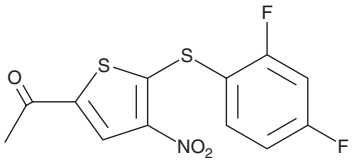
PRODUCT INFORMATION

P22077

Item No. 23704

CAS Registry No.:	1247819-59-5
Formal Name:	1-[5-[(2,4-difluorophenyl)thio]-4-nitro-2-thienyl]-ethanone
MF:	$C_{12}H_7F_2NO_3S_2$
FW:	315.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 215, 267, 316 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

P22077 is supplied as a crystalline solid. A stock solution may be made by dissolving the P22077 in the solvent of choice. P22077 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of P22077 in ethanol is approximately 0.1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

P22077 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, P22077 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. P22077 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

P22077 is a dual inhibitor of the deubiquitylating enzymes ubiquitin-specific protease 7 (USP7) and USP47 (EC_{50}s = 8.01 and 8.74 µM, respectively, in a fluorescence-based enzyme assay).[1] It is selective for USP7 and USP47 over other USPs and proteases with EC_{50} values greater than 50 µM. P22077 (25 µM) induces the accumulation of polyubiquitinated proteins in HEK293 cells. In neuroblastoma cells *in vitro*, P22077 blocks deubiquitylation of HDM2, a protein that normally deubiquitinates the tumor suppressor p53 leading to its degradation.[2] When used at concentrations of 10 and 20 µM, it amplifies the cytotoxicity and p53-mediated apoptosis of doxorubicin (Item No. 15007) and etoposide (Item No. 12092) in neuroblastoma cells. P22077 inhibits tumor growth in neuroblastoma mouse xenograft models when administered at a dose of 20 mg/kg per day.

References

1. Altun, M., Kramer, H.B., Willems, L.I., *et al.* Activity-based chemical proteomics accelerates inhibitor development for deubiquitylating enzymes. *Chemistry & Biology* **18(11)**, 1401-1412 (2011).
2. Fan, Y.H., Cheng, J., Vasudevan, S.A., *et al.* USP7 inhibitor P22077 inhibits neuroblastoma growth via inducing p53-mediated apoptosis. *Cell Death Dis.* **4(10)**, e867 (2013).

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