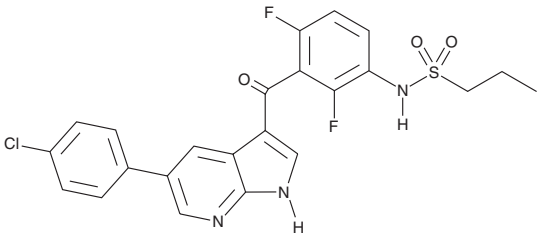
PRODUCT INFORMATION

PLX4032

Item No. 10618

CAS Registry No.:	918504-65-1
Formal Name:	N-[3-[[5-(4-chlorophenyl)-1H-pyrrolo[2,3-b]pyridin-3-yl] carbonyl]-2,4-difluorophenyl]-1-propanesulfonamide
Synonyms:	RG-7204, Ro 51-85426, Vemurafenib
MF:	$C_{23}H_{18}ClF_2N_3O_3S$
FW:	489.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 219, 252, 307 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PLX4032 is supplied as a crystalline solid. A stock solution may be made by dissolving the PLX4032 in the solvent of choice. PLX4032 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of PLX4032 in these solvents is approximately 1 and 20 mg/ml, respectively.

PLX4032 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PLX4032 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PLX4032 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PLX4032 is an orally bioavailable, ATP-competitive inhibitor of mutant V600E and wild type B-Raf kinases (IC_{50}s = 31 and 100 nM, respectively).[1] It inhibits cell proliferation in a variety of cell lines expressing B-Raf^{V600E} and synergizes strongly with taxol, vinblastine, and oxaliplatin in inhibiting the proliferation of B-Raf^{V600E} transformed cancer cells.[1] PLX4032 is effective against the growth of tumors bearing the B-Raf^{V600E} mutation.[2-5]

References

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2. Flaherty, K.T., Puzanov, I., Kim, K.B., *et al*. Inhibition of mutated, activated BRAF in metastatic melanoma. *N. Engl. J. Med.* **363(9)**, 809-819 (2010).
3. Bollag, G., Hirth, P., Tsai, J., *et al*. Clinical efficacy of a RAF inhibitor needs broad target blockade in BRAF-mutant melanoma. *Nature* **467**, 596-599 (2010).
4. Coffee, E.M., Faber, A.C., Roper, J., *et al*. Concomitant BRAF and PI3K/mTOR blockade is required for effective treatment of BRAF^{V600E} colorectal cancer. *Clin. Cancer Res.* **19(10)**, 2688-2698 (2013).
5. Huang, T., Karsy, M., Zhuge, J., *et al*. B-Raf and the inhibitors: From bench to bedside. *J. Hematol. Oncol.* **6**, 1-9 (2013).

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