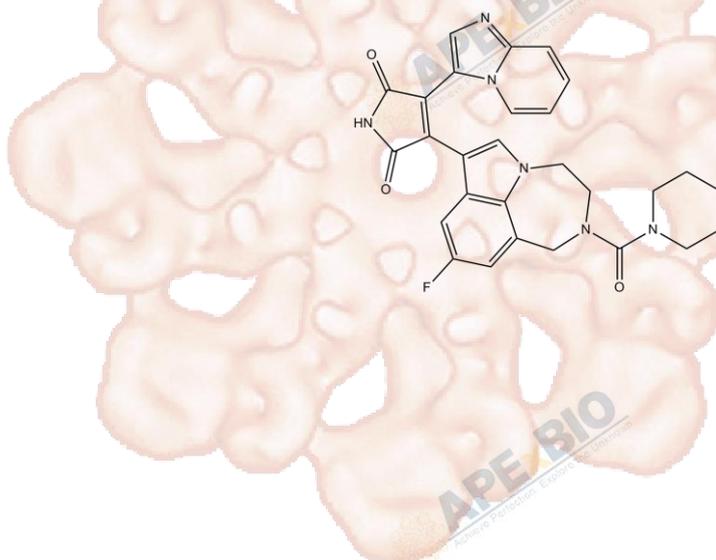


# Product Data Sheet

## LY2090314

|                  |                         |
|------------------|-------------------------|
| <b>Cat. No.:</b> | A3570                   |
| <b>CAS No.:</b>  | 603288-22-8             |
| <b>Formula:</b>  | C28H25FN6O3             |
| <b>M.Wt:</b>     | 512.53                  |
| <b>Synonyms:</b> | LY 2090314; LY-2090314  |
| <b>Target:</b>   | PI3K/Akt/mTOR Signaling |
| <b>Pathway:</b>  | GSK-3                   |
| <b>Storage:</b>  | Store at -20°C          |



### Solvent & Solubility

insoluble in H<sub>2</sub>O; ≥91 mg/mL in DMSO; ≥4.27 mg/mL in EtOH with gentle warming and ultrasonic

In Vitro

| Preparing Stock Solutions | Solvent              | Mass      |           |            |
|---------------------------|----------------------|-----------|-----------|------------|
|                           |                      | 1mg       | 5mg       | 10mg       |
|                           | <b>Concentration</b> |           |           |            |
|                           | <b>1 mM</b>          | 1.9511 mL | 9.7555 mL | 19.5111 mL |
|                           | <b>5 mM</b>          | 0.3902 mL | 1.9511 mL | 3.9022 mL  |
|                           | <b>10 mM</b>         | 0.1951 mL | 0.9756 mL | 1.9511 mL  |

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

### Biological Activity

Shortsummary

Potent GSK-3 inhibitor

IC<sub>50</sub> & Target

1.5 nM (GSK-3α), 0.9 nM (GSK-3β)

In Vitro

#### Cell Viability Assay

|                      |   |
|----------------------|---|
| Cell Line:           | A panel of melanoma cell lines  |
| Preparation method:  | Soluble in DMSO. 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: | 20 nM   |

|              |  |   |
|--------------|--|---|
|              | Applications:  | LY2090314 potentially induced apoptotic cell death in a panel of melanoma cell lines irrespective of BRAF mutation status. LY2090314 (20 nM) promoted a time-dependent stabilization of $\beta$ -catenin total protein as well as an induction of Axin2. LY2090314 was highly selective towards GSK3 as demonstrated by its fold selectivity relative to a large panel of kinases. Cell death induced by LY2090314 was dependent on $\beta$ -catenin and GSK3 $\beta$ knockdown increased the sensitivity of cells to LY2090314. LY2090314 was active in cell lines resistant to Vemurafenib and showed an independent mechanism of action. |
| In Vivo      | <b>Animal experiment</b>   |   |
|              | Animal models:   | Athymic nude mice bearing A375 melanoma xenografts  |
|              | Dosage form:   | Intravenous injection, 25 mg/kg, every 3 days   |
|              | Applications:  | LY2090314 (25 mg/kg Q3D, i.v.) elevated Axin2 gene expression in vivo, demonstrated single agent activity in the A375 xenograft model of melanoma and enhanced the efficacy of DTIC. LY2090314 exhibited high clearance (approximating hepatic blood flow) and a moderate volume of distribution (appr 1-2 L/kg) resulting in rapid elimination (half-life appr 0.4, 0.7, and 1.8-3.4 hours in rats, dogs, and humans, respectively). LY2090314 was rapidly cleared by extensive metabolism with negligible circulating metabolite exposures due to biliary excretion of metabolites into feces with no apparent intestinal reabsorption.   |
| 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](http://www.apexbt.com).

## References

- [1]. Atkinson J M, Rank K B, Zeng Y, et al. Activating the Wnt/ $\beta$ -catenin pathway for the treatment of melanoma—application of ly2090314, a novel selective inhibitor of glycogen synthase kinase-3[J]. PLoS One, 2015, 10(4): e0125028.
- [2]. Zamek-Gliszczyński MJ, et al. Pharmacokinetics, metabolism, and excretion of the glycogen synthase kinase-3 inhibitor LY2090314 in rats, dogs, and humans: a case study in rapid clearance by extensive metabolism with low circulating metabolite exposure. Dr

## 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.

**APExBIO Technology**

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