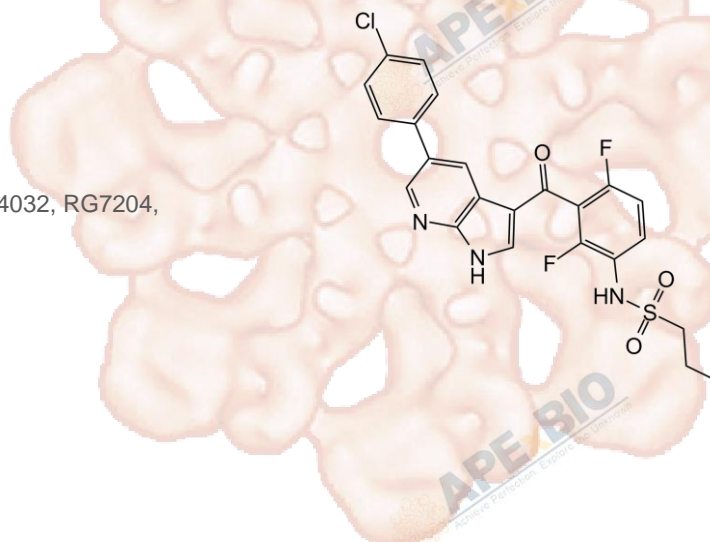


Product Data Sheet

Vemurafenib (PLX4032, RG7204)

Cat. No.:	A3004
CAS No.:	918504-65-1
Formula:	C ₂₃ H ₁₈ ClF ₂ N ₃ O ₃ S
M.Wt:	489.93
Synonyms:	Vemurafenib, Zelboraf, PLX-4032, RG7204, RO5185426
Target:	MAPK Signaling
Pathway:	MEK1/2
Storage:	Store at -20°C



Solvent & Solubility

≥24.5 mg/mL in DMSO; insoluble in H₂O; insoluble in EtOH

In Vitro

Preparing Stock Solutions	Solvent Concentration	Mass		
		1mg	5mg	10mg
	1 mM	2.0411 mL	10.2055 mL	20.4111 mL
	5 mM	0.4082 mL	2.0411 mL	4.0822 mL
	10 mM	0.2041 mL	1.0206 mL	2.0411 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

BRAF kinase inhibitor

IC₅₀ & Target

31 nM (B-RafV600E), 48 nM (C-Raf), 51 nM (MAP4K5 (KHS1)), 18 nM (SRMS), 19 nM (ACK1), 63 nM (FGR)

Cell Viability Assay

In Vitro

Cell Line:	MALME-3M melanoma cell lines
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

	Reacting conditions:	24 h; 10 μ M
	Applications:	In melanoma cell lines, RG7204 was a potent inhibitor of proliferation in those expressing BRAFV600E but not BRAFWT. RG7204 also potently inhibited proliferation of melanoma cell lines expressing other codon 600 BRAF mutations (V600D, V600 K, and V600R).
In Vivo	Animal experiment	
	Animal models:	Athymic nude mice
	Dosage form:	100 mg/kg bid; oral taken.
	Applications:	In mice bearing Colo829 tumor xenografts, RG7204 at 100 mg/kg bid for 21 days showed greatly improved antitumor activity compared both with vehicle (P = 0.001) at the end of the study on day 38 after the tumor cell implant. There was complete tumor regression in all 10 mice treated with RG7204 by the end of the study. Survival in the mice treated with RG7204 was significantly better than in those treated with vehicle (P = 0.0008).
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Sechi M, Lall RK, et al. "Fisetin targets YB-1/RSK axis independent of its effect on ERK signaling: insights from in vitro and in vivo melanoma models." Sci Rep. 2018 Oct 24;8(1):15726.PMID:30356079
2. Zanconato F, Battilana G, et al. "Transcriptional addiction in cancer cells is mediated by YAP/TAZ through BRD4." Nat Med. 2018 Oct;24(10):1599-1610.PMID:30224758
3. Hwang BJ, Adhikary G, et al. "Chk1 inhibition as a novel therapeutic strategy in melanoma." Oncotarget. 2018 Jul 13;9(54):30450-30464.PMID:30100999
4. Talebi A, Dehairs J, et al. "Sustained SREBP-1-dependent lipogenesis as a key mediator of resistance to BRAF-targeted therapy." Nat Commun. 2018 Jun 27;9(1):2500.PMID:29950559
5. Zuo Q, Liu J, et al. "AXL/AKT axis mediated-resistance to BRAF inhibitor depends on PTEN status in melanoma." Oncogene. 2018 Mar 19.PMID:29551771

See more customer validations on www.apexbt.com.

References

- [1] Yang H, Higgins B, Kolinsky K, et al. RG7204 (PLX4032), a selective BRAFV600E inhibitor, displays potent antitumor activity in preclinical melanoma models[J]. Cancer research, 2010, 70(13): 5518-5527.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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