

## Product Specification Sheet

**Product Name:** PLX-4720

**Catalog Number:** C7420

**Technical information:**

Chemical Formula:  $C_{17}H_{14}ClF_2N_3O_3S$

CAS #: 918505-84-7

Molecular Weight: 413.83

Purity: > 98%

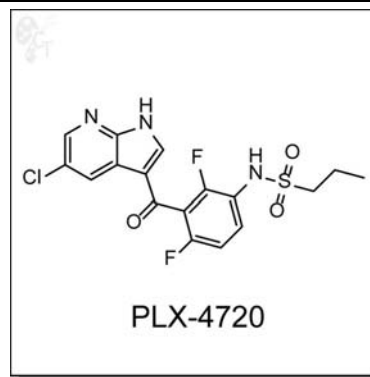
Appearance: White solid

Solubility: Soluble in DMSO up to 100 mM

Chemical Name: N-(3-(5-chloro-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluorophenyl)propane-1-sulfonamide

Storage: Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.242mL of DMSO for each mg of PLX-4720.
  - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

**Biological Activity:** PLX-4720 is an orally-available, ATP-competitive, highly selective, azaindole-based inhibitor of B-Raf (V600E) with IC<sub>50</sub> value of 13 nM. PLX-4720 has additional activity towards mutant forms of c-Raf-1 (Y340D, Y341D) at an IC<sub>50</sub> of 6.7 nM, with modest single micromolar activity versus kinases such as FRK, CSK, SRC, FGFR, KDR, HGK, and Aurora A. [1] PLX-4720 has shown preclinical activity in melanoma and thyroid cancer models. [1, 2]

PLX-4720 preferentially inhibits ERK phosphorylation in tumor cell lines bearing the V600E allele. In melanoma models it induces cell cycle arrest and apoptosis exclusively in B-Raf (V600E)-positive cells.

In an orthotopic 8505c human thyroid cancer mice model, PLX-4720 caused significant reduction in tumor growth (>90%) and dramatically decreased lung metastases. [3]

- Reference:**
1. Tsai et al., Discovery of a selective inhibitor of oncogenic B-Raf kinase with potent antimelanoma activity. Proc. Natl. Acad. Sci. 2008, 105(8), 3041-3046. Pubmed ID: 18287029
  2. Nucera et al., Targeting BRAFV600E with PLX4720 displays potent antimigratory and anti-invasive activity in preclinical models of human thyroid cancer. The Oncologist, 2011, 16, 296-309. Pubmed ID: 21355020
  3. Nucera et al., B-Raf(V600E) and thrombospondin-1 promote thyroid cancer progression. Proc. Natl. Acad. Sci. 2010, 107(23), 10649-10654. Pubmed ID: 20498063

To reorder: <http://www.cellagentech.com/PLX-4720/>

For Technical Support: [technical@cellagentech.com](mailto:technical@cellagentech.com)

*Chemicals are sold for research use only, not for clinical or diagnostic use.*