



- (51) **International Patent Classification:**
C07D 241/04 (2006.01) **A61P 9/00** (2006.01)
A61K 31/496 (2006.01)
- (21) **International Application Number:**
 PCT/US2012/063793
- (22) **International Filing Date:**
 7 November 2012 (07.11.2012)
- (25) **Filing Language:** English
- (26) **Publication Language:** English
- (30) **Priority Data:**
 61/557,088 8 November 2011 (08.11.2011) US
 61/718,290 25 October 2012 (25.10.2012) US
- (71) **Applicant:** ARENA PHARMACEUTICALS, INC.
 [US/US]; 6166 Nancy Ridge Drive, San Diego, California
 92121 (US).
- (72) **Inventors:** TRAN, Thuy-Anh; 4833 Fairport Way, San
 Diego, California 92130 (US). BLACKBURN, Anthony
 C.; 12633 Caminito Rosita, San Diego, California 92128
 (US). KRAMER, Bryan A.; 8863 Duncan Road, San
 Diego, California 92126 (US). NAGURA, Maiko; 3128
 Via Alicante, Unit D, La Jolla, California 92037 (US).
 SAGE, Carleton R.; 1388 Peachwood Drive, Encinitas,
 California 92024 (US). SHIN, Young-Jun; 11051 Ice

Skate Place, San Diego, California 92126 (US). ZOU,
 Ning; 9829 Fieldthorn Street, San Diego, California 92127
 (US).

(74) **Agents:** SPRUCE, Lyle W. et al.; Arena Pharmaceuticals,
 Inc., 6166 Nancy Ridge Drive, San Diego, California
 92121 (US).

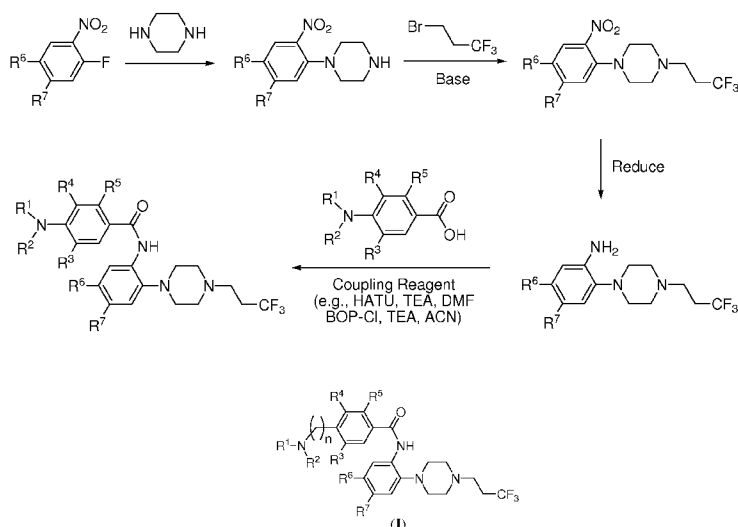
(81) **Designated States** (unless otherwise indicated, for every
 kind of national protection available): AE, AG, AL, AM,
 AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY,
 BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM,
 DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT,
 HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,
 KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
 ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI,
 NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU,
 RW, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ,
 TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA,
 ZM, ZW.

(84) **Designated States** (unless otherwise indicated, for every
 kind of regional protection available): ARIPO (BW, GH,
 GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ,
 UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ,
 TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV,
 MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM,

[Continued on next page]

(54) **Title:** MODULATORS OF THE G PROTEIN-COUPLED MAS RECEPTOR AND THE TREATMENT OF DISORDERS RE-
 LATED THERETO

**General Synthetic Scheme for the
 Preparation of Compounds of Formula (I) wherein X is Absent**



(57) **Abstract:** The present invention relates to compounds of Formula (I) and pharmaceutically acceptable salts, solvates, and hydrates thereof that are useful in methods of treatment and alleviation of diseases and disorders of the heart, brain, kidney, immune, and reproductive system resulting from ischemia, or reperfusion subsequent to ischemia, and any downstream complication(s) related thereto. The present invention further relates to methods of treatment and alleviation of diseases and disorders of the vasculature resulting from vasoconstriction or hypertension and any downstream complication(s) resulting from elevated blood pressure and/or reduced tissue perfusion.



TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, —
ML, MR, NE, SN, TD, TG).

*before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments (Rule 48.2(h))*

Published:

— *with international search report (Art. 21(3))*