

단백질칩을 이용한 신규 미백소재 스크리닝

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Microphthalmia associated transcription factor (Mitf) is a key regulatory transcriptional factor of pigmentation-related genes including tyrosinase. Previously, we reported the construction of a protein chip to detect binding of Mitf protein and E-box DNA. To discover the small molecule inhibitors of Mitf-DNA binding, by using the protein chip, we screened 27 chemicals which were selected from a pharmacophore data base by virtual screening. Among them, compound #18 was found to show the most potent inhibitory activity against Mitf-DNA binding. To confirm its inhibitory activity against Mitf-DNA binding, an electrophoretic mobility shift assay (EMSA) was performed. The depigmenting activity of compound #18 was confirmed by cellular melanin assay, RT-PCR, and Western blot. These results demonstrated that compound #18 is the first reported small molecule inhibitor of MITF-DNA binding with depigmenting activity and that the Mitf protein chip is a powerful HTS tool for the discovery of depigmenting agents based on inhibition of Mitf-DNA binding.