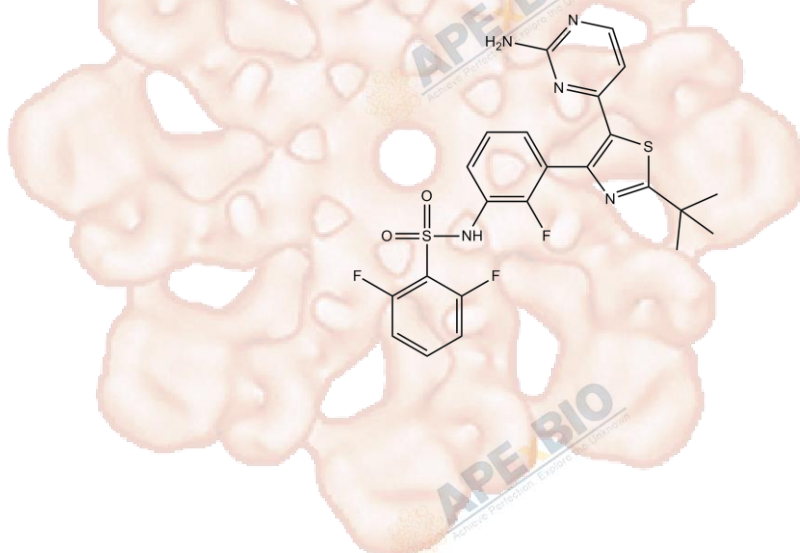


# Product Data Sheet

## Dabrafenib (GSK2118436)

<b>Cat. No.:</b>	B1407
<b>CAS No.:</b>	1195765-45-7
<b>Formula:</b>	C <sub>23</sub> H <sub>20</sub> F <sub>3</sub> N <sub>5</sub> O <sub>2</sub> S <sub>2</sub>
<b>M.Wt:</b>	519.56
<b>Synonyms:</b>	
<b>Target:</b>	MAPK Signaling
<b>Pathway:</b>	Raf
<b>Storage:</b>	Store at -20°C



### Solvent & Solubility

≥26 mg/mL in DMSO; insoluble in H<sub>2</sub>O; ≥2.59 mg/mL in EtOH with gentle warming and ultrasonic

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	<b>Concentration</b>			
	<b>1 mM</b>	1.9247 mL	9.6235 mL	19.2471 mL
	<b>5 mM</b>	0.3849 mL	1.9247 mL	3.8494 mL
	<b>10 mM</b>	0.1925 mL	0.9624 mL	1.9247 mL

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

### Biological Activity

Shortsummary

Inhibitor of BRAF(V600) mutants

IC<sub>50</sub> & Target

0.8 nM (B-Raf (V600E)), 3.2 nM (B-Raf), 5.0 nM (C-Raf)

#### Cell Viability Assay

In Vitro

Cell Line: B-RafV600E-driven melanoma lines, SKMEL28 and A375P F11, and colorectal carcinoma cells Colo205, HT29 cells

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:	10 $\mu$ M for 24 h
	Applications:	Dabrafenib effectively inhibited cell proliferation of B-RafV600E-driven melanoma lines, SKMEL28 and A375P F11 (IC <sub>50</sub> = 3 and 8 nM, respectively), and colorectal carcinoma cells Colo205 (IC <sub>50</sub> = 7 nM). Moreover, dabrafenib selectively inhibited RIP3 and inhibited RIP3-mediated necroptosis for HT29 cells.
In Vivo	<b>Animal experiment</b>	
	Animal models:	CD1 nu/nu mice bearing A375P F11 (B-RafV600E) tumors model
	Dosage form:	0.1, 1, 10, and 100 mg/kg, oral administration, once daily for 14 days or 300 mg/kg, 100 mg/kg dabrafenib (p.o.).
	Applications:	Dabrafenib dose-dependently inhibited tumor growth and reduced pERK levels in A375P F11 (B-RafV600E) human melanoma tissue in vivo. Additionally, dabrafenib alleviated acetaminophen-induced liver injury in mice.
	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. Cheriyan VT, Alsaab H, et al. "A CARP-1 functional mimetic compound is synergistic with BRAF-targeting in non-small cell lung cancers." *Oncotarget*. 2018 Jul 3;9(51):29680-29697.PMID:30038713
2. Azimi A, Caramuta S, et al. "Targeting CDK2 overcomes melanoma resistance against BRAF and Hsp90 inhibitors." *Mol Syst Biol*. 2018 Mar 5;14(3):e7858.PMID:29507054
3. Sieber J, Wieder N, et al. "GDC-0879, a BRAF(V600E) Inhibitor, Protects Kidney Podocytes from Death." *Cell Chem Biol*. 2017 Dec 6.PMID:29249695

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## References

1. Rheault, T. R., Stellwagen, J. C., Adjabeng, G. M., Hornberger, K. R., Petrov, K. G., Waterson, A. G., Dickerson, S. H., Mook, R. A., Jr., Laquerre, S. G., King, A. J., Rossanese, O. W., Arnone, M. R., Smitheman, K. N., Kane-Carson, L. S., Han, C., Moorthy, G. S., Moss, K. G. and Uehling, D. E. (2013) Discovery of Dabrafenib: A Selective Inhibitor of Raf Kinases with Antitumor Activity against B-Raf-Driven Tumors. *ACS Med Chem Lett*. 4, 358-362
2. Li, J. X., Feng, J. M., Wang, Y., Li, X. H., Chen, X. X., Su, Y., Shen, Y. Y., Chen, Y., Xiong, B., Yang, C. H., Ding, J. and Miao, Z. H. (2014) The B-Raf(V600E) inhibitor dabrafenib selectively inhibits RIP3 and alleviates acetaminophen-induced liver injury. *Cell Death Dis*. 5, e1278

## Caution

**FOR RESEARCH PURPOSES ONLY.**



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**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

Specific storage and handling information for each product is indicated on the product datasheet. Most APEx BIO 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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