

Product Specification Sheet

Product Name: GNF-2

Catalog Number: C4632

Technical information:

Chemical Formula: $C_{18}H_{13}F_3N_4O_2$

CAS #: 778270-11-4

Molecular Weight: 374.32

Purity: > 98%

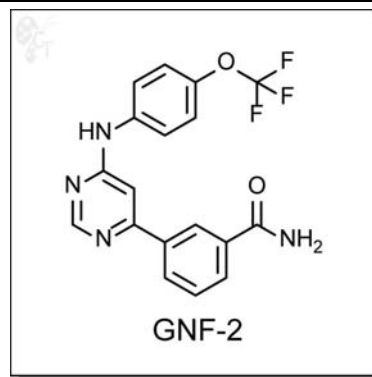
Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: Benzamide, 3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]- - See more at:
<http://www.selleckchem.com/products/gnf-2.html#sthash.NLeXYNka.dpuf>

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.267mL of DMSO for each mg of GNF-2.
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: GNF-2 is an aminopyrimidine-based, allosteric inhibitor of Bcr-Abl with an in vitro IC50 activity of 70 nM. [1] GNF-2 exhibits preferential activity Bcr-Abl-expressing cells (138 nM) with little to no antiproliferative effects in a panel of Ba/F3 cells transformed with kinases such as Flt3 ITD, Tel PDGFRb, TPR Met, and Tel JAK1. In Bcr-Abl-transformed cells, GNF-2 induces apoptosis at concentrations as low as 1 uM at 48h, while parental Ba/F3 cells were unaffected at concentrations up to 10 uM. [2] GNF-2 also inhibits Bcr-Abl and Stat5 tyrosine phosphorylation at concentrations of 270 nM and 1 uM, respectively. Selectivity panel studies show that GNF-2 is inactive towards a range of serine/threonine (e.g. CDK1, cRAF, PDK1, PKA, PKB), receptor tyrosine (e.g. FGFR1/3, Flt1/3/4, HER1/2, KDR, c-Kit), and non-receptor tyrosine kinases (BTK, Lck, c-Src).

GNF-2 targets wild-type Bcr-Abl as well as many clinically-relevant imatinib (Gleevec)-resistant mutants either alone or in combination with other Bcr-Abl inhibitors. GNF-2 is also known to inhibit Arg (Abl-related gene) kinase at a IC50 of 670 nM. [1]

- Reference:**
1. Choi et al., N-Myristoylated c-Abl Tyrosine Kinase Localizes to the Endoplasmic Reticulum upon Binding to an Allosteric Inhibitor. J. Biol. Chem. 2009, 284(42), 29005-29014. Pubmed ID: 19679652
 2. Adrian et al., Allosteric inhibitors of Bcr-Abl-dependent cell proliferation. Nat. Chem. Biol. 2006, 2(2), 95-102. Pubmed ID: 16415863

To reorder: <http://www.cellagentech.com/GNF-2/>

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.