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# Dactolisib

## Catalog No: tcsc0080

### Available Sizes

**Size:** 50mg

**Size:** 100mg

**Size:** 200mg

**Size:** 500mg

**Size:** 1g

### Specifications

**CAS No:**
915019-65-7

**Formula:**
$C_{30}H_{23}N_5O$

**Pathway:**
PI3K/Akt/mTOR;PI3K/Akt/mTOR;Autophagy

**Target:**
PI3K;mTOR;Autophagy

**Purity / Grade:**
>98%

**Solubility:**
DMSO : 8.75 mg/mL (18.64 mM; Need ultrasonic and warming)

**Alternative Names:**
BEZ235;NVP-BEZ235

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**Observed Molecular Weight:**
469.54

## Product Description

BEZ235 is a dual pan-class I **PI3K** and **mTOR** kinase inhibitor with **$IC_{50}$**s of 4 nM/5 nM/7 nM/75 nM, and 20.7 nM for **p110α/p110γ/p110δ/p110β** and **mTOR**, respectively. BEZ235 inhibits both **mTORC1** and **mTORC2**.

IC50 & Target: IC50: 4 nM (p110α), 5 nM (p110γ), 7 nM (p110δ), 75 nM (p110β), 20.7 nM (mTOR)[1]

mTORC1, mTORC2[1]

***In Vitro:*** BEZ235 (NVP-BEZ235) potently inhibits PI3K in an ATP Competitive Manner. NVP-BEZ235 (250 nM) significantly reduced the phosphorylation levels of the mTOR activated kinase p70S6K. NVP-BEZ235 also leads to a reduction of S235/S236P-RPS6 levels with an $IC_{50}$ of 6.5 nM, suggesting that NVP-BEZ235 can directly inhibit the mTOR kinase, as the kinase domain of mTOR is highly homologous to the one of class IA PI3K. The activity of NVP-BEZ235 against mTOR is confirmed using a biochemical mTOR K-LISA assay ($IC_{50}$, 20.7 nM)[1]. The $IC_{50}$s of NVP-BEZ235 for HCT116, DLD-1, and SW480 cell lines are 14.3±6.4, 9.0±1.5, and 12.0±1.6 nM, respectively[2].

***In Vivo:*** BEZ235 (NVP-BEZ235) (45 mg/kg, p.o.) treatment induces colonic tumor regression in a GEM model for sporadic PIK3CA wild-type CRC[2]. NVP-BEZ235 (45 mg/kg) is administered to MENX rats (n=2 each group) by oral gavage and animals are sacrificed 1 or 6 hours after treatment. Immunostains for P-AKT and P-S6 show considerable reduction of the two proteins, and particularly of P-S6, 6 hours after administration of NVP-BEZ235 when compares with PEG-treated rats. At 6 hours after treatment, the pituitary adenomas of NVP-BEZ235-treated rats has a proteomic profile significantly different from the tumors of placebo-treated rats[3].