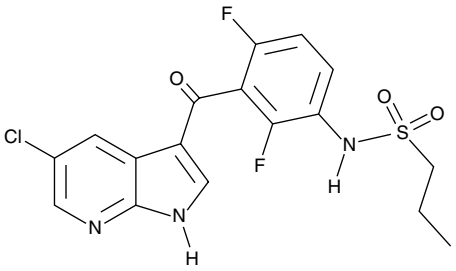
Product Information

PLX4720

Item No. 15142

CAS Registry No.: 918505-84-7
Formal Name: N-[3-[(5-chloro-1H-pyrrolo[2,3-b] pyridin-3-yl)carbonyl]-2,4-difluorophenyl]-1-propanesulfonamide
Synonym: Raf Kinase Inhibitor V
MF: $C_{17}H_{14}ClF_2N_3O_3S$
FW: 413.8
Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 214, 277 nm



Laboratory Procedures

For long term storage, we suggest that PLX4720 be stored as supplied at -20°C. It should be stable for at least two years.

PLX4720 is supplied as a crystalline solid. A stock solution may be made by dissolving the PLX4720 in the solvent of choice. PLX4720 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of PLX4720 in ethanol is approximately 2 mg/ml and approximately 30 mg/ml in DMSO and DMF.

PLX4720 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PLX4720 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PLX4720 has a solubility of approximately 0.1 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

The Raf kinases activate cellular pathways that lead to cell proliferation and can contribute to certain types of cancer.[1-2] Mutations in the kinase B-raf (BRAF) are involved in a wide range of cancers.[3-4] In particular, the mutation $BRAF^{V600E}$ occurs in melanomas and thyroid cancer but is poorly targeted by many inhibitors of wild type BRAF.[5-6] PLX4720 is an orally-available, highly selective inhibitor of $BRAF^{V600E}$ (IC_{50} = 13 nM).[6] It is less effective against wild type BRAF (IC_{50} = 160 nM) as well as several other kinases.[6] PLX4720 induces cell cycle arrest and apoptosis in cells and xenografts expressing the mutant of BRAF.[5-7]

References

1. Lyons, J.F., Wilhelm, S., Hibner, B., *et al. Endocr. Relat. Cancer* **8(3)**, 219-225 (2001).
2. Wang, X. and Kim, J. *J. Med. Chem.* **55(17)**, 7332-7341 (2012).
3. Flaherty, K.T., Puzanov, I., Kim, K.B., *et al. N. Engl. J. Med.* **363(9)**, 809-819 (2010).
4. Old, W.M., Shabb, J.B., Houel, S., *et al. Mol. Cell* **34(1)**, 115-131 (2009).
5. Nucera, C., Porrello, A., Antonello, Z.A., *et al. Proc. Natl. Acad. Sci. USA* **107(23)**, 10649-10654 (2010).
6. Tsai, J., Lee, J.T., Wang, W., *et al. Proc. Natl. Acad. Sci. USA* **105(8)**, 3041-3046 (2008).
7. Nucera, C., Nehs, M.A., Nagarkatti, S.S., *et al. Oncologist* **16(3)**, 296-309 (2011).

Related Products

For a list of related products please visit: www.caymanchem.com/catalog/15142

Cayman Chemical

Mailing address
1180 E. Ellsworth Road
Ann Arbor, MI
48108 USA

Phone
(800) 364-9897
(734) 971-3335

Fax
(734) 971-3640

E-Mail
custserv@caymanchem.com

Web
www.caymanchem.com