Small Molecules

Dabrafenib

MEK/ERK pathway inhibitor; Inhibits B-RAF V600E

Catalog #	73072	10 mg
	73074	50 mg

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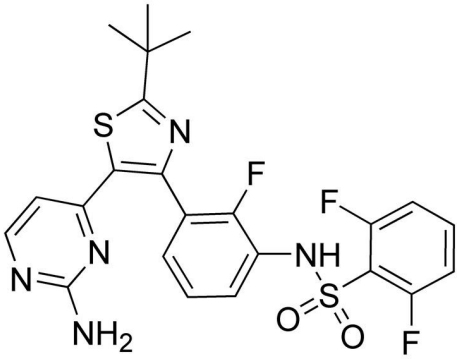
Product Description

Dabrafenib is a reversible, selective, and ATP-competitive inhibitor of B-RAF with higher potency towards V600E mutant B-RAF over wild-type B-RAF or C-RAF, with IC_{50} values of 0.8, 3.2, and 5 nM, respectively (Laquerre et al.; Menzies et al.).

Molecular Name:	Dabrafenib
Alternative Names:	GSK 2118436; Dabarefenib; Tafinlar®
CAS Number:	1195765-45-7
Chemical Formula:	$C_{23}H_{20}F_3N_5O_2S_2$
Molecular Weight:	519.6 g/mol
Purity:	≥ 98%
Chemical Name:	N-[3-[5-(2-amino-4-pyrimidinyl)-2-(1,1-dimethylethyl)-4-thiazolyl]-2-fluorophenyl]-2,6-difluoro-benzenesulfonamide
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
Solubility:	· DMSO ≤ 55 mM · Absolute ethanol ≤ 2 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 1.92 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH
· Decreases ERK phosphorylation and inhibits cell proliferation in cells expressing the B-RAF mutation V600E. Prevents tumor growth in mouse xenograft models of B-RAF(V600E) human melanoma and colon cancer (Rheault et al.).
DISEASE MODELING
· Alleviates acetaminophen-induced liver injury through distinct nanomolar inhibition of receptor-interacting protein (RIP3) in mice (Li et al.).

References

Li J-X et al. (2014) The B-Raf(V600E) inhibitor dabrafenib selectively inhibits RIP3 and alleviates acetaminophen-induced liver injury. Cell Death Dis 5: e1278.
Menzies AM et al. (2012) Dabrafenib and its potential for the treatment of metastatic melanoma. Drug Des Devel Ther 6: 391–405.
Rheault TR et al. (2013) Discovery of Dabrafenib: A Selective Inhibitor of Raf Kinases with Antitumor Activity against B-Raf-Driven Tumors. ACS Med Chem Lett 4(3): 358–62.

Related Small Molecules

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