

Chapter 217

Topical Retinoids

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REFERENCES

1. Petkovich M et al: A human retinoic acid receptor which belongs to the family of nuclear receptors. *Nature* **330**:444, 1987
2. Giguere V et al: Identification of a receptor for the morphogen retinoic acid. *Nature* **330**:624, 1987
3. Yu VC et al: RXR β : A co-regulator that enhances binding of retinoic acid, thyroid hormone, and vitamin D receptors to their cognate response element. *Cell* **67**:1251, 1991
4. Levin AA et al: 9-*cis* retinoic acid stereoisomer binds and activates the nuclear receptor RXR α . *Nature* **355**: 359, 1992
5. Bernard BA: Adapalene, a new chemical entity with retinoid activity. *Skin Pharmacol* **6**:61, 1993
6. Nagpal S, Athanikar J, Chandraratna RA: Separation of transactivation and AP1 antagonism functions of retinoic acid receptor α . *J Biol Chem* **270**:923, 1995
7. Querfeld C et al: Bexarotene in the treatment of cutaneous T-cell lymphoma. *Expert Opin Pharmacother* **7**:907, 2006
8. Elder JT et al: Differential regulation of retinoic acid receptors and binding proteins in human skin. *J Invest Dermatol* **98**:673, 1992
9. Fisher GJ et al: Immunological identification and functional quantitation of retinoic acid and retinoid X receptor proteins in human skin. *J Biol Chem* **269**:20629, 1994
10. Xiao JH et al: Endogenous retinoic acid receptor-retinoid X receptor heterodimers are the major functional forms regulating retinoid-responsive elements in adult human keratinocytes. *J Biol Chem* **270**:3001, 1995
11. Wang Z et al: Ultraviolet irradiation of human skin causes functional vitamin A deficiency, preventable by all-trans retinoic-acid pretreatment. *Nat Med* **5**:418, 1999
12. Kang S et al: Application of retinol to human skin in vivo induces epidermal hyperplasia and cellular retinoid binding proteins characteristic of retinoic acid but without measurable retinoic acid levels or irritation. *J Invest Dermatol* **105**:549, 1995
13. Aström A et al: Retinoic acid induction of human cellular retinoic acid-binding protein-II gene transcription is mediated by retinoic acid receptor-retinoid X receptor heterodimers bound to one far upstream retinoic acid-responsive element with 5-base pair spacing. *J Biol Chem* **269**:22334, 1994
14. Fisher GJ et al: All-trans retinoic acid induces cellular retinol-binding protein in vivo. *J Invest Dermatol* **105**:80, 1995
15. Rosenthal DS et al: Acute or chronic topical retinoic acid treatment of human skin in vivo alters the expression of epidermal transglutaminase, loricrin, involucrin, filaggrin, and keratins 6 and 13 but not keratins 1, 10, and 14. *J Invest Dermatol* **98**:343, 1992
16. Kang S et al: Liarozole inhibits human epidermal retinoic acid 4-hydroxylase activity and differentially augments human skin responses to retinoic acid and retinol in vivo. *J Invest Dermatol* **107**:183, 1996
17. Feng X et al: Suprabasal expression of a dominant-negative RXR α mutant in transgenic mouse epidermis impairs regulation of gene transcription and basal keratinocyte proliferation by RAR-selective retinoids. *Genes Dev* **11**:59, 1997
18. Xiao JH et al: Identification of heparin-binding EGF-like growth factor as a target in intercellular regulation of epidermal basal cell growth by suprabasal retinoic acid receptors. *EMBO J* **18**:1539, 1999
19. Varani J et al: Heparin-binding, epidermal-growth-factor-like growth factor activation of keratinocyte ErbB receptors mediates epidermal hyperplasia, a prominent side-effect of retinoid therapy. *J Invest Dermatol* **117**:1335, 2002
20. Rittié L et al: Retinoid-induced epidermal hyperplasia is mediated by epidermal growth factor receptor activation via specific induction of its ligands heparin binding-EGF and amphiregulin in human skin in vivo. *J Invest Dermatol* **126**:732, 2006

21. Heyman RA et al: 9-cis retinoic acid is a high affinity ligand for the retinoid X receptor. *Cell* **68**:397, 1992
22. Kurlandsky SB et al: Auto-regulation of retinoic acid biosynthesis through regulation of retinol esterification in human keratinocytes. *J Biol Chem* **271**:15346, 1996
23. Duell EA, Kang S, Voorhees JJ: Retinoic acid isomers applied to human skin in vivo each induce a 4-hydroxylase that inactivates only trans retinoic acid. *J Invest Dermatol* **106**:316, 1996
24. Reynolds NJ et al: Retinoic acid metabolites exhibit biological activity in human keratinocytes, mouse melanoma cells and hairless mouse skin in vivo. *J Pharmacol Exp Ther* **266**:1636, 1993
25. Duell EA et al: Human skin levels of retinoic acid and cytochrome P-450-derived 4-hydroxyretinoic acid after topical application of retinoic acid in vivo compared to concentrations required to stimulate retinoic acid receptor-mediated transcription in vitro. *J Clin Invest* **90**:1269, 1992
26. Pavez Lorie E et al: Topical treatment with CYP26 inhibitor talarozole (R115866) dose dependently alters the expression of retinoid-regulated genes in normal human epidermis. *Br J Dermatol* **160**:26, 2009
27. Kang S et al: Tazarotene cream for the treatment of facial photodamage: A multicenter, investigator-masked, randomized, vehicle-controlled, parallel comparison of 0.01%, 0.025%, 0.05%, and 0.1% tazarotene creams with tretinoin emollient cream applied once daily for 24 weeks. *Arch Dermatol* **137**:1597, 2001
28. Cho S et al: Long term treatment of photoaged human skin with topical retinoic acid improves epidermal cell atypia and thickens collagen band in the papillary dermis. *J Am Acad Dermatol* **53**:769, 2005
29. Griffiths CE et al: Two concentrations of topical tretinoin (retinoic acid) cause similar improvement of photoaging but different degrees of irritation: A double-blind, vehicle controlled comparison of 0.1% and 0.025% tretinoin creams. *Arch Dermatol* **131**:1037, 1995
30. Griffiths CE et al: Restoration of collagen formation in photodamaged human skin by tretinoin (retinoic acid). *N Engl J Med* **329**:530, 1993
31. Kang S et al: Assessment of adapalene gel for the treatment of actinic keratoses and lentigines: A randomized trial. *J Am Acad Dermatol* **49**:83, 2003
32. Wu K et al: Suppression of mammary tumorigenesis in transgenic mice by RXR-selective retinoid, LGD 1069. *Cancer Epidemiol Biomarkers Prev* **11**:467, 2002
33. Rizvi NA et al: Phase I study of LGD 1069 in adults with advanced cancer. *Clin Cancer Res* **5**:1658, 1999
34. Guo W, Gill PS, Antakly T: Inhibition of AIDS-Kaposi's sarcoma cell proliferation following retinoic acid receptor activation. *Cancer Res* **55**:823, 1995
35. Nagpal S et al: Retinoid antagonism of NF-IL6: Insight into the mechanism of antiproliferative effects of retinoids in Kaposi's sarcoma. *Mol Cell Biol* **17**:4159, 1997
36. Sidell N et al: Retinoic acid-induced growth inhibition of a human myeloma cell line via down-regulation of IL-6 receptors. *J Immunol* **146**:3809, 1991
37. Issacs CE et al: Inhibition of herpes simplex virus replication by retinoic acid. *Antiviral Res* **33**:117, 1997
38. Xu J, Drew PD: 9-cis-retinoic-acid suppress inflammatory responses of microglia and astrocytes. *J Neuroimmunol* **171**:135, 2006
39. Cheer SM, Foster RH: Alitertinoin. *Am J Clin Dermatol* **1**:307, 2000
40. Bulengo-Ransby SM et al: Topical tretinoin (retinoic acid) therapy for hyperpigmented lesions caused by inflammation of the skin in black patients. *N Engl J Med* **328**:1438, 1993
41. Griffiths CE et al: Topical tretinoin (retinoic acid) treatment of hyperpigmented lesions associated with photoaging in Chinese and Japanese patients: A vehicle-controlled trial. *J Am Acad Dermatol* **30**:76, 1994
42. Kimbrough-Green CK et al: Topical retinoic acid (tretinoin) for melasma in black patients: A vehicle-controlled clinical trial. *Arch Dermatol* **130**:727, 1994
43. Kang S et al: Topical tretinoin (retinoic acid) improves early stretch marks. *Arch Dermatol* **132**:519, 1996
44. Griffiths CE et al: Topical tretinoin (retinoic acid) improves melasma: A vehicle-controlled clinical trial. *Br J Dermatol* **129**:415, 1993
45. Esgleyes-Ribot T et al: Response of psoriasis to a new topical retinoid, AGN 190168. *J Am Acad Dermatol* **30**:581, 1994
46. Saurat JH et al: Topical retinaldehyde on human skin: Biologic effects and tolerance. *J Invest Dermatol* **103**:770, 1994
47. Varani J et al: Vitamin A antagonizes decreased cell growth and elevated collagen-degrading matrix metalloproteinases and stimulates collagen accumulation in naturally aged human skin. *J Invest Dermatol* **114**:480, 2000

48. Kafi R et al: Improvement of naturally aged skin with vitamin A (retinol). *Arch Dermatol* **143**:606, 2007
49. Breneman D et al: Phase 1 and 2 trial of bexarotene gel for skin-directed treatment of patients with cutaneous T-cell lymphoma. *Arch Dermatol* **138**:325, 2002
50. Heald P, Mehlmauer M, Martin AG: Worldwide Bexarotene Study Group. Topical bexarotene therapy for patients with refractory or persistent early-stage cutaneous T-cell lymphomas: Results of the Phase III clinical trial. *J Am Acad Dermatol* **49**:801, 2003
51. Buchan P et al: Repeated topical administration of all-trans-retinoic acid and plasma levels of retinoic acids in humans. *J Am Acad Dermatol* **30**:428, 1994
52. Jick SS, Terris BZ, Jick H: First trimester topical tretinoin and congenital disorders. *Lancet* **341**:1181, 1993
53. Fisher GJ et al: Molecular basis of sun-induced premature skin ageing and retinoid antagonism. *Nature* **379**:335, 1996
54. Soballe PW et al: Carcinogenesis in human skin grafted to SCID mice. *Cancer Res* **56**:757, 1996
55. Fisher GJ et al: Retinoic acid inhibits induction of c-JUN protein by ultraviolet radiation that occurs subsequent to activation of mitogen-activated protein kinase pathways in human skin in vivo. *J Clin Invest* **101**:1432, 1998
56. Saez E et al: C-Fos is required for malignant progression of skin tumors. *Cell* **82**:721, 1995
57. Meunier L et al: Retinoic acid upregulates human Langerhans cell antigen presentation and surface expression of HLA-DR and CD11c, α_2 integrin critically involved in T-cell activation. *J Invest Dermatol* **103**:775, 1994
58. Kang S et al: The retinoid X receptor agonist 9-cis-retinoic acid and the 24-hydroxylase inhibitor ketoconazole increase activity of 1,25-dihydroxyvitamin D₃ in human skin in vivo. *J Invest Dermatol* **108**:513, 1997

