

Luliconazole: A Comparative Review with Lanoconazole

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Submitted: 01-03-2022

Accepted: 13-03-2022

ABSTRACT

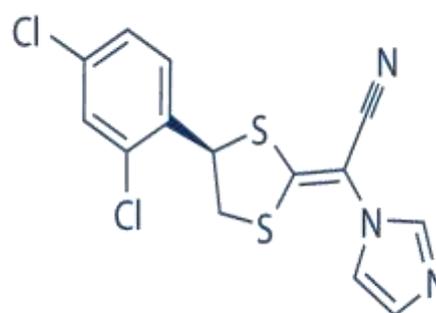
Fungal infections are the most common disease of the skin. Topical antifungal is considered first-line therapy for superficial dermatomycoses due to its high efficacy and fewer adverse side effects. Azole blocks the biosynthesis of ergosterol which is the derivative of the fungal cell membrane, depletion of ergosterol results in the incompatibility of fungal growth and survival. Azole antifungals such as ketoconazole, luliconazole are known which are extensively used for dermatophytes. Luliconazole is used as a topical antifungal drug. Topically luliconazole is used for tinea corporis, tinea pedis, and tinea cruris. It is also used for the treatment of athlete's foot, ringworm.

I. INTRODUCTION-

Luliconazole has a unique chemical structure by the introduction of imidazole moiety into the keten-dithioacetate structure. Luliconazole shows the broad-spectrum activity which belongs to dichlorobenzene organic compound which is an optically active R-enantiomer. These compounds contain benzene with two chlorine compounds. Luliconazole shows broad-spectrum activity. It is used in the treatment of a fungal infection caused by *Trichophyton rubrum*, *Epidermophyton floccosum*. Luliconazole trade names are Luzu, Lures, Luly and its route of administration is topical. It is also used in the treatment of nail infections. It is one of the most potent antifungal agents against filamentous fungi including dermatophytes. Luliconazole was originally developed in Japan for the treatment of superficial dermatomycosis and efforts are underway in the USA to develop luliconazole for onychomycosis. This review article focuses on the luliconazole drug which is used for topical treatment of tinea corporis, tinea pedis, and tinea cruris.

Keywords: dermatomycoses, onychomycosis, keten-dithioacetate, floccosum, lanoconazole

Pharmacology of luliconazole –



Synonyms – Luliconazole

Chemical formula -C₁₄H₉Cl₂N₃S₂

Weight -354.27

Generic Name – luliconazole

Brand Name – luzu

IUPAC Name – (2E)-2-[4-(2,4-dichlorophenyl)-1,3-dithiolan-2-ylidene]-2-imidazol-1-ylacetonitrile

Luliconazole also known as NND-502. Luliconazole shows fungicidal activity at a very low level through inhibition of ergosterol biosynthesis as well as a fungistatic activity through inhibition of extracellular protease secretion. In vitro and in vivo, luliconazole showed broad-spectrum activity against dermatophyte and non-dermatophyte pathogen. The exact mechanism of action of luliconazole is not known. It inhibits ergosterol synthesis by inhibiting the enzyme lanosterol demethylase. Inhibition of this enzyme results in decreased amounts of ergosterol, a constituent of fungal cell membranes, and accumulation of lanosterol. Luliconazole has more potent antifungal activity than lanoconazole. Luliconazole is poorly water-soluble and is used in treating fungal infections (skin).

Luliconazole 1% cream was approved in Japan for the treatment of tinea infections, followed by approval in the US. In 2009, the 1% cream was approved for marketing in India. Luliconazole kills *Trichophyton rubrum* and *Epidermophyton floccosum*, organisms mostly by altering their

fungal cell membranes. The plasma protein binding capacity of luliconazole is >99%. It is generally administered and absorbed topically yet to be determined. Also, half-life, Clearance, Metabolism, & Route of elimination are still not defined.

Preclinical studies

The MIC of luliconazole against Trichophyton spp. is 2–4 times lower than that of itraconazole. The MIC of luliconazole against Candida spp. has been reported to be higher than that against filamentous fungi; however, it is similar to itraconazole and greater than that of bifonazole, terbinafine, and amorolfine. Luliconazole is many times more effective than itraconazole and bifonazole in inhibiting 14α demethylase of C. Albicans. Luliconazole was found to be 150 times less potent than flucytosine in controlling systemic C. Albicans infection.

They also demonstrated that luliconazole significantly reduced the amount of adenosine triphosphate measured when compared directly with a commercially available formulation of ciclopirox nail lacquer at equivalent time points (P,0.001). Reduction in the amount of adenosine

triphosphate as a marker of antifungal activity. Low binding affinity for keratin allows luliconazole to be released from the keratinous nail plate and be transported across the nail bed. In contrast with many other azoles, its potency remains unaffected by keratin.

Clinical studies

In clinical studies, 1% luliconazole cream shown to be safe and well-tolerated significantly shows greater efficacy. Various clinical studies have provided evidence of the efficacy of luliconazole in cutaneous fungal infections. The clinical efficacy of 1% luliconazole cream applied once daily for 14 days (2 weeks). A total of 489 patients were included. In the luliconazole group, the patients applied placebo cream for 2 weeks after 2 weeks of treatment with the active drug. Mycologic efficacy was assessed by KOH microscopy and post-treatment fungal cultures were performed in patients who had positive microscopy after 2 weeks of therapy. The study drugs showed similar efficacy by achieving negative KOH microscopy (76.1% for luliconazole). Fungal cultures were performed in patients with positive KOH (luliconazole 112 patients, bifonazole 117 patients) at week 2.

Marketed formulation of luliconazole-

Parameters	Marketed Preparations		
Drug name	Luliconazole cream 1%	Luliconazole cream 30gm	Organic chemistry Luliconazole 1% W/W cream 10 gm
Quantity	10gm	30gm	10gm
Brand Name	Luliken	Lulibraz	LULISIGN
Composition	Luliconazole 1%	Luliconazole	Luliconazole 1% W/W
Manufacturer	glamvishdermacare	MediSACH	Signature
Form Type	Cream	Cream	Cream

Adverse effect –

Luliconazole is well tolerated in rare cases of contact dermatitis and cellulitis reported in less than 1% of patients. The Allergic reaction to this drug is rare like rash, itching. Application site reaction such as irritation.

II. CONCLUSION-

Azole antifungals are known to be fungistatic, while luliconazole belongs to the azole group has fungicidal activity against trichophyton

spp. Luliconazole also has extremely potent activity against dermatophytes. luliconazole as an effective & broad-spectrum antifungal agent. Luliconazole approved in united state as 1% topical cream for tinea corporis, tinea pedis, tinea cruris. In 2018 luliconazole was approved to treat children older than 12 years. Luliconazole prevents the growth of fungus. It is a potent compound in the treatment of onychomycosis.



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