

Product Data Sheet

Product Name: APH02174 hemiformic

Cat. No.: GC80945

Chemical Properties

Cas. No.

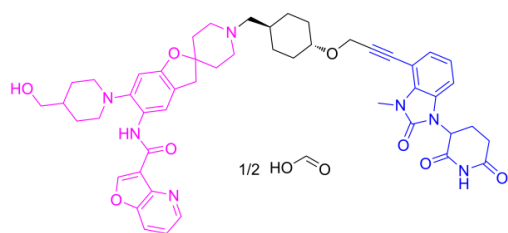
Formula $C_{49}H_{55}N_7O_8 \cdot 1/2 CH_2O_2$ M.Wt 916.03

Solubility Storage Store at 4°C, away from moisture

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

APH02174 hemiformic is a highly selective and orally active IRAK4 PROTAC degrader with the DC_{50} of 4.01 nM in THP-1 cells. APH02174 hemiformic blocks inflammatory signals by inhibiting IL-6 release. APH02174 hemiformic can be used for research on inflammatory conditions such as psoriasis vulgaris and rheumatoid arthritis [1]. (Pink: IRAK4 ligand; Blue: Cereblon ligand; Black: linker).

In Vivo, APH02174 (10-100 mg/kg; p.o.; twice daily; for 7 days) hemiformic reduces imiquimod-induced psoriasis-like skin inflammation significantly degrades the IRAK4 protein level in the spleen

Caution: Product has not been fully validated for medical applications. For research use only.

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of the Imiquimod (IMQ) induced mice^[1]. APH02174 (30-100 mg/kg; p.o.; once daily; for 14 days) hemiformic significantly improves arthritis in the rheumatoid arthritis mice^[1].

In Vitro, APH02174 (0.24-1000 nM) hemiformic can selectively degrade IRAK4 protein in various cells, including THP-1 ($DC_{50} = 4.01$ nM), hPBMCs ($DC_{50} = 0.43$ nM) and mouse PBMCs ($DC_{50} = 3.57$ nM) ^[1]. APH02174 (0.03-2000 nM; 24 h) hemiformic significantly inhibits the Lipopolysaccharides (LPS) induced IL-6 release in the hPBMCs ($IC_{50} = 16.43$ nM) ^[1].

References:

[1]. Wu XW, et al. Discovery of APH02174 as a Highly Selective and Orally Bioavailable IRAK4 Degradar for the Treatment of Inflammatory Diseases. J Med Chem. 2025 Jun 26;68(12):12845-12861.

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