



PLX-4032

Data Sheet

Catalog Number:	MC11115	Product Type:	Small Molecule
Bio-Activity:	B-Raf inhibitor	CAS #:	918504-65-1
Research Categories:	Cell death, cancer	Chemical Name:	N-[3-[[5-(4-chlorophenyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]carbonyl]-2,4-difluorophenyl]-1-propanesulfonamide
Solubility:	Soluble in DMSO (up to 100 mg/ml).	Molecular Formula:	C23H18ClF2N3O3S
Purity:	> 98%	Molecular Weight:	489.92
Format:	Powder	Ship Temp:	Ambient
Storage:	-20°C		

Application Notes

Description/Data:

An ATP-competitive inhibitor of mutant V600E/F and wild type B-Raf, IC50s=31 and 100 nM respectively [1]. Induced growth inhibition, G0/G1 arrest and apoptosis in a variety of cancer cell lines, with a B-Raf mutation favoring but not guaranteeing a response [2]. Inhibits the growth of B-Raf V600E-positive melanomas in vitro and in vivo [3]. Treatment of patients that carry the V600E BRAF mutation resulted in complete or partial tumor regression [4].

References:

- 1) Khazak et al. (2007), Selective Raf inhibition in cancer therapy; Expert Opin. Ther. Targets, 11 1587
- 2) Tap et al. (2010), Pharmacodynamic characterization of the efficacy signals due to selective BRAF inhibition with PLX4032 in malignant melanoma; Neoplasia, 12 637
- 3) Lee et al. (2010), PLX4032, a potent inhibitor of the B-Raf V600E oncogene, selectively inhibits V600E-positive melanomas; Pigment Cell Melanoma Res., 23 820
- 4) Flaherty et al. (2010), Inhibition of mutated, activated BRAF in metastatic melanoma; N. Engl. J. Med., 363 809

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