NEUROMICS

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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