Revision 5

Store at -20C

#17531

Vemurafenib

5 mg

Cell Signaling TECHNOLOGY®

Orders: 877-616-CELL (2355) orders@cellsignal.com

Support: 877-678-TECH (8324)

Web: info@cellsignal.com cellsignal.com

3 Trask Lane | Danvers | Massachusetts | 01923 | USA

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Background

Vemurafenib, also known as PLX4032, is an inhibitor of mutated BRAF (V600E) (1,2). The BRAF kinase is responsible for the activation of MEK and in turn, activating ERK and other downstream transcription factors involved in cell differentiation, proliferation, growth and apoptosis (1). The V600E mutation elevates the catalytic activity of BRAF which in turn renders it insensitive to negative feedback, thus causing hyperactivation of ERK signaling (2). Ultimately, the inhibition of mutated BRAF will cause a cascade of inhibitory actions against downstream targets, such as ERK and MEK1/2 (1,2).

Molecular Formula

$C_{23}H_{18}ClF_2N_3O_3S$

Molecular Weight

489.92 g/mol

Purity

>99%

CAS

918504-65-1

Solubility

Soluble in DMSO at 100mg/ml.

Storage

Store lyophilized or in solution at -20ºC, desiccated. Protect from light. In lyophilized form, the chemical is stable for 24 months. Once in solution, use within 3 months to prevent loss of potency. Aliquot to avoid multiple freeze/thaw cycles.

Directions for Use

Vemurafenib is supplied as a lyophilized powder. For a 50 mM stock, reconstitute the 5 mg of powder in 204.12 µl of DMSO. Working concentrations and length of treatment can vary depending on the desired effect, but it is typically used between 0.5-50 µM for 24 hrs.

Background References

1. Cantwell-Dorris, E.R. et al. (2011) *Mol Cancer Ther* 10, 385-94.
2. Joseph, E.W. et al. (2010) *Proc Natl Acad Sci U S A* 107, 14903-8.

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Limited Uses