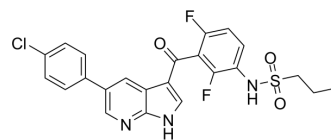


Vemurafenib

Cat. No.:	HY-12057		
CAS No.:	918504-65-1		
Molecular Formula:	C ₂₃ H ₁₈ ClF ₂ N ₃ O ₃ S		
Molecular Weight:	489.92		
Target:	Raf; Autophagy		
Pathway:	MAPK/ERK Pathway; Autophagy		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	1 year
		-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro	DMSO : 50 mg/mL (102.06 mM; Need ultrasonic)					
		Solvent Concentration	Mass	1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM		2.0411 mL	10.2057 mL	20.4115 mL
		5 mM		0.4082 mL	2.0411 mL	4.0823 mL
10 mM			0.2041 mL	1.0206 mL	2.0411 mL	
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 1.5% CMC-Na/saline water Solubility: 3.33 mg/mL (6.80 mM); Suspended solution; Need ultrasonic Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (4.25 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.25 mM); Clear solution 					

BIOLOGICAL ACTIVITY

Description	Vemurafenib (PLX4032) is a first-in-class, selective, potent inhibitor of B-RAF kinase, with IC ₅₀ s of 31 and 48 nM for RAF ^{V600E} and c-RAF-1, respectively ^{[1][4]} . Vemurafenib induces cell autophagy ^[5] .	
IC₅₀ & Target	B-Raf ^{V600E} 31 nM (IC ₅₀)	c-Raf-1 48 nM (IC ₅₀)
In Vitro	Vemurafenib (PLX4032) selectively blocks the RAF/MEK/ERK pathway in BRAF mutant cells ^[1] . RG7204 is a potent inhibitor of	

proliferation in those expressing RAF^{V600E} but not BRAF^{WT} in 17 melanoma cell lines. Vemurafenib (RG7204) induces MEK and ERK phosphorylation at high concentrations in CHL-1 cells^[2]. Ectopic expression of EGFR in melanoma cells is sufficient to cause resistance to PLX4032^[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Vemurafenib (PLX4032, 20, 25, 75 mg/kg, p.o.) causes dose-dependent inhibition of tumor growth, with higher exposures resulting in tumor regression of BRAF mutant xenografts^[1]. RG7204 (12.5, 25, and 75 mg/kg, p.o.) significantly inhibits tumor growth and induced tumor regression in mice bearing LOX tumor xenografts^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[2]

Briefly, cells are plated in 96-well microtiter plates at a density of 1,000 to 5,000 cells per well in a volume of 180 μ L. For the assay, Vemurafenib (RG7204) is prepared at 10 times the final assay concentration in media containing 1% DMSO. Twenty-four hours after cell plating, 20 μ L of the appropriate dilution are added to plates in duplicate. The plates are assayed for proliferation 6 days after the cells are plated according to the procedure.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration ^[2]

Athymic nude mice, are with ages 13 to 14 weeks, and weighing approximately 23 to 25 g. For the LOX xenografts, 2×10^6 cells in 0.2 mL of PBS are injected s.c. into the right lateral flank. Vemurafenib (RG7204), formulated as MBP, is suspended at the desired concentration as needed for each dose group in an aqueous vehicle containing 2% Klucel LF and adjusted to pH 4 with dilute HCl. NSC 362856 is of 250-mg capsules. Capsules are opened and combined into one bulk supply. To prepare the stock dosing material, NSC 362856 is first dissolved in 100% DMSO followed by dilution with saline to form a final milky white suspension in 10% DMSO/90% saline (pH 3.4).

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Cell. 2024 Jan 1:S1535-6108(23)00443-9.
- Cell Res. 2020 Oct;30(10):833-853.
- Cell Discov. 2022 Oct 6;8(1):102.
- Nat Biomed Eng. 2018 Aug;2(8):578-588.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.

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REFERENCES

[1]. Bollag G, et al. Clinical efficacy of a RAF inhibitor needs broad target blockade in BRAF-mutant melanoma. *Nature*, 2010, 467(7315), 596-599.

[2]. Yang H, et al. RG7204 (PLX4032), a selective BRAFV600E inhibitor, displays potent antitumor activity in preclinical melanoma models. *Cancer Res*, 2010, 70(13), 5518-5527.

[3]. Prahallad A, et al. Unresponsiveness of colon cancer to BRAF(V600E) inhibition through feedback activation of EGFR. *Nature*, 2012, 483(7387), 100-103.

[4]. Shelledy L, et al. Vemurafenib: First-in-Class BRAF-Mutated Inhibitor for the Treatment of Unresectable or Metastatic Melanoma. *J Adv Pract Oncol*. 2015 Jul-Aug;6(4):361-5.

Caution: Product has not been fully validated for medical applications. For research use only.

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