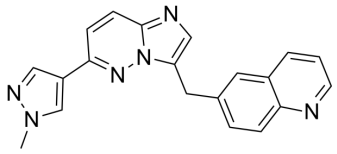
NVP-BVU972

Cat. No.:	HY-15456
CAS No.:	1185763-69-2
Molecular Formula:	$C_{20}H_{16}N_6$
Molecular Weight:	340.38
Target:	c-Met/HGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (293.79 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9379 mL	14.6895 mL	29.3789 mL
	5 mM	0.5876 mL	2.9379 mL	5.8758 mL
	10 mM	0.2938 mL	1.4689 mL	2.9379 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NVP-BVU972 is an selective and potent Met inhibitor, with an IC_{50} of 14 nM. NVP-BVU972 also exhibits good anti-proliferative activity against Met with drug-resistant mutations and inhibits phosphorylation. NVP-BVU972 can be used in study of cancer [1].

In Vitro

NVP-BVU972 (600 nM-9.6 μM; 72 h) shows good antiproliferative activity to BaF3 cells with MET mutations[1].
NVP-BVU972 (0-10 μM; 2 h) reduces TPR-MET phosphorylation in a dose-dependent manner in BaF3 TPR-MET cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	BaF3 TPR-MET cells
Concentration:	600 nM-9.6 μM
Incubation Time:	72 h
Result:	Exhibited good antiproliferative effect on BaF3 cells with MET mutations, showed IC_{50}s of 1.2, 3.6, 14.1, 14.6, 31.5, >129 and >129 nM for M1211L, M1250T, F1200I, V1155L, L1195V, D1228A and Y1230H mutations, respectively. Showed antiproliferative effect on BaF3 cells containing wild-type (WT) TPR-MET, with an IC_{50} of 77 nM.

Western Blot Analysis[1]

Cell Line:	BaF3 TPR-MET cells
Concentration:	0, 0.01, 0.1, 1, 10 μM
Incubation Time:	2 h
Result:	Inhibited phosphorylation of TPR-MET in a dose-dependent manner.

REFERENCES

[1]. Tiedt, Ralph, 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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