

Data Sheet

Product Name:	SW044248	
Cat. No.:	CS-5386	
CAS No.:	522650-83-5	
Molecular Formula:	C ₂₂ H ₂₃ N ₅ O ₂ S	
Molecular Weight:	421.52	
Target:	Topoisomerase	
Pathway:	Cell Cycle/DNA Damage	
Solubility:	DMSO : 12.5 mg/mL (29.65 mM; Need ultrasonic)	

______ N-N_____

BIOLOGICAL ACTIVITY:

SW044248 is a non-canonical **topoisomerase I** inhibitor, and selectively toxic for certain non-small cell lung cancer (NSCLC) cell lines. IC50 & Target: Topoisomerase I^[1] **In Vitro:** SW044248 is a non-canonical Top1 inhibitor, and is selectively toxic for certain NSCLC cell lines. SW044248 shows no effect on Top2. SW044248 (2, 5, 10 μ M) rapidly inhibits transcription, translation and DNA synthesis in sensitive cells (HCC4017 and H292 cells) but not insensitive cells (HBEC30KT cells and HCC44 cells). SW044248 (10 μ M) rapidly activates the integrated stress response through kinases GCN2 and PKR. The inhibition of Top1 in HCC4017 cells is helpful to the toxicity of SW044248. SW044248 (5, 10 μ M) shows no effect on HBEC30KT and HCC44 cell lines due to the up-regulation of p21^{CDKN1A[1]}. SW044248 is selectively toxic in 18/74 NSCLC lines^[2].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: SW044248 is dissolved in DMSO.^[1]**100 µL of 50,000 cells/mL** cell suspensions of individual cell lines are added in wells in 96-well plates. The next day, 100 µL of cell medium substituted with **2X concentration of SW044248** or camptothecin or DMSO in triplicates is added to each well. After 96 and 120 hours the ATP concentration in the wells is measured with CelTiter-Glo. The luminescence is measured with an plate reader^[1].

References:

[1]. Zubovych IO, et al. A Novel Inhibitor of Topoisomerase I Is Selectively Toxic for a Subset of Non-Small Cell Lung Cancer Cell Lines. Mol Cancer Ther. 2016 Jan;15(1):23-36.

[2]. Kim HS, et al. Systematic identification of molecular subtype-selective vulnerabilities in non-small-cell lung cancer. Cell. 2013 Oct 24;155(3):552-66.

CAIndexNames:

Butanamide, 2-[(5-ethyl-5H-1,2,4-triazino[5,6-b]indol-3-yl)thio]-N-(2-methoxyphenyl)-

SMILES:

CCC(SC1=NN=C2C(N(CC)C3=C2C=CC=C3)=N1)C(NC4=CC=CC=C4OC)=O

Caution: Product has not been fully validated for medical applications. For research use only.

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