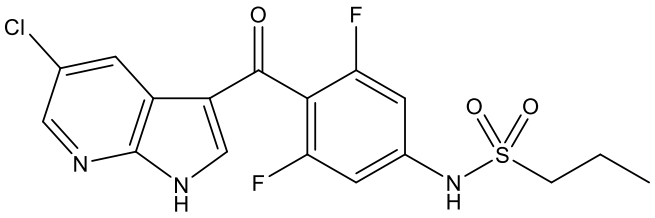
Catalog # 10-5113

PLX4720

CAS# 918505-84-7

N-[3-[(5-Chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl]-2,4-difluorophenyl]-1-propanesulfonamide

Lot # X108523



Potent and selective inhibitor of B-Raf, V600E mutant, IC_{50}=13 nM (Wild type IC_{50}=160 nM).[1] It induces cell cycle arrest and apoptosis in B-Raf^{V600E}-positive cells and suppresses growth of B-Raf^{V600E}-positive xenografts.[1] It induces tumor regression and reverses cachexia in a mouse model of human thyroid cancer harboring the B-Raf^{V600E} mutation.[2] Early stage autophagy inhibitors and ER stress inhibition with 4-phenylbutyric acid increases the sensitivity of resistant cells to PLX4720.[3] PLX4720 induces cytoprotective autophagy in thyroid cancer cells via AMPK-ULK1 pathway.[4]

1) Tsai *et al*. (2008), *Discovery of a selective inhibitor of oncogenic B-Raf kinase with potent antimelanoma activity*; Proc. Natl. Acad. Sci. USA, **105** 3041
2) Nehs *et al*. (2012), *Late intervention with anti-BRAF(V600E) therapy induces tumor regression in an orthotopic mouse model of human anaplastic thyroid cancer*; Endocrinology, **153** 985
3) Yeom *et al*. (2021), *Increase in the sensitivity to PLX4720 through inhibition of transcription factor EB-dependent autophagy in BRAF inhibitor-resistant cells*; Toxicol. Res., **38** 35
4) Jimenez-Morah *et al.* (2021), *^{V600E}BRAF Inhibition Induces Cytoprotective Autophagy through AMPK in Thyroid Cancer Cells*; Int. J. Mol. Sci. **22** 6033

PHYSICAL DATA

Molecular Weight:	413.82
Molecular Formula:	$C_{17}H_{14}ClF_2N_3O_3S$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (30 mg/ml with warming)
Physical Description:	White solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase.
	Solutions in DMSO may be stored at -20°C for up to 3 months.

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