

NVP-BVU972

Catalog No: tcsc0967

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:

1185763-69-2

Formula:

 $C_{20}H_{16}N_{6}$

Pathway: Protein Tyrosine Kinase/RTK

Target:

c-Met/HGFR

Purity / Grade:

Solubility: DMSO : \geq 42 mg/mL (123.39 mM)

Observed Molecular Weight:

340.38

Product Description

NVP-BVU972 is a selective and potent Met inhibitor (IC50 = 14 nM). Antitumor agents.

IC50 value: 14 nM [1]

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Target: Met

NVP-BVU972 potently inhibits MET kinase but displays low inhibition against other kinases including the most closely related kinase RON with IC50 values of more than 1000 nM. NVP-BVU972 also suppresses constitutive MET phosphorylation in GTL-16 cells or HGFstimulated MET phosphorylation in A549 cells with IC50 values of 7.3 nM and 22 nM, respectively. NVP-BVU972 potently prevents the growth of the MET gene amplified cell lines GTL-16, MKN-45 and EBC-1 with IC50 values of 66 nM, 82 nM and 32 nM, respectively. In line with their high frequency in the NVP-BVU972 screen, Y1230 and D1228 mutations give rise to dramatic shifts in the measured IC50 values for NVP-BVU972 in BaF3 cell line. Resistance triggered by V1155L is more limited to NVP-BVU972. A dose-dependent reduction in TPR-MET phosphorylation when applying NVP-BVU972 to BaF3 cells expressing wild-type TPR-MET. Both Y1230H and D1228A mutations abrogated the effect of NVP-BVU972 but not AMG 458. However, F1200I and L1195V interferes with the potency of NVP-BVU972 to prevent TPR-MET phosphorylation.



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