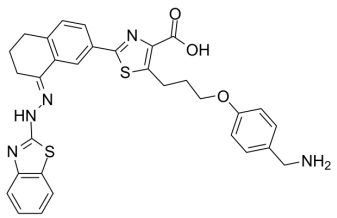
WEHI-539

Cat. No.:	HY-15607
CAS No.:	1431866-33-9
Molecular Formula:	$C_{31}H_{29}N_5O_3S_2$
Molecular Weight:	583.72
Target:	Bcl-2 Family
Pathway:	Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	WEHI-539 is a selective inhibitor of Bcl-XL with an IC_{50} of 1.1 nM.
IC_{50} & Target	Bcl-xL 1.1 nM (IC_{50})
In Vitro	WEHI-539 is a selective inhibitor of Bcl-X_L. WEHI-539 augments Carboplatin induced caspase 3/7 activity, PARP cleavage and annexin V labelling. WEHI-539 as a single agent causes noticeable PARP cleavage in Ovcar-4 (5 μM in Ovcar-4.) and Ovsaho (1 μM in Ovsaho) cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Ovcar-8, Ovcar-3, Ovcar-4 and Ovsaho cells are grown in the RPMI, Igrov-1, Cov-362 and Cov-318 cells are grown in DMEM and Fuov-1 cells are grown in DMEM/F-12 nutrient mixture. ABT-737, ABT-199 and WEHI-539 (Medchem Express, NJ, USA), are prepared as a 20 mM solution in DMSO. For cell growth assays, cells are plated in 96 wells plate (5,000 cells/well for all cell lines except Ovcar-8 which is plated at a density of 2,500 cells/well). The next day, cells are treated with drugs. After 72 h the culture medium is removed and the cells are fixed with 100 μL of cold 10 % Trichloroacetic acid (TCA), incubated on ice for 30 min and stained with 0.4 % sulforhodamine B (SRB). The data are analysed by using Graphpad Prism 4 software. Non-linear regression is used to fit a four parameters Hill equation. For drug combinations studies the cells are exposed simultaneously to a range of concentrations of carboplatin combined with fixed concentration of BH3 mimetics that is expected from the single agent studies to cause 5 % growth inhibition: ABT-737, 1 μM in Ovcar-8, Ovcar-3 and Igrov-1, 2 μM in Ovcar-4 and Ovsaho and 6 μM in Cov-362; ABT-199, 1 μM in Ovcar-4, 2 μM in Ovcar-3, Igrov-1, Cov-362 and Ovsaho and 3 μM in Ovcar-8; WEHI-539, 0.2 μM in Igrov-1, 0.3 μM in Ovcar-8, 1 μM in Ovcar-3 and Ovsaho, 3.1 μM in Cov-362 and 5 μM in Ovcar-4. Surviving cell number is assessed by SRB staining. A combination index (CI) is calculated[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2017 Nov 9;551(7679):247-250.

- Cell. 2014 Dec 18;159(7):1549-62.
- Nat Biotechnol. 2018 Feb;36(2):179-189.
- Blood. 2014 Dec 4;124(24):3587-96.
- Nat Commun. 2016 Mar 9;7:10916.

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REFERENCES

[1]. Lessene G, et al. Structure-guided design of a selective BCL-X(L) inhibitor. Nat Chem Biol. 2013 Jun;9(6):390-7.

[2]. Abed MN, et al. Antagonism of Bcl-XL is necessary for synergy between carboplatin and BH3 mimetics in ovarian cancer cells. J Ovarian Res. 2016 Apr 14;9:25.

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