Regimen Monograph

Regimen Name | Drug Regimen | Cycle Frequency | Premedication and Supportive Measures | Dose Modifications | Adverse Effects | Interactions | Drug Administration and Special Precautions | Recommended Clinical Monitoring | Administrative Information | References | Other Notes | Disclaimer

A - Regimen Name

RELU Regimen

Relugolix

Disease Site
Genitourinary
Prostate

Intent
Palliative

Regimen Category
Evidence-Informed :

Regimen is considered appropriate as part of the standard care of patients; meaningfully improves outcomes (survival, quality of life), tolerability or costs compared to alternatives (recommended by the Disease Site Team and national consensus body e.g. pan-Canadian Oncology Drug Review, pCODR). Recommendation is based on an appropriately conducted phase III clinical trial relevant to the Canadian context OR (where phase III trials are not feasible) an appropriately sized phase II trial. Regimens where one or more drugs are not approved by Health Canada for any indication will be identified under Rationale and Use.

Rationale and Uses
Treatment of advanced prostate cancer in patients who are not candidates for chemotherapy or surgical therapy soon after initiating androgen deprivation therapy (ADT).

Supplementary Public Funding
relugolix
ODB - General Benefit (relugolix) (ODB Formulary)

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B - Drug Regimen

relugolix	360 mg	PO loading dose	Day 1
THEN,			
relugolix	120 mg	PO	Daily

If relugolix is interrupted for >7 days, restart treatment with a loading dose of 360 mg on day 1, followed by 120 mg daily thereafter.

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C - Cycle Frequency

CONTINUOUS TREATMENT

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D - Premedication and Supportive Measures

Antiemetic Regimen: Not applicable

- Also refer to CCO Antiemetic Recommendations.

Other Supportive Care:

- Coadministration with an anti-androgen is not necessary since relugolix does not cause a testosterone surge and the associated clinical flare

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E - Dose Modifications

Doses should be modified according to the protocol by which the patient is being treated.

Dosage with toxicity

There are no dose reductions for relugolix. Doses may be held for up to 10 days for evaluation and treatment of adverse effects, if required. (Shore et al)

If doses are held for >7 days, restart with a loading dose as outlined in the Drug Regimen section.

Hepatic Impairment

Hepatic Impairment	Relugolix Dose
Mild (Child-Pugh A)	No dose adjustment required.
Moderate (Child-Pugh B)	
Severe (Child-Pugh C)	No data available.

Renal Impairment

Creatinine Clearance (mL/min)	Relugolix Dose
≥ 15	No dose adjustment required.
< 15 Or end-stage renal disease, with or without hemodialysis	No data available.

Dosage in the Elderly

No dose adjustment in patients ≥ 65 years of age is required. There were no overall differences in safety or effectiveness of relugolix when compared to younger patients. Age had no clinically relevant impact on the pharmacokinetics of relugolix or testosterone response in patients aged 45 to 91 years.

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F - Adverse Effects

Refer to relugolix drug monograph(s) for additional details of adverse effects.

Very common (≥ 50%)	Common (25-49%)	Less common (10-24%)	Uncommon (< 10%), but may be severe or life-threatening
• Hot flashes	• Musculoskeletal pain • Fatigue	• Constipation • Diarrhea	• QT interval prolonged • Arrhythmia • Arterial thromboembolism • Heart failure • Fracture • Hemorrhage • Acute kidney injury • Angioedema

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G - Interactions

Refer to relugolix drug monograph(s) for additional details.

- Avoid co-administration with P-glycoprotein inhibitors due to increased exposure and/or toxicity of relugolix. If co-administration is required, give relugolix first and separate dosing by at least 6 hours; monitor closely for toxicity. Or hold relugolix for up to 2 weeks if a short course of treatment with a P-gp inhibitor is required. If doses are held for >7 days, restart with a loading dose as outlined in the Drug Regimen section.
- Avoid coadministration with combined P-gp and strong CYP3A inducers due to potential decreased concentration and/or efficacy of relugolix. If co-administration is required, ↑ relugolix dose to 240 mg daily. Resume the 120 mg daily dose after discontinuation of the combined P-gp and strong CYP3A inducer.

- Avoid co-administration with QT-prolonging agents due to the additive effect of QT prolonging medications.
- Use caution with concomitant medications that can affect electrolyte levels due to increased risks of QT interval prolongation.
- Relugolix suppresses the pituitary gonadal system, which may affect diagnostic tests results of pituitary gonadotropic and gonadal functions conducted during and after treatment.

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H - Drug Administration and Special Precautions

Administration

- Administer relugolix with or without food.
- Tablets should be swallowed whole and not crushed or chewed.
- If a dose is missed, administer the dose as soon as possible within 12 hours of missed dose. If the dose is missed by more than 12 hours, skip the dose and administer the next dose at the next planned time. Do not give extra tablets to make up for the missed dose.
- Refer to Dosing section for missed doses >7 days.
- Store between 15°C to 30°C in the original container.

Contraindications

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

Other Warnings and Precautions

- Androgen deprivation therapy can prolong the QT interval. Consider the risks versus benefits of using relugolix in patients who have risk factors for QTc prolongation and torsade de pointes.
- Long-term suppression of testosterone is associated with decreased bone density, which may increase the risk of osteoporosis and bone fractures.

Pregnancy/ Lactation

- Relugolix is **only indicated in patients with prostate cancer**. Adequate contraception should be used by patients and their partners while on treatment and after the last treatment dose. Recommended methods and duration of contraception may differ depending on the treatment. Refer to the drug monograph(s) for more information.
- Relugolix is **only indicated in patients with prostate cancer**. There are no data on the presence of relugolix or its metabolites in human milk, on the effects of the breastfed child or milk production.
- Fertility effects: Probable
  Documented in studies in male animals

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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.

Recommended Clinical Monitoring

- CBC; Baseline and as clinically indicated
- Liver function tests; Baseline and as clinically indicated
- Renal function tests; Baseline and as clinically indicated
- ECG and serum electrolyte levels (potassium, calcium, and magnesium); Baseline, at each visit, and as clinically indicated (more frequently in patients with electrolyte abnormality or at risk of QT prolongation)
- Prostate specific antigen (PSA); Baseline and as clinically indicated
- Testosterone serum concentration; Baseline and as clinically indicated (if PSA increases)
- Clinical toxicity assessment for hot flashes, musculoskeletal pain, fatigue, GI effects, fractures; Baseline and as clinically indicated
- Grade toxicity using the current NCI-CTCAE (Common Terminology Criteria for Adverse Events) version

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J - Administrative Information

Outpatient prescription for home administration

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K - References

CADTH reimbursement recommendation. Relugolix (Orgovyx). August 2024.

Relugolix drug monograph. Ontario Health (Cancer Care Ontario).

Shore ND, Saad F, Cookson MS, et al. Oral Relugolix for Androgen-Deprivation Therapy in Advanced Prostate Cancer. N Engl J Med. 2020 Jun 4;382(23):2187-2196.

April 2025 Expanded to full regimen monograph

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M - Disclaimer

Regimen Abstracts

A Regimen Abstract is an abbreviated version of a Regimen Monograph and contains only top level information on usage, dosing, schedule, cycle length and special notes (if available). It is intended for healthcare providers and is to be used for informational purposes only. It is not intended to constitute or be a substitute for medical advice, and all uses of the Regimen Abstract are subject to clinical judgment. Such information is provided on an "as-is" basis, without any representation, warranty, or condition, whether express, or implied, statutory or otherwise, as to the information's quality, accuracy, currency, completeness, or reliability, and Cancer Care Ontario disclaims all liability for the use of this information, and for any claims, actions, demands or suits that arise from such use.

Information in regimen abstracts is accurate to the extent of the ST-QBP regimen master listings, and has not undergone the full review process of a regimen monograph. Full regimen monographs will be published for each ST-QBP regimen as they are developed.

Regimen Monographs

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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