

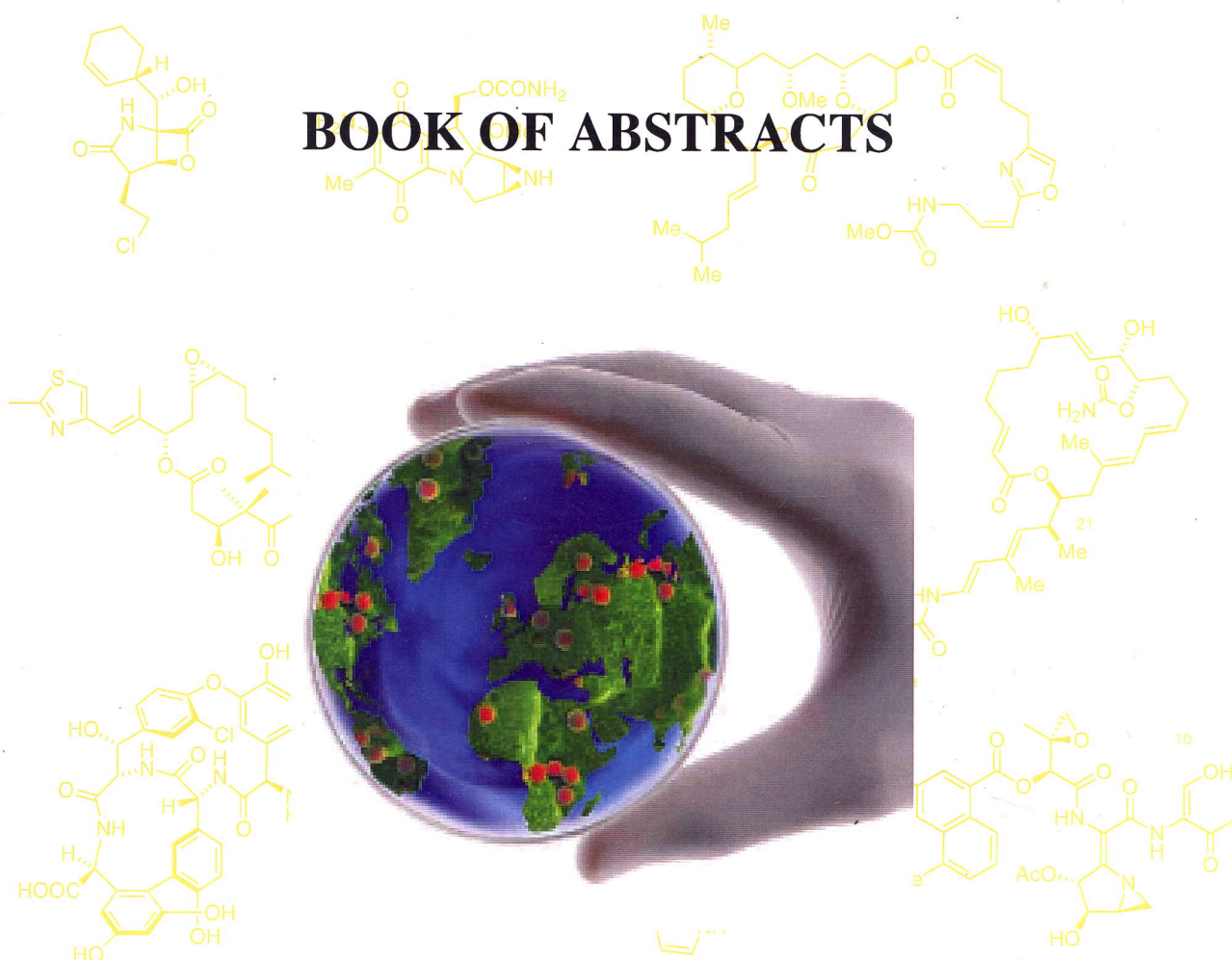
# ICCA-X



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## BOOK OF ABSTRACTS



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# Antitumor $\beta$ -Lactam Derivatives with Serine Protease Inhibiting Properties

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**Abstract:** The discovery of potent serine or cysteine protease inhibiting properties for structurally modified  $\beta$ -lactam antibiotics had led to the preparation of their derivatives useful for the treatment of inflammation, respiratory, cardiovascular disorders, cancer, etc. The appropriate SAR investigations carried out in our institute revealed considerable structural resemblance between cephalosporin derivatives acting as elastase inhibitors and their analogues possessing antitumor properties both in vitro and in vivo. The employment of cephems with elastase inhibiting properties as structural prototypes in the search of new antitumor compounds turned out to be rather fruitful synthetic approach. In the case of *tert*-butyl 7-chloro-1,1-dioxo-3-methylceph-3-em-4-carboxylate (1) it resulted in the preparation of its potent cytotoxic derivatives 2-6 containing ester, amide and ketone group in position 4 and N,N-dimethylaminomethylene group in position 2 some of which demonstrated good selectivity towards tumor and normal cells.

