

THIS OPINION WAS NOT WRITTEN FOR PUBLICATION

The opinion in support of the decision being entered today (1) was not written for publication in a law journal and (2) is not binding precedent of the Board.

Paper No. 40

UNITED STATES PATENT AND TRADEMARK OFFICE

BEFORE THE BOARD OF PATENT APPEALS
AND INTERFERENCES

Ex parte ALAIN C. ROCHAT, OLOF WALLQUIST,
ABUL IQBAL and JIN MIZUGUCHI

Appeal No. 95-4721
Application No. 08/128,332¹

ON BRIEF

Before KIMLIN, JOHN D. SMITH and WEIFFENBACH, Administrative Patent Judges.

KIMLIN, Administrative Patent Judge.

DECISION ON APPEAL

This is an appeal from the final rejection of claims 3-7

¹ Application for patent filed September 29, 1993. According to appellants, this application is a continuation of Application No. 08/047,886, filed April 15, 1993; which is a continuation of Application No. 07/381,212, filed July 17, 1989; both abandoned.

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the grandparent application X₁ is either a chlorinated or brominated aryl group. The Board affirmed the examiner's rejection in the grandparent application under 35 U.S.C. § 103 over the same Dix reference presently applied by the examiner. Also, appellants now rely upon the Wallquist Declaration, executed March 8, 1994, as evidence of unexpected results. The Declaration was not before the Board in the grandparent application.

Appellants submit at page 5 of the principal Brief that "[c]laims 3-7 and 11 are argued together as to each issue." Accordingly, all the appealed claims stand or fall together with claim 11. In re Nielson, 816 F.2d 1567, 1572, 2 USPQ2d 1525, 1528 (Fed. Cir. 1987); Ex parte Schier, 21 USPQ2d 1016, 1018-19 (Bd. Pat. App. & Int. 1991). See also 37 CFR § 1.192(c)(5) and (c)(6) (1994).

Appealed claims 11 and 3-7 stand rejected under 35 U.S.C. § 103 as being unpatentable over Dix.

We have thoroughly reviewed each of appellants' arguments for patentability, as well as the declaration evidence relied upon in support thereof. However, we fully concur with the examiner's legal conclusion that the claimed subject matter

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would have been obvious to one of ordinary skill in the art within the meaning of § 103 in view of the applied prior art. Accordingly, we will sustain the examiner's rejection.

We have little doubt that one of ordinary skill in the art, armed with the disclosure of Dix, would have found appellants' claimed process prima facie obvious. As explained by the examiner, Dix evidences that it was known in the art to perform an amination process on aryl halides by reacting a secondary amine with an aryl halide in the presence of N-methylpyrrolidone as a solvent, which solvent is within the scope of appealed claim 11 and specifically recited in dependent claim 7. Dix teaches that N-methylpyrrolidone is a preferred solvent (column 1, line 62). Dix also teaches that bromine is a preferred halogen substituent on the aryl group (column 2, line 12). In addition, the reference teaches that a preferred temperature range for the reaction is 100 to about 280EC (column 2, line 27), which range totally embraces, and is almost congruent with, the claimed range of 100E to 220EC. Like appellants' process, the process disclosed by Dix provides an improved yield of aryl amine when the aryl halide is directly aminated

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in the presence of an organic solvent like N-methylpyrrolidone (column 1, lines 44-48).

Appellants do not present any substantive argument that refutes the prima facie case of obviousness based on Dix. Rather, although appellants state a disagreement "with the underlying rejections based on obviousness" (page 5 of principal Brief), appellants rely upon a declaration by Dr. Olof Walquist, one of the present inventors, executed March 8, 1994, as evidence of unexpected and superior results (page 5 of principal Brief, last paragraph). According to appellants, the declaration demonstrates that the closest prior art process (Run No. 1 of Dix) gives only a 6.9% yield, while working Example 1 of the present specification gives a 51.3% yield. According to the declarant, "[t]his is indeed surprising and absolutely unexpected" (page 2 of declaration, last sentence).

Our review of the declaration evidence leads us to the same conclusion as that reached by the examiner, i.e., the declaration is not sufficiently probative of nonobviousness to outweigh the evidence of obviousness represented by the Dix disclosure. First, we agree with the examiner that the

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probative value of the declaration is not commensurate in scope with the degree of protection sought by the appealed claims. In re Grasselli, 713 F.2d 731, 743, 218 USPQ 769, 778 (Fed. Cir. 1983); In re Clemens, 622 F.2d 1029, 1036, 206 USPQ 289, 296 (CCPA 1980). Example 1 of the specification that is reported in the declaration uses a temperature of 180EC which is considerably above the lower limit of the claimed range of 100EC. While appellants contend at page 7 of their principal Brief that 180EC is representative of the claimed range of 100E-220EC, no factual basis is offered for this conclusion. If, as appellants argue, it is unexpected that the claimed amination of an aryl halide gives high yields at a temperature as low as 180EC, it is reasonable to require appellants to demonstrate that a similar unexpected yield would result at a temperature that is considerably lower than 180EC, viz., at the claimed 100EC. In our view, the single temperature used in the declaration does not establish unexpected results for the claimed range which substantially coincides with the preferred temperature range disclosed by Dix. In re Greenfield, 571 F.2d 1185, 1188,

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197 USPQ 227, 229 (CCPA 1978); In re Smith, 398 F.2d 849, 852, 158 USPQ 287, 289 (CCPA 1968).

Secondly, although declarant Walquist characterizes the comparative results as surprising and unexpected, the declarant has not laid the requisite factual foundation upon which to conclude that the demonstrated results are truly unexpected in light of the Dix disclosure considered in its entirety. In re Longi, 759 F.2d 887, 896-97, 225 USPQ 645, 651 (Fed. Cir. 1985); In re Grunwell, 609 F.2d 486, 491, 203 USPQ 1055, 1059 (CCPA 1979); In re Lindell, 385 F.2d 453, 455, 155 USPQ 521, 523 (CCPA 1967). We find it significant that Example 1 of the declaration, in accordance with the present invention, uses a reaction time of 11 hours, whereas the reaction time of Comparative Run 1 of Dix is only 2 hours. We cannot ignore this discrepancy in reaction times because Dix expressly discloses that "[t]he reaction can be carried to any desired degree of completion and reaction times can vary from about 1 to about 25 hours" (column 2, lines 31-33). We note that Run 1 of Dix has an ultimate yield of 21% for a reaction time of 2 hours, and it seems reasonable to presume that if the Dix reaction was conducted for the disclosed 25 hours, a

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considerably higher yield would result. Also, the declarant fails to explain any expected affect on yield resulting from the amination of the claimed heterocyclic compounds vis-à-vis the phenol chloride of Dix.

Consequently, for the reasons outlined above, we find that appellants have not carried their burden of demonstrating that the declaration and specification results are truly unexpected. In re Merck & Co., 800 F.2d 1091, 1099, 231 USPQ 375, 381 (Fed. Cir. 1986); In re Klosak, 455 F.2d 1077, 1080, 173 USPQ 14, 16 (CCPA 1972).

In conclusion, based on the foregoing, it is our judgment that the evidence of obviousness presented by the examiner outweighs the evidence of nonobviousness submitted by appellants. Accordingly, the examiner's decision rejecting the appealed claims is affirmed.

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No time period for taking any subsequent action in connection with this appeal may be extended under 37 CFR § 1.136(a).

AFFIRMED

EDWARD C. KIMLIN)	
Administrative Patent Judge)	
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JOHN D. SMITH)	BOARD OF PATENT
Administrative Patent Judge)	APPEALS AND
)	INTERFERENCES
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CAMERON WEIFFENBACH)	
Administrative Patent Judge)	

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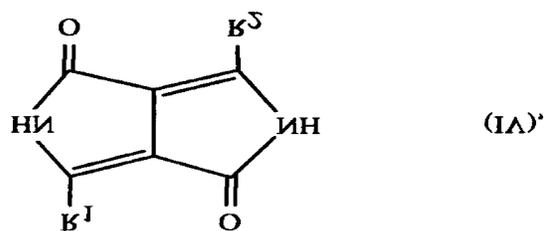
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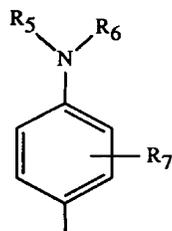
APPENDIX

11. A process for the preparation of a compound of formula

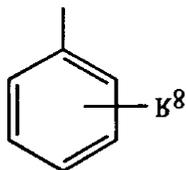


wherein R_1

is a group

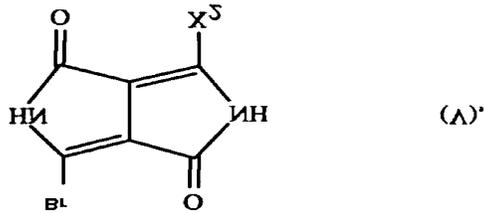


and R_2 is a group

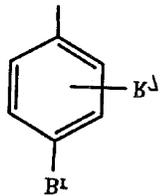


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or has the meaning of R_1 , in which formulae above R_5 and R_6 are identical and are C_1 - C_{12} alkyl, 2-hydroxyethyl, 2-mercaptoethyl, cyclohexyl, benzyl or phenylethyl, or $-NR_5R_6$ is pyrrolidinyl, piperidyl, morpholinyl or thiomorpholinyl, and R_7 and R_8 are each independently of the other hydrogen, chloro, bromo, C_1 - C_4 alkyl or C_1 - C_4 alkoxy, which process comprises reacting a pyrrolopyrr ole of formula

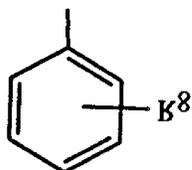


wherein X_1 is a group



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and X₂ is a group



or has the same meaning as X₁, and R₇ and R₈ are defined hereinabove, with a secondary amine of formula



wherein R₅₇ and R₆₈ are defined hereinabove in the molar ratio 1:1 or, if X₂ has the same meaning as X₁, in the molar ratio 1:2, in the presence of an anhydrous dipolar aprotic solvent of an amount 0.1 to 15 times in excess of stoichiometric proportion, based on the amine of formula VI, of an anhydrous

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organic base, in the temperature range from 100E to 220EC and
under a pressure from 1 to 3 bar.