells. In addition, we monitored the autophosphorylation activity of Bcr-Abl and JAK2 in cells treated with GNF-2 and AKIs [2]. GNF-2 increased the effects of AKIs on unmutated BCR/ABL. Interestingly, the combination of Dasatinib and GNF-2 overcame resistance of BCR/ABL-T315I in all models used in a synergistic manner [3].GNF-2 dose-dependently inhibited the proliferation of osteoclast precursors through the suppression of the M-CSFR c-Fms. In addition, GNF-2 accelerated osteoclast apoptosis by inducing caspase-3 and Bim expression. Furthermore, GNF-2 interfered with actin cytoskeletal organization and subsequently blocked the bone-resorbing activity of mature osteoclasts [4].

in vivo: Combining PDMP and GNF-2 eliminated transplanted-CML-T315I-mutants in vivo and dose dependently sensitized primary cells from CML T315I patients to GNF-2-induced proliferation inhibition and apoptosis[5].



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