



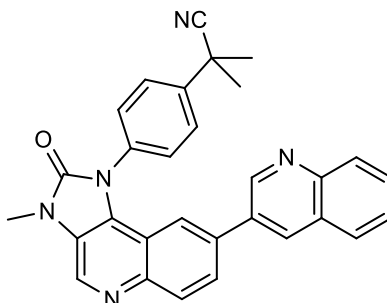
Catalog # 10-2153

NVP-BEZ235

CAS# 915019-65-7

2-Methyl-2-{4-[3-methyl-2-oxo-8-(quinolin-3-yl)-2,3-dihydro-1H-imidazo[4,5-c]quinolin-1-yl]phenyl}propanenitrile

Lot # X106411



A dual PI3K and mTOR kinase inhibitor¹. It inhibits VEGF-induced proliferation and angiogenesis². Reverses lapatinib resistance³. It induces G1 arrest and reduces cyclin D1 expression in melanoma cells with negligible apoptosis⁴. Inhibits the growth of cancer cells with activating PI3K mutations⁵. The ability of NVP-BEZ235 to inhibit PI3K has come into question. This study also shows it to be a potent inhibitor of PRKDC (IC₅₀ = 29 nM), ATM (IC₅₀ = 13 nM), and ATR (IC₅₀ = 8 nM).⁶ Active *in vivo*.

- 1) Maira et al. (2008), *Identification and characterization of NVP-BEZ235, a new orally available dual phosphatidylinositol 3-kinase/mammalian target of rapamycin inhibitor with potent in vivo antitumor activity*; Mol. Cancer Ther., **7** 1851
- 2) Schnell et al. (2008), *Effects of the dual phosphatidylinositol 3-kinase/mammalian target of rapamycin inhibitor NVP-BEZ235 on the tumor vasculature: implications for clinical imaging*; Cancer Res., **68** 6598
- 3) Eichhorn et al. (2008), *Phosphatidylinositol 3-kinase hyperactivation results in lapatinib resistance that is reversed by the mTOR/Phosphatidylinositol 3-kinase inhibitor NVP-BEZ235*; Cancer Res., **68** 9221
- 4) Marone et al. (2009), *Targeting melanoma with dual phosphoinositide 3-kinase/mammalian target of rapamycin inhibitors*; Mol. Cancer Res., **7** 601
- 5) Serra et al. (2008), *NVP-BEZ235, a dual PI3K/mTOR inhibitor, prevents PI3K signaling and inhibits the growth of cancer cells with activating PI3K mutations*; Cancer Res., **68** 8022
- 6) Reinecke et al. (2019), *Chemoproteomic selectivity profiling of PIKK and PI3K kinase inhibitors*; ACS Chem.Biol. **14** 655

PHYSICAL DATA

Molecular Weight:	469.55
Molecular Formula:	C ₃₀ H ₂₃ N ₅ O
Purity:	98% by TLC
	NMR: (Conforms)
Solubility:	DMSO (up to 1 mg/ml with warming) or DMF (up to 10 mg/ml - heat to 75°C)
Physical Description:	White or off-white solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in DMSO or DMF may be stored at -20°C for up to 1 month.

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