Product Data Sheet

# CH5183284 (Debio-1347)

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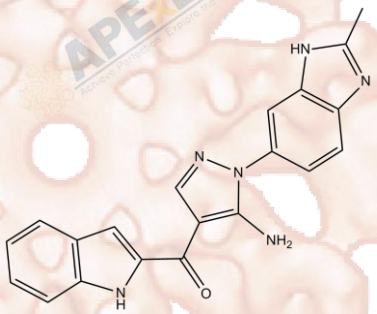
|---|---|
| **Cat. No.:** | B4985 |
| **CAS No.:** | 1265229-25-1 |
| **Formula:** | C20H16N6O |
| **M.Wt:** | 356.38 |
| **Synonyms:** | |
| **Target:** | Tyrosine Kinase |
| **Pathway:** | FGFR |
| **Storage:** | Store at -20°C |



## Solvent & Solubility

In Vitro

≥35.6 mg/mL in DMSO; insoluble in EtOH; insoluble in H2O

Preparing Stock Solutions

| Mass / Solvent / Concentration | 1mg | 5mg | 10mg |
|---|---|---|---|
| **1 mM** | 2.8060 mL | 14.0300 mL | 28.0599 mL |
| **5 mM** | 0.5612 mL | 2.8060 mL | 5.6120 mL |
| **10 mM** | 0.2806 mL | 1.4030 mL | 2.8060 mL |

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

## Biological Activity

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|---|---|
| Shortsummary | selective and orally available FGFR inhibitor |
| $IC_{50}$ & Target | |

In Vitro

**Cell Viability Assay**

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|---|---|
| Cell Line: | 327 human tumor cell lines |
| Preparation method: | The solubility of this compound in DMSO is > 17.8 mg/mL. 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: | 0.076 ~ 10,000 nM; 4 days |

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| | Applications: | In DMS114 (FGFR1 amplification), SNU-16 (FGFR2 amplification) and KMS11 [t(4;14) translocation and FGFR3 Y373C mutation] cells, CH5183284 inhibited autophosphorylation of FGFR1, FGFR2 and FGFR3 at the dose range of 100 ~ 300 nM. Thus, CH5183284 selectively inhibited proliferation of cancer cell lines with genetic alterations in FGFR. In addition, CH5183284 also inhibited FGFR2-harboring cancer cells with V564F mutation which are resistant to other FGFR inhibitors. |
| In Vivo | **Animal experiment** | |
| | Animal models: | Mice bearing KG1, MFE280, SNU-16, RT112/84 or UM-UC-14 xenografts |
| | Dosage form: | 100 mg/kg/day; p.o. |
| | Applications: | CH5183284 exhibited selective and significant antitumor activities against various xenografts with FGFR genetic alterations such as KG1 (leukemia, FGFR1OP-FGFR1 fusion), MFE-280 (endometrial cancer, FGFR2 S252W mutation), SNU-16 (gastric cancer, FGFR2 amplification), RT112/84 (bladder cancer, FGFR3-TACC3 fusion) and UM-UC-14 (bladder cancer, FGFR3 S249C mutation). |
| | 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

**See more customer validations on www.apexbt.com.**

## References

[1]. Nakanishi Y, Akiyama N, Tsukaguchi T, et al. The fibroblast growth factor receptor genetic status as a potential predictor of the sensitivity to CH5183284/Debio 1347, a novel selective FGFR inhibitor. Mol Cancer Ther, 2014, 13(11): 2547-2558.

## 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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