B005

Synthesis and biological evaluation of 1,3-dioxolo[4,5-*g*]quinoline derivatives as anti-*Helicobacter pylori* agents

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Objectives: *Helicobacter pylori* (*H. pylori*) is a Gram-negative, to bacteria to survive in the stomach and duodenum in each area. *H. pylori* infection is associated with gastritis, peptic ulcer and even gastric malignancy. Therefore, we design 1,3-dioxolo[4,5-*g*]quinoline as a core structure and synthesize a series of derivatives as anti-*Helicobacter pylori* inhibitors. **Methods:** The title compounds were prepared through the reaction of 6-amino-3,4-methylenedioxyacetophone and substituted *β*-nitrostyrene in toluene. The inhibitory activity of the new quinoline derivatives against of *H. pylori* was evaluated by the agar disk diffusion method, by measuring the diameter of the inhibition zone in an agar dish of concentration 10 mg/mL and 1 mg/mL. **Results:** Among the tested compound **1**, **6** and **7** exhibited significant potency with inhibition zones ranging from 11.5 to 15 mm. **Conclusions:** In this study, we synthesized a series of 1-3-dioxolo[4,5-*g*]quinoline derivatives and demonstrated that the most potent of these, **6** is effective in the inhibition of *H. pylori* growth.

B006

Establishment of *in vitro* constitutive androstane receptor (CAR) activity screening system

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Objectives: Chronic hyperbilirubinemia resulting in neurotoxicity and encephalopathy is a major clinical problem in patients with liver diseases therefore, new and effective treatments to reduce bilirubin accumulation are urgent needed. Several reports indicated that 6,7-dimethylesculetin (scoparone) could activate constitutive androstane receptor (CAR) that facilitates bilirubin excretion, which suggests that similar core structure might provide new treatment for hyperbilirubinemia. In this study, a new luciferase-based assay platform for rapidly screening compounds regulating CAR activity was established and tested for its efficiency. **Methods:** The gtPBREM element, the *UGT1A1* gene promoter response to CAR, was amplified from Hep3B genomic DNA and cloned into pGL4 luciferase reporter vector. The stable pGL4-gtPBREM transfected Hep3B cells were established and scoparone-induced luciferase activity was measured for individual established clone. **Results:** Several clones of the reporter system showed the concentration-dependent response to scoparone treatment and maximum luciferase activity was observed after 8hr treatment. **Discussions:** This CAR-activating reporter system can successfully identify CAR-activating compounds within few hours, which displayed better efficiency and sensitivity compared with Western blot. However, scoparone-induced medium luciferase response might contribute to whole gtPBREM element was used. The next generation reporter system which will apply CAR-specific responsive gtNR1 element is currently active investigated in our laboratory.