



Novel 4-amino-2H-benzo[h]chromen-2-one analogs (ABO), as Potent Anti-Cancer Agents



Novel 4-amino-2H-benzo[h]chromen-2-one (ABO) analogs were designed to investigate their in vitro antitumor activity by structural simplification and bio-isosteric replacement of neo-tanshinlactone. Ring-D of neo-tanshinlactone is critical to both potency and tumor-tissue selectivity. Of the ABO derivatives, compound 16 was the most potent analog (ED₅₀ value of 0.11 μ M against the KB cell line), and showed broader antitumor activity. Mechanism of action studies are ongoing.



For More Information

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The Technology

Structural simplification and bio-isosteric replacement are powerful and highly productive tools for analog design and drug development. Natural products have been the most significant source for drugs and drug leads. In 2004, our group isolated a new compound, neo-tanshinlactone which showed potent anti-breast cancer activity. We introduced different substitution groups to establish the preliminary SAR and develop more potent analogs. Our prior study demonstrated that neo-tanshinlactone analogs with ethyl group at C-4 position showed much higher potency than those with hydrogen at the same position.

Opportunity

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