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free download. New,
simple and efficient
methods to synthesize
benzo[d]coumarins
with excellent anti-
inflammatory activity.

The

3-hydroxycoumarins
are known to have anti-
inflammatory activity.
In this study, a new and

simple method to
prepare
benzo[d]coumarins
from commercially
available
3-hydroxycoumarins
was developed.

Benzo[d]coumarins
were synthesized from
the novel 3-mono- and
3,4-di-O-
benzoyloxycoumarins
or from the novel 6-O-

benzoyloxy-3-mono-
and 3,4-di-O-
benzoyloxy coumarins
and 3-mono- and 3,4-di-
-O-
benzoyloxy coumarins
with a concomitant con-
densation-cyclization
reaction. The anti-
inflammatory activity of
these new
benzo[d]coumarins was
tested by monitoring

changes in the granulocyte elastase released from human polymorphonuclear leukocytes. Among them, the new benzo[d]coumarins derived from 6-O-benzoyloxy-3,4-di-O-benzoyloxy coumarin were the most potent inhibitors of human polymorphonuclear

leukocyte elastase. The inhibitory potency of the compounds against human polymorphonuclear leukocyte elastase-activated by zymosan was 4-39 times stronger than that of diflunisal. In addition, the new benzo[d]coumarins did not inhibit

cyclooxygenase. This simple synthesis protocol should be useful to prepare more derivatives with different structures.

INTRODUCTION

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