



Catalog Number:	MC11098	Product Type:	Small Molecule
Bio-Activity:	B-Raf mutant inhibitor	CAS #:	1195765-45-7
Research Categories:	Cellular stress, cell death, cancer	Chemical Name:	N-[3-[5-(2-amino-4-pyrimidinyl)-2-(1,1-dimethylethyl)-4-thiazolyl]-2-fluorophenyl]-2,6-difluorobenzenesulfonamide
Solubility:	Soluble in DMSO (up to 30 mg/ml), or in Ethanol (up to 1 mg/ml with warming).	Molecular Formula:	C23H20F3N5O2S
Purity:	> 98%	Molecular Weight:	519.56
Format:	Powder	Ship Temp:	Ambient
Storage:	-20°C		

Application Notes

Description/Data:

Dabrafenib is a selective inhibitor of mutant B-RafV600E (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-RafV600E 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].

References:

- 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

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