

Product Information



IWR-1-endo

Catalog No. 13659

CAS Registry No.: 1127442-82-3

Formal Name: 4-[(3aR,4S,7R,7aS)-1,3,3a,4,7,7a-hexahydro-1,3-dioxo-4,7-methano-2H-isoindol-2-yl]-N-8-quinolinylbenzamide

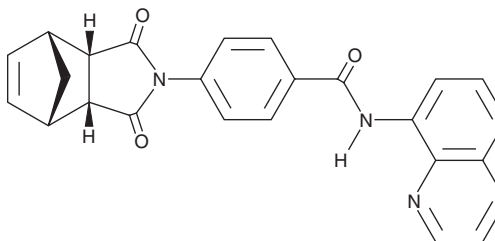
MF: $C_{25}H_{19}N_3O_3$

FW: 409.4

Purity: $\geq 98\%$

Stability: ≥ 2 years at -20°C

Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that IWR-1-endo be stored as supplied at -20°C . It should be stable for at least two years.

IWR-1-endo is supplied as a crystalline solid. A stock solution may be made by dissolving the IWR-1-endo in the solvent of choice. IWR-1-endo is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of IWR-1-endo in these solvents is approximately 20 mg/ml.

IWR-1-endo is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, IWR-1-endo should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. IWR-1-endo has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Wnt signaling proteins are small secreted proteins that are active in embryonic development, tissue homeostasis,¹ and tumorigenesis.^{2,3} Wnt proteins bind to receptors on the cell surface, initiating a signaling cascade that leads to β -catenin activation of gene transcription. IWR-1-endo is a potent inhibitor of the Wnt response, blocking a cell-based Wnt/ β -catenin pathway reporter response with an IC_{50} value of 180 nM.⁴ It inhibits, at 10 μM , Wnt-induced accumulation of β -catenin, leading to proteasomal degradation of this protein through a destruction complex which consists of Apc, Axin2, Ck1, and Gsk3 β . IWR-1-endo stabilizes the destruction complex, increasing the level of Axin2 protein without changing the levels of Apc or Gsk3 β .⁴ The IWR compound does not change the *de novo* synthesis of Axin2, alter the affinity of Axin2 for β -catenin, or inhibit the proteasome. It has a half-life of 60 minutes in murine whole blood and 20 minutes in intact murine hepatocytes.⁵ In *in vivo* tests, IWR-1-endo inhibits zebrafish tail fin regeneration with a minimum inhibitory concentration of 0.5 μM .⁵ The IWR-1-exo diastereomer exhibits much less activity against the Wnt/ β -catenin pathway and has been used as a control.⁴

References

1. Clevers, H. Wnt/ β -catenin signaling in development and disease. *Cell* **127**, 469-480 (2006).
2. Polakis, P. Wnt signaling and cancer. *Genes Dev.* **14**, 1837-1851 (2000).
3. Reya, T. and Clevers, H. Wnt signalling in stem cells and cancer. *Nature* **434**, 834-850 (2005).
4. Chen, B., Dodge, M.E., Tang, W., *et al.* Small molecule-mediated disruption of Wnt-dependent signaling in tissue regeneration and cancer. *Nature Chemical Biology* **5**(2), 100-107 (2009).
5. Lu, J., Ma, Z., Hsieh, J.-C., *et al.* Structure-activity relationship studies of small-molecule inhibitors of Wnt response. *Bioorg.Med.Chem.Lett.* **19**, 3825-3827 (2009).

Related Product

XAV939 - Cat. No. 13596 • IWR-1-exo - Cat. No. 13598 • β -Catenin Polyclonal Antibody - Cat. No. 100029

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY; NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

Cayman Chemical Company makes **no warranty or guarantee** of any kind, whether written or oral, expressed or implied, including without limitation, any warranty of fitness for a particular purpose, suitability and merchantability, which extends beyond the description of the chemicals hereof. Cayman warrants only to the original customer that the material will meet our specifications at the time of delivery.

Cayman will carry out its delivery obligations with due care and skill. Thus, in no event will Cayman have **any obligation or liability**, whether in tort (including negligence) or in contract, for any direct, indirect, incidental or consequential damages, even if Cayman is informed about their possible existence.

This limitation of liability does not apply in the case of intentional acts or negligence of Cayman, its directors or its employees.

Buyer's **exclusive remedy** and Cayman's sole liability hereunder shall be limited to a **refund** of the purchase price, or at Cayman's option, the **replacement**, at no cost to Buyer, of all material that does not meet our specifications.

Said refund or replacement is conditioned on Buyer giving written notice to Cayman within thirty (30) days after arrival of the material at its destination. Failure of Buyer to give said notice within thirty (30) days shall constitute a waiver by Buyer of all claims hereunder with respect to said material.

For further details, please refer to our Warranty and Limitation of Remedy located on our website and in our catalog.

Copyright Cayman Chemical Company, 04/19/2010

Cayman Chemical

Mailing address

1180 E. Ellsworth Road
Ann Arbor, MI
48108 USA

Phone

(800) 364-9897
(734) 971-3335

Fax

(734) 971-3640

E-Mail

custserv@caymanchem.com

Web

www.caymanchem.com