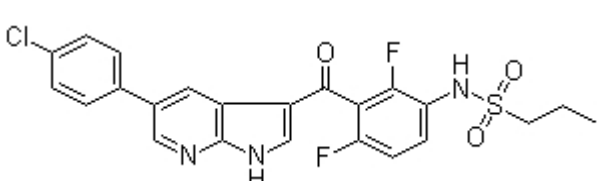


Product Introduction

Vemurafenib (PLX4032, RG7204)

Vemurafenib (PLX4032, RG7204) is a novel and potent inhibitor of B-RafV600E with IC₅₀ of 31 nM.

Technical Data:

Molecular Weight (MW):	489.92	
Formula:	C ₂₃ H ₁₈ ClF ₂ N ₃ O ₃ S	
Solubility (25°C)	DMSO 98 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder	
	6 months-80°C in DMSO	
CAS No.:	918504-65-1	

Biological Activity

PLX4032 inhibits B-RAFV600E, C-RAF, as well as wildtype B-RAF, with IC₅₀ of 31 nM, 48 nM and 100 nM, respectively. PLX4032 also inhibits several non-RAF kinases, including ACK1, KHS1, and SRMS, with IC₅₀ of 18 nM to 51 nM. [1] In melanoma cell lines, the inhibitory effect by PLX4032 depends on B-RAF mutational status, because PLX4032 potently inhibits those harboring B-RAF V600 mutants, including V600E, V600D, V600K, and V600R, but not wildtype or other mutants. The IC₅₀ values of PLX4032 on these cells, including MALME-3M, Colo829, Colo38, A375, SK-MEL28, and A2058, ranges from 20 nM to 1 μM. In these cells, PLX4032 (0.1 μM to 30 μM) also inhibits the phosphorylation of both MEK1/2 and

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ERK1/2. [2] PLX4032 is highly effective in the treatment of melanoma, for its ability of inhibiting B-RAFV600E. However, PLX4032 displays limited effect in colon cancer patients that also carrying B-RAFV600E oncoprotein. The reason for this is that, in colon cancer cells, B-RAFV600E inhibition by PLX4032 results in a rapid feedback EGFR activation, which compensates for the PLX4032-inhibited cell proliferation. [3]

In B-RAFV600E-mutant mice xenograft models, PLX4032 (6 mg/kg–20 mg/kg) inhibits tumor growth. [1] In mice xenograft models of LOX, Colo829, and A375 cells, PLX4032 (12.5 mg/kg–100 mg/kg) inhibits tumor growth and prolongs mice survival. [2]

A novel and potent inhibitor of the B-RAFV600E oncoprotein.

References

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