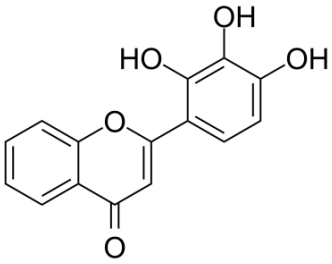
2-D08

Cat. No.:	HY-114166
CAS No.:	144707-18-6
Molecular Formula:	$C_{15}H_{10}O_5$
Molecular Weight:	270.24
Target:	E1/E2/E3 Enzyme; TAM Receptor
Pathway:	Metabolic Enzyme/Protease; Protein Tyrosine Kinase/RTK
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 150 mg/mL (555.06 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7004 mL	18.5021 mL	37.0041 mL
	5 mM	0.7401 mL	3.7004 mL	7.4008 mL
	10 mM	0.3700 mL	1.8502 mL	3.7004 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (9.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.25 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

2-D08 is a cell permeable, mechanistically unique inhibitor of protein SUMOylation. 2-D08 also inhibits Axl with an IC_{50} of 0.49 nM.

IC_{50} & Target

IC50: 0.49 nM (Axl)[2]

In Vitro

2-D08 inhibits sumoylation by preventing transfer of SUMO from the UBC9-SUMO thioester to the substrate[1]. 2-D08 decreases the ratio of p-Axl to t-Axl in a dose-dependent manner. Suppression of Axl kinase activity by 2D08 disrupts the cytoskeleton and actin filaments with re-organization at cellular junctions[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Human lung multi-potent cells at passage 5 are incubated with DMEM+0.5% BSA+penicillin/streptomycin containing either 0.1% DMSO (vehicle) or 2D08 (10 μM) on Permanox culture slides for 6 days. Cells are fixed with 4% PFA for 30 min and then blocked and permeabilized with 10% goat serum and 0.3% Triton-X 100 in PBS for 30 min[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Mice[3] Eight- to 10-week-old mice (both male and female) are used for the study. A 5 cm-long glass pipette is buffered with Mineral Oil and then attached to the injection apparatus to take up 10 μL of 2-D08 (30 μM) or NaCl[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Life Sci. 2020 May 28;117859.

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REFERENCES

[1]. Kim YS, et al. Synthesis of 2',3',4'-trihydroxyflavone (2-D08), an inhibitor of protein sumoylation. Bioorg Med Chem Lett. 2014 Feb 15;24(4):1094-7.

[2]. Fujino N, et al. Phenotypic screening identifies Axl kinase as a negative regulator of an alveolar epithelial cell phenotype. Lab Invest. 2017 Sep;97(9):1047-1062.

[3]. Ghosh H, et al. Several posttranslational modifications act in concert to regulate gephyrin scaffolding and GABAergic transmission. Nat Commun. 2016 Nov 7;7:13365. doi: 10.1038/ncomms13365.

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