Web: www.taiclone.com
Tel: +886-2-2735-9682
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Buparlisib

Catalog No: tcsc0089

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Specifications

CAS No:
944396-07-0

Formula:
$C_{18}H_{21}F_3N_6O_2$

Pathway:
PI3K/Akt/mTOR

Target:
PI3K

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (243.67 mM)

Alternative Names:
NVP-BKM120;BKM120

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Observed Molecular Weight:
410.39

Product Description

Buparlisib (NVP-BKM120) is a pan-class I **PI3K** inhibitor, with **IC_{50}**s of 52, 166, 116 and 262 nM for **p110α**, **p110β**, **p110δ** and **p110γ**, respectively.

IC50 & Target: IC50: 52 nM (p110α), 166 nM (p110β), 116 nM (p110δ), 262 nM (p110γ)[1]

In Vitro: Buparlisib (NVP-BKM120) exhibits 50-300 nM activity for class I PI3K’s, including the most common p110α mutants. Additionally, NVP-BKM120 exhibits lower potency against class III and class IV PI3K\'s, where 2, 5, >5, and >25 μM biochemical activity is observed for inhibition of VPS34, mTOR, DNAPK, and PI4K, respectively[1]. Buparlisib (NVP-BKM120) induces multiple myeloma (MM) cell apoptosis in both dose- and time-dependent manners. Buparlisib (NVP-BKM120) at concentrations ≥10 μM induces significant apoptosis in all tested MM cell lines at 24 h (P50 varies among tested MM cells. At 24 h treatment, IC_{50} for ARP-1, ARK, and MM.1R is between 1 and 10 μM, while IC_{50} for MM.1S is 50 for U266 is between 10 and 100 μM. In summary, NVP-BKM120 treatment results in MM cell growth inhibition and apoptosis in dose- and time-dependent manners[2].

In Vivo: In A2780 xenograft tumors, oral dosing of Buparlisib (NVP-BKM120) at 3, 10, 30, 60, and 100 mg/kg results in a dose dependent modulation of $pAKT^{Ser473}$. Partial inhibition of $pAKT^{Ser473}$ is observed at 3 and 10 mg/kg, and near complete inhibition is observed at doses of 30, 60, or 100 mg/kg, respectively. Inhibition of pAKT (normalized to total AKT) tracked well with both plasma and tumor drug exposure[1]. Mice receiving Buparlisib (NVP-BKM120) (5 μM per kg per day for 15 days) treatment has significantly smaller tumor burdens as compare with control mice, which are measured as tumor volume (P[2].