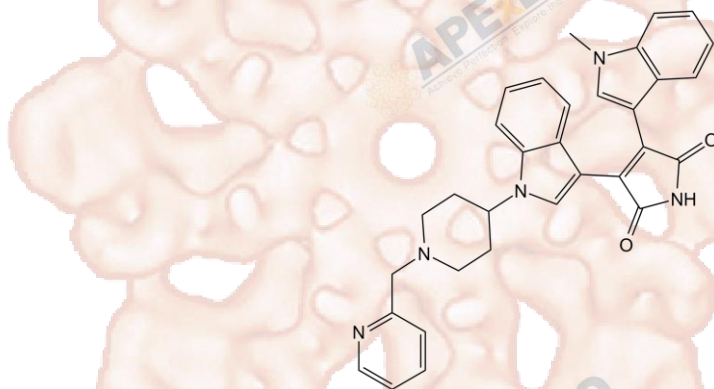


# Product Data Sheet

## Enzastaurin (LY317615)

|                  |                               |
|------------------|-------------------------------|
| <b>Cat. No.:</b> | A1670                         |
| <b>CAS No.:</b>  | 170364-57-5                   |
| <b>Formula:</b>  | C32H29N5O2                    |
| <b>M.Wt:</b>     | 515.61                        |
| <b>Synonyms:</b> |                               |
| <b>Target:</b>   | TGF- $\beta$ / Smad Signaling |
| <b>Pathway:</b>  | PKC                           |
| <b>Storage:</b>  | Store at -20°C                |



### Solvent & Solubility

insoluble in EtOH; insoluble in H<sub>2</sub>O;  $\geq 8.59$  mg/mL in DMSO

In Vitro

| Preparing Stock Solutions | Solvent              | Mass      |           |            |
|---------------------------|----------------------|-----------|-----------|------------|
|                           |                      | 1mg       | 5mg       | 10mg       |
|                           | <b>Concentration</b> |           |           |            |
|                           | <b>1 mM</b>          | 1.9395 mL | 9.6973 mL | 19.3945 mL |
|                           | <b>5 mM</b>          | 0.3879 mL | 1.9395 mL | 3.8789 mL  |
|                           | <b>10 mM</b>         | 0.1939 mL | 0.9697 mL | 1.9395 mL  |

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

### Biological Activity

Shortsummary

PKC beta inhibitor, potent and selective

IC<sub>50</sub> & Target

6 nM (PKC $\beta$ ), 39 nM (PKC $\alpha$ ), 83 nM (PKC $\gamma$ ), 110 nM (PKC $\epsilon$ )

In Vitro

#### Cell Viability Assay

|                      |   |
|----------------------|---|
| Cell Line:           | HCT116 colon cancer and U87MG glioblastoma 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: | 4 $\mu$ M, 48 hrs for both HCT116 colon cancer and U87MG glioblastoma cells; 03   |

|         |                          |   |
|---------|--------------------------|---|
|         |                          | ~ 4 $\mu$ M for HCT116 colon cancer cells   |
|         | Applications:            | In both HCT116 colon cancer and U87MG glioblastoma cells, Enzastaurin induced cell apoptosis. HCT116 colon cancer cells treated with Enzastaurin showed a dose-dependent increase in apoptosis.   |
| In Vivo | <b>Animal experiment</b> |   |
|         | Animal models:           | Athymic nude mice bearing HCT116 colon cancer xenografts  |
|         | Dosage form:             | 75 mg/kg; p.o.; b.i.d., for 21 days   |
|         | Applications:            | In mice bearing HCT116 colon cancer xenografts, Enzastaurin significantly suppressed the growth of HCT116 colon carcinoma. Enzastaurin time-dependently inhibited GSK3 $\beta$ Ser9 phosphorylation in HCT116 colon cancer xenograft tissues. |
|         | 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. Huichalaf CH, Al-Ramahi I, Pet al. "Cross-species genetic screens to identify kinase targets for APP reduction in Alzheimer's disease." Hum Mol Genet. 2019 Feb 12. PMID:30753434
2. Piano I, Baba K, et al. "Heteromeric MT(1)/MT(2) melatonin receptors modulate the scotopic electroretinogram via PKC $\zeta$  in mice." Exp Eye Res. 2018 Jul 27;177:50-54. PMID:30059666

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## References

- [1]. Graff J R, McNulty A M, Hanna K R, et al. The protein kinase C $\beta$ -selective inhibitor, enzastaurin (LY317615.HCl), suppresses signaling through the AKT pathway, induces apoptosis, and suppresses growth of human colon cancer and glioblastoma xenografts. Cancer Research, 2005, 65(16): 7462-7469.

## 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 APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term 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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**APEx BIO Technology**

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