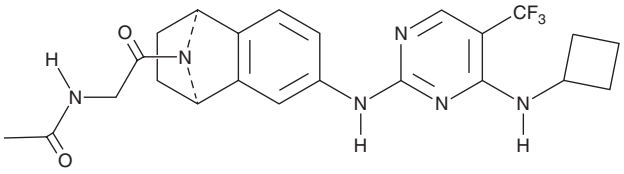
PRODUCT INFORMATION

PF-03814735

Item No. 15015

CAS Registry No.: 942487-16-3
Formal Name: N-[2-[(1S,4R)-6-[[4-(cyclobutylamino)-5-(trifluoromethyl)-2-pyrimidinyl]amino]-1,2,3,4-tetrahydronaphthalen-1,4-imin-9-yl]-2-oxoethyl]-acetamide
MF: $C_{23}H_{25}F_3N_6O_2$
FW: 474.5
Purity: ≥95%
UV/Vis.: λ_{max}: 203, 240, 275 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

PF-03814735 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-03814735 in the solvent of choice. PF-03814735 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of PF-03814735 in ethanol and DMF is approximately 20 mg/ml and approximately 16 mg/ml in DMSO.

PF-03814735 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-03814735 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. PF-03814735 has a solubility of approximately 0.10 mg/ml in a 1:9 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The Aurora kinases are a family of serine/threonine kinases that are key regulators of mitosis and cytokinesis. PF-03814735 is a reversible inhibitor of both Aurora A and B kinases with IC_{50} values of 0.8 and 5 nM, respectively, in *in vitro* activity assays.[1] PF-03814735 also inhibits the kinases FLT1, FAK, TrkA, Met, and FGFR1 with IC_{50} values of 10, 22, 30, 100, and 100 nM, respectively.[1] PF-03814735 inhibits cell proliferation of HCT116, HL-60, A549, and H125 tumor cell lines with IC_{50} values ranging from 42-150 nM and shows *in vivo* efficacy in a HCT116 tumor xenograft mouse model.[1] PF-03814735 has been shown to be particularly sensitive towards inhibiting the growth of small cell lung cancer cell lines and other tumors driven by the Myc family genes.[2]

References

1. Jani, J.P., Arcari, J., Bernardo, V., *et al.* PF-03814735, an orally bioavailable small molecule aurora kinase inhibitor for cancer therapy. *Mol. Cancer Ther.* **9(4)**, 883-894 (2010).
2. Hook, K.E., Garza, S.J., Lira, M.E., *et al.* An integrated genomic approach to identify predictive biomarkers of response to the aurora kinase inhibitor PF-03814735. *Mol. Cancer Ther.* **11(3)**, 710-719 (2012).

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