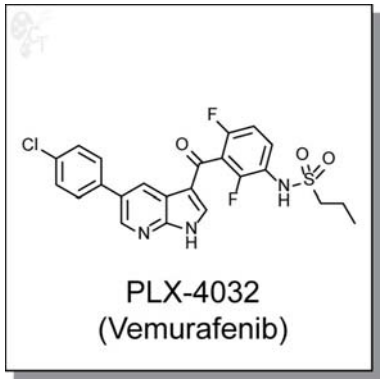
Cellagen Technology

Product Specification Sheet

Product Name: PLX-4032 (Vemurafenib)

Catalog Number: C7403



Technical information:

Chemical Formula:	$C_{23}H_{18}ClF_2N_3O_3S$
CAS #:	1029872-54-5, 918504-65-1
Molecular Weight:	489.92
Purity:	> 98%
Appearance:	White
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	N-(3-(5-(4-chlorophenyl)-1H-pyrrolo[2,3-b]pyridine-3-carbonyl)-2,4-difluorophenyl)propane-1-sulfonamide
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.204mL of DMSO for each mg of PLX-4032 (Vemurafenib)
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

PLX4032 (Vemurafenib) is an 7-azaindole-based, orally-available, inhibitor of the B-Raf V600E mutation with an IC50 of 30 nM. [1] In preclinical tumor models, PLX4032 induces antiproliferative effects in both mealonama and thyroid cell lines, with a simultaneous dose-dependent block of MEK1/2 phosphorylation. [1] Apoptosis is also observed in melanoma cell lines upon treatment with PLX4032. Important to note is that proliferation was inhibited in tumor cell lines expressing B-Raf V600E only, and not B-Raf WT or other B-Raf mutations. [2]

PLX4032 has marginal effect on cell-cycle arrest, apoptotic cell changes, or alteration of phosphorylated signaling molecules in lymphocytes. T-cell function was preserved up to 10 uM of PLX4032, while cytotoxic activty was maintained up to high concentrations of 50 uM. [3] Such observations suggest that PLX4032 can be used in combination with immunotherapy strategies.

Reference:

1. Yang et al., RG7204 (PLX4032), a selective BRAFV600E inhibitor, displays potent antitumor activity in preclinical melanoma models. Cancer Res. 2010, 70, 5518-5527. Pubmed ID: 20551065

2. Lee et al., PLX4032, a potent inhibitor of the B-Raf V600E oncogene, selectively inhibits V600E-positive melanomas. Pigment Cell Melanoma Res. 2010, 23, 820-827. Pubmed ID: 20973932

3. Comin-Anduix et al., The oncogenic BRAF kinase inhibitor PLX4032/RG7204 does not affect the viability or function of human lymphocytes across a wide range of concentrations. Cancer Res. 2010, 16, 6040-6048. Pubmed ID: 21169256

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