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(73) Nositelj patenta:

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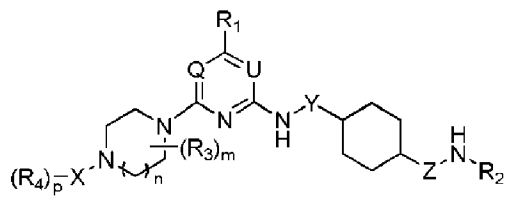
PRODUCTA d.o.o., 10000 Zagreb, HR

(54) Naziv izuma: **HETEROCIKLIČKI SPOJEVI**

HR P20150932 T2

PATENTNI ZAHTJEVI

1. Spoj prema slijedećoj formuli:



naznačen time da

svaki Q i U je CH ili N, pod uvjetom da barem jedan od Q i U je N;

svaki X, Y, i Z, neovisno, je C₁₋₅ alkilen ili izbrisan;

m je 0, 1, 2, 3, 4, ili 5;

n je 0, 1 ili 2;

p je 1 ili 2;

R₁ je H, C₁-C₁₀ alkil, C₃-C₂₀ cikloalkil, C₁-C₂₀ heterocikloalkil, aril, heteroaril, halo, CN, OR_a, COOR_a, OC(O)R_a, C(O)R_a, C(O)NR_aR_b, ili NR_aR_b;

R₂ je C₁-C₁₀ alkil, C₃-C₂₀ cikloalkil, C₁-C₂₀ heterocikloalkil, aril, heteroaril, ili C₁-C₁₀ alkil, proizvoljno supstituiran s C₁-C₁₀ alkil, C₃-C₂₀ cikloalkil, C₁-C₂₀ heterocikloalkil, ili N(RCR_d);

R₃, neovisno, je C₁-C₁₀ alkil, C₃-C₂₀ cikloalkil, C₁-C₂₀ heterocikloalkil, aril, heteroaril, halo, CN, OR_e, COOR_e, OC(O)R_e, C(O)R_e, C(O)NR_eR_f, ili NR_eR_f; ili R₃ je C₁₋₅ alkilen vezan na dva ugljikova atoma prstena na koji je vezan ili C₂₋₈ alkilen vezan na jedan ugljikov atom prstena na koji je vezan; i

R₄ je P(=O)(OR_g)(OR_i), P(=O)(NHR_g)(OR_i), P(=O)(NR_g)(NR_i);

gdje svaki od R_a, R_b, RC, R_d, R_e, R_f, R_g i R_i, neovisno, je H, C₁-C₁₀ alkil, C₃-C₂₀ cikloalkil, C₁-C₂₀ heterocikloalkil, aril, heteroaril, ili -C(O)R, R je H, C₁-C₁₀ alkil, C₃-C₂₀ cikloalkil, C₁-C₂₀ heterocikloalkil, aril, ili heteroaril; ili R_a i R_b su vezani i zajedno tvore C₂₋₈ alkilen, RC i R_d su vezani i zajedno tvore C₂₋₈ alkilen, R_e i R_f su vezani i zajedno tvore C₂₋₈ alkilen, ili R_g i R_i su vezani i zajedno tvore C₁₋₅ alkilen.

2. Spoj prema zahtjevu 1, **naznačen time** da Q je CH ili N i U je N.

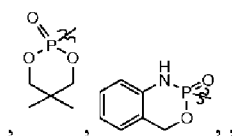
3. Spoj prema zahtjevu 1, **naznačen time** da X je -CH₂-, -CH₂CH₂- ili -CH₂CH₂CH₂- i p je 1.

4. Spoj prema zahtjevu 1, **naznačen time** da Y je -CH₂ ili izbrisan i Z je -CH₂-.

5. Spoj prema zahtjevu 1, **naznačen time** da R₂ je C₁₋₅ alkil supstituiran s N(RCR_d), poželjno -CH₂CH₂CH₂-N(RCR_d), gdje RC je H i R_d je C₁-C₁₀ alkil, C₃-C₂₀ cikloalkil, C₁-C₂₀ heterocikloalkil, aril, ili heteroaril, ili RC i R_d su vezani i zajedno tvore C₄₋₆ alkilen.

6. Spoj prema zahtjevu 1, **naznačen time** da m je 0, 1, ili 2; n je 1 ili 2; R₁ je NH₂; i R₃ je C₁-C₃ alkil, C₃-C₈ cikloalkil, C₁-C₈ heterocikloalkil, aril, heteroaril, halo, CN, OR_e, ili C(O)NR_eR_f; ili R₃ je C₁₋₂ alkilen vezan na dva ugljikova atoma prstena na koji je vezan ili C₂₋₅ alkilen vezan na jedan ugljikov atom prstena na koji je vezan.

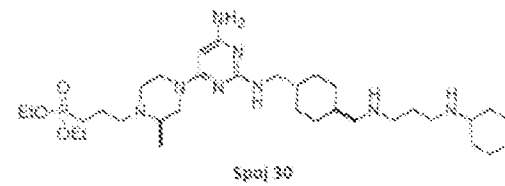
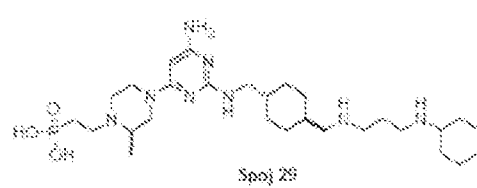
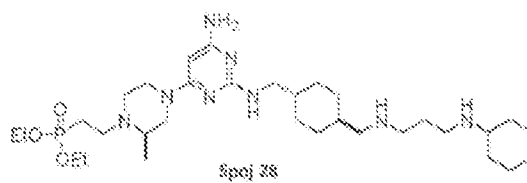
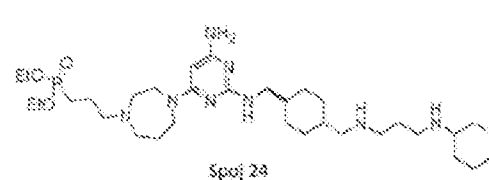
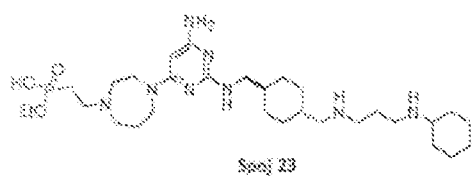
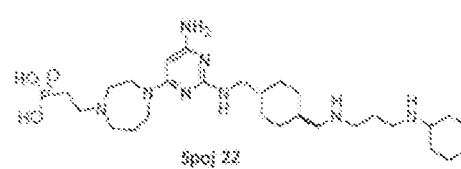
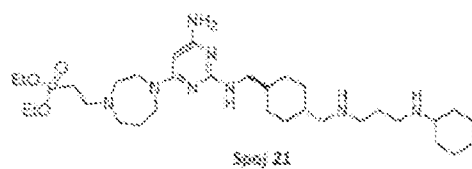
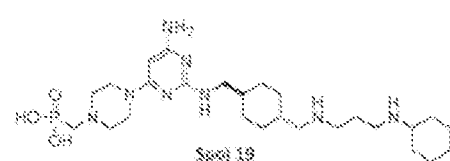
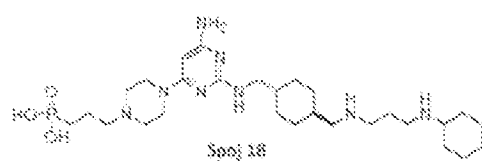
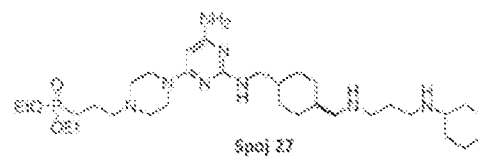
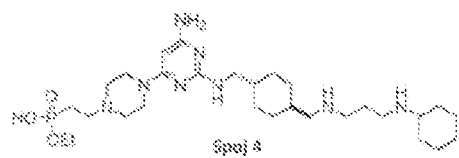
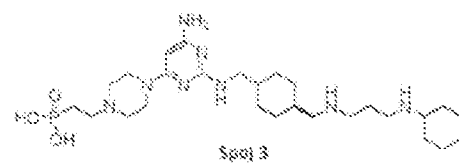
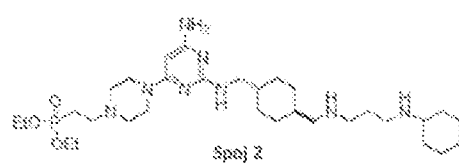
7. Spoj prema zahtjevu 1, **naznačen time** da R₄ je P(=O)(OH)₂, P(=O)(OH)(OCH₂CH₃), P(=O)(OCH₂CH₃)₂,

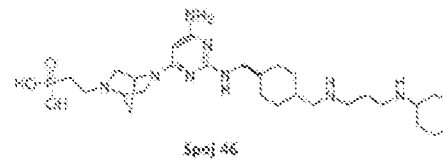
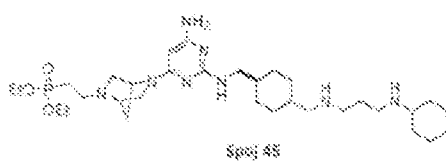
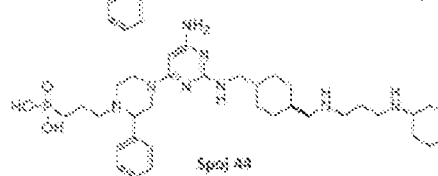
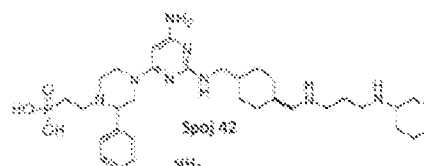
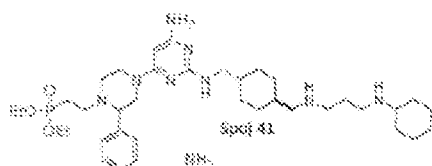
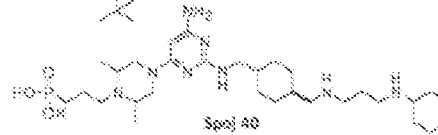
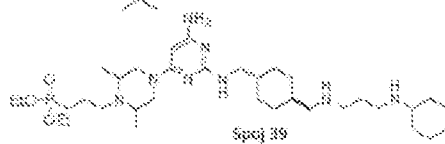
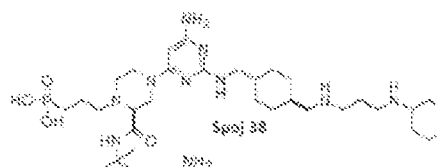
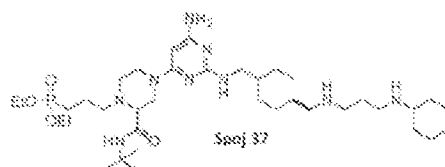
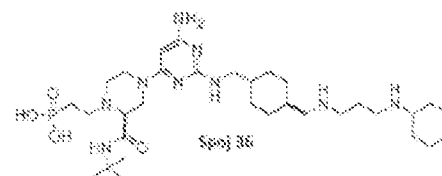
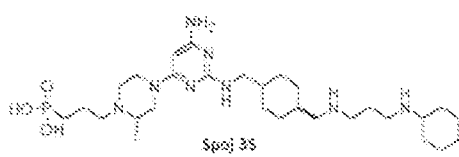
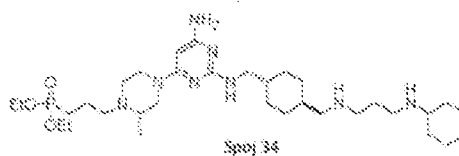
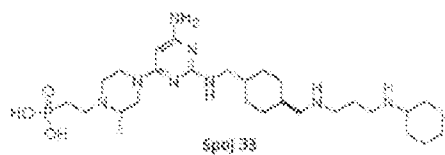
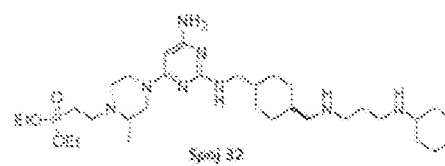
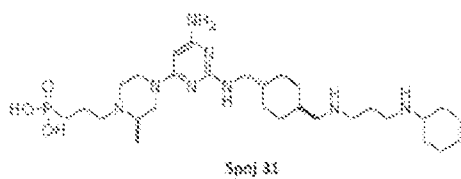


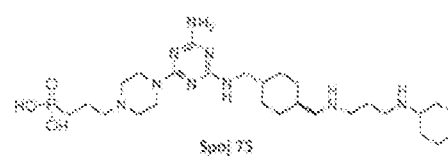
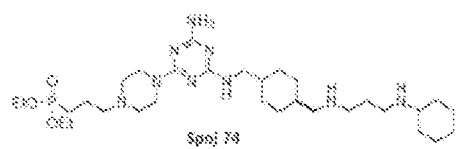
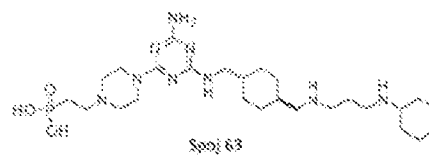
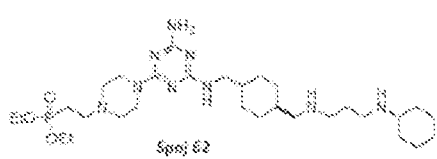
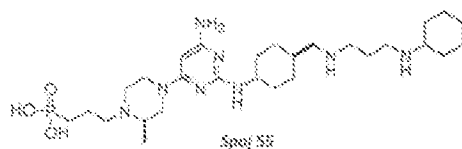
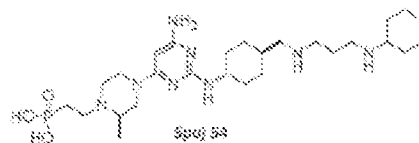
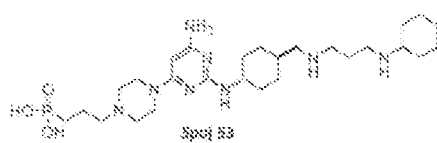
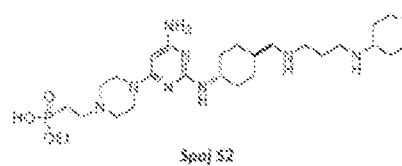
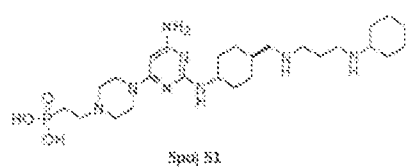
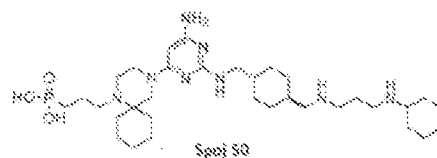
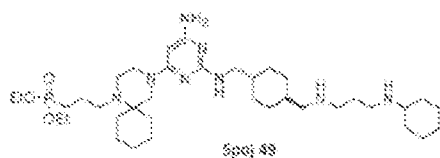
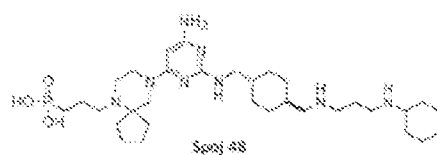
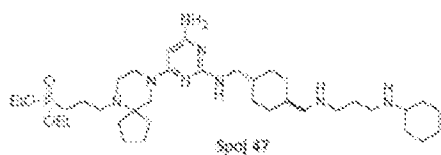
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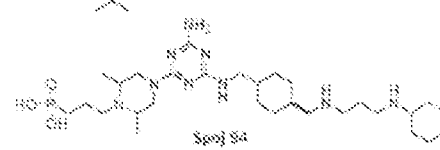
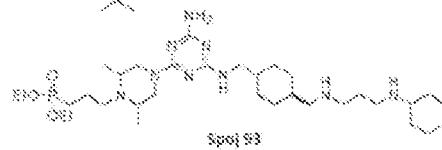
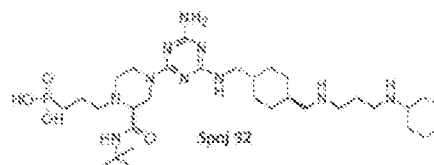
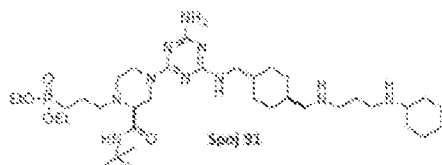
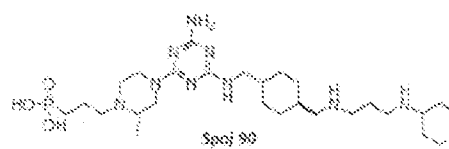
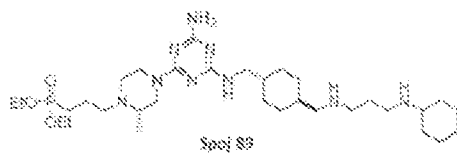
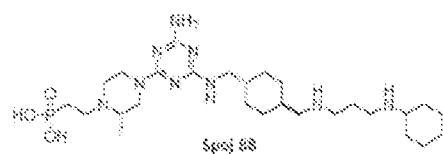
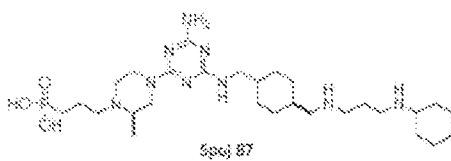
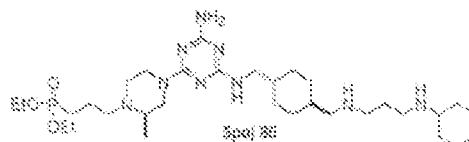
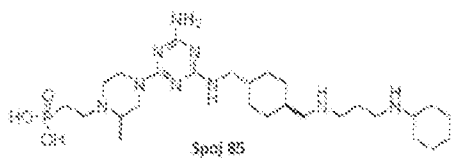
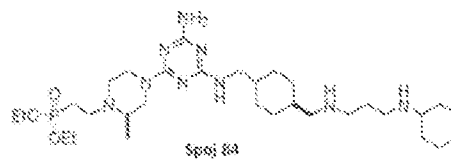
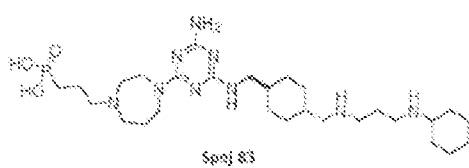
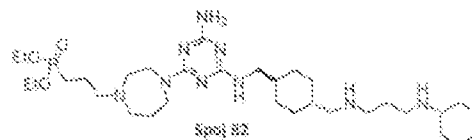
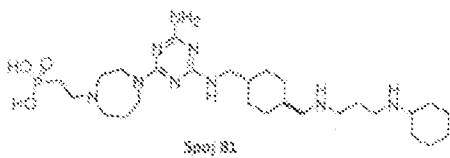
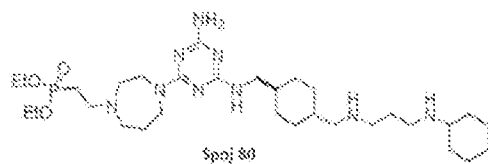
pri čemu poželjno m je 0, 1, ili 2; n je 1; p je 1; X je -CH₂CH₂- ili -CH₂CH₂CH₂-; Y je -CH₂ ili izbrisan i Z je -CH₂-; R₁ je NH₂; R₂ je C₁₋₅ alkil supstituiran N(RCR_d); i R₃ je C₁-C₃ alkil, C₃-C₈ cikloalkil, C₁-C₈ heterocikloalkil, aril, heteroaril, halo, CN, OR_e, ili C(O)NR_eR_f; ili C₁₋₂ alkilen vezan na dva ugljikova atoma prstena na koji je vezan ili C₂₋₅ alkilen vezan na jedan ugljikov atom prstena na koji je vezan.

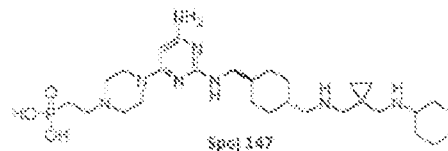
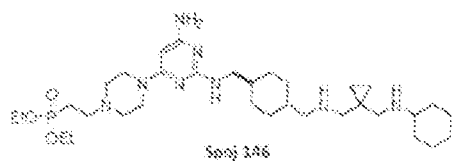
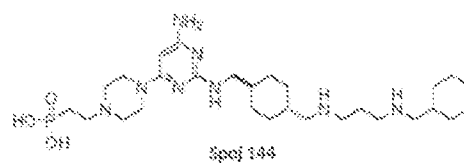
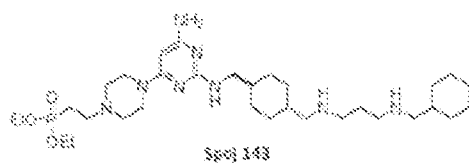
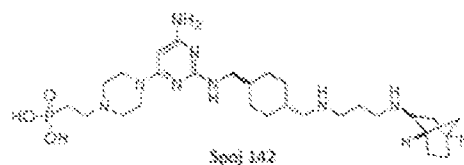
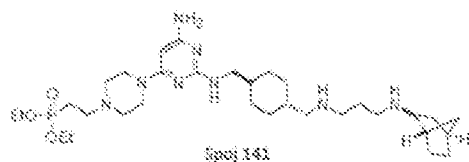
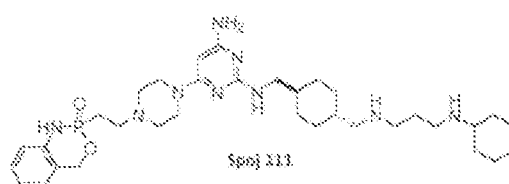
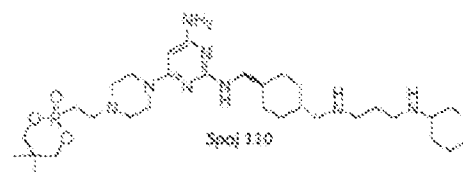
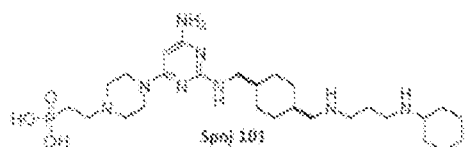
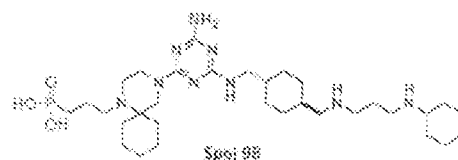
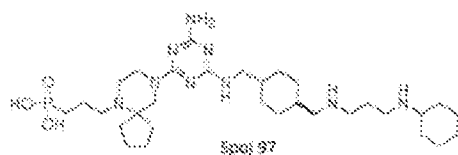
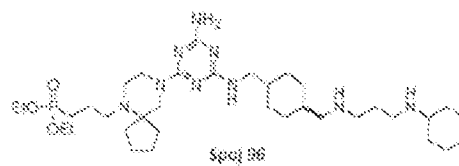
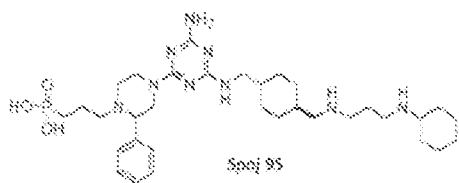
8. Spoj prema zahtjevu 1, **naznačen time** da je spoj odabran iz skupine koja se sastoji od slijedećih spojeva











9. Spoj kao što je definirano u bilo kojem od zahtjeva 1 do 8, **naznačen time** da je za uporabu u liječenju medicinskog stanja povezanog s CXCR4, pri čemu je stanje upalna ili imunološka bolest, razvojna ili degenerativna bolest, ili oštećenje tkiva, poželjno izabrano iz skupine koja sadrži dijabetes melitus tipa I, ozljedu mozga, ozljedu živca, ozljedu srca, oštećenje jetre, ozljedu skeletnih mišića, oštećenje bubrega, oštećenje gušterače, ozljedu pluća, ozljedu

kože, ishemiju udova, tihu ishemiju, srčanu ishemiju, ili ozljedu gastrointestinalnog trakta, pri čemu se spoj poželjno primjenjuje u kombinaciji s faktorom rasta G-CSF.

10. Spoj za uporabu u liječenju medicinskog stanja povezanog s CXCR4 prema zahtjevu 9, **naznačen time** da medicinsko stanje je dijabetička retinopatija, proliferativna retinopatija, makularna degeneracija povezana sa starenjem, makularni edem, neovaskularizacija rožnice, ili neovaskularizacija šarenice.
11. Spoj za uporabu u liječenju medicinskog stanja povezanog s CXCR4 prema zahtjevu 10, **naznačen time** da je spoj u pripravku formuliranom kao kapi za oči, pomast, injekcijska tekućina, mikročestice, ili oblik s produljenim otpuštanjem.
12. Spoj kao što je definirano u zahtjevima 1 do 8, za uporabu za liječenje raka, **naznačen time** da se spoj daje u kombinaciji s učinkovitom količinom kemoterapeutskog sredstva.