

Product Data Sheet

Product Name: Datopotamab deruxtecan

Cat. No.: GC73079

Chemical Properties

Cas. No. 2238831-60-0

Formula M.Wt 149000 (average)

Solubility Storage -80°C, protect from light, avoid freeze-thaw cycles.

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

Datopotamab deruxtecan

Protocol

Cell experiment [1]:

Cell lines Primary endometrial cancer cell lines END(K)254 (TROP2 3+), END(K)265 (TROP2 3+), END(K)34 (TROP2-negative), END(K)202 (TROP2-negative)

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

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Primary endometrial cancer cell lines were maintained in RPMI-1640 medium supplemented with L-glutamine, 10% fetal bovine serum (FBS), 1% penicillin/streptomycin, and 1% amphotericin at 37°C, 5% CO₂. Datopotamab deruxtecan serially diluted to 0.1–50µg/mL with culture medium before use. Cell were treated with Datopotamab deruxtecan.

Reaction
Conditions 0.1-50µg/mL; 72h

Applications Datopotamab deruxtecan exhibited significantly higher cytotoxicity against TROP2-positive primary endometrial cancer cell lines than CTL-ADC, with no significant difference in activity against TROP2-negative cell lines. Datopotamab deruxtecan treatment upregulated phosphorylated H2AX (γ-H2AX) expression and promoted double-strand DNA breaks in TROP2-positive endometrial cancer cells.

Animal experiment [2]:

Animal models 6- to 8-week-old female CAnN.Cg-Foxn1nu/CrlBltw (BALB/c nude) mice and NOD.Cg-Prkdcscidll2rgtm1Vst/Vst mice bearing TROP2-positive cell line-derived xenografts (CDX: BxPC-3 pancreatic cancer, NCI-N87 gastric cancer, NCI-H1975 non-small cell lung cancer, MDA-MB-231 triple-negative breast cancer, HCC827/ABCB1 P-gp-overexpressing non-small cell lung cancer, HCC827/ABCG2 BCRP-overexpressing non-small cell lung cancer)

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Preparation Method	Tumor-bearing mice were randomized into treatment groups when tumor volume reached 150–250mm³ for standard CDX models, 450–550mm³ for BxPC-3 large-tumor CDX model, or 150–200mm³ for PDX models. Datopotamab deruxtecan was delivered via single intravenous injection at preset doses, tumor volume and body weight were monitored twice weekly until study endpoint or humane euthanasia criterion was met.
Dosage form	1, 3, 10mg/kg; i.v.; single injection
Applications	Datopotamab deruxtecan inhibited the growth of TROP2-positive tumors and extended the survival of tumor-bearing mice.

References:

- [1] Varghese FS, Kaukinen P, Gläsker S, et al. Discovery of berberine, abamectin and ivermectin as antivirals against chikungunya and other alphaviruses. *Antiviral Res.* 2016 Feb;126:117-24.
- [2] Zhang X, Li J, Chen C, et al. Protective effect of abamectin on acute lung injury induced by lipopolysaccharide in mice. *Fundam Clin Pharmacol.* 2011 Dec;25(6):700-7.

Background

Datopotamab deruxtecan is a TROP2-targeting antibody-drug conjugate ($K_D=0.74\text{nM}$). Datopotamab deruxtecan binds to highly expressed TROP2 on the surface of tumor cells and undergoes internalization, where the linker is cleaved in lysosomes to release DXd. DXd stabilizes the topoisomerase I–DNA cleavage complex, causing DNA double-strand breaks, inhibiting replication, and inducing apoptosis, while also exerting a bystander effect to kill adjacent antigen-negative tumor cells. Datopotamab deruxtecan can be used in research related to HR-positive/HER2-negative breast cancer, EGFR-mutant non-small cell lung cancer, and triple-negative breast cancer^[1-4].

In vitro, Datopotamab deruxtecan (0.1–100nM) was applied to BxPC-3 pancreatic cancer cells, NCI-N87 gastric cancer cells, MCF-7 breast cancer cells, and DLD-1 colon cancer cells for 6 days. Datopotamab deruxtecan entered tumor cells, generated DNA damage, and inhibited cell

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proliferation^[5]. Datopotamab deruxtecan (0.1–50µg/mL) was used to treat primary endometrial cancer cell lines (including TROP2-positive and negative cells) for 72 hours. Datopotamab deruxtecan reduced the viability of endometrial cancer cells. Datopotamab deruxtecan increased intracellular phosphorylated H2AX levels and enhanced double-strand DNA breaks^[6].

In vivo, Datopotamab deruxtecan (1–10mg/kg; single intravenous infusion) was administered to mice bearing TROP2-positive cell line-derived xenograft models, including BxPC-3 pancreatic cancer, NCI-N87 gastric cancer, NCI-H1975 non-small cell lung cancer, and MDA-MB-231 triple-negative breast cancer. Datopotamab deruxtecan inhibited the growth of TROP2-positive tumors and prolonged the survival of tumor-bearing mice^[7]. Datopotamab deruxtecan (10mg/kg; single intravenous infusion) was given to mice bearing xenograft models derived from NCI-N87 gastric cancer, Calu-3/HCC827/NCI-H2170/EBC-1 TROP2-high-expressing non-small cell lung cancer, LK-2/Calu-6 TROP2-low-expressing non-small cell lung cancer cell lines, as well as patient-derived xenograft models CTG-0163/CTG-0838/CTG-1014 non-small cell lung cancer. Datopotamab deruxtecan inhibited the growth of TROP2-high-expressing xenograft tumors and induced upregulation of intratumoral γH2AX expression^[8].

References:

- [1] Siddique S, Ashraf Qureshi F. Kinetics of Avermectin B1b Production by Streptomyces avermitilis 41445 UV 45(m)3 in Shake Flask Culture. Iran J Biotechnol. 2020 Jul 1;18(3):e2356.
- [2] El-Marzoky AM, Ali MAMS, Elnahal ASM, et al. The Combination Effect of Purpureocillium lilacinum Strain (AUMC 10620) and Avermectin (B1a and B1b) on Control Citrus Nematode Tylenchulus semipenetrans (Cobb) Under Laboratory and Field Conditions. Biology (Basel). 2025 Jan 13;14(1):60.
- [3] Siddique S, Syed Q, Adnan A, et al. Production and Screening of High Yield Avermectin B1b Mutant of Streptomyces avermitilis 41445 Through Mutagenesis. Jundishapur J Microbiol. 2014 Feb;7(2):e8626.
- [4] Okajima D, Yasuda S, Maejima T, et al. Datopotamab Deruxtecan, a Novel TROP2-directed Antibody-drug Conjugate, Demonstrates Potent Antitumor Activity by Efficient Drug Delivery to Tumor Cells. Mol Cancer Ther. 2021 Dec;20(12):2329-2340.
- [5] Chang TY, Lin CJ, Wen SN, et al. Preclinical evaluation of a novel antibody-drug conjugate OBI-992 for Cancer therapy. Sci Rep. 2025 Mar 13;15(1):8735.
- [6] Santin NG, Sethi N, Bellone S, et al. Preclinical Activity of Datopotamab Deruxtecan (Dato-DXd), an Antibody-Drug Conjugate Targeting TROP2, in Poorly Differentiated Endometrial Carcinomas.

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Cancer Res Commun. 2025 Sep 1;5(9):1611-1620.

[7] Li WF, Chiang MF, Weng HC, et al. OBI-992, a Novel TROP2-Targeted Antibody-Drug Conjugate, Demonstrates Antitumor Activity in Multiple Cancer Models. Mol Cancer Ther. 2025 Feb 4;24(2):163-175.

[8] Okajima D, Yasuda S, Maejima T, et al. Datopotamab Deruxtecan, a Novel TROP2-directed Antibody-drug Conjugate, Demonstrates Potent Antitumor Activity by Efficient Drug Delivery to Tumor Cells. Mol Cancer Ther. 2021 Dec;20(12):2329-2340.

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