Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

GNF-5

Catalog No: tcsc1811

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
778277-15-9

Formula:
$C_{20}H_{17}F_3N_4O_3$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
Bcr-Abl

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 49 mg/mL (117.12 mM)

Observed Molecular Weight:
418.37

Product Description

GNF-5, an analogue of GNF-2 with improved pharmacokinetic properties, is a selective non-ATP competitive inhibitor of Bcr-Abl with an IC50 value of 0.22±0.1 uM (Wild type Abl).

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IC50 Value: 0.22±0.1 uM (Wild type Abl) [1]

Target: Abl

GNF-5 is a cell-permeable GNF-2 N-hydroxyethyl carboxamide analog that exhibits in vivo efficacy in suppressing the proliferation of Bcr-abl-expressing Ba/F3 (93% and 83% of no-treatment control, respectively, on days 5 and 7 post treatment; 100 mg/kg b.i.d.) and bone marrow cells (~75% of no-treatment control in both WBC counts and spleen weight on day 7 post treatment; 50 mg/kg b.i.d.) in murine xenograft models of leukemia. Similar to GNF-2, GNF-5 exerts its effect via an allosteric mechanism (IC50 = 0.22 M against wild-type Abl) by targeting the myristate-binding pocket near the c-terminus of Abl kinase domain and thereby altering the conformational dynamics of the ATP-binding pocket. GNF-5 is ineffective toward the myristate-binding site mutant E505K and the ATP-binding site \'gatekeeper\' mutant T315I.