# A-1155463

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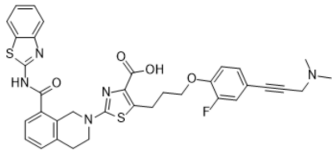
| **Cat. No.:** | HY-19725 |
| **CAS No.:** | 1235034-55-5 |
| **Molecular Formula:** | $C_{35}H_{32}FN_5O_4S_2$ |
| **Molecular Weight:** | 669.79 |
| **Target:** | Bcl-2 Family |
| **Pathway:** | Apoptosis |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 50 mg/mL (74.65 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.4930 mL | 7.4650 mL | 14.9301 mL |
| | 5 mM | 0.2986 mL | 1.4930 mL | 2.9860 mL |
| | 10 mM | 0.1493 mL | 0.7465 mL | 1.4930 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 (3.73 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.73 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.73 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

A-1155463 is a highly potent and selective BCL-XL inhibitor with an $EC_{50}$ of 70 nM in Molt-4 cell. A-1155463 is a click chemistry reagent, itcontains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

**$IC_{50}$ & Target**

| Bcl-xL | Bcl-2 |
|---|---|
| 0.01 nM (Ki) | 80 nM (Ki) |

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| **In Vitro** | A-1155463 shows picomolar binding affinity to BCL-$X_L$ ($K_i$≤0.01 nM), and >1000-fold weaker binding to BCL-2 ($K_i$= 80 nM) and related proteins BCL-W ($K_i$= 19 nM) and MCL-1 ($K_i$> 440 nM) [2].<br>A-1155463 demonstrates strong growth inhibition of over half of the colorectal cell lines as defined by $EC_{50}$ values ≤0.5 μM in the presence of 10 % FBS[3].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | A-1155463 caused a mechanism-based and reversible thrombocytopenia in mice and inhibited H146 small cell lung cancer xenograft tumor growth in vivo following multiple doses[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

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| **Animal Administration** [2] | Mice: Following a single 5 mg/kg IP dose of A-1155463 in nontumor bearing SCID-Beige mice, platelet counts fell dramatically as measured at 6 h postdose and then rebounded to normal levels within 72 h. A-1155463 is then administered to SCID-Beige mice that had been inoculated with BCL-XL-dependent H146 tumor cells with a daily dose at 5 mg/kg IP for 14 days[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- J Hematol Oncol. 2020 Jul 16;13(1):95.
- J Clin Invest. 2020 May 1;130(5):2542-2559.
- Cell Death Dis. 2019 May 21;10(6):395.
- Oncogene. 2019 Jan;38(1):47-59.
- Stem Cell Res Ther. 2022 Jan 10;13(1):13.

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## REFERENCES

[1]. Leverson JD, et al. Exploiting selective BCL-2 family inhibitors to dissect cell survival dependencies and define improved strategies for cancer therapy. Sci Transl Med.?2015 Mar 18;7(279):279

[2]. Tao ZF, et al. Discovery of a Potent and Selective BCL-XL Inhibitor with in Vivo Activity. ACS Med Chem Lett. 2014 Aug 26;5(10):1088-93.

[3]. Zhang H, et al. Genomic analysis and selective small molecule inhibition identifies BCL-X(L) as a critical survival factor in a subset of colorectal cancer. Mol Cancer. 2015 Jul 2;14:126.



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