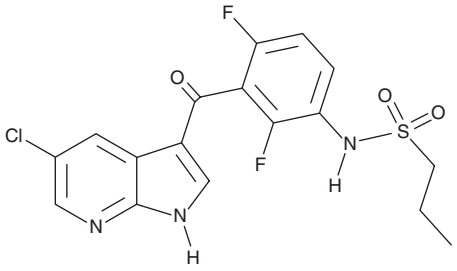
PRODUCT INFORMATION

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%
UV/Vis.:	λ_{max}: 214, 277 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

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

Description

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 are involved in a wide range of cancers.[3-4] In particular, the mutation B-Raf^{V600E} occurs in melanomas and thyroid cancer but is poorly targeted by many inhibitors of wild type B-Raf.[5-6] PLX4720 is an orally-available, highly selective inhibitor of B-Raf^{V600E} (IC_{50} = 13 nM).[6] It is less effective against wild type B-Raf (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 B-Raf.[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).

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