Product Data Sheet

Product Name: WEHI-539
Cat. No.: GC11921

Chemical Properties

Cas. No.	1431866-33-9		
Chemical Name	5-[3-[4-(aminomethyl)phenoxy]propyl]-2-[(8E)-8-(1,3-benzothiazol-2-ylhydrazinylidene)-6,7-dihydro-5H-naphthalen-2-yl]-1,3-thiazole-4-carboxylic acid		
SMILES	C1CC2=C(C=C(C=C2)C3=NC(=C(S3)CCCOC4=CC=C(C=C4)CN)C(=O)O)C(=NNC5=NC6=CC=CC=C6S5)C1		
Formula	$C_{31}H_{29}N_5O_3S_2$	M.Wt	583.72
Solubility	100 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	WEHI-539		

Protocol

Cell experiment[1]:

Cell lines	Human colon cancer cell
Preparation method	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reaction Conditions	1 μM, 24h
Applications	Limiting dilution analysis with CSCs that were pre-treated with ABT-737, ABT-199 or WEHI-539 revealed that ABT-737 and WEHI-539 both were sufficient to decrease clonogenic capacity, whereas ABT-199 did not affect clonogenic growth. As WEHI-539 is selective for BCLXL, this points to a dependency of CSCs on BCLXL for survival. Importantly, ABT-737- or WEHI-539-induced loss of clonogenicity could be restored when BCLXL was ectopically overexpressed. When spheroid cultures were treated with ABT-737 or WEHI-539 compounds, CSCs were effectively sensitized toward oxaliplatin and other chemotherapeutic agents.

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

1. Colak S, Zimberlin CD, Fessler E et al. Decreased mitochondrial priming determines chemoresistance of colon cancer stem cells. Cell Death Differ. 2014 Jul;21(7):1170-7.

Background

WEHI-539 is a small-molecule inhibitor of BCLXLwith an IC50 value of 1.1 nM [1].

WEHI-539 was designed as a BCL-XLinhibitor with high affinity. It interacted the with the binding groove of BCL-XLwith a Kd value of 0.6 nM. In MEF cells lacking MCL-1, WEHI-539 induced apoptosis which was evidenced by the release of mitochondrial cytochrome cand caspase-3 processing. In BCL-XLoverexpressed MEF cells, WEHI-539 showed EC50 value of 0.48 μM. WEHI-539 also significantly induced apoptosis of the platelets purified from mice. Besides that, WEHI-539can not kill MEF cells lacking BAK because the cell death mediator BAK is regulated by BCL-XLand MCL-1. [1].

References:
[1] Lessene G, Czabotar P E, Sleebs B E, et al. Structure-guided design of a selective BCL-XL inhibitor. Nature chemical biology, 2013, 9(6): 390-397.

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