

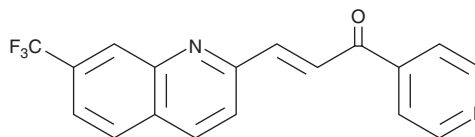
PRODUCT INFORMATION



PFK158

Item No. 22987

CAS Registry No.: 1462249-75-7
Formal Name: 1-(4-pyridinyl)-3-[7-(trifluoromethyl)-2E-quinolinyl]-2-propen-1-one
MF: C₁₈H₁₁F₃N₂O
FW: 328.3
Purity: ≥95%
UV/Vis.: λ_{max}: 280, 323 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

PFK158 is supplied as a crystalline solid. A stock solution may be made by dissolving the PFK158 in the solvent of choice. PFK158 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of PFK158 in these solvents is approximately 1 and 33 mg/ml, respectively.

PFK158 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PFK158 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PFK158 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PFK158 is an inhibitor of 6-phosphofructo-2-kinase/fructose-2,6-bisphosphatase 3 (PFKFB3; IC₅₀ = 137 nM for human recombinant PFKFB3).¹ It inhibits PFKFB3 and glycolysis in Jurkat cells (IC₅₀s = 1.6 and 0.847 μM, respectively). PFK158 inhibits the growth of leukemia cells *in vitro* (IC₅₀ = 0.33 μM for Jurkat cells) and reduces tumor volume in CT-26 murine colon carcinoma syngeneic model and a BxPC-3 pancreatic cancer mouse xenograft model. PFK158 also enhances activity of the anti-CTLA-4 antibody in the B16/F10 mouse model of melanoma.²

References

1. Chand, P. and Tapolsky, G.H. Pfkfb3 inhibitor and methods of use as an anti-cancer therapeutic. **PCT/US2013/031159** (2013).
2. Chesney, J., Telang, S., and Yaddanapudi, K. Combinations of pfkfb3 inhibitors and immune checkpoint inhibitors to treat cancer. **PCT/US2016/034590** (2016).

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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