

Catalog # 10-1569

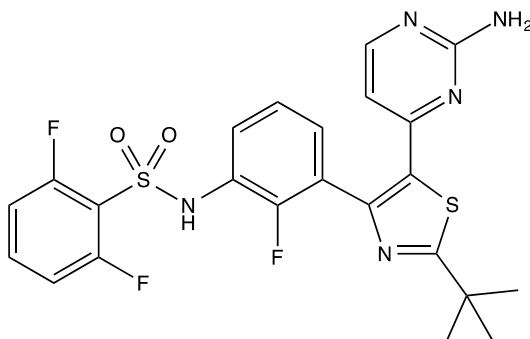
Dabrafenib

CAS# 1195765-45-7

N-[3-[5-(2-amino-4-pyrimidinyl)-2-(1,1-dimethylethyl)-4-thiazolyl]-2-fluorophenyl]-2,6-difluoro-benzenesulfonamide;

GSK2118436

Lot # X106923



Dabrafenib is a selective inhibitor of mutant B-Raf^{V600E} (IC₅₀ = 0.8 nM), with 4- and 6-fold reduced potency against wild type B-Raf and c-Raf (IC₅₀ = 3.2 and 5 nM, respectively).¹ Has been in clinical trials in patients with B-Raf^{V600E} metastatic melanoma and other solid tumors. Endoplasmic reticulum stress and autophagy are induced in melanoma cells after treatment with dabrafenib and protect cells from dabrafenib toxicity.² Induces epithelial differentiation in BRAF-mutant colorectal cancer cells.³ Dabrafenib has also been shown to selectively inhibit RIP3 (IC₅₀ = 250 nM) over RIP1,2, and 5.⁴

- 1) Huang *et al.* (2013), *B-Raf and the inhibitors: from bench to bedside*; J.Hematol.Oncol. **6** 1
- 2) Ji *et al.* (2016), *Endoplasmic reticulum stress-induced autophagy determines the susceptibility of melanoma cells to dabrafenib*; Drugs Des. Dev. Ther., **10** 2491
- 3) Herr *et al.* (2015), *B-Raf inhibitors induce epithelial differentiation in BRAF-mutant colorectal cancer cells*; Cancer Res., **75** 216
- 4) Li *et al.* (2014), *The B-Raf(V600E) inhibitor Dabrafenib selectively inhibits RIP3 and alleviates acetaminophen-induced liver injury*; Cell Death Dis. **5** e1278

PHYSICAL DATA

Molecular Weight:	519.56
Molecular Formula:	C ₂₃ H ₂₀ F ₃ N ₅ O ₂ S ₂
Purity:	>98% by TLC
	NMR: (Conforms)
Solubility:	DMSO (up to 30 mg/ml); Ethanol (1mg/mL with warming)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO or ethanol may be stored at -20°C for up to 3 months.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.

Focus Biomolecules LLC 400 Davis Drive, Suite 600 Plymouth Meeting PA 19462

www.focusbiomolecules.com