Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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 : ≥ 50 mg/mL (120.82 mM)

Observed Molecular Weight:
413.83

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Product Description

PLX-4720 is a potent and selective inhibitor of **B-Raf^{V600E}** with **IC_{50}** 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^{V600E} than wild-type B-Raf.

IC50 & Target: IC50: 13 nM (B-Raf^{V600E})

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_{50} of 1.3-3.4 μM. PLX-4720 significantly inhibits the ERK phosphorylation in cell lines bearing B-Raf^{V600E} with IC_{50} 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^{V600E} oncogene, such as COLO205, A375, WM2664, and COLO829 with GI_{50} 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^{V600E}-positive 1205Lu cells, but not in the B-Raf wild-type C8161 cells[1]. PLX-4720 treatment (10 μM) significantly induces > 14-fold expression of BIM in the PTEN^{+} cells, compared with the PTEN- cell lines (4-fold), giving an explanation of the resistance of PTEN- cells to PLX-4720-induced apoptosis[2].

In Vivo: Oral administration of PLX-4720 at 20 mg/kg/day induces significant tumor growth delays and regressions in B-Raf^{V600E}-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^{V600E}, 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[1]. PLX-4720 treatment at 30 mg/kg/day significant inhibits the tumor growth of 8505c xenografts by >90%, and dramatically decreases distant lung metastases[3].