DRUG NAME: Inavolisib

SYNONYM(S): GDC 0077[1], RG 6114[2], RO 7113755[2]

COMMON TRADE NAME(S): ITOVEBI®

CLASSIFICATION: molecular targeted therapy

Special pediatric considerations are noted when applicable, otherwise adult provisions apply.

MECHANISM OF ACTION:

Inavolisib is an orally administered, phosphatidylinositol 3-kinase alpha (PI3K) inhibitor with selective activity against the catalytic subunit, p110α. Inavolisib induces degradation of mutated p110α, inhibits downstream phosphorylation, preventing cell proliferation and inducing apoptosis. *In vitro*, inavolisib has demonstrated antitumor activity against cancer cell lines with genetic alterations in PIK3CA.[2,3]

PHARMACOKINETICS:

Oral Absorption	T_{max} = 3 h; bioavailability = 76%; food has no clinically meaningful effect on pharmacokinetics of inavolisib	
Distribution	low protein binding; does not appear to be concentration-dependent	
	cross blood brain barrier?	no[4]
	volume of distribution	115 L
	plasma protein binding	37%
Metabolism	primarily metabolized by hydrolysis to carboxylic acid, with minimal metabolism by CYP3A[2]	
	active metabolite(s)	no information found
	inactive metabolite(s)	no information found
Excretion	primary eliminated by feces	
	urine	23-35%[4]
	feces	57-64%[4]
	terminal half life	15 h
	clearance	8.8 L/h
Elderly	no clinically significant difference	
Ethnicity	no clinically significant difference	

Adapted from standard reference[3] unless specified otherwise.

USES:

Primary uses:

*Breast cancer

Other uses:

*Health Canada approved indication

This document may not be reproduced in any form without the express written permission of BC Cancer Provincial Pharmacy.
Developed: 1 November 2026
Revised:

SPECIAL PRECAUTIONS:

Caution:
- severe ***hyperglycemia***, including fatal cases of ketoacidosis, has been reported with inavolisib; ensure blood glucose is optimized prior to initiation of inavolisib for all patients [3]
- consider metformin for hyperglycemia prophylaxis in patients at ***high risk of hyperglycemia*** (e.g., prediabetes, HbA1C ≥5.7%, BMI ≥30 kg/m^2, history of gestational diabetes, or family history of diabetes mellitus) [5]
- ***reduced starting dose*** is recommended in patients with moderate to severe ***renal impairment*** [6,7]

Carcinogenicity: Carcinogenicity studies have not been conducted.[3]

Mutagenicity: Not mutagenic in Ames test. Inavolisib was clastogenic in mammalian *in vitro* chromosome test; however, inavolisib was not genotoxic and did not induce DNA break in other mammalian *in vivo* chromosome tests.[3,6]

Fertility: In animal studies, adverse effects on both male and female reproductive organs were observed. In male rats, dose-dependent atrophy of the prostate and seminal vesicle and decreased organ weights of epididymis and testis were observed at exposures ≥0.4 times the expected human exposure at the clinical dose. Microscopic correlates in the epididymis and testis were not seen. In male dogs, focal inspissation of seminiferous tubule contents, multinucleated spermatids in the testis, and epithelial degeneration/necrosis in the epididymis were observed at exposure ≥2 times the expected human exposure at the clinical dose. Multinucleated spermatids in the testis persisted through the 4-week recovery period. However, in an additional study in dogs, no microscopic findings in testis or epididymis were observed, and there were no effects on sperm concentration, motility or morphology at similar exposures. In female rats, atrophy in the uterus and vagina, decreased ovarian follicles, interruption and alteration of the estrus cycle were observed at exposures ≥ 1.1 times the expected human exposure at the clinical dose.[3]

Pregnancy: In animal studies, a dose dependent effect on embryo-fetal development was observed at exposures ≥0.8 times the expected human exposure at the clinical dose. Observed effects included decreased fetal body weight, decreased placenta weight, post-implantation loss, lower fetal viability, and teratogenicity (external, visceral, and skeletal malformations). It is unknown whether inavolisib is present in human semen. Pregnancy tests are recommended prior to starting treatment with inavolisib. Contraception is recommended during treatment and for at least 1 week after the last dose of inavolisib for female patients of reproductive potential and male patients with female partners of childbearing potential.[3,6]

Breastfeeding is not recommended due to the potential secretion into breast milk. Women should not breastfeed during treatment and for 1 week after the last dose of inavolisib.[3]

SIDE EFFECTS:

The table includes adverse events that presented during drug treatment but may not necessarily have a causal relationship with the drug. Because clinical trials are conducted under very specific conditions, the adverse event rates observed may not reflect the rates observed in clinical practice. Adverse events are generally included if they were reported in more than 1% of patients in the product monograph or pivotal trials, and/or determined to be clinically important. When placebo-controlled trials are available, adverse events will generally be included if the incidence is >5% higher in the treatment group.[8,9]
Incidence data in the Side Effects table are based on combination regimen with palbociclib and fulvestrant.[3]

ORGAN SITE	SIDE EFFECT
Clinically important side effects are in ***bold, italics***	
	anemia (37%, severe 6%)

This document may not be reproduced in any form without the express written permission of BC Cancer Provincial Pharmacy.
Developed: 1 November 2026
Revised:

ORGAN SITE	SIDE EFFECT
Clinically important side effects are in ***bold, italics***	
blood and lymphatic system/ febrile neutropenia	thrombocytopenia (48%, severe 14%)
eye	blurred vision (5%)[10]
	dry eye (9%)
gastrointestinal	*emetogenic potential:* low[11]
	abdominal pain (15%, severe 1%)
	diarrhea (48%, severe 4%); see paragraph following **Side Effects** table
	dyspepsia (8%)
	nausea (28%, severe 1%)
	stomatitis (51%, severe 6%)
	vomiting (15%, severe 1%)
general disorders and administration site conditions	fatigue (38%, severe 2%)
infections and infestations	COVID-19 (23%, severe 2%)
	urinary tract infection (13%, severe 1%)
investigations	ALT increase (17%, severe 4%)
	albumin decrease (25%, severe <1%)
	blood insulin increase (6%)
	creatinine increase (38%, severe 2%)
	fasting glucose decrease (6%)
	fasting glucose increase (85%, severe 12%); see paragraph following **Side Effects** table
	fasting lipase increase (16%, severe 1%)
metabolism and nutrition	decreased appetite (24%)
	hyperglycemia (60%, severe 6%); see paragraph following **Side Effects** table
	hypocalcemia (9%, severe 1%)
	hypokalemia (16%, severe 3%)
	hypomagnesemia (27%, severe <1%)
	hyponatremia (28%, severe 3%)
	weight loss (17%, severe 4%)
nervous system	dysgeusia (9%)
	headache (21%)
renal and urinary	acute kidney injury
skin and subcutaneous tissue	alopecia (19%)
	dry skin (13%)

This document may not be reproduced in any form without the express written permission of BC Cancer Provincial Pharmacy.
Developed: 1 November 2026
Revised:

ORGAN SITE	SIDE EFFECT
Clinically important side effects are in ***bold, italics***	
	rash (25%); includes dermatitis and folliculitis

Adapted from standard reference[3,5] unless specified otherwise.

Severe ***hyperglycemia***, including fatal cases of ***diabetic ketoacidosis***, has been reported in patients receiving inavolisib.[3] Hyperglycemia is an on-target toxicity associated with inhibition of PI3K pathway.[12] Median time to onset of hyperglycemia is 7 days (range 2 to 955 days). Baseline fasting blood glucose and HbA1C testing is recommended in all patients to optimize blood glucose levels before starting inavolisib. Monitor fasting blood glucose and HbA1C at regular intervals during treatment and assess other metabolic parameters (e.g., blood ketones) as indicated. In patients at high risk of hyperglycemia (e.g., prediabetes, HbA1C ≥5.7%, BMI ≥30 kg/m^2, history of gestational diabetes, or family history of diabetes mellitus), metformin may be considered to reduce the risk of hyperglycemia. Management of hyperglycemia may include initiation or intensification of oral anti-diabetic agents (e.g., metformin). For severe hyperglycemia, short-term insulin may be added. Endocrinology consult may be required. Based on severity of hyperglycemia, inavolisib treatment interruption, dose reduction, or permanent discontinuation may be required.[3]

Diarrhea is common with inavolisib and can be severe. Serious complications of diarrhea such as dehydration and acute kidney injury have been reported. Median time to onset for diarrhea is 15 days (range 2-602 days). Patients are advised to start anti-diarrheal treatment (e.g., loperamide) at the first sign of diarrhea and increase oral fluids. Depending on the severity, inavolisib treatment interruption, dose reduction, or permanent discontinuation may be required to manage diarrhea.[3]

Stomatitis is frequently reported with inavolisib and can be severe. Median time to onset of stomatitis is 13 days (range 1 to 610 days). Corticosteroid mouthwash (e.g., dexamethasone) is recommended for prophylaxis and management of stomatitis. Avoid mouthwashes containing alcohol or peroxide as they may worsen symptoms. Inavolisib treatment interruption, dose reduction, and/or permanent discontinuation may be required depending on the severity.[3,5]

INTERACTIONS:

AGENT	EFFECT	MECHANISM	MANAGEMENT
proton pump inhibitors[6]	no clinically meaningful changes in inavolisib AUC	pH-dependent solubility of inavolisib	no adjustment required

Inavolisib is not primarily metabolized by CYP enzymes; clinically relevant interactions with CYP inhibitors/inducers are unlikely.[3]

In vitro, inavolisib is a time-dependent inhibitor and inducer of CYP3A, and an inducer of CYP2B6. It is also a substrate of P-gp and BCRP. Clinical significance is unknown. [3]

SUPPLY AND STORAGE:

Oral: Hoffmann-La Roche Limited supplies inavolisib as 3 mg and 9 mg film-coated tablets. Store at room temperature***.*** [3]

Additional information: Inavolisib tablets are packaged in blister cards containing 7 tablets per card. Each carton contains 4 blister cards (equivalent to 4 weeks supply when dispensing usual dose without dose modification).

This document may not be reproduced in any form without the express written permission of BC Cancer Provincial Pharmacy.
Developed: 1 November 2026
Revised:

DOSAGE GUIDELINES:

Refer to protocol by which patient is being treated.

***Adults*:**

BC Cancer usual dose noted in ***bold, italics***

Oral[3,12,13]*:*	***9 mg*** (range 3-9 mg) ***PO once daily*** Administer with food or on an empty stomach, approximately the same time each day.
Concurrent radiation:	no information found
Dosage in renal failure[5]*:*	eGFR ≥60 mL/min: no dose adjustment required eGFR 30 to <60 mL/min: reduce starting dose to 6 mg once daily eGFR <30 mL/min: reduce starting dose to 3 mg once daily
Dosage in hepatic failure:	mild impairment (bilirubin ≤1.5xULN): no dose adjustment required[3,6] moderate to severe impairment (bilirubin >1.5xULN): no information found
Dosage in dialysis:	no information found

***Children*:** safety and efficacy have not been established

REFERENCES:

1. Lexi-Drugs® (database on the Internet). Inavolisib. UpToDate® Lexidrug®; Accessed May 20, 2026. Updated November 11, 2025. Available at: http://online.lexi.com
2. Blair HA. Inavolisib: First Approval: Inavolisib: First Approval. Drugs ; 2025;85(2):271–278
3. Hoffmann-La Roche Limited. ITOVEBI® product monograph. Mississauga, Ontario; October 1, 2025.
4. European Medicines Agency. Committe for Medicinal Products for Human Use (CHMP). Assessment Report - ITOVEBI (inavolisib). Amsterdam, The Netherlands; May 22, 2025. http://www.ema.europa.eu/ema/
5. Hoffmann-La Roche Limited. ITOVEBI® product monograph. Mississauga, Ontario; July 16, 2026.
6. Genentech USA Inc. ITOVEBI® full prescribing information. San Francisco, CA, USA; April 15, 2026.
7. Roche Registration GmbH. ITOVEBI® Summary of Product Characteristics. Grenzach-Wyhlen, Germany; May 8, 2026.
8. Nathalie Levasseur MD. Medical oncologist, BC Cancer Breast Tumour Group. Personal Communication. June 25, 2026.
9. Jelena Mucovic, Tumour Group Pharmacist. Provincial Pharmacy. Personal Communication. August 18, 2026.
10. Jhaveri KL, Im S, Saura C, et al. Overall Survival with Inavolisib in PIK3CA-Mutated Advanced Breast Cancer. N.Engl.J.Med. ; 2025;393(2):151–161
11. BC Cancer Supportive Care Tumour Group. (SCNAUSEA) BC Cancer Guidelines for Prevention and Treatment of Chemotherapy-Induced Nausea and Vomiting in Adults. Vancouver, British Columbia: BC Cancer; September 1 , 2022.
12. Turner NC, Im S, Saura C, et al. Inavolisib-Based Therapy in PIK3CA-Mutated Advanced Breast Cancer. N.Engl.J.Med. ; 2024;391(17):1584–1596
13. BC Cancer Breast Tumour Group. (UBRAVIPBFL) BC Cancer Protocol Summary for Therapy of Advanced Breast Cancer using Inavolisib, Palbociclib and Fulvestrant With or Without LHRH Agonist. Vancouver, British Columbia: BC Cancer; November 1 , 2026.

This document may not be reproduced in any form without the express written permission of BC Cancer Provincial Pharmacy.
Developed: 1 November 2026
Revised: