Product Data Sheet

Product Name: PF-04880594
Cat. No.: GC15821

Chemical Properties

Cas. No.	1111636-35-1		
Chemical Name	3-[[4-[1-(2,2-difluoroethyl)-3-(1H-pyrrolo[2,3-b]pyridin-5-yl)pyrazol-4-yl]pyrimidin-2-yl]amino]propanenitrile		
SMILES	C1=CNC2=NC=C(C=C21)C3=NN(C=C3C4=NC(=NC=C4)NCCC#N)CC(F)F		
Formula	$C_{19}H_{16}F_2N_8$	M.Wt	394.38
Solubility	Soluble in DMSO	Storage	Store at -20° C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	PF-04880594		

Background

PF-04880594 is a selective inhibitor of B-Raf, B-RafV599E and c-Raf with IC50 value of 0.19 nM, 0.13 nM and 0.39 nM, respectively [1].

Raf is a serine/threonine protein kinase and plays an important role in the MAPK/ERK signaling pathway. It has been revealed that Raf involves in cancers and developmental syndromes and its inhibitors are regarded as a promising target for cancer treatment [2, 3].

PF-04880594 is a potent Raf inhibitor. When tested with GTL16 and GTL16 resistant cell clones, PF-04880594 treatment significantly decreased cell viability and ERK activity [2]. In 3D culture model of RHE cells (histologic similar to human epidermal lasers), PF-04880594 treatment (62.5 nmol/L, 2 d) significantly induced necrosis with ghost cells accounting for nearly 50% to 60% of the culture thickness via inducing p-ERK expression level [3].

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Treated nude mice model with PF-04880594 (10-40 mg/kg, twice daily for 3 weeks) and then mice were sacrificed for further study. The results revealed that PF-04880594 treatment induced ERK phosphorylation and B-Raf-c-Raf dimerization in multiple epithelial tissues which phenomenon could be attenuated by PD-0325901 [3].

References:

[1]. Palmer C, Cui J, Deal J, Gu D, Guo C, Kephart S, et al. Discovery of potent, selective inhibitors of mutant B-Raf. (Abstract # MEDI-251). Abstracts of Papers, 242nd ACS National Meeting & Exposition 2011.
[2]. Lee, N. V. Lira, M. E. Pavlicek, A., et al. A novel SND1-BRAF fusion confers resistance to c-Met inhibitor PF-04217903 in GTL16 cells through [corrected] MAPK activation [J]. PLoS One, 2012, 7(6): e39653.
[3]. Vince R. Torti, Donald Wojciechowicz, Wenyue Hu, et al. Epithelial Tissue Hyperplasia Induced by the RAF Inhibitor PF-04880594 Is Attenuated by a Clinically Well-Tolerated Dose of the MEK Inhibitor PD-0325901 [J]. Mol Cancer Ther, 2012, 11(10):2274-2283.

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