

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

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
22 July 2021 (22.07.2021)



(10) International Publication Number
WO 2021/144287 A1

(51) International Patent Classification:

C07D 471/04 (2006.01) A61K 31/437 (2006.01)
C07F 9/53 (2006.01) A61P 1/16 (2006.01)

MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM,
TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
KM, ML, MR, NE, SN, TD, TG).

(21) International Application Number:

PCT/EP2021/050527

Published:

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

(22) International Filing Date:

13 January 2021 (13.01.2021)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

20151929.5 15 January 2020 (15.01.2020) EP

(71) Applicant: **HEPAREGENIX GMBH** [DE/DE]; Eisen-
bahnstrasse 63, 72072 Tübingen (DE).

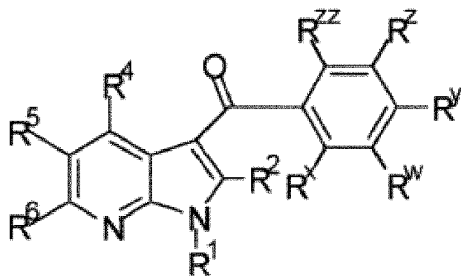
(72) Inventors: **SELIG, Roland**; Allacher Str. 311, 80999
München (DE). **LAUFER, Stefan**; Otto-Erbe-Weg 86,
72070 Tübingen (DE). **ALBRECHT, Wolfgang**; Al-
fred-Mendler Weg 25/1, 89075 Ulm (DE).

(74) Agent: **REITSTÖTTER KINZEBACH**; Sternwartstr. 4,
81679 München (DE).

(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, DJ, DK, DM, DO,
DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN,
HR, HU, ID, IL, IN, IR, IS, IT, JO, JP, KE, KG, KH, KN,
KP, KR, KW, KZ, LA, LC, LK, LR, LS, 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,
SA, SC, SD, SE, SG, SK, SL, ST, SV, SY, TH, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, WS, 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, ST, 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,

(54) Title: 3-BENZOYL-1H-PYRROLO[2,3-B]PYRIDINE DERIVATIVES AS MKK4 INHIBITORS FOR TREATING LIVER DISEASES



(I)

(57) Abstract: The invention relates to compounds of formula (I) which are inhibitors of MKK4 (mitogen-activated protein kinase kinase 4) and their use in promoting liver regeneration or reducing or preventing hepatocyte death. The compounds selectively inhibit protein kinase MKK4 over protein kinases JNK1 and MKK7. In formula (I), especially, R^w is -NR¹⁰SO₂R¹²; either a) R^x and R^y are F and R^z and R^{zz} are H; or b) R^x, R^y and R^{zz} are independently halogen and R^z is H; R⁵ is substituted phenyl or pyrimidinyl.

WO 2021/144287 A1