

(20040679227)

24/11/04

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

162162

(43) International Publication Date
4 December 2003 (04.12.2003)

PCT

(10) International Publication Number
WO 03/099805 A1(51) International Patent Classification⁷: **C07D 333/22**,
333/12, A61K 31/38

(21) International Application Number: PCT/US03/16888

(22) International Filing Date: 28 May 2003 (28.05.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data: 60/383,130 28 May 2002 (28.05.2002) US

(71) Applicant (for all designated States except US): **3-DIMENSIONAL PHARMACEUTICALS, INC.** [US/US];
Three Lower Makefield Corporate Center, 1020 Stony Hill Road, Suite 300, Yardley, PA 19067 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **SUBASINGHE, Nalin** [US/US]; 129 Longford Road, West Chester, PA 19380 (US). **KHALIL, Ehab** [US/US]; 148 Mountainview Drive, West Chester, PA 19380 (US). **LEONARD, Kristi** [US/US]; 665 Stockton Drive, Suite 104, Exton, PA 19341 (US). **ALI, Farah** [US/US]; 329 Steeplechase Drive, Exton, PA 19341 (US). **HUFNAGEL, Heather, Rae** [US/US]; 64 Glen Manor Lane, Glenmoore, PA 19343 (US). **TRAVINS, Jeremy, M.** [US/US]; 2703 Cornell Court, Newtown Square, PA 19073 (US). **BALLENTINE, Shelley, K.** [US/US]; 35 Meadow Lane, Lansdale, PA 19446 (US). **WILSON, Kenneth, T.** [US/US]; 263 Woodcrest Road, West Grove, PA 19390 (US). **CUMMINGS, Maxwell, D.** [CA/US]; 659 West Valley Road, Wayne, PA 19087 (US). **PAN, Wenxi** [US/US]; 140 Messner Lane, Glenmoore, PA 19343 (US). **GUSHUE, Joan** [US/US]; 665 Stockton Drive, Suite 104, Exton, PA 19341 (US). **MEEGALLA, Sanath** [LK/US]; 3822 Highland Drive,Boothwyn, PA 19061 (US). **WALL, Mark** [CA/US]; 221 Green Bank Way, Harleysville, PA 19438 (US). **CHEN, Jinsheng** [CN/US]; 665 Stockton Drive, Suite 104, Exton, PA 19341 (US). **RUDOLPH, M., Jonathan** [US/US]; 665 Stockton Drive, Suite 104, Exton, PA 19341 (US). **HUANG, Hui** [CN/US]; 628 Lancaster Court, Belle Mead, NJ 08502 (US).(74) Agents: **ELDERKIN, Dianne B.** et al.; Woodcock Washburn LLP, One Liberty Place-46th Floor, Philadelphia, PA 19103 (US).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

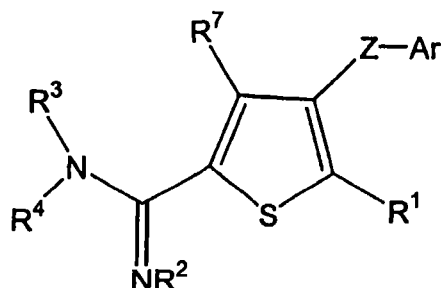
Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL THIOPHENE AMIDINES, COMPOSITIONS THEREOF, AND METHODS OF TREATING COMPLEMENT-MEDIATED DISEASES AND CONDITIONS

WO 03/099805 A1



(I)

(57) Abstract: Disclosed is a method for treating the symptoms of an acute or chronic disorder mediated by the classical pathway of the complement cascade, comprising administering to a mammal in need of such treatment a therapeutically effective amount of a compound of Formula (I) or a solvate, hydrate or pharmaceutically acceptable salt thereof; wherein R¹, R², R³, R⁴ and R⁷ are defined in the specification, Z is SO or SO₂, and Ar is an aromatic or heteroaromatic group as defined herein.

ACTION