

Product Data Sheet

Product Name: IMR-1
Cat. No.: GC12741

Chemical Properties

Cas. No. 310456-65-6

Chemical Name (E)-ethyl 2-(2-methoxy-4-((4-oxo-2-thioxothiazolidin-5-ylidene)methyl)phenoxy)acetate

SMILES CCOC(COC1=C(OC)C=C(/C([H])=C(S2)\C(=O)NC2=S)C=C1)=O

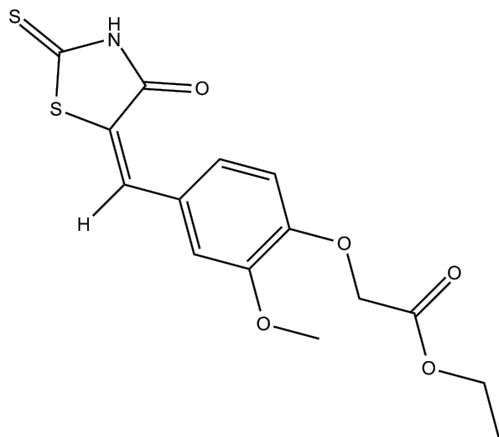
Formula $C_{15}H_{15}NO_5S_2$ M.Wt 353.41

Solubility $\geq 35.3\text{mg/mL}$ in DMSO Storage Store at -20°C

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

IC₅₀: 26 $\mu\text{mol/L}$

IMR-1 is an inhibitor of Notch pathway.

Aberrant Notch activity has been reported to play an important role in the initiation and maintenance of the neoplastic phenotype and in various cancer stem cells, which alludes to its additional involvement

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

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in metastasis and resistance.

In vitro: In order to determine the effect of IMR-1 on the assembly of the Notch ternary complex (NTC) in cells, Notch-dependent cell lines OE33 and 786-0 were treated with IMR-1 or DAPT. Results showed that treatment of OE33 and 786-0 with IMR-1 could decrease the occupancy of Maml1 on the HES1 promoter but, in contrast to DAPT, IMR-1 treatment could not affect the occupancy of Notch1 on the HES1 promoter. In addition, western blot analyses indicated that IMR-1 treatment did not change the cellular levels of NICD [1].

In vivo: Animal study showed that treatment of mice with 15 mg/kg IMR-1 could readily block tumor establishment. Moreover, IMR-1 treatment at 15 mg/kg caused no observable adverse effects on the animal. In two independent PDX models, IMR-1 could significantly abrogate the tumor growth to a similar level achieved with DAPT treatment, without any significant weight loss or other visible signs of adverse effects in the treated mice [1].

Clinical trial: Up to now, IMR-1 is still in the preclinical development stage.

Reference:

[1] Astudillo L, Da Silva TG, Wang Z, et al. The Small Molecule IMR-1 Inhibits the Notch Transcriptional Activation Complex to Suppress Tumorigenesis. Cancer Res. 2016 Jun 15;76(12):3593-603.

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