

Product Data Sheet

Product Name: NVP-BVU972

Cat. No.: GC16972

Chemical Properties

Cas. No. 1185763-69-2

Chemical Name 6-[[6-(1-methylpyrazol-4-yl)imidazo[1,2-b]pyridazin-3-yl]methyl]quinoline

SMILES CN1C=C(C=N1)C2=NN3C(=NC=C3CC4=CC5=C(C=C4)N=CC=C5)C=C2

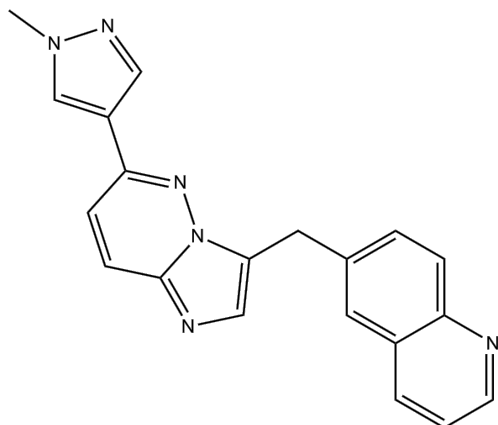
Formula $C_{20}H_{16}N_6$ M.Wt 340.38

Solubility $\geq 17\text{mg/mL}$ in DMSO Storage Store 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



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

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Background

NVP-BVU972 is a selective and potent inhibitor of c-Met with IC₅₀ of 14 nM. Besides, NVP-BVU972 displays IC₅₀ values more than 1000 nM in other kinases such as the most closely related kinase recepteur d'origine nantais (RON).

c-Met, also known as hepatocyte growth factor receptor, is a receptor tyrosine kinase that can be activated by hepatocyte growth factor/scatter factor (HGF/SF). It is a membrane protein which plays an essential role in embryonic development and wound healing.

In the EBC-1, GTL-16, and MKN-45 human cancer cells, NVP-BVU972 potently inhibits the cell proliferation with IC₅₀ values of 82, 66 and 32 nM, respectively. Additionally, NVP-BVU972 treatment leads to the inhibition of the growth of the transformed mouse BaF3 cells containing TPR-MET kinase fusions with IC₅₀ of 104 nM¹.

In human sample, NVP-BVU972 treatment on cells expressing wild-type TPR-MET resulted in a dose-dependent reduction in TPR-MET phosphorylation. Y1230H, D1228A, F1200I and L1195V mutations abrogate the TPR-MET phosphorylation inhibition effect of NVP-BVU972 in BaF3 TPR-MET1.

Reference:

1. Tiedt R, Degenkolbe E, Furet P, et al. A drug resistance screen using a selective MET inhibitor reveals a spectrum of mutations that partially overlap with activating mutations found in cancer patients. Cancer research. 2011;71(15):5255-5264.

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