

Trastuzumab deruxtecan (solution)

Cat. No.:	HY-138298
CAS No.:	1826843-81-5
Target:	EGFR; Antibody-Drug Conjugates (ADCs)
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Antibody-drug Conjugate/ADC Related
Storage:	-80°C, protect from light

Trastuzumab deruxtecan

BIOLOGICAL ACTIVITY

Description	Trastuzumab deruxtecan (T-DXd; DS-8201a) (solution) is an anti-human epidermal growth factor receptor 2 (HER2) antibody-drug conjugate (ADC). Trastuzumab deruxtecan is composed of a humanized anti-HER2 antibody, an enzymatically cleavable peptide-linker, a topoisomerase I inhibitor (a toxin component of Dxd). Trastuzumab deruxtecan can be used for the research of HER2-positive breast cancer and gastric cancer ^{[1][2]} .								
In Vitro	<p>Trastuzumab deruxtecan (1 pM-10 nM; 5 days) (solution) inhibits the growth of HER2-positive KPL-4 cells, with an IC₅₀ of 109.7 pM^[2].</p> <p>Trastuzumab deruxtecan (10 nM; 5 days) (solution) shows bystander killing effects in HER2-negative MDA-MB-468 cells^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>KPL-4 and MDA-MB-468 cells</td> </tr> <tr> <td>Concentration:</td> <td>1, 10, 100, 1000, 10000 pM</td> </tr> <tr> <td>Incubation Time:</td> <td>5 days</td> </tr> <tr> <td>Result:</td> <td>Killed HER2-positive KPL-4 cells only.</td> </tr> </table>	Cell Line:	KPL-4 and MDA-MB-468 cells	Concentration:	1, 10, 100, 1000, 10000 pM	Incubation Time:	5 days	Result:	Killed HER2-positive KPL-4 cells only.
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In Vivo	<p>Trastuzumab deruxtecan (3 mg/kg; a single i.v.) (solution) shows antitumor activity against not only HER2-positive tumors but also HER2-negative tumors under the co-inoculated condition^[2].</p> <p>Trastuzumab deruxtecan (10 mg/kg; i.v. on days 0 and 7) (solution) inhibits the tumor growth in in EMT6-hHER2 syngeneic mouse model^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Female BALB/c nude mice injected with NCI-N87 and MDA-MB-468-Luc cells^[2]</td> </tr> <tr> <td>Dosage:</td> <td>3 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>A single i.v.</td> </tr> <tr> <td>Result:</td> <td>Almost all of the HER2-positive and HER-negative cells disappeared and there were little or no cancer cells remaining in the tumors.</td> </tr> </table>	Animal Model:	Female BALB/c nude mice injected with NCI-N87 and MDA-MB-468-Luc cells ^[2]	Dosage:	3 mg/kg	Administration:	A single i.v.	Result:	Almost all of the HER2-positive and HER-negative cells disappeared and there were little or no cancer cells remaining in the tumors.
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CUSTOMER VALIDATION

- Biomed Pharmacother. 2023 Apr 25;163:114751.

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REFERENCES

- [1]. Kumagai K, et al. Interstitial pneumonitis related to trastuzumab deruxtecan, a human epidermal growth factor receptor 2-targeting Ab-drug conjugate, in monkeys. *Cancer Sci.* 2020 Dec;111(12):4636-4645.
- [2]. Kotani D, et, al. Trastuzumab deruxtecan for the treatment of patients with HER2-positive gastric cancer. *Ther Adv Med Oncol.* 2021 Jan 7;13:1758835920986518.
- [3]. Ogitani Y, et, al. Bystander killing effect of DS-8201a, a novel anti-human epidermal growth factor receptor 2 antibody-drug conjugate, in tumors with human epidermal growth factor receptor 2 heterogeneity. *Cancer Sci.* 2016 Jul;107(7):1039-46.
- [4]. Iwata TN, et, al. [Fam-] trastuzumab deruxtecan (DS-8201a)-induced antitumor immunity is facilitated by the anti-CTLA-4 antibody in a mouse model. *PLoS One.* 2019 Oct 1;14(10):e0222280.
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