



## Product Specification Sheet

**Product Name:** PLX-4032 (Vemurafenib)

**Catalog Number:** C7403

### Technical information:

**Chemical Formula:** C<sub>23</sub>H<sub>18</sub>ClF<sub>2</sub>N<sub>3</sub>O<sub>3</sub>S

**CAS #:** 1029872-54-5, 918504-65-1

**Molecular Weight:** 489.92

**Purity:** > 98%

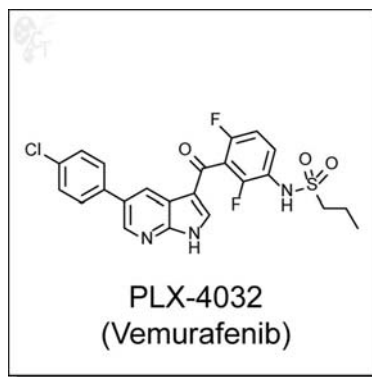
**Appearance:** White

**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 a 7-azaindole-based, orally-available, inhibitor of the B-Raf V600E mutation with an IC<sub>50</sub> of 30 nM. [1] In preclinical tumor models, PLX4032 induces antiproliferative effects in both melanoma 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 μM of PLX4032, while cytotoxic activity was maintained up to high concentrations of 50 μM. [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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