

## Deruxtecan

## Chemical Properties

CAS No. : 1599440-13-7

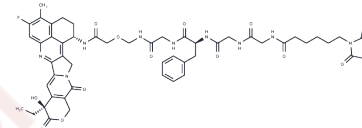
Formula: C52H56FN9O13

Molecular Weight: 1034.05

Store at low temperature, Keep away from moisture

Storage: Powder: -20°C for 3 years

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Deruxtecan is an ADC drug-linker conjugate composed of a derivative of DX-8951 (DXd) and a maleimide-GGFG peptide linker used in the synthesis of DS-8201 and U3-1402.
Targets(IC50)	Drug-Linker Conjugates for ADC, PROTAC Linker
In vitro	<p><b>METHODS:</b> Trastuzumab Deruxtecan (DS-8201a) was administered at scalar concentrations of 0.005 µg/mL, 0.05 µg/mL, 0.2 µg/mL, 0.5 µg/mL, and 2.0 µg/mL. Three days after drug treatment, whole cells were harvested, centrifuged, and stained with propidium iodide (2 µl of 500 µg/mL stock solution in phosphate-buffered saline (PBS)).</p> <p><b>RESULTS</b> DS-8201 induced cell death with a mean IC50 value of 0.03 µM (p&lt;0.0001); statistically significant differences were observed in 3+ HER2/neu ovarian CS cell lines, where the mean IC50 of DS-8201a was 0.03 µM; and in representative HER2/neu non-expressing cell lines, where the mean IC50 of DS-8201a was 20.02 µM. [2]</p>
In vivo	<p><b>METHODS:</b> Mouse models of HER2-positive tumors were treated with Trastuzumab Deruxtecan (DS-8201a) (10 mg/kg, i.v), and anti-PD-1 antibodies were also used in combination to evaluate synergistic effects, monitor tumor growth, and perform flow cytometric analysis. To analyze the composition and function of immune cells in tumors.</p> <p><b>RESULTS</b> Trastuzumab Deruxtecan (DS-8201a) significantly inhibited tumor growth and in some cases resulted in complete remission (CR); mice that achieved CR after treatment were able to reject revaccinated CT26.WT-hHER2 cells as well as non-transgenic CT26.WT-mock cells, showing the formation of immune memory; Trastuzumab Deruxtecan (DS-8201a) increased the number of CD8+ T cells infiltrating within the tumor, upregulated the expression of CD86, an activation marker of dendritic cells (DCs), and enhanced Expression of PD-L1 and MHC class I molecules on tumor cells; the combination of Trastuzumab Deruxtecan (DS-8201a) and an anti-PD-1 antibody is more effective in inhibiting tumor growth than monotherapy, suggesting that Trastuzumab Deruxtecan (DS-8201a) may Promote anti-tumor immunity by activating the immune system and upregulating PD-L1 expression. [1]</p>

## Solubility Information

## A DRUG SCREENING EXPERT

Solubility	DMSO: 262 mg/mL (253.37 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (1.93 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.9671 mL	4.8354 mL	9.6707 mL
5 mM	0.1934 mL	0.9671 mL	1.9341 mL
10 mM	0.0967 mL	0.4835 mL	0.9671 mL
50 mM	0.0193 mL	0.0967 mL	0.1934 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Iwata TN, et al. A HER2-Targeting Antibody-Drug Conjugate, Trastuzumab Deruxtecan (DS-8201a), Enhances Antitumor Immunity in a Mouse Model. *Mol Cancer Ther.* 2018 Jul;17(7):1494-1503.

Mauricio D, et al. Trastuzumab deruxtecan (DS-8201a), a HER2-targeting antibody-drug conjugate with topoisomerase I inhibitor payload, shows antitumor activity in uterine and ovarian carcinosarcoma with HER2/neu expression. *Gynecol Oncol.* 2023 Mar;170:38-45.

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