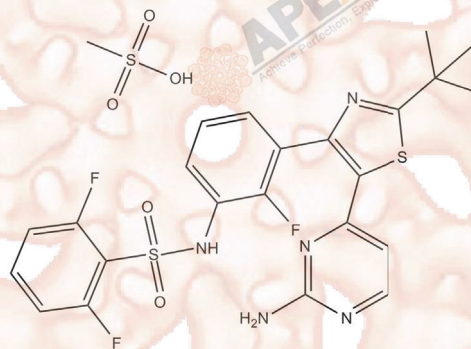


Product Data Sheet

Dabrafenib Mesylate (GSK-2118436)

Cat. No.:	A3347
CAS No.:	1195768-06-9
Formula:	C ₂₄ H ₂₄ F ₃ N ₅ O ₅ S ₃
M.Wt:	615.67
Synonyms:	GSK-2118436 Mesylate;GSK2118436 Mesylate;GSK 2118436 Mesylate;GSK 2118436B,Tafinlar,
Target:	MAPK Signaling
Pathway:	Raf
Storage:	Store at -20°C



Solvent & Solubility

≥30.75 mg/mL in DMSO, ≥2.74 mg/mL in EtOH with ultrasonic and warming, insoluble in H₂O

In Vitro

Preparing	Solvent	Mass	1mg	5mg	10mg
		Concentration			
Stock Solutions	1 mM		1.6242 mL	8.1212 mL	16.2425 mL
	5 mM		0.3248 mL	1.6242 mL	3.2485 mL
	10 mM		0.1624 mL	0.8121 mL	1.6242 mL

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

Biological Activity

Shortsummary

Inhibitor of BRAF(V600) mutants

IC₅₀ & Target

3.2/0.8/5.0 nM (B-Raf/B-RafV600E/ c-Raf) (Raf)

In Vitro

Cell Viability Assay

Cell Line: M257 wild-type BRAF, LCP BRAFV600R and WM266 BRAFV600D melanoma cell lines.

Preparation method: Soluble in DMSO. 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:	3-100 nM; 72 h
	Applications:	Dabrafenib remarkably inhibits cell proliferation and phosphorylated ERK in both melanoma cell lines carrying a mutated BRAF.
In Vivo	Animal experiment	
	Animal models:	Female CD1 nu/nu mice xenografted BRAFV600E (A375P) human tumor.
	Dosage form:	30 mg/kg; 14 days; dosed orally once daily.
	Applications:	Dabrafenib is orally bioavailable, reduces pERK and inhibits tumor growth. Dabrafenib reduces pERK and Ki67 by 89% and 28% respectively and increases p27 by 54%.
	Preparation method:	0.5% hydroxypropylmethylcellulose, 0.2% Tween 80 in pH 8.0 distilled water; 0.2 mL per 20 g of bodyweight.
	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

See more customer validations on www.apexbt.com.

References

- [1]. Gentilcore G, Madonna G, Mozzillo N, et al. Effect of dabrafenib on melanoma cell lines harbouring the BRAF(V600D/R) mutations. BMC Cancer, 2013, 13: 17.
- [2]. King AJ, Arnone MR, Blears MR, et al. Dabrafenib; preclinical characterization, increased efficacy when combined with trametinib, while BRAF/MEK tool combination reduced skin lesions. PLoS One, 2013, 8(7): e67583.

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 APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term 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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