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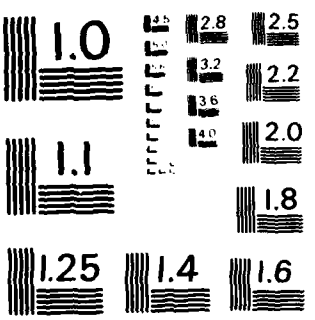

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20. ABSTRACT (Continue on reverse side if necessary and identify by block number)

The exploration of the synthesis and characterization of isoelectronic and isostructural boron analogs of the α-amino acids, their precursors, and derivative was continued during this period. The boron analogs are very weak acids with pK_1 approximately 8. They exhibit significant anti-arthritic, hypolipidemic, and antitumor activity. The chemistry and activity has been described in publications 1-9 and in patents and patent [illegible]missions 1-5.

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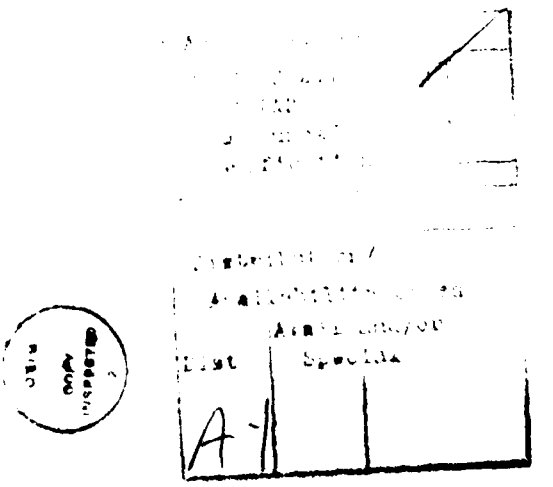
Statement of Problem and Results

The exploration of the synthesis and characterization of isoelectronic and isostructural boron analogs of the α-amino acids, their precursors, and derivative was continued during this period. The boron analogs are very weak acids with pK_1 approximately 8. They exhibit significant anti-arthritic, hypolipidemic, and anti-tumor activity. The chemistry and activity has been described in publications 1-9 and in patents and patent submissions 1-5.



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1. Iris H. Hall, C. O. Starnes, A. T. McPhail, P. Wisian-Neilson, M. K. Das, F. Harchelroad, Jr., and B. F. Spielvogel, "The Anti-inflammatory Activity of Amine-Cyanoboranes, Amine-Carboxyboranes, and Related Compounds," J. Pharm. Sci., 69, 1025 (1980)

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5. K. H. Scheller, R. B. Martin, B. F. Spielvogel, and A. T. McPhail, "Basicity and Metal Ion Binding Capability of Amine-Carboxyboranes, $R_3N{\cdot}BH_2COOH$, Boron Analogs of Glycine and N-Methylated Glycines," Inorg. Chemica Acta 57, 227 (1982)

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Patents Issued

1. Pharmacologically Active Amine-Boranes U. S. 4,312,989 (1982), Bernard F. Spielvogel, Andrew T. McPhail, Iris H. Hall

2. Pharmacologically Active Amine-Boranes, Method of Use, U. S. Patent 4,368,194 (1983), Bernard F. Spielvogel, Andrew T. McPhail, Iris H. Hall

Patents Pending

3. Pharmacologically Active Amine-Carboxyboranes, U. S. Patent Appl. SN 106,416, Bernard F. Spielvogel, Andrew T. McPhail, Iris H. Hall

4. Pharmacologically Active Amine-Carboxyboranes, European Patent Office SN 80810406.1, Bernard F. Spielvogel, Andrew T. McPhail, Iris H. Hall

5. Pharmacologically Active Amine-Carboxyboranes, Hanabusa Patent Office, Japan, Appl. SN GY-2024/A 12601, Bernard F. Spielvogel, Andrew T. McPhail, Iris H. Hall

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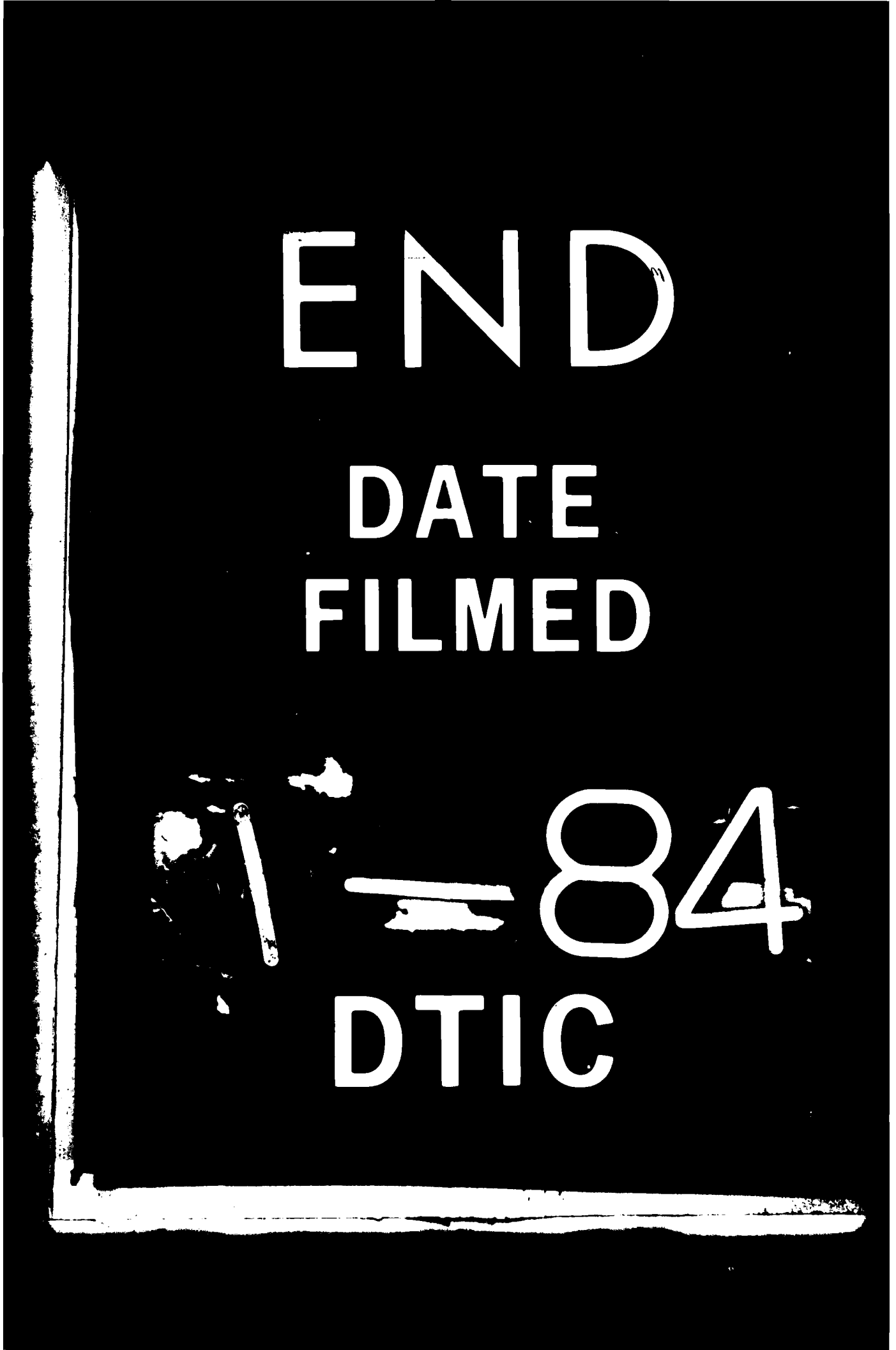
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