

The opinion in support of the decision being entered today was not written for publication and is not binding precedent of the Board.

Paper No. 13

UNITED STATES PATENT AND TRADEMARK OFFICE

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BEFORE THE BOARD OF PATENT APPEALS  
AND INTERFERENCES

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Ex parte CHARLES D. JONES, ALAN D. PALKOWITZ  
and KENNETH J. THRASHER

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Appeal No. 1998-2588  
Application 08/673,702<sup>1</sup>

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ON BRIEF

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Before WILLIAM F. SMITH and SCHEINER, Administrative Patent Judges, and  
McKELVEY, Senior Administrative Patent Judge.

SCHEINER, Administrative Patent Judge.

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<sup>1</sup> Application for patent filed June 25, 1996.

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Application No. 08/673,702

### DECISION ON APPEAL

This is a decision on appeal under 35 U.S.C. § 134 from the final rejection of claims 1 through 19. Claims 20 through 23, while still pending in the application, have been withdrawn from consideration by the examiner as directed to non-elected subject matter.

Claims 1, 2, 11 and 18 are representative of the subject matter on appeal and are reproduced in Appendix I, accompanying this opinion.

The examiner relies on the following reference:

Cameron et al. (Cameron)                      WO 95/10513                      Apr. 20, 1995

Claims 1 through 19 stand rejected under 35 U.S.C. § 103 as unpatentable over Cameron. We reverse the examiner's rejection.

### DISCUSSION

Claims 1 through 10 are directed to benzothiophene compounds of Formula I with a carbonyl linking group at the 3-position, followed by a phenyl group, a trans-ethylene or ethyl group (moiety R<sub>3</sub>), another carbonyl group, and finally R<sub>4</sub>, where R<sub>4</sub> is hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, or -N(R<sub>5</sub>)<sub>2</sub>; claims 11 through 17 are directed to methods of "alleviating a symptom of post-menopausal syndrome," (including cardiovascular disease and osteoporosis) by administering the compounds of Formula I. Finally, claims 18 and 19, according to the specification, represent intermediate formylbenzoyl compounds useful for preparing the pharmaceutically active compounds of Formula I (specification, page 6, lines 22-28, and page 7, lines 16-20).

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Cameron describes compounds, also depicted by a Formula I, said to be estrogen agonists useful for treating syndromes and diseases caused by estrogen deficiency, including cardiovascular disease and osteoporosis. Pages 3-18. Cameron's Formula I has 10 variable moieties, designated A, B, Z, X, Y, D, E, Z<sup>1</sup>, G and R. There are several options for each moiety, and many of the options have sub-options. By way of example, moiety X, with thirteen possible options (and sub-options within many of the options), occupies position 1 of the base ring structure of Formula I; the compound is classified as a benzothiophene when X is sulfur, as a benzofuran when X is oxygen, as a dihydronaphthalene when X is -CH<sub>2</sub>-CH<sub>2</sub>-, etc. Moiety D is a substituent of position 3 of the base ring structure and has 10 options, again with multiple sub-options. Moieties E, Z<sup>1</sup> and G are linked in series to moiety D, and again, each has multiple options and sub-options.<sup>2</sup>

According to the examiner (Examiner's Answer, pages 3 and 4):

[Cameron] teaches a generic group of compounds which embraces the instantly claimed compounds. See formula (1) in page 3, the intermediate compound of formula 6b-2 in page 42, wherein A, B, Z are -CH=, X is S, Y is substituted phenyl, D is -CO-, E is phenyl, Z<sup>1</sup> is -(CH<sub>2</sub>)<sub>p</sub>W (CH<sub>2</sub>)<sub>q</sub>-, G is -NR<sub>7</sub>R<sub>8</sub> or a cyclic amino group as shown in options (a) and (b) on page 6, W is -C(=O)-, p is 0-3 and q is 0-3. These compounds are taught to be useful as estrogen agonists for relief of the symptoms of menopause . . . The claims differ from the reference by reciting a more limited subgenus than the reference. However, it would have been obvious to one having ordinary skill in the art . . . to select any of the species of the genus taught by the reference, including those instantly claimed, because the skilled chemist

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<sup>2</sup> The general structure of Cameron's Formula I is shown in Appendix II, accompanying this opinion.

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would have a reasonable expectation that any of the species of the genus would have similar properties and, thus, the same use as the genus as a whole . . . One having ordinary skill in the art would have been motivated to select the claimed compounds from the genus in the reference since such compounds would have been suggested by the reference as a whole.

If we understand the examiner's rationale, it is that each of the mandatory components of the claimed Formula I (e.g., the sulfur at position 1 of the base ring structure which gives the claimed compounds their benzothiophene designation; the carbonyl group bridging a phenyl group and the carbon at position 3 of the base ring structure; and the second carbonyl group bridging variable moieties R<sup>3</sup> and R<sup>4</sup>), and at least one of each of the variable moieties (e.g. R, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>), can be found among the numerous options listed for each moiety of Cameron's Formula I (A, B, Z, X, Y, D, E, Z<sup>1</sup>, G and R), thus, it would have been obvious "to select any of the species of the genus taught by the reference" as the claimed species would have been "suggested by the reference as a whole."

Even assuming, for the sake of argument, that Cameron does encompass the claimed compounds when specific variables are chosen in specific combinations, we disagree with the examiner's conclusion. As indicated in In re Baird, 16 F.3d 380, 382, 29 USPQ2d 1550, 1552 (Fed. Cir. 1994), "[t]he fact that a claimed compound may be encompassed by a disclosed generic formula does not by itself render that compound obvious. In re Jones, 958 F.2d 347, 350, 21 USPQ2d 1941, 1943 (Fed. Cir. 1992)." Nevertheless, beyond providing an oblique reference to Cameron's intermediate compound 6b-2 (a benzothiophene with a carbonyl group linking a substituted phenyl

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group to the carbon at position 3), the examiner fails to identify any disclosure in Cameron which would lead one skilled in the art to select a particular variable for each of the other moieties, much less to select the variables in the combination required to arrive at the claimed compounds.

In our view, the substituted phenyl group is particularly problematic. According to the examiner, to arrive at the claimed compounds, wherein a carbonyl group links  $R^3$  and  $R^4$ , one must not only select  $-NR_7R_8$  for Cameron's moiety G, and  $-(CH_2)_pW(CH_2)_q-$  for Cameron's moiety  $Z^1$ , but W must be  $-C(=O)-$ , p must be 3 and q must be 0. Appellants concede that Cameron teaches benzothiophenes as a preferred group of compounds, but argue that "those preferred compounds . . . do not have a carbonyl functional group in the basic side chain as do the compounds of [the present] invention." Brief, page 10. The examiner's response is simply that "[a]ppellants have given no good reason why one of ordinary skill in the art could not have simply modified the species of formula I using the clear teaching of  $Z^1$  at page 6 of [Cameron]." As the holding in In re Baird indicates, this is not the standard of obviousness under 35 U.S.C. § 103. Again, if there is anything in Cameron which would have guided one skilled in the art to the particular configuration required by the claims, the examiner has not identified it.

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In our judgment, the examiner's rejection is improperly based on hindsight reconstruction with the benefit of appellants' disclosure of the invention, rather than on any suggestion in Cameron's disclosure. Accordingly, the examiner has failed to establish a prima facie case of obviousness, and the rejection of claims 1 through 19 as unpatentable over Cameron is reversed.

REVERSED

William F. Smith	)	
Administrative Patent Judge	)	
	)	
	)	
	)	BOARD OF PATENT
Fred E. McKelvey	)	
Senior Administrative Patent Judge	)	APPEALS AND
	)	
	)	INTERFERENCES
	)	
Toni R. Scheiner	)	
Administrative Patent Judge	)	

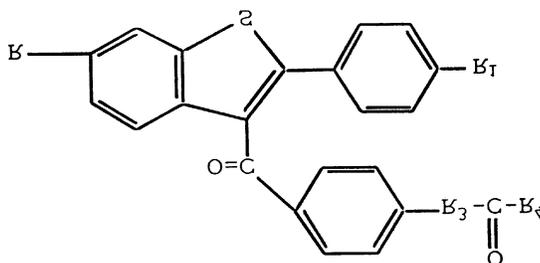
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APPENDIX I

1. A  
Formula I:

compound of



wherein

each of R and R<sup>1</sup> is independently hydrogen, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, benzyloxy, C<sub>1</sub>-C<sub>6</sub>-alkanoyloxy, benzoyloxy, substituted benzoyloxy bearing 1 to 3 substituents each of which is independently halo, C<sub>1</sub>-C<sub>4</sub> loweralkyl, or C<sub>1</sub>-C<sub>4</sub>-loweralkoxy, C<sub>1-5</sub>-alkoxycarbonyloxy, or C<sub>4</sub>-C<sub>6</sub>-alkylsulfonyloxy;

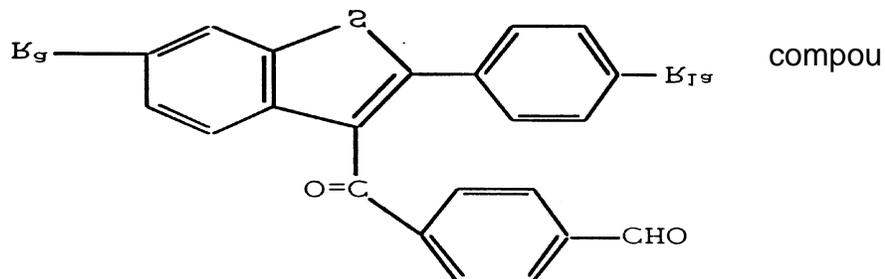
R<sup>3</sup> is -CH=CH- (trans) or -CH<sub>2</sub>-CH<sub>2</sub>-;

R<sup>4</sup> is hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, or -N(R<sup>5</sup>)<sub>2</sub> wherein each R<sup>5</sup> is taken separately and independently represents hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl, or both R<sup>5</sup> are taken with the N atom and constitute pyrrolidino, piperidino, hexamethyleneimino, or morpholino; or a pharmaceutically acceptable salt thereof.

2. A compound of claim 1 wherein R<sup>3</sup> is -CH=CH- (trans).

11. A method for alleviating a symptom of post-menopausal syndrome comprising administering to a woman in need of such treatment an effective amount of a compound of Claim 1.

18. A  
nd of the formula



wherein each of  $R^a$  and  $R^{1a}$  is independently hydrogen,  $C_1$ - $C_4$ -alkoxy, benzyloxy,  $C_1$ - $C_6$ -alkanoyloxy, benzoyloxy, substituted benzoyloxy bearing 1 to 3 substituents each of which is independently halo,  $C_1$ - $C_4$ -loweralkyl, or  $C_1$ - $C_4$ -loweralkoxy,  $C_{1-5}$  alkoxy-carbonyloxy, or  $C_4$ - $C_6$ -alkylsulfonyloxy.

APPENDIX

(1)

II

