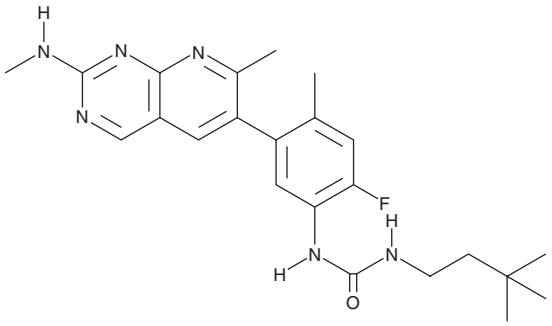
PRODUCT INFORMATION

LY3009120

Item No. 21504

CAS Registry No.:	1454682-72-4
Formal Name:	N-(3,3-dimethylbutyl)-N'-[2-fluoro-4-methyl-5-[7-methyl-2-(methylamino)pyrido[2,3-d]pyrimidin-6-yl]phenyl]-urea
Synonym:	DP-4978
MF:	$C_{23}H_{29}FN_6O$
FW:	424.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 240, 276, 366 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

LY3009120 is supplied as a crystalline solid. A stock solution may be made by dissolving the LY3009120 in the solvent of choice, which should be purged with an inert gas. LY3009120 is soluble in the organic solvent DMSO.

Description

LY3009120 is an inhibitor of Raf kinases, including A-Raf, B-Raf, and c-Raf (IC_{50}s = 44, 31-47, and 42 nM, respectively, in whole cell lysates).[1,2] It also inhibits B-Raf mutants V600E and V600E+G468A (IC_{50}s = 5.8 and 17 nM, respectively, in biochemical assays).[2] LY3009120 induces Raf dimerization and, unlike vemurafenib (PLX4032; Item No. 10618) and dabrafenib (Item No. 16989), inhibits kinase activity of induced dimers.[2] It inhibits cell cycling in B-Raf or Ras mutant cancer cells and has significant antitumor activity in B-Raf and K-Ras mutant preclinical models of colorectal cancer.[2,3] LY3009120 is also active against B-Raf in-frame deletions *in vitro* and in mouse models.[4]

References

1. Henry, J.R., Kaufman, N.D., Peng, S.-B., *et al.* Discovery of 1-(3,3-dimethylbutyl)-3-(2-fluoro-4-methyl-5-(7-methyl-2-(methylamino)pyrido[2,3-d]pyrimidin-6-yl)phenyl)urea (LY3009120) as a pan-RAF inhibitor with minimal paradoxical activation and activity against BRAF or RAS mutant tumor cells. *J. Med. Chem.* **58(10)**, 4165-4179 (2015).
2. Peng, S.-B., Henry, J.R., Kaufman, M.D., *et al.* Inhibition of RAF isoforms and active dimers by LY3009120 leads to anti-tumor activities in RAS or BRAF mutant cancers. *Cancer Cell* **28(3)**, 384-398 (2015).
3. Vakana, E., Pratt, S., Blosser, W., *et al.* LY3009120, a panRAF inhibitor, has significant anti-tumor activity in BRAF and KRAS mutant preclinical models of colorectal cancer. *Oncotarget* **8(6)**, 9251-9266 (2017).
4. Chen, S.-H., Zhang, Y., Van Horn, R.D., *et al.* Oncogenic BRAF deletions that function as homodimers and are sensitive to inhibition by RAF dimer inhibitor LY3009120. *Cancer Discov.* **6(3)**, 300-315 (2016).

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