

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

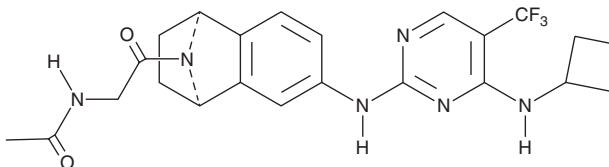
Purity: $\geq 95\%$

UV/Vis.: λ_{max} : 203, 240, 275 nm

Supplied as: A crystalline solid

Storage: $-20^{\circ}C$

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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM