

## 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<sup>V600E</sup> (IC<sub>50</sub> = 0.8 nM), with 4- and 6-fold reduced potency against wild type B-Raf and c-Raf (IC<sub>50</sub> = 3.2 and 5 nM, respectively). Has been in clinical trials in patients with B-Raf<sup>V600E</sup> 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. Induces epithelial differentiation in BRAF-mutant colorectal cancer cells.

- 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

## **PHYSICAL DATA**

Molecular Weight: 519.56

Molecular Formula:  $C_{23}H_{20}F_3N_5O_2S_2$ 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.

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