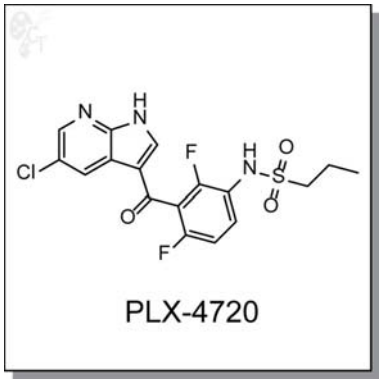
Product Specification Sheet

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 IC50 value of 13 nM. PLX-4720 has additional activity towards mutant forms of c-Raf-1 (Y340D, Y341D) at an IC50 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 preferentiallly 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

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