Requip (ropinirole) - Drug Summary

GlaxoSmithKline LLC

Related Drug Information ▼

Requip
(ropinirole)

COMMON BRAND NAMES

Requip XL, Requip

THERAPEUTIC CLASS

Non-ergoline dopamine agonist

DEA CLASS

RX

ADULT DOSAGE & INDICATIONS

Parkinson's Disease

Tab:
Week 1: 0.25mg tid
Week 2: 0.5mg tid
Week 3: 0.75mg tid
Week 4: 1mg tid
After Week 4: May increase by 1.5mg/day on a weekly basis up to a 9mg/day, and then by up to 3mg/day weekly
Max: 24mg/day (8mg tid)

Discontinuation:
D/C gradually over a 7-day period; reduce frequency of administration from tid to bid for 4 days, then to qd for the remaining 3 days

Tab, Extended-Release (ER):
Initial: 2mg qd for 1-2 weeks
Titrate: May increase by 2mg/day at ≥1-week intervals
Max: 24mg/day

Discontinuation:
D/C gradually over a 7-day period

Restless Legs Syndrome

Moderate to Severe Primary Restless Legs Syndrome :
Tab:
Days 1 and 2: 0.25mg qd 1-3 hrs before hs
Days 3-7: 0.5mg qd 1-3 hrs before hs
Week 2: 1mg qd 1-3 hrs before hs
Week 3: 1.5mg qd1-3 hrs before hs
Week 4: 2mg qd 1-3 hrs before hs
Week 5: 2.5mg qd 1-3 hrs before hs
Week 6: 3mg qd 1-3 hrs before hs
Week 7: 4mg qd 1-3 hrs before hs
Max: 4mg/day

Conversions

Switching from Immediate-Release (IR) to ER Tabs:
0.75-2.25mg/day IR: 2mg/day ER
3-4.5mg/day IR: 4mg/day ER
6mg/day IR: 6mg/day ER
7.5-9mg/day IR: 8mg/day ER
12mg/day IR: 12mg/day ER
15mg/day IR: 16mg/day ER

18mg/day IR: 18mg/day ER
21mg/day IR: 20mg/day ER
24mg/day IR: 24mg/day ER

DOSING CONSIDERATIONS

Renal Impairment
Tab:
Parkinson's Disease:
ESRD on Hemodialysis:
Initial: 0.25mg tid
Patients Receiving Regular Dialysis:
Max: 18mg/day; supplemental doses after dialysis are not required

Restless Legs Syndrome:
ESRD on Hemodialysis:
Initial: 0.25mg qd
Patients Receiving Regular Dialysis:
Max: 3mg/day; supplemental doses after dialysis are not required

Tab, ER:
ESRD on Hemodialysis:
Initial: 2mg qd
Patients Receiving Regular Dialysis:
Max: 18mg/day; supplemental doses after dialysis are not required

ADMINISTRATION

Oral route

Take w/ or w/o food
If a significant interruption in therapy has occurred, retitration may be warranted

Tab, ER
Swallow whole; do not chew, crush, or divide

HOW SUPPLIED

Tab: 0.25mg, 0.5mg, 1mg, 2mg, 3mg, 4mg, 5mg; Tab, Extended-Release (XL): 2mg, 4mg, 6mg, 8mg, 12mg

WARNINGS/PRECAUTIONS

Falling asleep during activities of daily living and somnolence reported; d/c if significant daytime sleepiness or episodes of falling asleep develop during activities that require active participation. May impair mental/physical abilities. Syncope, sometimes associated with bradycardia reported; caution in patients with significant cardiovascular disease (CVD). May cause orthostatic hypotension. Hallucinations reported; risk increases in the elderly treated with XL tab. May experience new or worsening mental status and behavioral changes, which may be severe, including psychotic-like behavior during treatment or after starting or increasing the dose. Avoid with major psychotic disorder due to risk of exacerbating psychosis. Intense urges to gamble, increased sexual urges, intense urges to spend money, binge or compulsive eating, and/or other intense urges, and the inability to control these urges while on therapy reported; consider dose reduction or stopping medication if such urges develop. May cause or exacerbate preexisting dyskinesia. Symptom complex resembling neuroleptic malignant syndrome (NMS) reported in association with rapid dose reduction, withdrawal of, or changes in dopaminergic therapy; tapering dose at the end of treatment for Parkinson's disease is recommended as a prophylactic measure. Increased risk of developing melanoma. Fibrotic complications (eg, retroperitoneal fibrosis, pulmonary infiltrates, pleural effusion, pleural thickening, pericarditis, cardiac valvulopathy) reported. (Tab) Augmentation or early-am rebound in RLS patients reported; review use of medication and consider dosage adjustment or discontinuation of treatment if this occurs. (Tab, XL) May cause BP elevation and changes in HR; caution in patients with CVD. Risk of incomplete release of medication and medication residue being passed in stool if rapid GI transit occurs.

ADVERSE REACTIONS

N/V, somnolence, abdominal pain, dizziness, headache, constipation, syncope, hallucination, dyskinesia, diarrhea. (Tab) viral infection, dyspepsia, leg edema, confusion, asthenic condition.

DRUG INTERACTIONS

Adjustment of ropinirole dose may be required if estrogen or a potent CYP1A2 inducer/inhibitor is stopped or started during treatment. Increased plasma levels of IR tab with ciprofloxacin. Increased clearance with cigarette smoking. Dopamine antagonists, such as neuroleptics (eg, phenothiazines, butyrophenones, thioxanthenes) or metoclopramide may diminish effectiveness. May potentiate dopaminergic side effects of L-dopa and may cause and/or exacerbate preexisting dyskinesia in patients treated with L-dopa for Parkinson's disease; decreasing dose of the dopaminergic drug may ameliorate this adverse reaction. May increase risk of drowsiness with concomitant sedating medications or medications that increase ropinirole plasma levels.

PREGNANCY AND LACTATION

Category C, caution in nursing.

MECHANISM OF ACTION

Non-ergoline dopamine agonist; has not been established. Believed to stimulate postsynaptic dopamine D_2-type receptors within the caudate-putamen in the brain.

PHARMACOKINETICS

Absorption: Rapid. Absolute bioavailability (45-55%), T_{max}=1-2 hrs (Tab), 6-10 hrs (Tab, XL [median]). **Distribution:** V_d=7.5L/kg, plasma protein binding (≤40%). **Metabolism:** Liver (extensive) via CYP1A2; N-despropylation and hydroxylation. **Elimination:** Urine (>88% [Tab], <10% unchanged, 40% N-despropyl

ropinirole, 10% carboxylic acid metabolite, 10% glucuronide of the hydroxy metabolite), $T_{1/2}$=6 hrs.

ASSESSMENT

Assess for presence of sleep disorders (other than RLS), known hypersensitivity/allergic reaction to drug or to any of the excipients, CVD, dyskinesia, major psychotic disorder, renal impairment, pregnancy/nursing status, and possible drug interactions.

MONITORING

Monitor for hypersensitivity reactions, psychotic-like behavior, impulse control/compulsive behaviors, syncope, bradycardia, hallucinations, dyskinesia, fibrotic complications, symptom complex resembling NMS, melanomas, and other adverse reactions. Monitor for signs/symptoms of hypotension, especially during dose escalation. Continually reassess for drowsiness or sleepiness. Perform periodic skin examinations. (Tab) Monitor for augmentation or early-am rebound in RLS patients. (Tab, XL) Monitor for BP elevation and HR changes.

PATIENT COUNSELING

Instruct to take only as prescribed. Advise not to double next dose if a dose is missed. Advise about the potential for developing a hypersensitivity/allergic reaction; instruct patients to immediately contact physician if they experience these or similar reactions. Advise and alert about potential sedating effects; instruct patients not to drive a car, operate machinery, or engage in other dangerous activities until they have gained sufficient experience with therapy. Advise of possible additive effects when taking other sedating medications, alcohol, or other CNS depressants concomitantly, or when taking a concomitant medication (eg, ciprofloxacin) that increases ropinirole plasma levels. Advise patients that they may experience syncope and that hypotension/orthostatic hypotension may develop with/without symptoms; caution against standing rapidly after sitting or lying down, especially at treatment initiation. Inform that hallucinations or other psychotic-like behavior may occur; advise patients to promptly report these to physician should they develop. Inform that medication may cause and/or exacerbate preexisting dyskinesia. Advise to inform physician if new or increased gambling urges, sexual urges, uncontrolled spending, binge or compulsive eating, or other urges develop while on therapy. Advise patients to contact physician if they wish to d/c drug or decrease its dose. Advise of the higher risk of developing melanoma; instruct to have skin examined on a regular basis by a qualified healthcare provider when using medication. Instruct to notify physician if pregnant/intending to become pregnant during therapy. Advise that drug may inhibit lactation. (Tab) Inform RLS patients that augmentation and/or rebound may occur after starting treatment. (Tab, XL) Alert to the possibility of increases in BP and that significant increases/decreases in HR may be experienced during treatment.

STORAGE

(Tab) 20-25°C (68-77°F). Protect from light and moisture. (Tab, XL) 25°C (77°F); excursions permitted to 15-30°C (59-86°F).

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