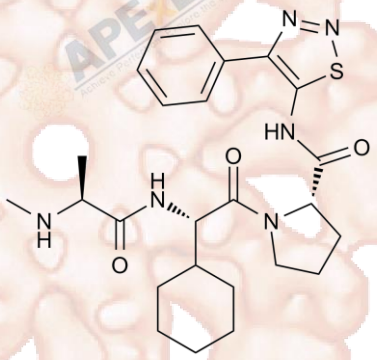
Product Data Sheet

# GDC-0152



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| **Cat. No.:** | A4224 |
| **CAS No.:** | 873652-48-3 |
| **Formula:** | C25H34N6O3S |
| **M.Wt:** | 498.64 |
| **Synonyms:** | GDC0152, GDC 0152 |
| **Target:** | Apoptosis |
| **Pathway:** | IAP |
| **Storage:** | Store at -20°C |

## Solvent & Solubility

In Vitro

⩾24.95 mg/mL in DMSO; insoluble in H2O; ⩾50.6 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

| Mass / Solvent / Concentration | 1mg | 5mg | 10mg |
|---|---|---|---|
| **1 mM** | 2.0055 mL | 10.0273 mL | 20.0545 mL |
| **5 mM** | 0.4011 mL | 2.0055 mL | 4.0109 mL |
| **10 mM** | 0.2005 mL | 1.0027 mL | 2.0055 mL |

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

## Biological Activity

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|---|---|
| Shortsummary | IAP antagonist,potent amd samll-molecule |
| $IC_{50}$ & Target | 28 nM (XIAP), 14 nM (ML-IAP), 17 nM (cIAP1), 43 nM (cIAP2) |

In Vitro

**Cell Viability Assay**

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|---|---|
| Cell Line: | U87MG, GL261, GBM6, GBM9 cell lines, and MDA-MB-231 breast carcinoma cells |
| 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. |

| | | |
|---|---|---|
| | Reacting conditions: | 1μM or 100μM; 72h or 8 days; 10 nM-10μM; 3h-24h |
| | Applications: | GDC-0152 treatment triggered apoptosis and decreased IAP protein expression in glioblastoma cell lines. Moreover, GDC-0152 (10 nM-10μM) dose-dependently promoted degradation of cIAP1, induced caspase-3/7 activation, and lead to decreased viability of breast cancer cells. |
| In Vivo | **Animal experiment** | |
| | Animal models: | 100 000 U87MG-iRFP cells were injected into the corpus callosum of athymic nude mice; MDA-MB-231 breast cancer xenograft model; |
| | Dosage form: | 10, 20, 50, and 100 mg/kg; intravenous injection or oral gavage; weekly for 2 months |
| | Applications: | GDC-0152 (10 mg/kg or 20 mg/kg) dose-dependently increased survival and slowed down tumor growth of mice bearing intracranial tumors. Moreover, GDC-0152 (10, 50, and 100 mg/kg) suppressed tumor growth in dose-dependent manner in the MDA-MB-231 breast cancer xenograft model. |
| | Other notes: | Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal. |

## Product Citations

1.Jung H, Leal-Ekman JS, et al. "Atg14 protects the intestinal epithelium from TNF-triggered villus atrophy." Autophagy. 2019 Mar 20:1-12.PMID:30894050

2. Rosner A, Kravchenko O, et al. "IAP genes partake weighty roles in the astogeny and whole body regeneration in the colonial urochordate Botryllus schlosseri." Dev Biol. 2018 Oct 30. pii: S0012-1606(17)30904-1.PMID:30385275

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## References

1. Flygare, J. A., Beresini, M., Budha, N., Chan, H., Chan, I. T., Cheeti, S., Cohen, F., Deshayes, K., Doerner, K., Eckhardt, S. G., Elliott, L. O., Feng, B., Franklin, M. C., Reisner, S. F., Gazzard, L., Halladay, J., Hymowitz, S. G., La, H., LoRusso, P., Maurer, B., Murray, L., Plise, E., Quan, C., Stephan, J. P., Young, S. G., Tom, J., Tsui, V., Um, J., Varfolomeev, E., Vucic, D., Wagner, A. J., Wallweber, H. J., Wang, L., Ware, J., Wen, Z., Wong, H., Wong, J. M., Wong, M., Wong, S., Yu, R., Zobel, K. and Fairbrother, W. J. (2012) Discovery of a potent small-molecule antagonist of inhibitor of apoptosis (IAP) proteins and clinical candidate for the treatment of cancer (GDC-0152). J Med Chem. 55, 4101-41132

2. Tchoghandjian, A., Souberan, A., Tabouret, E., Colin, C., Denicolai, E., Jiguet-Jiglaire, C., El-Battari, A., Villard, C., Baeza-Kallee, N. and Figarella-Branger, D. (2016) Inhibitor of apoptosis protein expression in glioblastomas and their in vitro and in vivo targeting by SMAC mimetic GDC-0152. Cell Death Dis. 7, e2325

## Caution

**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

*Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.*

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