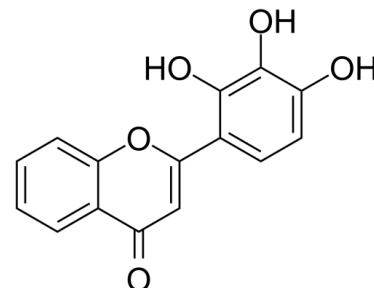


## Data Sheet

<b>Product Name:</b>	2-D08
<b>Cat. No.:</b>	CS-0077855
<b>CAS No.:</b>	144707-18-6
<b>Molecular Formula:</b>	C <sub>15</sub> H <sub>10</sub> O <sub>5</sub>
<b>Molecular Weight:</b>	270.24
<b>Target:</b>	E1/E2/E3 Enzyme; TAM Receptor
<b>Pathway:</b>	Metabolic Enzyme/Protease; Protein Tyrosine Kinase/RTK
<b>Solubility:</b>	DMSO : 150 mg/mL (555.06 mM; Need ultrasonic)



### BIOLOGICAL ACTIVITY:

2-D08 is a cell permeable, mechanistically unique inhibitor of protein **SUMOylation**. 2-D08 also inhibits **Axl** with an **IC<sub>50</sub>** of 0.49 nM. **IC<sub>50</sub> & Target:** IC<sub>50</sub>: 0.49 nM (Axl)<sup>[2]</sup> **In Vitro:** 2-D08 inhibits sumoylation by preventing transfer of SUMO from the UBC9-SUMO thioester to the substrate<sup>[1]</sup>. 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<sup>[2]</sup>.

### PROTOCOL (Extracted from published papers and Only for reference)

**Cell Assay:** 2D08 is dissolved in DMSO<sup>[2]</sup>.<sup>[2]</sup> 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 Permax 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<sup>[2]</sup>.

**Animal Administration:** 2-D08 is prepared in NaCl solution<sup>[3]</sup>.<sup>[3]</sup> Mice<sup>[3]</sup>

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<sup>[3]</sup>.

### 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.

### CAIndexNames:

4H-1-Benzopyran-4-one, 2-(2,3,4-trihydroxyphenyl)-

### SMILES:

O=C1C=C(C2=CC=C(O)C(O)=C2O)OC3=CC=CC=C13

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

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