Catalog # 10-4176

PK11007

CAS# 874146-69-7

5-Chloro-2-[(4-fluorophenyl)methylsulfonyl]-*N*-(5-methyl-1,3,4-thiadiazol-2-yl)pyrimidine-4-carboxamide

Lot # FBS4057

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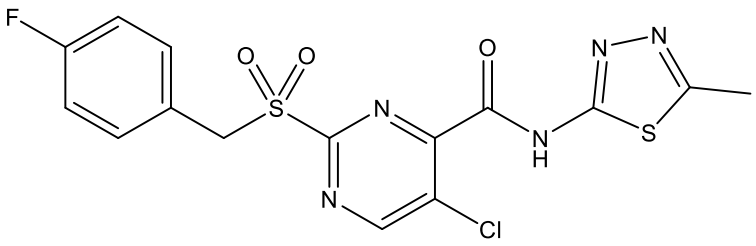

PK11007 reactivates mutant p53 via selective alkylation of two cysteine residues without compromising DNA-binding ability.[1] p53 target genes p21 and PUMA were activated by treatment with PK11007. Mutant p53-containing cancer cells were more sensitive to PK11007 than wild-type with mutant cells showing greatly reduced viability. It also generated high levels of reactive oxygen species and induced ER stress in a p53-independent (and dependent on glutathione depletion) manner that resulted in cell death. PK11007 inhibited cellular proliferation, induced apoptosis, and blocked cell migration in a panel of 17 breast cancer lines including triple-negative breast cancer (IC_{50}s: 2.3 to 42.2 µM).[2]

1) Bauer *et al*. (2016), *2-Sulfonylpyrimidines: Mild alkylating agents with anticancer activity toward p53-compromised cells*; Proc. Natl. Acad. Sci. USA **113** E5271
2) Synnott *et al*. (2018), *Mutant p53 as a therapeutic target for the treatment of triple-negative breast cancer: Preclinical investigation with the anti-p53 drug, PK11007*; Cancer Lett. **414** 99

PHYSICAL DATA

Molecular Weight:	427.85
Molecular Formula:	$C_{15}H_{11}ClFN_5O_3S_2$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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