

# PLX-4720

Catalog No: tcsc0094



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 25mg

**Size:** 50mg

**Size:** 100mg

**Size:** 200mg



## Specifications

**CAS No:**

918505-84-7

**Formula:**

$C_{17}H_{14}ClF_2N_3O_3S$

**Pathway:**

MAPK/ERK Pathway

**Target:**

Raf

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 50$  mg/mL (120.82 mM)

**Observed Molecular Weight:**

413.83

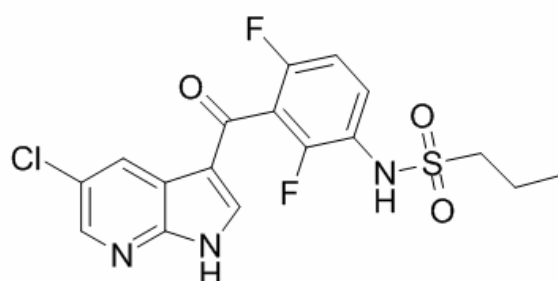
## Product Description

PLX-4720 is a potent and selective inhibitor of **B-Raf<sup>V600E</sup>** with **IC<sub>50</sub>** of 13 nM in a cell-free assay, equally potent to c-Raf-1(Y340D and Y341D mutations), and 10-fold selectivity for B-Raf<sup>V600E</sup> than wild-type B-Raf.

IC50 & Target: IC50: 13 nM (B-Raf<sup>V600E</sup>)

**In Vitro:** PLX-4720 displays >10 times selectivity against wild type B-Raf, and >100 times selectivity over other kinases such as Frk, Src, Fak, FGFR, and Aurora A with IC<sub>50</sub> of 1.3-3.4 μM. PLX-4720 significantly inhibits the ERK phosphorylation in cell lines bearing B-Raf<sup>V600E</sup> with IC<sub>50</sub> of 14-46 nM, but not the cells with wild-type B-Raf. PLX-4720 significantly inhibits the growth of tumor cell lines bearing the B-Raf<sup>V600E</sup> oncogene, such as COLO205, A375, WM2664, and COLO829 with GI<sub>50</sub> of 0.31 μM, 0.50 μM, 1.5 μM, and 1.7 μM, respectively. In addition, PLX-4720 treatment at 1 μM induces cell cycle arrest and apoptosis exclusively in the B-Raf<sup>V600E</sup>-positive 1205Lu cells, but not in the B-Raf wild-type C8161 cells<sup>[1]</sup>. PLX-4720 treatment (10 μM) significantly induces > 14-fold expression of BIM in the PTEN<sup>+</sup> cells, compared with the PTEN<sup>-</sup> cell lines (4-fold), giving an explanation of the resistance of PTEN-cells to PLX-4720-induced apoptosis<sup>[2]</sup>.

**In Vivo:** Oral administration of PLX-4720 at 20 mg/kg/day induces significant tumor growth delays and regressions in B-Raf<sup>V600E</sup>-dependent COLO205 tumor xenografts, without obvious adverse effects in mice even at dose of 1 g/kg. PLX-4720 at 100 mg/kg twice daily almost completely eliminates the 1205Lu xenografts bearing B-Raf<sup>V600E</sup>, while has no activity against C8161 xenografts bearing wild-type B-Raf. The anti-tumor effects of PLX-4720 correlate with the blockade of MAPK pathway in those cells harboring the V600E mutation<sup>[1]</sup>. PLX-4720 treatment at 30 mg/kg/day significant inhibits the tumor growth of 8505c xenografts by >90%, and dramatically decreases distant lung metastases<sup>[3]</sup>.



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