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Article

Synthesis and Biological Evaluation of 2Ãƒ Â¢Ã‚Â€Ã‚Â•PhenyliminoÃƒ Â¢Ã‚Â€Ã‚Â•5((5Ãƒ Â¢Ã‚Â€Ã‚Â•phenylfuranÃƒ Â¢Ã‚Â€Ã‚Â•2Ãƒ Â¢Ã‚Â€Ã‚Â•yl)methylene)thiazolidinÃƒ Â¢Ã‚Â€Ã‚Â•4Ãƒ Â¢Ã‚Â€Ã‚Â•ones as IKK2 Inhibitors

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Abstract

In a search for novel molecules to treat inflammatory disorders, we identified several compounds with inhibitory action against the IKK2 enzyme using *in silico* methods. Based on the virtual hit of compounds **1** and **2**, a novel series of 2Ãƒ Â¢Ã‚Â€Ã‚Â•phenyliminoÃƒ Â¢Ã‚Â€Ã‚Â•5((5Ãƒ Â¢Ã‚Â€Ã‚Â•phenylfuranÃƒ Â¢Ã‚Â€Ã‚Â•2Ãƒ Â¢Ã‚Â€Ã‚Â•yl)methylene)thiazolidinÃƒ Â¢Ã‚Â€Ã‚Â•4Ãƒ Â¢Ã‚Â€Ã‚Â•one derivatives was designed, synthesized, and evaluated for IKK2 inhibitory activity. Among the synthesized derivatives, compounds **17f** and **19f** showed good IKK2 inhibitory potency, which have 4Ãƒ Â¢Ã‚Â€Ã‚Â•carboxaminophenyl on the 2Ãƒ Â¢Ã‚Â€Ã‚Â•furan ring and a methoxy group on the phenylimino moiety at the 2Ãƒ Â¢Ã‚Â€Ã‚Â•position of the core structure. The most potent compound was 2Ãƒ Â¢Ã‚Â€Ã‚Â•(2,4Ãƒ Â¢Ã‚Â€Ã‚Â•dimethoxyphenyl)iminoÃƒ Â¢Ã‚Â€Ã‚Â•5((5(4Ãƒ Â¢Ã‚Â€Ã‚Â•carboxaminophenyl)furanÃƒ Â¢Ã‚Â€Ã‚Â•2Ãƒ Â¢Ã‚Â€Ã‚Â•yl)methylene)thiazolidinÃƒ Â¢Ã‚Â€Ã‚Â•4Ãƒ Â¢Ã‚Â€Ã‚Â•one (**19f**, IC_{50} = 0.94 ÃƒÂŽÃ‚Â¼M), which represents a synergic effect of the two virtual hit compounds against IKK2. We also identified compounds showing inhibitory activities against interleukin (IL)Ãƒ Â¢Ã‚Â€Ã‚Â•17, CCKÃƒ Â¢Ã‚Â€Ã‚Â•8, and tumor necrosis factorÃƒ Â¢Ã‚Â€Ã‚Â•alpha (TNFÃƒ Â¢Ã‚Â€Ã‚Â•ÃƒÂŽÃ‚Â±), which are NFÃƒ Â¢Ã‚Â€Ã‚Â•ÃƒÂŽÃ‚ÂºBÃƒ Â¢Ã‚Â€Ã‚Â•dependent proÃƒ Â¢Ã‚Â€Ã‚Â•inflammatory cytokine mediators.

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