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# Dactolisib (Tosylate)

## Catalog No: tcsc0711

### Available Sizes

**Size:** 50mg

**Size:** 100mg

**Size:** 200mg

**Size:** 500mg

### Specifications

**CAS No:**
1028385-32-1

**Formula:**
$C_{37}H_{31}N_5O_4S$

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

**Target:**
PI3K;mTOR;Autophagy

**Purity / Grade:**
>98%

**Solubility:**
H2O :

**Alternative Names:**
BEZ235 (Tosylate);NVP-BEZ 235 (Tosylate)

**Observed Molecular Weight:**
641.74

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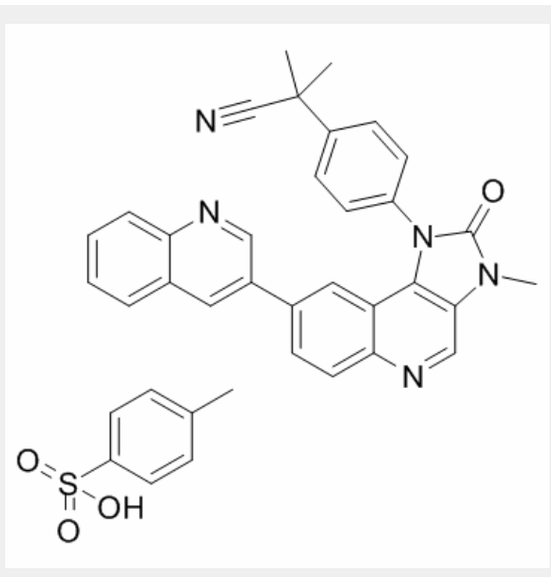
## Product Description

Dactolisib (BEZ235) Tosylate is a dual **PI3K** and **mTOR** kinase inhibitor with **$IC_{50}$** values of 4, 75, 7, 5 nM for PI3Kα, β, γ, δ, respectively. Dactolisib (BEZ235) Tosylate inhibits **mTORC1** and **mTORC2**.

IC50 & Target: IC50: 4nM (PI3Kα), 75 nM (PI3Kβ), 7 nM (PI3Kγ), 5 nM (PI3Kδ)[1]
mTORC1, mTORC2[1]

***In Vitro:*** NVP-Dactolisib (BEZ235) is an imidazo[4,5-c]quinoline derivative that inhibits PI3K and mTOR kinase activity by binding to the ATP-binding cleft of these enzymes. The $IC_{50}$s for PI3Kα, β, γ, δ are 4, 75, 7, 5 nM, respectively. It is also found to be as active against the mutant $PI3K\alpha^{E545K}$ or $PI3K\alpha^{H1047R}$ with $IC_{50}$s of 5.7 and 4.6 nM, respectively. In human tumor cell lines, it is able to effectively and specifically block the dysfunctional activation of the PI3K pathway, inducing G1 arrest. PTEN-null cell lines PC3M and U87MG shows a dose-dependent reduction in cell proliferation when treated with increasing concentrations of NVP-Dactolisib (BEZ235), with an average $GI_{50}$ of 10 to 12 nM[1].

***In Vivo:*** NVP-Dactolisib (BEZ235) is well tolerated, displays disease stasis when administered orally, and enhances the efficacy of other anticancer agents. At a dose of 50 mg/kg, NVP-Dactolisib (BEZ235) appears rapidly in plasma with a $C_{max}$ of 1.68 μM at 0.5 h and a $C_{24h}$ of 0.03 μM[1].

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