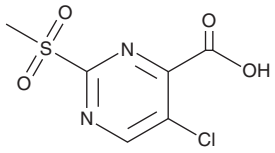
PRODUCT INFORMATION

PK11000

Item No. 37306

CAS Registry No.:	38275-34-2
Formal Name:	5-chloro-2-(methylsulfonyl)-4-pyrimidinecarboxylic acid
MF:	$C_6H_5ClN_2O_4S$
FW:	236.6
Purity:	≥95%
UV/Vis.:	λ_{max}: 225 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

PK11000 is supplied as a solid. A stock solution may be made by dissolving the PK11000 in the solvent of choice, which should be purged with an inert gas. PK11000 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PK11000 in ethanol is approximately 10 mg/ml and approximately 20 mg/ml in DMSO and DMF.

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 PK11000 can be prepared by directly dissolving the solid in aqueous buffers. The solubility of PK11000 in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

PK11000 is a stabilizer of mutant p53.[1] It covalently binds to Cys182 and Cys277, increases the thermal stability, and inhibits the aggregation of the $p53^{Y220C}$ DNA-binding domain when used at a concentration of 1 mM. PK11000 selectively decreases the viability of mutant p53-expressing SW480 ($p53^{R273H,P309S}$), NUGC-3 and Huh7 ($p53^{Y220C}$), and MKN1 ($p53^{V143A}$) cancer cells over wild-type p53-expressing NUGC-4, HuH-6, and SJSA-1 cancer cells. However, it is not selective between H1299 *p53*$^{-/-}$ and H1299 $p53^{R175H}$ non-small cell lung cancer (NSCLC) cells. PK11000 (15 µM) increases the expression of the p53 target genes *p21* and *PUMA* and decreases the expression of the p53 target gene *MDM2* in Huh7 and MKN1 cells. It also increases the levels of reactive oxygen species (ROS) in Huh7 and MKN1 cells.

Reference

1. Bauer, M.R., Joerger, A.C., and Fersht, A.R. 2-Sulfonylpyrimidines: Mild alkylating agents with anticancer activity toward p53-compromised cells. *Proc. Natl. Acad. Sci. U S A* **113(36),** E5271-E5280 (2016).

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