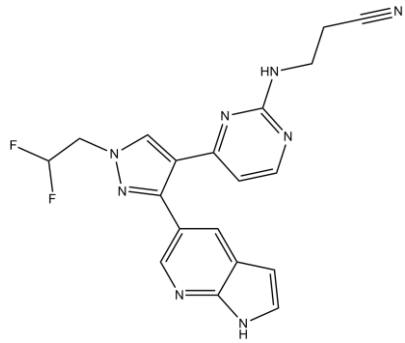
Product Name: PF-04880594

Revision Date: 6/30/2016

Product Data Sheet

Chemical Properties



Product Name:	PF-04880594
Cas No.:	1111636-35-1
M.Wt:	394.38
Formula:	C19H16F2N8
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
Canonical SMILES:	C1=CNC2=NC=C(C=C21)C3=NN(C=C3C4=NC(=NC=C4)NCCC#N)CC(F)F
Solubility:	Soluble in DMSO
Storage:	Store at -20°C
General tips:	For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets :	MAPK Signaling
Pathways:	Raf

Description:

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].
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].

Reference:

[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.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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