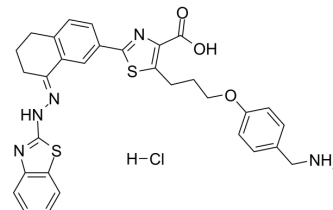


WEHI-539 hydrochloride

| | |
|---------------------------|--|
| Cat. No.: | HY-15607A |
| CAS No.: | 2070018-33-4 |
| Molecular Formula: | C ₃₁ H ₃₀ ClN ₅ O ₃ S ₂ |
| Molecular Weight: | 620.18 |
| Target: | Bcl-2 Family |
| Pathway: | Apoptosis |
| Storage: | 4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture) |



SOLVENT & SOLUBILITY

| | | | | | | |
|---|--|----------------------|-------------|-------------|-------------|--------------|
| In Vitro | DMSO : 16.67 mg/mL (26.88 mM; Need ultrasonic) | | | | | |
| | H ₂ O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble) | | | | | |
| | Preparing Stock Solutions | Solvent | Mass | 1 mg | 5 mg | 10 mg |
| | | Concentration | | | | |
| | | 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. |
| IC₅₀ & 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 Ovc4r-4 (5 μM in Ovc4r-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.

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

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