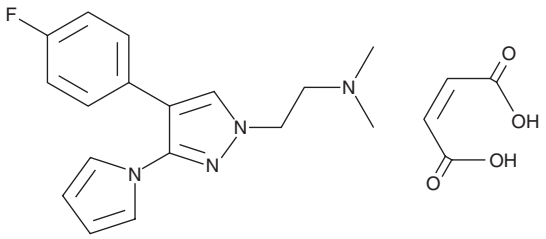
PRODUCT INFORMATION

PK7242 (maleate)

Item No. 17230

Formal Name:	2-(4-(4-fluorophenyl)-3-(1H-pyrrol-1-yl)-1H-pyrazol-1-yl)-N,N-dimethylethan-1-amine, 2Z-butenedioate
MF:	$C_{17}H_{19}FN4 \bullet C_4H_4O_4$
FW:	414.4
Supplied as:	A crystalline solid
Purity:	≥95%
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PK7242 (maleate) is supplied as a crystalline solid. A stock solution may be made by dissolving the PK7242 (maleate) in the solvent of choice, which should be purged with an inert gas. PK7242 (maleate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of PK7242 (maleate) in these solvents is approximately 3, 16, and 30 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of PK7242 (maleate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of PK7242 (maleate) in PBS, pH 7.2, is approximately 2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

The protein p53, often called the 'guardian of the genome,' is a transcription factor that is activated in response to cellular stress (low oxygen levels, heat shock, DNA damage, etc.) and acts to prevent further proliferation of the stressed cell by promoting cell cycle arrest or apoptosis. Its role as a tumor suppressor is evident by the observation that approximately 50% of human tumors have mutated or non-functional p53. PK7242 is an inducer of reactivation of mutant p53 in cancer cells. In cancer cells carrying the Y220C mutant, PK7242 binds to the p53-Y220C core domain and induces growth inhibition, cell-cycle arrest, and apoptosis.[1]

Reference

1. Liu, X., Wilcken, R., Joerger, A.C., *et al.* Small molecule induced reactivation of mutant p53 in cancer cells. *Nucleic Acids Res.* **41(12)**, 6034-6044 (2013).

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