

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

Product Description

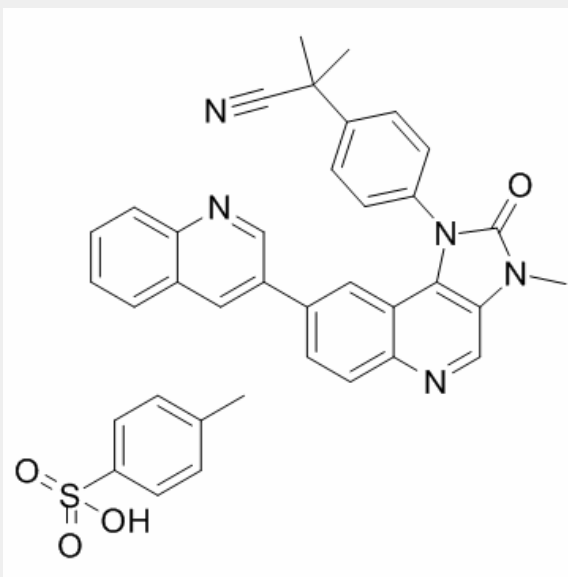
Dactolisib (BEZ235) Tosylate is a dual **PI3K** and **mTOR** kinase inhibitor with **IC₅₀** values of 4, 75, 7, 5 nM for PI3K α , β , γ , δ , respectively. Dactolisib (BEZ235) Tosylate inhibits **mTORC1** and **mTORC2**.

IC50 & Target: IC50: 4nM (PI3K α), 75 nM (PI3K β), 7 nM (PI3K γ), 5 nM (PI3K δ)^[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 IC₅₀s for PI3K α , β , γ , δ are 4, 75, 7, 5 nM, respectively. It is also found to be as active against the mutant PI3K α^{E545K} or PI3K α^{H1047R} with IC₅₀s 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 GI₅₀ 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 μ M at 0.5 h and a C_{24h} of 0.03 μ M^[1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!