

PRODUCT INFORMATION



PF-3758309

Item No. 19186

CAS Registry No.: 898044-15-0

Formal Name: N-[(1S)-2-(dimethylamino)-1-phenylethyl]-4,6-dihydro-6,6-dimethyl-3-[(2-methylthieno[3,2-d]pyrimidin-4-yl)amino]-pyrrolo[3,4-c]pyrazole-5(1H)-carboxamide

Synonym: PF-309

MF: C₂₅H₃₀N₈OS

FW: 490.6

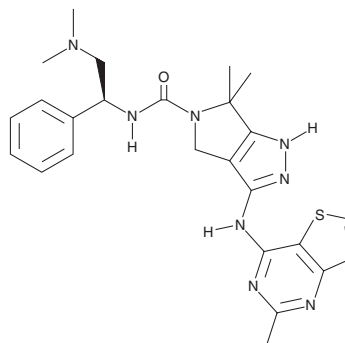
Purity: ≥98%

UV/Vis.: λ_{max}: 266, 312 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-3758309 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-3758309 in the solvent of choice, which should be purged with an inert gas. PF-3758309 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PF-3758309 in ethanol is approximately 5 mg/ml and approximately 10 mg/ml in DMSO and DMF.

PF-3758309 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-3758309 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PF-3758309 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

p21-Activated kinases (PAKs) are STE20 serine/threonine kinases that are vital to normal cell function. Binding of various upstream partners to PAKs results in release of an autoinhibitory domain that blocks activity of the kinase domain.¹ PAKs are upregulated in several human cancers and are potential therapeutic targets for cancer intervention.¹ PF-3758309 is an ATP-competitive inhibitor of PAK4, preventing the phosphorylation of the PAK4 substrate GEF-H1 (IC₅₀ = 1.3 nM) and blocking anchorage-independent growth of a panel of tumor cell lines (IC₅₀ = 4.7 nM).² It also inhibits the ability of kinase domains from other PAKs to phosphorylate peptide substrates (K_s = 18.1, 17.1, 13.7, 190, and 99 nM for PAKs 5, 6, 1, 2, and 3, respectively).² PF-3758309 blocks the growth of multiple human tumor xenografts.²

References

1. Kumar, R., Gururaj, A.E., and Barnes, C.J. p21-Activated kinases in cancer. *Nat. Rev. Cancer*. **6**(6), 459-471 (2006).
2. Murray, B.W., Guo, C., Piraino, J., et al. Small-molecule p21-activated kinase inhibitor PF-3758309 is a potent inhibitor of oncogenic signaling and tumor growth. *Proc. Natl. Acad. Sci. USA* **107**(20), 9446-9451 (2010).

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.

WARRANTY AND LIMITATION OF REMEDY

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