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[Lab. of Medicinal Chemistry]

Regiocontrolled Photooxygenation of Ibuprofen by Pyrimido [5,4-g]-pteridinetetrone- and Anthraquinone-oxygen Systems.

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Ibuprofen [2-(4-isobutylphenyl) propionic acid; a widely used nonsteroidal antiinflammatory drug] underwent regiocontrolled photooxygenation on the propionic acid and isobutyl moieties in the presence of pyrimido [5,4-g] pteridinetetrone- and anthraquinone-oxygen systems. The present results provide an interesting example of a mechanism-based photooxygenation the regiochemistry of which is well controlled by selection of appropriate additives.

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[Lab. of Medicinal Chemistry]

Uridine Analogs of 2',5'-Oligoadenylates: On the Biological Role of the Middle Base of 2-5A Trimer.

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In order to further delineate the role of the second nucleotide residue of 2-5A in its interaction with the 2-5A-dependent endonuclease, RNase L, a series of uridine-substituted sequence-specific analogs were synthesized and evaluated for their ability to bind to and activate the nuclease. Replacement of the middle adenosine residue of 2-5A trimer by uridine resulted in some loss of binding and activation ability. These results also implicated some as yet undefined structure or conformational feature associated with the second nucleotide unit of 2-5A that may be involved in binding to or activation of RNase L.

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[Lab. of Medicinal Chemistry]

8-Methyladenosine-substituted Analogues of 2-5A: Synthesis and their Biological Activities.

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8-Methyladenosine-substituted analogues of 2-5A were prepared via a modification of a lead ion-catalyzed ligation reaction. These 2-5A monophosphates were converted into the corresponding 5'-triphosphates. Both binding and activation of mouse liver 2-5A dependent ribonuclease (RNase L) by the various 8-methyladenosine-substituted 2-5A analogues were examined. Among the 8-methyladenosine-substituted trimer analogues, the analogues with 8-methyladenosine residing in the 2'-terminal position showed the strongest binding affinity and were several times more effective than 2-5A itself as an inhibitor of translation.