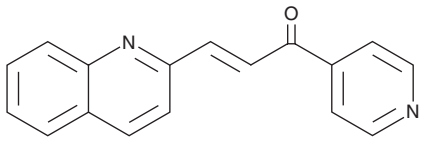
PRODUCT INFORMATION

PFK15

Item No. 17689

CAS Registry No.:	4382-63-2
Formal Name:	1-(4-pyridinyl)-3-(2-quinolinyl)-2-propen-1-one
MF:	$C_{17}H_{12}N_2O$
FW:	260.3
Purity:	≥95%
UV/Vis.:	λ_{max}: 232, 278, 330 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

PFK15 is supplied as a crystalline solid. A stock solution may be made by dissolving the PFK15 in the solvent of choice, which should be purged with an inert gas. PFK15 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PFK15 in these solvents is approximately 1, 10, and 20 mg/ml, respectively.

PFK15 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PFK15 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PFK15 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

6-Phosphofructo-2-kinase/fructose-2,6-bisphosphatase 3 (PFKFB3) is a bifunctional enzyme that contains distinct domains for the phosphorylation of fructose 6-phosphate and the dephosphorylation of fructose 2,6-bisphosphate. PFKFB3 protein expression is elevated in most tumor types.[1,2] PFK15 is a selective inhibitor of PFKFB3 (IC_{50} = 207 nM).[3] It has no significant inhibitory effect on any member of a panel of 96 other kinases, and does not inhibit purified 6-phosphofructo-1-kinase, hexokinase, phosphoglucose isomerase, or PFKFB4. PFK15 rapidly induces apoptosis in transformed cells, suppresses glucose uptake and growth of Lewis lung carcinomas in syngeneic mice, and yields antitumor effects in human xenograft models of cancer in athymic mice.[3]

References

1. Atsumi, T., Chesney, J., Metz, C., *et al.* High expression of inducible 6-phosphofructo-2-kinase/fructose-2,6-bisphosphatase (iPFK-2; PFKFB3) in human cancers. *Cancer Res.* **62(20)**, 5881-5887 (2002).
2. Seo, M., Kim, J.-D., Neau, D., *et al.* Structure-based development of small molecule PFKFB3 inhibitors: A framework for potential cancer therapeutic agents targeting the Warburg effect. *PLoS One* **6(9)**, e24179 (2011).
3. Clem, B.F., O'Neal, J., Tapolsky, G., *et al.* Targeting 6-phosphofructo-2-kinase (PFKFB3) as a therapeutic strategy against cancer. *Mol. Cancer Ther.* **12(8)**, 1461-1470 (2013).

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