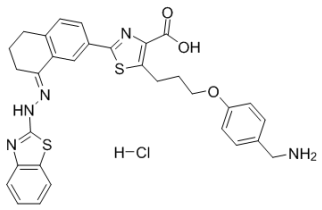
WEHI-539 hydrochloride

Cat. No.:	HY-15607A
CAS No.:	2070018-33-4
Molecular Formula:	$C_{31}H_{30}ClN_5O_3S_2$
Molecular Weight:	620.18
Target:	Bcl-2 Family
Pathway:	Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (80.62 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6124 mL	8.0622 mL	16.1244 mL
5 mM	0.3225 mL	1.6124 mL	3.2249 mL
10 mM	0.1612 mL	0.8062 mL	1.6124 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.03 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.03 mM); Suspended solution

BIOLOGICAL ACTIVITY

Description

WEHI-539 hydrochloride 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 hydrochloride is a selective inhibitor of Bcl-X_L. WEHI-539 augments NSC 241240 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 NSC 241240 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 NSC 241240 and BH3 mimetics in ovarian cancer cells. J Ovarian Res. 2016 Apr 14;9:25.

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