Drug Monograph

A - Drug Name

sacituzumab govitecan

COMMON TRADE NAME(S): Trodelvy®

back to top

B - Mechanism of Action and Pharmacokinetics

Sacituzumab govitecan is a Trop-2-directed antibody-drug conjugate (ADC). It is composed of a humanized antibody (sacituzumab) that is covalently attached by a linker (CL2A) to SN-38, a topoisomerase I inhibitor and an active metabolite of irinotecan. After binding to Trop-2-expressing cancer cells, the ADC is internalized. SN-38 is subsequently released via hydrolysis of the linker, leading to DNA damage, apoptosis, and cell death.

Metabolism	No metabolism studies with sacituzumab govitecan have been conducted. The antibody moiety is expected to be catabolized to amino acids. SN-38 is metabolized via UGT1A1.	
	Inactive metabolites	Yes
Elimination	Half-life	15 hours (sacituzumab govitecan); 20 hours (SN-38)
	Feces	Major route (for SN-38; based on irinotecan elimination)

back to top

C - Indications and Status

Health Canada Approvals:

- Breast cancer

Refer to the product monograph for a full list and details of approved indications.

back to top

D - Adverse Effects

Emetogenic Potential: Moderate (+ NK-1 RA)

Extravasation Potential: None

The following adverse events were reported in ≥ 5% of patients treated with sacituzumab govitecan in a Phase 3 study of patients with unresectable locally advanced or metastatic triple-negative breast cancer (mTNBC) who received at least two prior treatments. Other severe or life-threatening adverse effects may also be included.

ORGAN SITE	SIDE EFFECT* (%)	ONSET**
Cardiovascular	QT interval prolonged (5%)	E
Dermatological	Alopecia (47%)	D L
	Rash (12%)	E
Gastrointestinal	Abdominal pain (21%)	E
	Anorexia, weight loss (28%)	E
	Constipation (37%)	E
	Diarrhea (65%) (11% severe)	I E
	Mucositis (10%)	E
	Nausea, vomiting (62%) (3% severe)	I E
General	Edema - limbs (9%)	E
	Fatigue (52%) (4% severe)	E
Hematological	Myelosuppression ± infection, bleeding (64%) (52% severe)	E
Hepatobiliary	↑ LFTs (11%)	E

Hypersensitivity	Hypersensitivity (34%) (1% severe)	I E
	Infusion related reaction (<1%)	I
Metabolic / Endocrine	Abnormal electrolyte(s) (16%) (↓ K, ↓ Mg, ↓ PO4, ↓ Ca, ↓ Na)	E
	Hyperglycemia (7%)	E
Musculoskeletal	Musculoskeletal pain (16%)	E
Nervous System	Dizziness (10%)	E
	Dysgeusia (9%)	E
	Headache (18%)	I E
	Insomnia (11%)	E
Respiratory	Cough, dyspnea (24%)	E

* "*Incidence*" may refer to an absolute value or the higher value from a reported range.
"*Rare*" may refer to events with < 1% incidence, reported in post-marketing, phase 1 studies, isolated data or anecdotal reports.

** I = *immediate* (onset in hours to days) E = *early* (days to weeks)
D = *delayed* (weeks to months) L = *late* (months to years)

The most common side effects for sacituzumab govitecan include diarrhea, myelosuppression ± infection, nausea, vomiting, fatigue, alopecia, constipation, hypersensitivity, anorexia, weight loss, cough, dyspnea and abdominal pain.

Diarrhea may be severe with sacituzumab govitecan. This may be due to either early cholinergic syndrome or delayed SN-38-related diarrhea. Patients should be monitored, provided with fluid and electrolytes as required, and evaluated for infectious causes at the onset. If diarrhea is non-infectious, loperamide 4 mg should be initiated, followed by 2 mg with every episode of diarrhea (up to a maximum of 16 mg daily). Discontinue loperamide 12 hours after diarrhea resolves. Similar to irinotecan, patients with severe cholinergic symptoms (e.g. abdominal cramping, salivation, diarrhea) should receive appropriate premedication (e.g. atropine) for subsequent treatments.

Severe neutropenia, including fatal events, has been reported with sacituzumab govitecan. Grade 3-4 neutropenia occurred commonly in clinical trials (51% of patients); febrile neutropenia was reported in 6% of patients. Dose interruption and/or appropriate management including initiation of supportive treatment (e.g. G-CSF, anti-infectives) may be required (see Dosing section). Primary prophylaxis with G-CSF is recommended starting in the first cycle in patients at increased risk of febrile neutropenia, such as patients ≥ 65 years of age, those with previous neutropenia, poor performance status, organ dysfunction (including renal, liver, or cardiovascular dysfunction), or multiple comorbid conditions. Refer to the Febrile Neutropenia Guideline.

Patients with **known reduced UGT1A1 activity** (e.g. Gilbert's syndrome or homozygous for the UGT1A1*28 allele) may have an increased risk for neutropenia, febrile neutropenia, anemia, or other adverse effects and should be closely monitored. Acute early-onset or unusually severe adverse reactions may indicate reduced UGT1A1 enzyme activity.

back to top

E - Dosing

Refer to protocol by which the patient is being treated.

Screen for hepatitis B virus in all cancer patients starting systemic treatment. Refer to the hepatitis B virus screening and management guideline.

Patients with **known reduced UGT1A1 activity** (e.g. Gilbert's syndrome or homozygous for the UGT1A1*28 allele) may have an increased risk for neutropenia, febrile neutropenia, anemia, or other adverse effects and should be closely monitored. Acute early-onset or unusually severe adverse reactions may indicate reduced UGT1A1 enzyme activity. Hold or discontinue sacituzumab govitecan as clinically indicated.

Do **NOT** substitute sacituzumab govitecan for or use with other drugs containing irinotecan or its active metabolite, SN-38.

Pre-medications:

- **Prophylaxis for infusion reaction**
  - Administer antipyretics, H1 and H2 blockers prior to infusion.
- **Prophylaxis for cholinergic response**
  - Patients with excessive cholinergic response (e.g., abdominal cramping, diarrhea, salivation) can receive appropriate premedication (e.g., atropine) for subsequent treatments.

Other Supportive Care:

- Primary prophylaxis with G-CSF is recommended starting in the first cycle in patients at increased risk of febrile neutropenia. Refer to the Febrile Neutropenia Guideline.
- For non-infectious diarrhea, promptly initiate loperamide 4 mg, followed by 2 mg with every episode of diarrhea (up to a maximum of 16 mg daily). Discontinue loperamide 12 hours after diarrhea resolves.

Adults:

Intravenous: 10 mg/kg Days 1, 8; q21days

Dosage with Toxicity:

Dose Level	Sacituzumab Dose (mg/kg)
0	10
-1	7.5
-2	5
-3	Discontinue

Toxicity	Action
Grade 3 or 4 neutropenia, febrile neutropenia	Hold* until resolved. Treat febrile neutropenia as per institutional guidelines. Consider G-CSF prophylaxis for subsequent cycles. Resume at 1 dose level ↓ with each occurrence of febrile neutropenia or prolonged Grade 3-4 neutropenia. Discontinue if 3rd occurrence.
Grade 3 or 4 nausea / vomiting / diarrhea**	Hold* until resolved. Resume at 1 dose level ↓. Discontinue if 3rd occurrence.
Other Grade 3 or 4 toxicity (any duration despite optimal medical management)	Hold* until resolved. Resume at 1 dose level ↓. Discontinue if 3rd occurrence.

*Do not resume until ANC ≥ 1.5 x 10^9/L on **Day 1**, ANC ≥ 1 x 10^9/L on **Day 8**, platelets ≥ 75 x 10^9/L and other toxicities recover to ≤ Grade 1.
Discontinue sacituzumab govitecan if dose is held for > 3 weeks.

**Not controlled with supportive care (antiemetics or anti-diarrheals).

Management of Infusion-related reactions

Also refer to the CCO guideline for detailed description of Management of Cancer Medication-Related Infusion Reactions.

Grade	Management	Re-challenge
1 or 2	• Stop or slow the infusion rate. • Manage the symptoms. **Restart:** • Once symptoms have resolved, restart at slower rate.	• Consider rechallenge if appropriate. • Add a corticosteroid to other pre-medications.
3 or 4	• Stop treatment. • Aggressively manage symptoms.	• Discontinue permanently (do not re-challenge).

Dosage with Hepatic Impairment:

Sacituzumab govitecan exposure in patients with mild hepatic impairment is similar to patients with normal hepatic function.

Bilirubin		AST	Sacituzumab Dose
≤ ULN	and	> ULN	No dose adjustment required.
>1 to 1.5 x ULN	and	Any	
>1.5 to 3.0 × ULN	and	Any	Not studied.
> 3 x ULN	and	Any	Avoid; not studied.

Dosage with Renal Impairment:

Renal elimination contributes minimally to the excretion of SN-38. There is no data on the use of sacituzumab govitecan in patients with severe renal impairment (CrCl 15-29 mL/min), or end-stage renal disease (CrCl < 15 mL/min).

Dosage in the elderly:

No dose adjustment is required in patients ≥ 65 years.

Safety and efficacy were similar between patients ≥ 65 years and younger patients with mTNBC.

In patients with HR-positive/HER2-negative breast cancer, there were higher rates of serious adverse reactions and discontinuation in patients ≥ 65 years compared to younger patients.

back to top

F - Administration Guidelines

Do **NOT** substitute sacituzumab govitecan for or use with other drugs containing irinotecan or its active metabolite, SN-38.

- After reconstitution, dilute in an infusion bag with NS to a concentration of 1.1 to 3.4 mg/mL.
- The infusion bag must be made of polyvinyl chloride, polyolefin (polypropylene and/or polyethylene) or ethylene vinyl acetate to minimize foaming.
- Do not shake. Protect the infusion bag from light.
- Administer as an IV infusion only. Do NOT administer as an IV push or bolus.
- Infuse over 3 hours for the first infusion. If well tolerated, infuse over 1-2 hours for subsequent infusions.
- Observe patients during and for at least 30 minutes after each infusion.
- Do not mix or infuse with other agents.
- Flush IV line with 20 mL of NS at the end of infusion.
- Store unopened vials between 2°C to 8°C in the original carton. Protect from light. Do not freeze.

back to top

G - Special Precautions

Contraindications:

- Patients who are hypersensitive to this drug or any of its components

Other Drug Properties:

- Carcinogenicity: Unknown

Pregnancy and Lactation:

- Mutagenicity: Unknown
- Clastogenicity: Yes
- Genotoxicity: Yes
- Embryotoxicity: Probable
- Teratogenicity: Probable
- Pregnancy:

  Sacituzumab govitecan is not recommended for use in pregnancy.

  - Adequate contraception should be used by patients who can become pregnant and their partners during treatment, and for at least **6 months** after the last dose.

  - Adequate contraception should be used by patients who produce sperm and their partners during treatment, and for at least **3 months** after the last dose.

- Breastfeeding:
  Breastfeeding is not recommended during treatment and for **1 month** after the last dose.

- Fertility effects: Probable
  Documented in studies with female animals

back to top

H - Interactions

No formal drug interaction studies were conducted with sacituzumab govitecan.

SN-38 (the small molecule moiety of sacituzumab govitecan) is primarily metabolized via UGT1A1. Inhibitors or inducers of CYP3A are not anticipated to impact SN-38 exposure.

AGENT	EFFECT	MECHANISM	MANAGEMENT
UGT1A1 inhibitors (e.g., propofol, ketoconazole, EGFR tyrosine kinase inhibitors)	↑ SN-38 toxicity (theoretical)	↓ metabolism of SN-38	Avoid concomitant use.
UGT1A1 inducers (e.g., carbamazepine, phenytoin, rifampicin, protease inhibitors)	↓ SN-38 effect (theoretical)	↑ metabolism of SN-38	Avoid concomitant use.

back to top

I - Recommended Clinical Monitoring

Treating physicians may decide to monitor more or less frequently for individual patients but should always consider recommendations from the product monograph.

Refer to the hepatitis B virus screening and management guideline for monitoring during and after treatment.

Closely monitor for toxicity in patients with **known reduced UGT1A1 activity**, or in patients with acute early-onset or unusually severe adverse reactions that may indicate reduced UGT1A1 enzyme activity.

Recommended Clinical Monitoring

Monitor Type	Monitor Frequency
CBC	Baseline and before each dose
Liver function tests	Baseline and as clinically indicated
Renal function tests	Baseline and as clinically indicated
Electrolytes	Baseline and as clinically indicated (especially in patients with diarrhea)
Clinical toxicity assessment for infection, infusion reaction, diarrhea and other GI effects	At each visit

Grade toxicity using the current NCI-CTCAE (Common Terminology Criteria for Adverse Events) version

back to top

J - Supplementary Public Funding

New Drug Funding Program (NDFP Website)

- Sacituzumab Govitecan - Unresectable Locally Advanced or Metastatic Triple Negative Breast Cancer
- Sacituzumab Govitecan - HR-positive HER2-negative Unresectable Locally Advanced or Metastatic Breast Cancer

back to top

K - References

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European Medicines Agency. Assessment report: Trodelvy. 14 October 2021.

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Prescribing information: Trodelvy® (sacituzumab govitecan-hziy). Gilead Sciences, Inc. February 2023.

Product monograph: Trodelvy® (sacituzumab govitecan). Gilead Sciences Canada, Inc. May 14, 2025.

Rugo HS, Bardia A, Marmé F, et al. Overall survival with sacituzumab govitecan in hormone receptor-positive and human epidermal growth factor receptor 2-negative metastatic breast cancer (TROPiCS-02): a randomised, open-label, multicentre, phase 3 trial. Lancet. 2023 Oct 21;402(10411):1423-1433. doi: 10.1016/S0140-6736(23)01245-X.

Rugo HS, Bardia A, Marmé F, et al. Sacituzumab govitecan in hormone receptor-positive/human epidermal growth factor receptor 2-negative metastatic breast cancer. J Clin Oncol. 2022 Oct 10;40(29):3365-3376. doi: 10.1200/JCO.22.01002.

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Summary of Product Characteristics: Trodelvy 180 mg powder for concentrate for solution for infusion. Gilead Sciences Ltd. 1 July 2022.

July 2025 Updated Adverse effects, Dosing, and Interactions sections

back to top

L - Disclaimer

Refer to the New Drug Funding Program or Ontario Public Drug Programs websites for the most up-to-date public funding information.

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back to top