

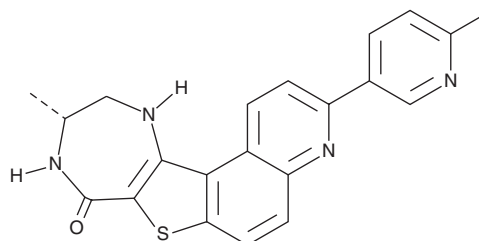
# PRODUCT INFORMATION



**PF-3644022**

Item No. 19185

**CAS Registry No.:** 1276121-88-0  
**Formal Name:** (10R)-9,10,11,12-tetrahydro-10-methyl-3-(6-methyl-3-pyridinyl)-8H-[1,4]diazepino[5',6':4,5]thieno[3,2-f]quinolin-8-one  
**MF:** C<sub>21</sub>H<sub>18</sub>N<sub>4</sub>O<sub>5</sub>  
**FW:** 374.5  
**Purity:** ≥95%  
**UV/Vis.:** λ<sub>max</sub>: 255, 287 nm  
**Supplied as:** A 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-3644022 is supplied as a solid. A stock solution may be made by dissolving the PF-3644022 in the solvent of choice, which should be purged with an inert gas. PF-3644022 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PF-3644022 in ethanol is approximately 3 mg/ml and approximately 30 mg/ml in DMSO and DMF.

## Description

PF-3644022 is an inhibitor of MAPK-activated protein kinase 2 (MK2; IC<sub>50</sub> = 5.2 nM).<sup>1</sup> It is selective for MK2 over MK3, MAPK-interacting protein kinase 1 (MNK-1), MNK-2, p90 ribosomal S6 kinase 1 (MSK1), MSK2, and p90 ribosomal S6 kinases 1-4 (RSK1-4; IC<sub>50</sub>s = 53, 3,000, 148, >1,000, >1,000, and >1,000 nM, respectively), as well as a panel of 200 other kinases at 1 μM, but also inhibits MK5/PRAK (IC<sub>50</sub> = 5 nM). PF-3644022 inhibits LPS-induced TNF-α production in U937 cells and isolated human peripheral blood mononuclear cells (PBMCs) and whole blood (IC<sub>50</sub>s = 0.159, 0.16, and 1.97 μM, respectively) and reduces paw swelling in a rat model of arthritis induced by streptococcal cell wall peptidoglycan-polysaccharide complexes (ED<sub>50</sub> = 20 mg/kg). It prevents decreases in motor function in a *twy/twy* mouse model of chronic cervical spinal cord compression when administered at a dose of 30 mg/kg.<sup>2</sup> Peritumoral administration of PF-3644022 (30 μl of a 50 μM solution) enhances radiation-induced decreases in tumor volume and increases in survival in a patient-derived xenograft (PDX) mouse model of head and neck squamous cell carcinoma (HNSCC).<sup>3</sup>

## References

1. Mourey, R.J., Burnette, B.L., Brustkern, S.J., *et al.* A benzothienopyridine inhibitor of mitogen-activated protein kinase-activated protein kinase 2 inhibits tumor necrosis factor α production and has oral anti-inflammatory efficacy in acute and chronic models of inflammation. *J. Pharmacol. Exp. Ther.* **333**(3), 797-807 (2010).
2. Yu, L., Song, H., Fang, X., *et al.* Role of MK2 signaling pathway mediating microglia/macrophages polarization in chronic compression injury of cervical spinal cord. *Ann. Palliat. Med.* **10**(2), 1304-1312 (2021).
3. Berggren, K.L., Cruz, S.R., Hixon, M.D., *et al.* MAPKAPK2 (MK2) inhibition mediates radiation-induced inflammatory cytokine production and tumor growth in head and neck squamous cell carcinoma. *Oncogene* **38**(48), 7329-7341 (2019).

### 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