Drug Monograph

Drug Name | Mechanism of Action and Pharmacokinetics | Indications and Status | Adverse Effects | Dosing | Administration Guidelines | Special Precautions | Interactions | Recommended Clinical Monitoring | Supplementary Public Funding | References | Disclaimer

A - Drug Name

asciminib

COMMON TRADE NAME(S): Scemblix™

back to top

B - Mechanism of Action and Pharmacokinetics

Asciminib is a BCR-ABL1 tyrosine kinase inhibitor. It specifically targets the ABL myristoyl pocket at a location distinct from the ATP-binding domain, and inhibits the activity of both wild-type BCR-ABL and certain mutation forms. This results in inhibition of BCR-ABL1-mediated cell proliferation and enhanced apoptosis of Philadelphia chromosome positive (Ph+) blood cancers.

Absorption	T max	2 to 3 hours
	Time to reach steady state	3 days
	Effects with food	Exposure is decreased by 62% with a high-fat meal and by 30% with a low-fat meal compared to the fasted state.
Distribution	Mainly distributed to plasma.	
	PPB	97%
Metabolism	Metabolized by CYP3A4 oxidation, and UGT2B7- and UGT2B17-mediated glucuronidation.	
Elimination	Feces	80% (57% unchanged)

Urine	11% (3% unchanged)
Half-life	7-15 hours (terminal)

back to top

C - Indications and Status

Health Canada Approvals:

- Chronic myeloid leukemia (Ph+ CML) in chronic phase

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

back to top

D - Adverse Effects

Emetogenic Potential: Minimal

The following table lists adverse effects that occurred in ≥ 10% of patients who received asciminib in a phase III clinical trial in chronic phase Ph+ CML. Severe or life-threatening adverse effects from other sources or post-marketing are also included.

ORGAN SITE	SIDE EFFECT* (%)	ONSET**
Cardiovascular	Arrhythmia (7%) (severe 2%)	E
	Arterial thromboembolism (3%)	E
	Cardiotoxicity (4%) (severe 3%)	E D
	Hypertension (15%)	E
	QT interval prolonged (1%)	E
Dermatological	Rash (15%)	E
Gastrointestinal	Abdominal pain (13%)	E
	Diarrhea (13%)	E
	Nausea (12%)	E
General	Fatigue (21%)	E

Hematological	Myelosuppression ± infection, bleeding (28%) (severe 19%) (including viral reactivation)	
Hepatobiliary	↑ Amylase / lipase (23%) (severe 13%)	E
	Pancreatitis (3%) (1% grade 3)	E
Hypersensitivity	Hypersensitivity (33%) (severe 2%)	I
Metabolic / Endocrine	Hypothyroidism (1%)	E D
	Other - Dyslipidemia (6%)	E D
Musculoskeletal	Musculoskeletal pain (22%)	E
Nervous System	Headache (19%)	E
Respiratory	Cough, dyspnea (9%)	E
	Pleural effusion (1%)	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 asciminib include hypersensitivity, myelosuppression ± infection, bleeding, ↑ amylase / lipase, musculoskeletal pain, fatigue, headache, hypertension, rash, diarrhea and nausea.

In patients with severe hypertension, the median time to first occurrence was 29 weeks (range: 0.1 to 365 weeks).

Myelosuppression was very common. In patients with severe myelosuppression, the median onset of thrombocytopenia and neutropenia was 6 weeks (range: 0.1 to 180 weeks), and 30 weeks (range: 0.4 to 207 weeks) for anemia.

back to top

E - Dosing

Refer to protocol by which patient is being treated.

Correct electrolyte imbalances before starting treatment.

Adults:

Oral: 80 mg Daily

OR

Oral: 40 mg BID

Dosage with Toxicity:

Dose Levels	Once-daily Dosing	Twice-daily Dosing
0	80 mg Daily	40 mg BID
-1	40 mg Daily	20 mg BID
-2	Discontinue	Discontinue

Toxicity	Severity	Action
Thrombocytopenia and/or neutropenia	Platelets < 50 x 10^9/L and/or ANC < 1 x 10^9/L.	Hold until platelets ≥ 50 x 10^9/L and ANC ≥ 1 x 10^9/L. If resolved: • within 2 weeks: restart at the same dose • after more than 2 weeks: restart at reduced dose. If recurrent, hold until platelets ≥ 50 x 10^9/L and ANC ≥ 1 x 10^9/L and restart at reduced dose.
Asymptomatic amylase and/or lipase elevation	> 2 x ULN	Hold until resolved to < 1.5 x ULN. If resolved, restart at reduced dose.

		Discontinue if it does not resolve. Investigate for pancreatitis. Discontinue at recurrence.
Symptomatic amylase and/or lipase elevation	Any	Hold and investigate for pancreatitis.
Hypersensitivity	Grade 3 or 4	Hold, then reduce dose or discontinue as clinically indicated
Other related non-hematologic toxicities	Grade 3 or 4	Hold until ≤ grade 1. If resolved, restart at a reduced dose. Discontinue if it does not resolve.

Dosage with Hepatic Impairment:

No dose adjustment required.

Dosage with Renal Impairment:

No dose adjustment required in patients with mild, moderate or severe renal impairment not requiring dialysis (absolute GFR ≥ 15 mL/min).

Asciminib has not been studied in patients with end stage renal disease requiring dialysis.

Dosage in the elderly:

No dose adjustment required in patients ≥ 65 years of age. No overall differences in safety or efficacy were observed between patients ≥ 65 years of age and younger patients. There is insufficient data in patients ≥ 75 years.

Dosage based on gender:

Gender does not have a clinically significant effect on asciminib pharmacokinetics.

Dosage based on ethnicity:

Race does not have a clinically significant effect on asciminib pharmacokinetics.

Children:

Safety and efficacy have not been established.

back to top

F - Administration Guidelines

- Asciminib should be taken orally on an empty stomach, at least 1 hour before or 2 hours after food, at around the same time(s) each day.
- If administered as twice daily, the doses should be given approximately 12 hours apart.
- When switching from 40 mg BID to 80 mg once daily, patients should start taking the first once-daily dose about 12 hours after the last twice-daily dose. Then continue at 80 mg once daily.
- When switching from 80 mg once daily to 40 mg BID, patients should start taking the first twice-daily dose about 24 hours after the last once-daily dose. Then continue at 40 mg twice daily.
- If a dose is missed:
  - Once-daily regimen: if a dose is missed by > 12 hours, the missed dose should be skipped and the next dose taken as scheduled.
  - Twice-daily regimen: if a dose is missed by > 6 hours, the missed dose should be skipped and the next dose taken as scheduled.
- Store in original package (20ºC to 25ºC) to protect from moisture.

back to top

G - Special Precautions

Contraindications:

- Patients who have a hypersensitivity to this drug or any of its components

Other Warnings/Precautions:

- Asciminib contains lactose; carefully consider use in patients with hereditary galactose intolerance, severe lactase deficiency or glucose-galactose malabsorption.
- Cardiotoxicity occurred in patients with pre-existing cardiovascular conditions or risk factors, and/or previous exposure to multiple TKIs.

Other Drug Properties:

- Carcinogenicity: Unknown
  Tumours observed in animals; relevance in humans unknown.
- Phototoxicity: Documented in animals

Pregnancy and Lactation:

- Embryotoxicity: Documented in animals
- Fetotoxicity: Documented in animals
- Abortifacient effects: Yes
- Teratogenicity: Yes
- Pregnancy:
  Asciminib is not recommended for use in pregnancy. Adequate contraception should be used by patients and their partners during treatment, and for at least **1 week** after the last dose.
- Breastfeeding:
  Breastfeeding is not recommended during treatment and for at least **1 week** after the last dose.
- Fertility effects: Probable
  Documented in animal studies

back to top

H - Interactions

In vitro, asciminib is a substrate of BCRP and P-gp. It is an inhibitor of BCRP, P-gp, OATP1B1, and OATP1B3.

Co-administration of a strong CYP3A4 inhibitor, proton pump inhibitor, or P-gp inhibitor with asciminib had no clinically significant effects on asciminib exposure or Cmax.

AGENT	EFFECT	MECHANISM	MANAGEMENT
Combined OATP1B and BCRP substrates (e.g. atorvastatin, rosuvastatin)	↑ substrate exposure	Possible inhibition by asciminib (in vitro)	Avoid
Hydroxypropyl-β-cyclodextrin-containing drug formulations (e.g. itraconazole)	↓ asciminib exposure by 40%	Possible sequestration of asciminib by hydroxypropyl-β-cyclodextrin	Avoid
Drugs that may prolong QT (i.e. clarithromycin, haloperidol, methadone, moxifloxacin or pimozide, etc.)	↑ risk of QT prolongation and torsades de pointes; arrhythmia reported in clinical trials	Additive	Caution
CYP3A4 substrates (e.g. cyclosporine, pimozide, tacrolimus, triazolo-benzodiazepines, dihydropyridine calcium-channel blockers, certain HMG-CoA reductase inhibitors)	↑ substrate exposure by up to 28%	Asciminib inhibits CYP3A4	Caution with concomitant use of substrates with a narrow therapeutic index
Strong CYP3A4 inducers (e.g., rifampin, carbamazepine, phenobarbital, phenytoin or St.	↓ asciminib exposure by 15% (rifampin)	↑ metabolism of asciminib	Caution

John's wort)			
CYP 2C9 substrates (e.g. warfarin, meloxicam, fluvastatin)	↑ substrate exposure by up to 52%	Asciminib inhibits CYP2C9	Caution with concomitant use of substrates with a narrow therapeutic index. Consider dose adjustment of CYP2C9 substrates.
P-glycoprotein substrates (i.e. verapamil, digoxin, dabigatran)	↑ substrate exposure (up to 34%)	Asciminib inhibits P-gp	Caution with concomitant use of substrates with a narrow therapeutic index
BCRP substrates (e.g. sulfasalazine, methotrexate)	↑ substrate exposure	Possible inhibition by asciminib (in vitro)	Caution; adjust substrate dose as recommended by its product monograph
OATP1B substrates (e.g. pravastatin, simvastatin)	↑ substrate exposure	Possible inhibition by asciminib (in vitro)	Caution; adjust substrate dose as recommended by its product monograph

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.

Recommended Clinical Monitoring

Monitor Type	Monitor Frequency
CBC	Baseline, every 2 weeks for the first 3 months, then monthly thereafter, and as clinically indicated
Amylase and lipase	Baseline, monthly, and as clinically indicated, more frequent monitoring in patients with a history of pancreatitis
Electrolytes	Baseline, at each visit, and as clinically indicated
Blood pressure	Baseline, at each visit, and as clinically indicated
ECG	Baseline and as clinically indicated
Liver function tests	Baseline and as clinically indicated
Renal function tests	Baseline and as clinically indicated
Clinical toxicity assessment for fatigue, bleeding, infection, musculoskeletal pain, hypersensitivity, thromboembolism, cardiac and 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

Exceptional Access Program (EAP Website)

- asciminib - For the treatment of Philadelphia chromosome-positive chronic myeloid leukemia in chronic phase, based on criteria

back to top

K - References

Hoch M, Huth F, Sato M, et al. Pharmacokinetics of asciminib in the presence of CYP3A or P-gp inhibitors, CYP3A inducers, and acid-reducing agents. Clin Transl Sci 2022 Jul;15(7):1698-712.

Product monograph: asciminib (Scemblix). Novartis Pharmaceuticals Canada Inc., July 2024.

Prescribing information: asciminib (Scemblix). Novartis Pharmaceuticals Corp. (USA), November 2023.

Rea D, Mauro MJ, Boquimpani C, et al. A phase 3, open-label, randomized study of asciminib, a STAMP inhibitor, vs bosutinib in CML after 2 or more prior TKIs. Blood Nov 2021; 138(21): 2031-41.

July 2025 New drug monograph

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