

PIGMENTATION

ISOBUTYLAMIDO THIAZOLYL RESORCINOL, A HIGHLY EFFECTIVE ACTIVE FOR THE TREATMENT OF FACIAL HYPERPIGMENTATION

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Background: Since tyrosinase is the rate-limiting enzyme of melanin production, selective tyrosinase inhibitors are considered effective and safe treatments for hyperpigmentation. However, most known tyrosinase inhibitors lack clinical efficacy because they were selected based on their ability to inhibit mushroom tyrosinase. Using a recombinant human tyrosinase, we recently identified Isobutylamido thiazolyl resorcinol (abbreviated: thiamidol) as a highly effective inhibitor.

Objective: Explore the potential of isobutylamido thiazolyl resorcinol for the treatment of hyperpigmentation.

Material and Methods: The inhibition of human tyrosinase was assayed by measuring L-Dopa oxidase activity and melanin production in melanocyte assays. For in vivo efficacy, facial hyperpigmentation (melasma) was evaluated in a double-blinded randomized clinical study for 12 weeks.

Results: In comparison to other well-known inhibitors of human skin pigmentation, thiamidol was by far the most potent compound. Further analysis of the mode of action revealed that thiamidol is a strictly competitive inhibitor of the human enzyme and only marginally inhibits mushroom tyrosinase. A clinical study on facial melasma revealed that 0.2% thiamidol more potently reduced mMASI scores than 2% hydroquinone.

Conclusion: We show here that thiamidol is a very effective inhibitors of human tyrosinase (in vitro) and a highly effective inhibitor of hyperpigmentation (in vivo).