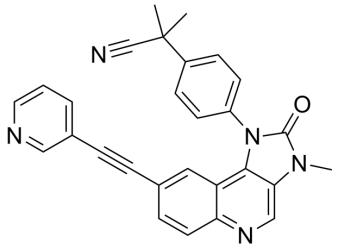
NVP-BBD130

Cat. No.:	HY-150061
CAS No.:	853910-61-9
Molecular Formula:	$C_{28}H_{21}N_5O$
Molecular Weight:	443.5
Target:	PI3K; mTOR
Pathway:	PI3K/Akt/mTOR
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	NVP-BBD130 is a potent, stable, ATP-competitive and orally active dual PI3K and mTOR inhibitor[1]. NVP-BBD130 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.
IC_{50} & Target	PI3K, mTOR[1]

In Vitro

NVP-BBD130 (1 μM; 72 h) blocks proliferation of melanoma cells, arrests cell cycle at G1 phase in A2058 cells but not C32 cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	Melanoma cells
Concentration:	1 μM
Incubation Time:	3 days
Result:	Showed a long-term effect on melanoma cell proliferation.

Western Blot Analysis[1]

Cell Line:	A2058 and C32 cells
Concentration:	1 μM
Incubation Time:	0, 0.5, 1, 2, 4, 8, 12, 24, 48 and 72 h
Result:	Decreased phosphorylation of PKB/Akt, whereas the phosphorylation status of MAPK was not affected. Down-regulated the expression of cyclin D1, induced $p27^{Kip1}$ expression in A2058 cells.

Cell Cycle Analysis[1]

Cell Line:	A2058 cells

Concentration:	1 μM
Incubation Time:	3 days
Result:	Resulted in a complete arrest of most tumor cells in G1.

In Vivo

NVP-BBD130 (40 mg/kg; p.o.; daily for 2 weeks) efficiently attenuates tumor growth at primary and lymph node metastatic sites with no obvious toxicity, and is well tolerant in B16BL6 mouse melanoma model[1].

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Animal Model:	C57BL6 mice, syngeneic B16BL6 mouse melanoma model[1]
Dosage:	40 mg/kg
Administration:	Oral administration, daily for 2 weeks
Result:	Reduced primary tumor size, showed a significant reduction in the size of the cervical lymph node metastasis.

REFERENCES

[1]. Marone R, et al. Targeting melanoma with dual phosphoinositide 3-kinase/mammalian target of rapamycin inhibitors. Mol Cancer Res. 2009 Apr;7(4):601-13.

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