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Buparlisib (Hydrochloride)

Catalog No: tcsc0820

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1312445-63-8

Formula:
$C_{18}H_{22}ClF_3N_6O_2$

Pathway:
PI3K/Akt/mTOR

Target:
PI3K

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (111.89 mM)

Alternative Names:
BKM120 (Hydrochloride); NVP-BKM120 (Hydrochloride)

Observed Molecular Weight:
446.85

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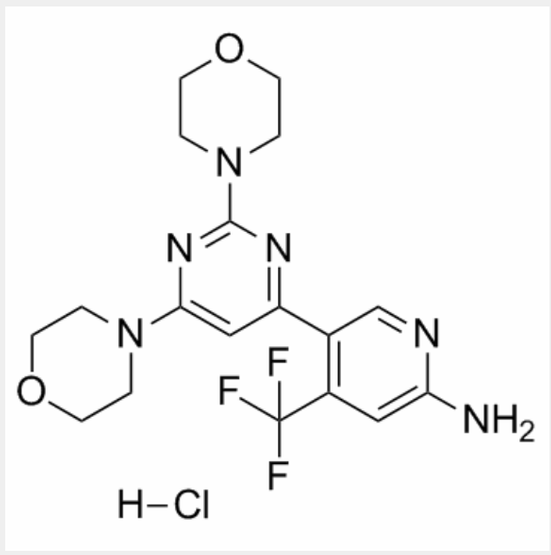
Product Description

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

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

In Vitro: Buparlisib (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 (BKM120) induces multiple myeloma (MM) cell apoptosis in both dose- and time-dependent manners. Buparlisib (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, Buparlisib (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 (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 (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].



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