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(56) 对比文件

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权利要求书1页 说明书117页

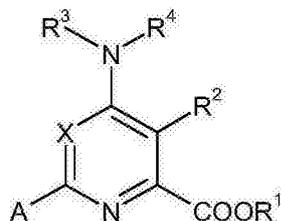
(54) 发明名称

取代的吡啶甲酸和嘧啶-4-羧酸、其制备方法及其作为除草剂和植物生长调节剂的用途

(57) 摘要

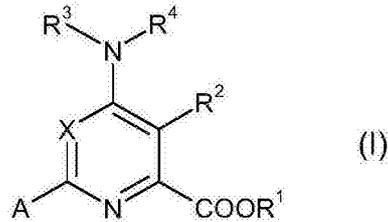
本发明涉及通式 (I) 的苯并杂环基吡啶和苯并杂环基嘧啶的羧酸衍生物, 以及其作为除草剂的用途。在所述通式 (I) 中, x 代表 N 或者 CH, A 代表苯并稠合的杂环, 并且 R¹、R²、R³ 以及 R⁴ 代表例如氢的基团或例如烷基的有机基团。

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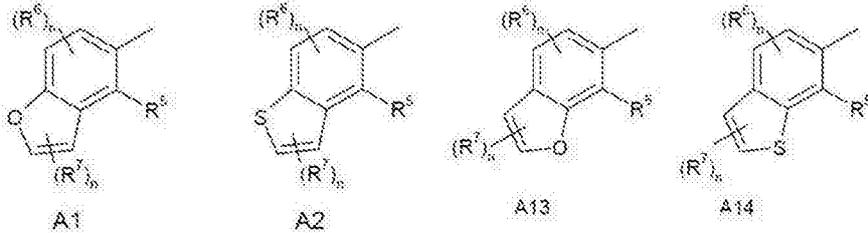
(I)

1. 式(I)的化合物、其盐



其中

A代表一个选自如下的基团，



R¹代表氢或者(C₁-C₄)烷基，

R²代表氯，

R³代表氢，

R⁴代表氢，

R⁵代表氢、卤素、OH、NH₂、CN、(C₁-C₃)烷基、(C₁-C₃)烷氧基、(C₁-C₃)烷基氨基或者环丙基，

R⁶代表氢、卤素、OH、NH₂、CN、(C₁-C₃)烷基、(C₁-C₃)烷氧基、环丙基或者乙烯基，

R⁷代表氢、卤素、(C₁-C₃)烷基、(C₁-C₃)烷氧基、(C₁-C₃)烷硫基、环丙基、(C₁-C₃)烷基氨基或者苯基，

X代表CH、CCl、CF或者CBr，

n代表0、1或者2。

2. 除草组合物，其特征在于，其含有除草有效量的至少一种权利要求1所述的式(I)化合物。

3. 权利要求2所述的除草组合物，其为与制剂助剂的混合物。

4. 权利要求2或3所述的除草组合物，其包括至少一种其他的农药活性化合物，所述其他的农药活性化合物选自杀昆虫剂、杀螨剂、除草剂、杀真菌剂、安全剂和生长调节剂。

5. 权利要求4所述的除草组合物，其包括安全剂。

6. 权利要求5所述的除草组合物，其包括其他除草剂。

7. 一种防治不想要植物的方法，其特征在于，将有效量的至少一种权利要求1所述的式(I)化合物或权利要求2至6中任一项所述的除草组合物施用于植物或施用于所述不想要植物的生长位点。

8. 权利要求1所述的式(I)化合物或权利要求2至6中任一项所述的除草组合物用于防治不想要植物的用途。

9. 权利要求8所述的用途，其特征在于，式(I)化合物用于在有益植物的作物中防治不想要植物。

10. 权利要求9所述的用途，其特征在于，所述有益植物为转基因有益植物。

取代的吡啶甲酸和嘧啶-4-羧酸、其制备方法及其作为除草剂和植物生长调节剂的用途

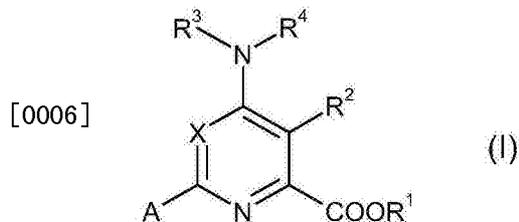
[0001] 本发明涉及除草剂的技术领域,特别是用于选择性防治在有用植物的作物中的阔叶杂草和禾本科杂草的除草剂。

[0002] 多种出版物中公开了取代的吡啶甲酸衍生物和嘧啶-4-羧酸衍生物具有除草特性:W02003/011853A1公开了多取代的6-苯基吡啶甲酸衍生物具有除草作用。W02009/029735A1和W02010/125332A1记载了多取代的2-苯基-4-嘧啶羧酸衍生物的除草效果。具有除草特性的杂芳族取代的吡啶甲酸和嘧啶羧酸公开于W02009/138712A2。W02007/080382A1和W02009/007751A2记载了具有药理学活性的杂芳族取代的吡啶甲酸和嘧啶羧酸。

[0003] 然而,在这些出版物中所记载的化合物通常不具有足够的除草活性和/或在有用植物的作物中不具有足够的选择性。

[0004] 我们已发现了特别适合用作除草剂的取代的吡啶甲酸和嘧啶-4-羧酸。

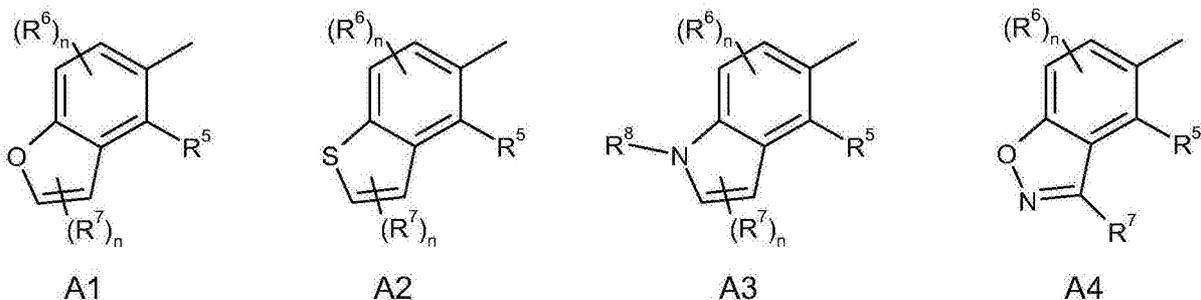
[0005] 本发明提供通式(I)的吡啶甲酸和嘧啶-4-羧酸、它们的N-氧化物或其盐



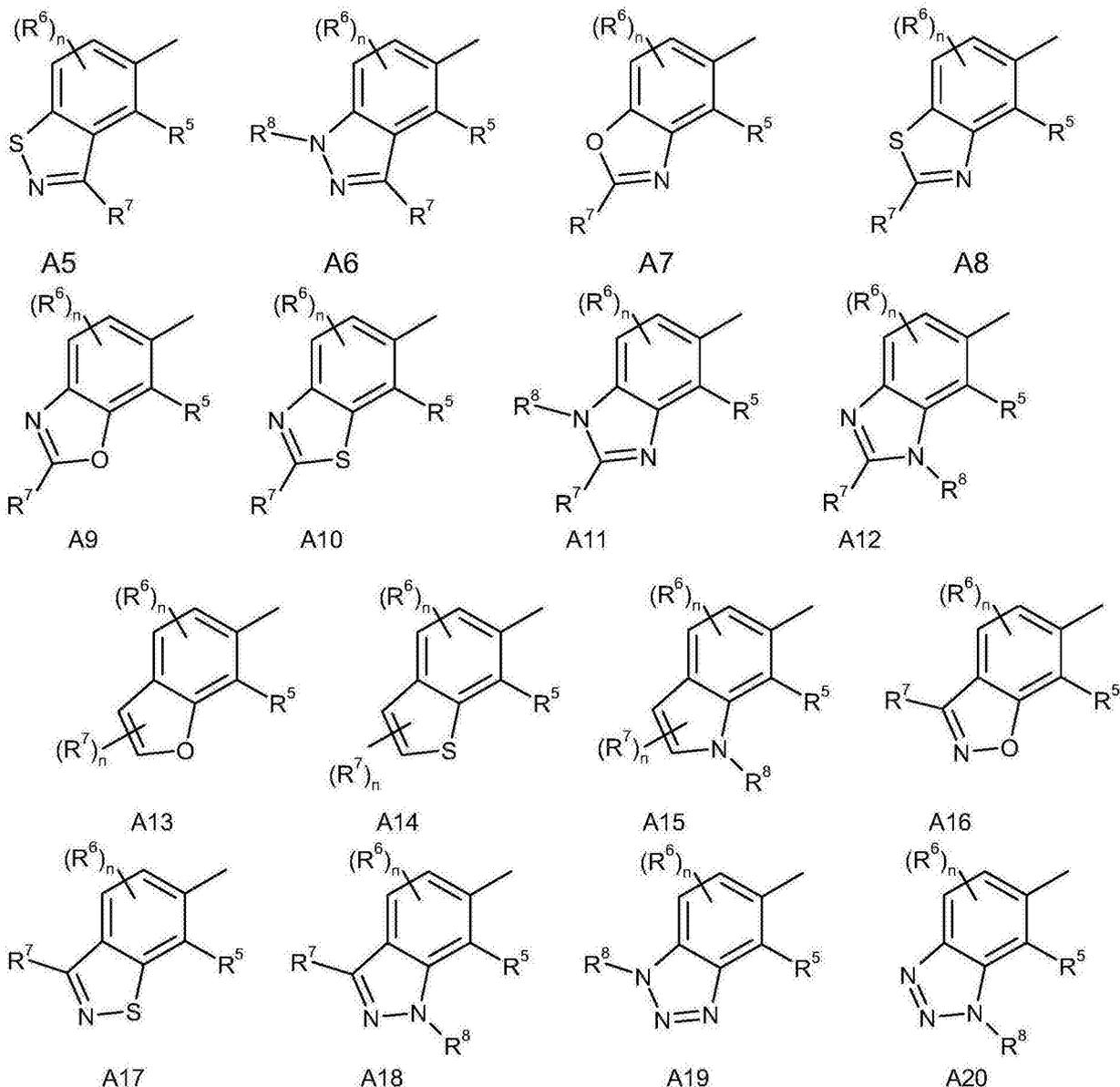
[0007] 其中

[0008] A代表一个选自A1至A20的基团:

[0009]



[0010]



- [0011] R^1 代表氢或(C₁-C₄)烷基，
- [0012] R^2 代表氯，
- [0013] R^3 代表氢，
- [0014] R^4 代表氢，
- [0015] R^5 代表氢、卤素、OH、NH₂、CN、(C₁-C₃)烷基、(C₁-C₃)烷氧基、(C₁-C₃)烷基氨基或者环丙基，
- [0016] R^6 代表氢、卤素、OH、NH₂、CN、(C₁-C₃)烷基、(C₁-C₃)烷氧基、环丙基或者乙烯基，
- [0017] R^7 代表氢、卤素、(C₁-C₃)烷基、(C₁-C₃)烷氧基、(C₁-C₃)烷硫基、环丙基、(C₁-C₃)烷基氨基或者苯基，
- [0018] R^8 代表氢、(C₁-C₃)烷基、苯基或者(C₁-C₃)烷基羰基，
- [0019] x代表N、CH、CCl、CF或者CBr，
- [0020] n代表0、1或者2。
- [0021] 烷基意指具有1至10个碳原子的饱和的直链或支链烃基，例如C₁-C₆烷基，例如甲

基、乙基、丙基、1-甲基乙基、丁基、1-甲基丙基、2-甲基丙基、1,1-二甲基乙基、戊基、1-甲基丁基、2-甲基丁基、3-甲基丁基、2,2-二甲基丙基、1-乙基丙基、己基、1,1-二甲基丙基、1,2-二甲基丙基、1-甲基戊基、2-甲基戊基、3-甲基戊基、4-甲基戊基、1,1-二甲基丁基、1,2-二甲基丁基、1,3-二甲基丁基、2,2-二甲基丁基、2,3-二甲基丁基、3,3-二甲基丁基、1-乙基丁基、2-乙基丁基、1,1,2-三甲基丙基、1,2,2-三甲基丙基、1-乙基-1-甲基丙基和1-乙基-2-甲基丙基。

[0022] 卤代烷基意指具有1至8个碳原子的直链或支链的烷基,其中在这些基团中,一些或所有的氢原子可以被卤素原子替代,例如 C_1-C_2 卤代烷基,例如氯甲基、溴甲基、二氯甲基、三氯甲基、氟甲基、二氟甲基、三氟甲基、氯氟甲基、二氯氟甲基、氯二氟甲基、1-氯乙基、1-溴乙基、1-氟乙基、2-氟乙基、2,2-二氟乙基、2,2,2-三氟乙基、2-氯-2-氟乙基、2-氯,2-二氟乙基、2,2-二氯-2-氟乙基、2,2,2-三氯乙基、五氟乙基和1,1,1-三氟丙-2-基。

[0023] 烯基意指不饱和的直链或支链烃基,其具有2至8个碳原子和一个位于任意位置的双键,例如 C_2-C_a 烯基例如乙烯基、1-丙烯基、2-丙烯基、1-甲基乙烯基、1-丁烯基、2-丁烯基、3-丁烯基、1-甲基-1-丙烯基、2-甲基-1-丙烯基、1-甲基-2-丙烯基、2-甲基-2-丙烯基、1-戊烯基、2-戊烯基、3-戊烯基、4-戊烯基、1-甲基-1-丁烯基、2-甲基-1-丁烯基、3-甲基-1-丁烯基、1-甲基-2-丁烯基、2-甲基-2-丁烯基、3-甲基-2-丁烯基、1-甲基-3-丁烯基、2-甲基-3-丁烯基、3-甲基-3-丁烯基、1,1-二甲基-2-丙烯基、1,2-二甲基-1-丙烯基、1,2-二甲基-2-丙烯基、1-乙基-1-丙烯基、1-乙基-2-丙烯基、1-己烯基、2-己烯基、3-己烯基、4-己烯基、5-己烯基、1-甲基-1-戊烯基、2-甲基-1-戊烯基、3-甲基-1-戊烯基、4-甲基-1-戊烯基、1-甲基-2-戊烯基、2-甲基-2-戊烯基、3-甲基-2-戊烯基、4-甲基-2-戊烯基、1-甲基-3-戊烯基、2-甲基-3-戊烯基、3-甲基-3-戊烯基、4-甲基-3-戊烯基、1-甲基-4-戊烯基、2-甲基-4-戊烯基、3-甲基-4-戊烯基、4-甲基-4-戊烯基、1,1-二甲基-2-丁烯基、1,1-二甲基-3-丁烯基、1,2-二甲基-1-丁烯基、1,2-二甲基-2-丁烯基、1,2-二甲基-3-丁烯基、1,3-二甲基-1-丁烯基、1,3-二甲基-2-丁烯基、1,3-二甲基-3-丁烯基、2,2-二甲基-3-丁烯基、2,3-二甲基-1-丁烯基、2,3-二甲基-2-丁烯基、2,3-二甲基-3-丁烯基、3,3-二甲基-1-丁烯基、3,3-二甲基-2-丁烯基、1-乙基-1-丁烯基、1-乙基-2-丁烯基、1-乙基-3-丁烯基、2-乙基-1-丁烯基、2-乙基-2-丁烯基、2-乙基-3-丁烯基、1,1,2-三甲基-2-丙烯基、1-乙基-1-甲基-2-丙烯基、1-乙基-2-甲基-1-丙烯基和1-乙基-2-甲基-2-丙烯基。

[0024] 炔基意指直链或支链的烃基,其具有2至8个碳原子和一个位于任意位置的三键,例如 C_2-C_6 炔基,例如乙炔基、1-丙炔基、2-丙炔基(或炔丙基)、1-丁炔基、2-丁炔基、3-丁炔基、1-甲基-2-丙炔基、1-戊炔基、2-戊炔基、3-戊炔基、4-戊炔基、3-甲基-1-丁炔基、1-甲基-2-丁炔基、1-甲基-3-丁炔基、2-甲基-3-丁炔基、1,1-二甲基-2-丙炔基、1-乙基-2-丙炔基、1-己炔基、2-己炔基、3-己炔基、4-己炔基、5-己炔基、3-甲基-1-戊炔基、4-甲基-1-戊炔基、1-甲基-2-戊炔基、4-甲基-2-戊炔基、1-甲基-3-戊炔基、2-甲基-3-戊炔基、1-甲基-4-戊炔基、2-甲基-4-戊炔基、3-甲基-4-戊炔基、1,1-二甲基-2-丁炔基、1,1-二甲基-3-丁炔基、1,2-二甲基-3-丁炔基、2,2-二甲基-3-丁炔基、3,3-二甲基-1-丁炔基、1-乙基-2-丁炔基、1-乙基-3-丁炔基、2-乙基-3-丁炔基和1-乙基-1-甲基-2-丙炔基。

[0025] 烷氧基意指具有1至8个碳原子的饱和的直链或支链的烷氧基,例如 C_1-C_6 烷氧基,例如甲氧基、乙氧基、丙氧基、1-甲基乙氧基、丁氧基、1-甲基丙氧基、2-甲基丙氧基、1,1-二

甲基乙氧基、戊氧基、1-甲基丁氧基、2-甲基丁氧基、3-甲基丁氧基、2,2-二甲基丙氧基、1-乙基丙氧基、己氧基、1,1-二甲基丙氧基、1,2-二甲基丙氧基、1-甲基戊氧基、2-甲基戊氧基、3-甲基戊氧基、4-甲基戊氧基、1,1-二甲基丁氧基、1,2-二甲基丁氧基、1,3-二甲基丁氧基、2,2-二甲基丁氧基、2,3-二甲基丁氧基、3,3-二甲基丁氧基、1-乙基丁氧基、2-乙基丁氧基、1,1,2-三甲基丙氧基、1,2,2-三甲基丙氧基、1-乙基-1-甲基丙氧基和1-乙基-2-甲基丙氧基;

[0026] 卤代烷氧基意指具有1至8个碳原子的直链或支链的烷氧基(如上文所述),其中在这些基团中,一些或所有的氢原子可如上文所述被卤素原子所替代,例如C₁-C₂卤代烷氧基,如氯甲氧基、溴甲氧基、二氯甲氧基、三氯甲氧基、氟甲氧基、二氟甲氧基、三氟甲氧基、氯氟甲氧基、二氯氟甲氧基、氯二氟甲氧基、1-氯乙氧基、1-溴乙氧基、1-氟乙氧基、2-氟乙氧基、2,2-二氟乙氧基、2,2,2-三氟乙氧基、2-氯-2-氟乙氧基、2-氯,2-二氟乙氧基、2,2-二氯-2-氟乙氧基、2,2,2-三氯乙氧基、五氟乙氧基和1,1,1-三氟丙-2-氧基。

[0027] 烷硫基意指具有1至8个碳原子的饱和的直链或支链的烷硫基,例如C₁-C₆烷硫基,如甲硫基、乙硫基、丙硫基、1-甲基乙硫基、丁硫基、1-甲基丙硫基、2-甲基丙硫基、1,1-二甲基乙硫基、戊硫基、1-甲基丁硫基、2-甲基丁硫基、3-甲基丁硫基、2,2-二甲基丙硫基、1-乙基丙硫基、己硫基、1,1-二甲基丙硫基、1,2-二甲基丙硫基、1-甲基戊硫基、2-甲基戊硫基、3-甲基戊硫基、4-甲基戊硫基、1,1-二甲基丁硫基、1,2-二甲基丁硫基、1,3-二甲基丁硫基、2,2-二甲基丁硫基、2,3-二甲基丁硫基、3,3-二甲基丁硫基、1-乙基丁硫基、2-乙基丁硫基、1,1,2-三甲基丙硫基、1,2,2-三甲基丙硫基、1-乙基-1-甲基丙硫基和1-乙基-2-甲基丙硫基;

[0028] 卤代烷硫基意指具有1至8个碳原子的直链或支链的烷硫基(如上文所述),其中在这些基团中,一些或所有的氢原子可如上文所述被卤素原子所替代,例如C₁-C₂卤代烷硫基,例如氯甲硫基、溴甲硫基、二氯甲硫基、三氯甲硫基、氟甲硫基、二氟甲硫基、三氟甲硫基、氯氟甲硫基、二氯氟甲硫基、氯二氟甲硫基、1-氯乙硫基、1-溴乙硫基、1-氟乙硫基、2-氟乙硫基、2,2-二氟乙硫基、2,2,2-三氟乙硫基、2-氯-2-氟乙硫基、2-氯,2-二氟乙硫基、2,2-二氯-2-氟乙硫基、2,2,2-三氯乙硫基、五氟乙硫基和1,1,1-三氟丙-2-硫基。

[0029] 芳基意指苯基或萘基。

[0030] 尤其根据取代基的性质,式(I)的化合物可以作为几何异构体和/或光学异构体或不同组成的混合物而存在,所述混合物,如果需要,可以以常规方式分离。本发明提供纯的异构体或者异构体混合物、其制备和用途、以及含有它们的组合物。然而,为了简化,在下文统称为式(I)化合物,尽管其意味着纯的化合物以及——如果合适——具有不同比例的异构体化合物的混合物。

[0031] 金属离子当量(metal ion equivalent)为具有一个正电荷的金属离子,例如Na⁺、K⁺、(Mg²⁺)_{1/2}、(Ca²⁺)_{1/2}、MgH⁺、CaH⁺、(Al³⁺)_{1/3}、(Fe²⁺)_{1/2}或(Fe³⁺)_{1/3}。

[0032] 卤素为氟、氯、溴或碘。

[0033] 当一个基团被多个基团取代时,这意味着该基团被一个或多个相同或不同的所述基团的代表所取代。

[0034] 基于上文所述取代基的性质,式(I)的化合物具有酸性或碱性的性质并且可以形成盐,如果合适也可以形成内盐,或与无机或有机酸或与碱或与金属离子形成加合物。如果

式(I)的化合物带有氨基、烷基氨基或其他引起碱性性质的基团,这些化合物可以与酸反应形成盐,或者在合成过程中直接获得它们的盐。

[0035] 无机酸的实例为氢卤酸,例如氢氟酸、氢氯酸、氢溴酸和氢碘酸、硫酸、磷酸和硝酸、以及酸性盐,如 NaHSO_4 和 KHSO_4 。合适的有机酸为,例如,甲酸、碳酸和烷酸,例如乙酸、三氟乙酸、三氯乙酸和丙酸,以及乙醇酸、硫氰酸、乳酸、琥珀酸、柠檬酸、苯甲酸、肉桂酸、草酸、烷基磺酸(带有直链或支链的具有1至20个碳原子的烷基的磺酸)、芳基磺酸或芳基二磺酸(芳香族基团,例如苯基和萘基,其带有一个或两个磺酸基团)、烷基膦酸(带有直链或支链的具有1至20个碳原子的烷基的膦酸)、芳基膦酸或芳基二膦酸(芳香族基团,例如苯基和萘基,其带有一个或两个膦酸基团),其中烷基和芳基可以带有其他的取代基,例如对甲苯磺酸、水杨酸、对氨基水杨酸、2-苯氧基苯甲酸、2-乙氧基苯甲酸等。

[0036] 合适的金属离子特别是第二主族元素的离子,特别是钙和镁,第三和第四主族的元素,特别是铝、锡和铅,以及第一至第八过渡族的离子,特别是铬、锰、铁、钴、镍、铜、锌及其他。特别优选的是第四周期元素的金属离子。在这里,金属可以以其能够呈现的多种价态存在,

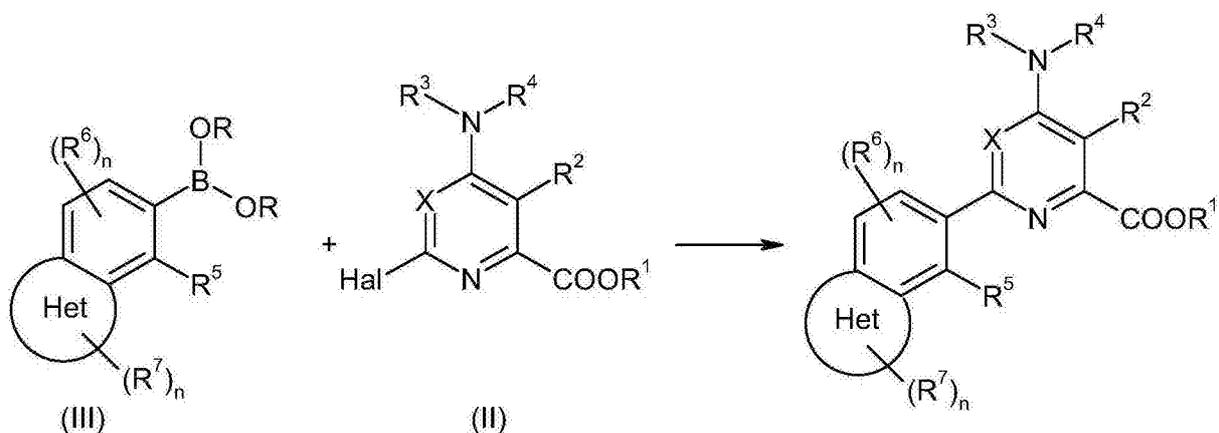
[0037] 如果式(I)的化合物带有羟基、羰基或其他引起酸性性质的基团,这些化合物可以与碱反应得到盐。合适的碱为,例如,碱金属和碱土金属(特别是钠、钾、镁和钙)的氢氧化物、碳酸盐、碳酸氢盐,以及氨、带有 $(\text{C}_1\text{-C}_4)$ 烷基的伯胺、仲胺和叔胺、 $(\text{C}_1\text{-C}_4)$ 烷醇的单烷醇胺、二烷醇胺和三烷醇胺、胆碱和氯化胆碱。

[0038] 根据取代基的性质和连接状况,通式(I)的化合物可以作为立体异构体存在。例如,如果存在一个或多个不对称取代的碳原子或亚砷,可能存在对映异构体和非对映异构体。立体异构体可以使用常规分离方法(例如通过色谱分离技术)从制备方法中得到的混合物中获得。也可以通过使用立体选择性反应,使用光学活性的起始材料和/或助剂来选择性地制备立体异构体。本发明也涉及被通式(I)所囊括但未具体定义的所有的立体异构体和其混合物。

[0039] 除非另有说明,在下面的所有式子中,取代基和符号的定义都与通式(I)中所述的相同。

[0040] 式(I)的化合物,例如,可以根据以下的方案,通过卤素化合物(II)与硼酸衍生物(III)的钯-催化偶联反应而制备。在这个方案中,Het代表稠合至苯环的基团A1至A24的杂环。R代表氢或 $(\text{C}_1\text{-C}_3)$ 烷基。

[0041]

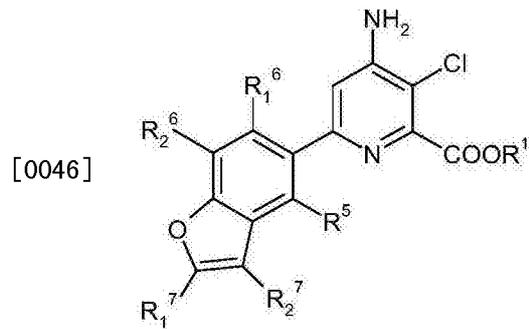


[0042] 式(II)的卤素化合物例如已知于W02001051468A1和W02007082076A1,或者它们可以通过本领域技术人员本身已知的方法制得。式(III)的硼酸衍生物是市售的,并且可以通过本领域技术人员本身已知的方法制得。

[0043] 优选的是列在表1至44中的式(I)化合物,所述化合物可以通过类似于本文所述的方法制得。所用的缩写表示:

[0044] Et=乙基Me=甲基Pr=丙基Ph=苯基

[0045] 表1:本发明式(I)的化合物,其中x代表CH,A代表A1, R^3 和 R^4 各自代表氢,并且 R^2 代表氯:



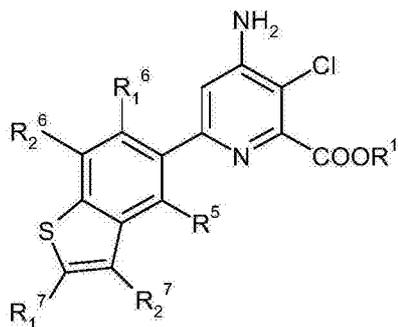
| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|-------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 1.001 | H | H | H | H | H | H |
| 1.002 | H | F | H | H | H | H |
| 1.003 | H | F | H | H | Cl | H |
| 1.004 | H | F | H | H | H | Cl |
| 1.005 | H | F | H | H | Cl | Cl |
| 1.006 | H | F | H | H | Ph | H |
| 1.007 | H | F | H | H | Ph | Cl |
| 1.008 | H | F | H | H | Ph | F |
| 1.009 | H | F | H | H | Me | H |
| 1.010 | H | F | H | H | Me | Cl |
| 1.011 | H | F | H | H | Me | F |
| 1.012 | Me | H | H | H | H | H |
| 1.013 | Me | F | H | H | H | H |
| 1.014 | Me | F | H | H | Cl | H |
| 1.015 | Me | F | H | H | H | Cl |
| 1.016 | Me | F | H | H | Cl | Cl |
| 1.017 | Me | F | H | H | H | F |
| 1.018 | Me | F | H | H | Ph | H |
| 1.019 | Me | F | H | H | Ph | Cl |
| 1.020 | Me | F | H | H | Ph | F |
| 1.021 | Me | F | H | H | Me | H |
| 1.022 | Me | F | H | H | Me | Cl |
| 1.023 | Me | F | H | H | Me | F |
| 1.024 | Et | H | H | H | H | H |
| 1.025 | Et | Cl | H | H | H | H |
| 1.026 | Et | Cl | H | H | Cl | H |
| 1.027 | Et | Cl | H | H | H | Cl |

[0048]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|-------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 1.028 | Et | Cl | H | H | Cl | Cl |
| 1.029 | Et | Cl | H | H | H | F |
| 1.030 | Et | Cl | H | H | Ph | H |
| 1.031 | Et | Cl | H | H | Ph | Cl |
| 1.032 | Et | Cl | H | H | Ph | F |
| 1.033 | Et | Cl | H | H | Me | H |
| 1.034 | Et | Cl | H | H | Me | Cl |
| 1.035 | Et | Cl | H | H | Me | F |
| 1.036 | H | F | F | H | H | H |
| 1.037 | H | F | H | F | H | H |
| 1.038 | Me | H | F | H | H | H |
| 1.039 | H | H | F | H | H | H |
| 1.040 | K | H | F | H | H | H |
| 1.041 | Me | H | Cl | H | H | H |
| 1.042 | H | H | Cl | H | H | H |
| 1.043 | K | H | Cl | H | H | H |
| 1.044 | Me | H | H | F | H | H |
| 1.045 | H | H | H | F | H | H |
| 1.046 | K | H | H | F | H | H |
| 1.047 | Me | H | H | Cl | H | H |
| 1.048 | H | H | H | Cl | H | H |
| 1.049 | K | H | H | Cl | H | H |
| 1.050 | K | F | H | H | H | H |
| 1.051 | Me | Cl | H | H | H | H |
| 1.052 | H | Cl | H | H | H | H |
| 1.053 | Na | Cl | H | H | H | H |

[0049] 表2:本发明式(I)的化合物,其中x代表CH,A代表A2,R³和R⁴各自代表氢,且R²代表氯:

[0050]



[0051]

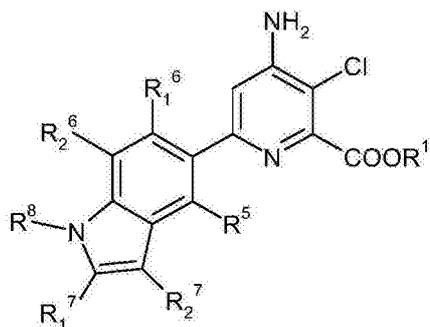
| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|-------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 2.001 | H | H | H | H | H | H |
| 2.002 | H | F | H | H | H | H |
| 2.003 | H | F | H | H | Cl | H |
| 2.004 | H | F | H | H | H | Cl |
| 2.005 | H | F | H | H | Cl | Cl |
| 2.006 | H | F | H | H | Ph | H |
| 2.007 | H | F | H | H | Ph | Cl |
| 2.008 | H | F | H | H | Ph | F |
| 2.009 | H | F | H | H | Me | H |
| 2.010 | H | F | H | H | Me | Cl |
| 2.011 | H | F | H | H | Me | F |
| 2.012 | Me | H | H | H | H | H |
| 2.013 | Me | F | H | H | H | H |
| 2.014 | Me | F | H | H | Cl | H |
| 2.015 | Me | F | H | H | H | Cl |
| 2.016 | Me | F | H | H | Cl | Cl |
| 2.017 | Me | F | H | H | H | F |
| 2.018 | Me | F | H | H | Ph | H |
| 2.019 | Me | F | H | H | Ph | Cl |
| 2.020 | Me | F | H | H | Ph | F |
| 2.021 | Me | F | H | H | Me | H |
| 2.022 | Me | F | H | H | Me | Cl |
| 2.023 | Me | F | H | H | Me | F |
| 2.024 | Et | H | H | H | H | H |
| 2.025 | Et | Cl | H | H | H | H |

[0052]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|-------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 2.026 | Et | Cl | H | H | Cl | H |
| 2.027 | Et | Cl | H | H | H | Cl |
| 2.028 | Et | Cl | H | H | Cl | Cl |
| 2.029 | Et | Cl | H | H | H | F |
| 2.030 | Et | Cl | H | H | Ph | H |
| 2.031 | Et | Cl | H | H | Ph | Cl |
| 2.032 | Et | Cl | H | H | Ph | F |
| 2.033 | Et | Cl | H | H | Me | H |
| 2.034 | Et | Cl | H | H | Me | Cl |
| 2.035 | Et | Cl | H | H | Me | F |
| 2.036 | H | F | F | H | H | H |
| 2.037 | H | F | H | F | H | H |
| 2.038 | Me | H | F | H | H | H |
| 2.039 | H | H | F | H | H | H |
| 2.040 | K | H | F | H | H | H |
| 2.041 | Me | H | Cl | H | H | H |
| 2.042 | H | H | Cl | H | H | H |
| 2.043 | K | H | Cl | H | H | H |
| 2.044 | Me | H | H | F | H | H |
| 2.045 | H | H | H | F | H | H |
| 2.046 | K | H | H | F | H | H |
| 2.047 | Me | H | H | Cl | H | H |
| 2.048 | H | H | H | Cl | H | H |
| 2.049 | K | H | H | Cl | H | H |
| 2.050 | K | F | H | H | H | H |
| 2.051 | Me | Cl | H | H | H | H |
| 2.052 | H | Cl | H | H | H | H |
| 2.053 | K | Cl | H | H | H | H |

[0053] 表3:本发明式(I)的化合物,其中x代表CH,A代表A3,R³和R⁴各自代表氢,且R²代表氯:

[0054]



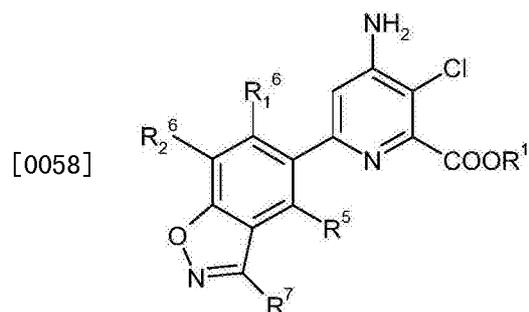
[0055]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ | R ⁸ |
|-------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|----------------|
| 3.001 | H | H | H | H | H | H | H |
| 3.002 | H | F | H | H | H | H | Me |
| 3.003 | H | F | H | H | Cl | H | H |
| 3.004 | H | F | H | H | H | Cl | Me |
| 3.005 | H | F | H | H | Cl | Cl | Me |
| 3.006 | H | F | H | H | Ph | H | Me |
| 3.007 | H | F | H | H | Ph | Cl | H |
| 3.008 | H | F | H | H | Ph | F | H |
| 3.009 | H | F | H | H | Me | H | H |
| 3.010 | H | F | H | H | Me | Cl | Me |
| 3.011 | H | F | H | H | Me | F | Me |
| 3.012 | Me | H | H | H | H | H | Me |
| 3.013 | Me | F | H | H | H | H | H |
| 3.014 | Me | F | H | H | Cl | H | H |
| 3.015 | Me | F | H | H | H | Cl | Me |
| 3.016 | Me | F | H | H | Cl | Cl | Me |
| 3.017 | Me | F | H | H | H | F | Me |
| 3.018 | Me | F | H | H | Ph | H | H |
| 3.019 | Me | F | H | H | Ph | Cl | H |
| 3.020 | Me | F | H | H | Ph | F | H |
| 3.021 | Me | F | H | H | Me | H | H |
| 3.022 | Me | F | H | H | Me | Cl | Me |
| 3.023 | Me | F | H | H | Me | F | H |
| 3.024 | Et | H | H | H | H | H | Me |
| 3.025 | Et | Cl | H | H | H | H | Me |

[0056]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ | R ⁸ |
|-------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|----------------|
| 3.026 | Et | Cl | H | H | Cl | H | Me |
| 3.027 | Et | Cl | H | H | H | Cl | Me |
| 3.028 | Et | Cl | H | H | Cl | Cl | Me |
| 3.029 | Et | Cl | H | H | H | F | Me |
| 3.030 | Et | Cl | H | H | Ph | H | H |
| 3.031 | Et | Cl | H | H | Ph | Cl | H |
| 3.032 | Et | Cl | H | H | Ph | F | H |
| 3.033 | Et | Cl | H | H | Me | H | H |
| 3.034 | Et | Cl | H | H | Me | Cl | H |
| 3.035 | Et | Cl | H | H | Me | F | Me |
| 3.036 | H | F | F | H | H | H | H |
| 3.037 | H | F | H | F | H | H | H |

[0057] 表4: 本发明式(I)的化合物, 其中x代表CH, A代表A4, R³和R⁴各自代表氢, 并且R²代表氯:

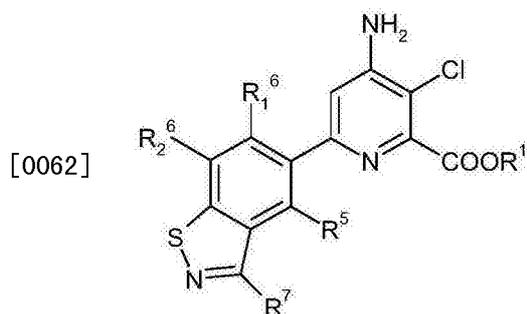


[0059]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|-------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 4.001 | H | H | H | H | H |
| 4.002 | H | F | H | H | H |
| 4.003 | H | F | H | H | F |
| 4.004 | H | F | H | H | Cl |
| 4.005 | H | F | H | H | Me |
| 4.006 | H | Cl | H | H | H |
| 4.007 | H | Cl | H | H | F |
| 4.008 | H | Cl | H | H | Cl |
| 4.009 | H | Cl | H | H | Me |
| 4.010 | Me | H | H | H | H |
| 4.011 | Me | F | H | H | H |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|-------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 4.012 | Me | F | H | H | F |
| 4.013 | Me | F | H | H | Cl |
| 4.014 | Me | Cl | H | H | H |
| 4.015 | Me | Cl | H | H | F |
| 4.016 | Me | Cl | H | H | Cl |
| 4.017 | Me | Cl | H | H | Me |
| 4.018 | Et | H | H | H | H |
| 4.019 | Et | F | H | H | H |
| 4.020 | Et | Cl | H | H | H |
| 4.021 | Et | F | H | H | Me |
| 4.022 | Et | Cl | H | H | Me |
| 4.023 | H | F | F | H | H |
| 4.024 | H | F | H | F | H |

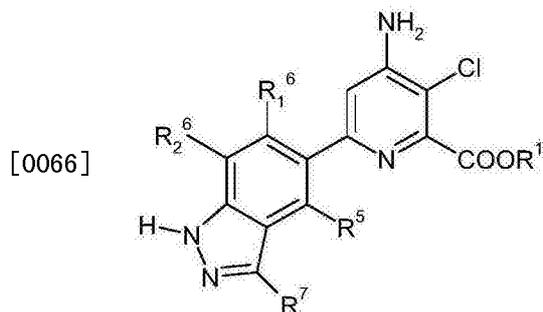
[0061] 表5: 本发明式(I)的化合物, 其中x代表CH, A代表A5, R³和R⁴各自代表氢, 并且R²代表氯:



| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|-------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 5.001 | H | H | H | H | H |
| 5.002 | H | F | H | H | H |
| 5.003 | H | F | H | H | F |
| 5.004 | H | F | H | H | Cl |
| 5.005 | H | F | H | H | F |
| 5.006 | H | Cl | H | H | H |
| 5.007 | H | Cl | H | H | F |
| 5.008 | H | Cl | H | H | Cl |
| 5.009 | H | Cl | H | H | Me |
| 5.010 | Me | H | H | H | H |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|-------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 5.011 | Me | F | H | H | H |
| 5.012 | Me | F | H | H | Cl |
| 5.013 | Me | Cl | H | H | H |
| 5.014 | Me | Cl | H | H | F |
| 5.015 | Me | Cl | H | H | Cl |
| 5.016 | Me | Cl | H | H | Me |
| 5.017 | Et | H | H | H | H |
| 5.018 | Et | F | H | H | H |
| 5.019 | Et | Cl | H | H | H |
| 5.020 | Et | F | H | H | Me |
| 5.021 | Et | Cl | H | H | Me |
| 5.022 | H | F | F | H | H |
| 5.023 | H | F | H | F | H |

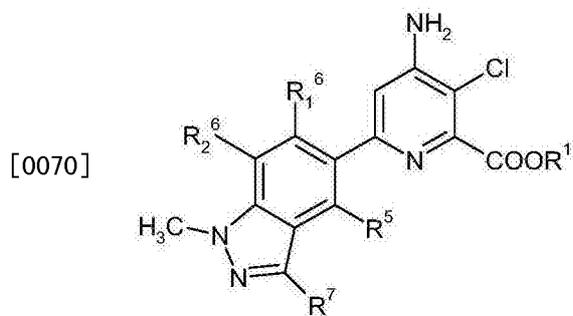
[0065] 表6:本发明式(I)的化合物,其中x代表CH,A代表A6,R⁸、R³和R⁴各自代表氢,并且R²代表氯:



| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|-------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 6.001 | H | H | H | H | H |
| 6.002 | H | F | H | H | H |
| 6.003 | H | F | H | H | Me |
| 6.004 | H | F | H | H | Et |
| 6.005 | H | H | H | H | Me |
| 6.006 | H | Cl | H | H | H |
| 6.007 | H | Cl | H | H | Me |
| 6.008 | H | Cl | H | H | Et |
| 6.009 | Me | H | H | H | H |
| 6.010 | Me | H | H | H | Me |
| 6.011 | Me | F | H | H | H |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|-------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 6.012 | Me | F | H | H | Me |
| 6.013 | Me | F | H | H | Et |
| 6.014 | Me | Cl | H | H | H |
| 6.015 | Me | Cl | H | H | Me |
| 6.016 | Me | Cl | H | H | Et |
| 6.017 | Me | H | H | H | Me |
| 6.018 | Et | H | H | H | H |
| 6.019 | Et | H | H | H | Me |
| 6.020 | Et | H | H | H | Et |
| 6.021 | Et | F | H | H | H |
| 6.022 | Et | F | H | H | Me |
| 6.023 | Et | F | H | H | Et |
| 6.024 | Et | Cl | H | H | H |
| 6.025 | Et | Cl | H | H | Me |
| 6.026 | Et | Cl | H | H | Et |
| 6.027 | H | F | F | H | H |
| 6.028 | H | F | H | F | H |

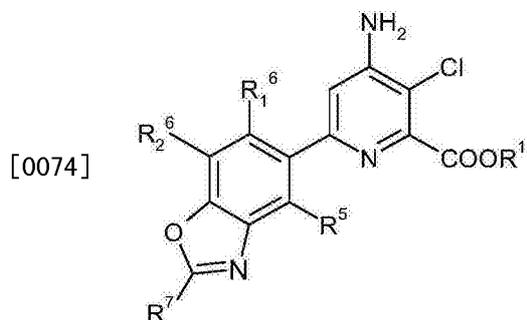
[0068] 表7: 本发明式(I)的化合物, 其中x代表CH, A代表A6, R³和R⁴各自代表氢, R⁸代表甲基, 且R²代表氯:



| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|-------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 7.001 | H | H | H | H | H |
| 7.002 | H | F | H | H | H |
| 7.003 | H | F | H | H | Me |
| 7.004 | H | F | H | H | Et |
| 7.005 | H | H | H | H | Me |
| 7.006 | H | Cl | H | H | H |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 7.007 | H | Cl | H | H | Me |
| 7.008 | H | Cl | H | H | Et |
| 7.009 | Me | H | H | H | H |
| 7.010 | Me | H | H | H | Me |
| 7.011 | Me | F | H | H | H |
| 7.012 | Me | F | H | H | Me |
| 7.013 | Me | F | H | H | Et |
| 7.014 | Me | Cl | H | H | H |
| 7.015 | Me | Cl | H | H | Me |
| 7.016 | Me | Cl | H | H | Et |
| [0072] 7.017 | Me | H | H | H | Me |
| 7.018 | Et | H | H | H | H |
| 7.019 | Et | H | H | H | Me |
| 7.020 | Et | H | H | H | Et |
| 7.021 | Et | F | H | H | H |
| 7.022 | Et | F | H | H | Me |
| 7.023 | Et | F | H | H | Et |
| 7.024 | Et | Cl | H | H | H |
| 7.025 | Et | Cl | H | H | Me |
| 7.026 | Et | Cl | H | H | Et |
| 7.027 | H | F | F | H | H |
| 7.028 | H | F | H | F | H |

[0073] 表8:本发明式(I)的化合物,其中x代表CH,A代表A7,R³和R⁴各自代表氢,并且R²代表氯:



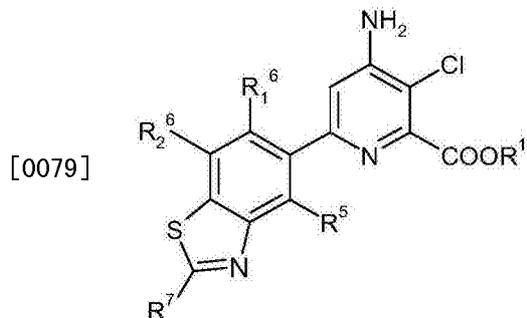
[0075]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|-------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 8.001 | H | H | H | H | H |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 8.002 | H | H | H | H | Me |
| 8.003 | H | H | H | H | Ph |
| 8.004 | H | H | H | H | SMe |
| 8.005 | H | F | H | H | H |
| 8.006 | H | F | H | H | Me |
| 8.007 | H | F | H | H | Ph |
| 8.008 | H | F | H | H | SMe |
| 8.009 | H | Cl | H | H | H |
| 8.010 | H | Cl | H | H | Me |
| 8.011 | H | Cl | H | H | Ph |
| 8.012 | H | Cl | H | H | SMe |
| 8.013 | Me | H | H | H | H |
| 8.014 | Me | H | H | H | Me |
| 8.015 | Me | H | H | H | Ph |
| 8.016 | Me | H | H | H | SMe |
| 8.017 | Me | F | H | H | H |
| 8.018 | Me | F | H | H | Me |
| [0076] 8.019 | Me | F | H | H | Ph |
| 8.020 | Me | F | H | H | SMe |
| 8.021 | Me | Cl | H | H | H |
| 8.022 | Me | Cl | H | H | Me |
| 8.023 | Me | Cl | H | H | Ph |
| 8.024 | Me | Cl | H | H | SMe |
| 8.025 | Et | H | H | H | H |
| 8.026 | Et | H | H | H | Me |
| 8.027 | Et | H | H | H | Ph |
| 8.028 | Et | H | H | H | SMe |
| 8.029 | Et | F | H | H | H |
| 8.030 | Et | F | H | H | Me |
| 8.031 | Et | F | H | H | Ph |
| 8.032 | Et | F | H | H | SMe |
| 8.033 | Et | Cl | H | H | H |
| 8.034 | Et | Cl | H | H | Me |
| 8.035 | Et | Cl | H | H | Ph |
| 8.036 | Et | Cl | H | H | SMe |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| [0077] 8.037 | H | F | F | H | H |
| 8.038 | H | F | H | F | H |

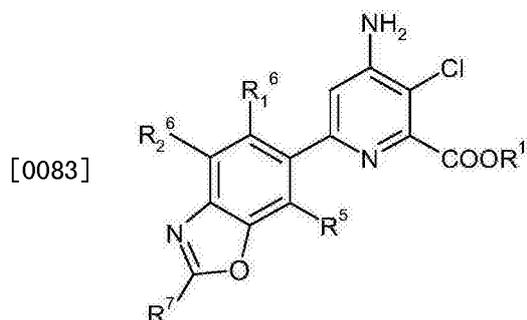
[0078] 表9:本发明式(I)的化合物,其中x代表CH,A代表A8,R³和R⁴各自代表氢,并且R²代表氯:



| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 9.001 | H | H | H | H | H |
| 9.002 | H | H | H | H | Me |
| 9.003 | H | H | H | H | Ph |
| 9.004 | H | H | H | H | SMe |
| 9.005 | H | F | H | H | H |
| 9.006 | H | F | H | H | Me |
| 9.007 | H | F | H | H | Ph |
| 9.008 | H | F | H | H | SMe |
| 9.009 | H | Cl | H | H | H |
| 9.010 | H | Cl | H | H | Me |
| [0080] 9.011 | H | Cl | H | H | Ph |
| 9.012 | H | Cl | H | H | SMe |
| 9.013 | Me | H | H | H | H |
| 9.014 | Me | H | H | H | Me |
| 9.015 | Me | H | H | H | Ph |
| 9.016 | Me | H | H | H | SMe |
| 9.017 | Me | F | H | H | H |
| 9.018 | Me | F | H | H | Me |
| 9.019 | Me | F | H | H | Ph |
| 9.020 | Me | F | H | H | SMe |
| 9.021 | Me | Cl | H | H | H |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 9.022 | Et | H | H | H | H |
| 9.023 | Et | H | H | H | Me |
| 9.024 | Et | H | H | H | Ph |
| 9.025 | Et | H | H | H | SMe |
| 9.026 | Et | F | H | H | H |
| 9.027 | Et | F | H | H | Me |
| [0081] 9.028 | Et | F | H | H | Ph |
| 9.029 | Et | F | H | H | SMe |
| 9.030 | Et | Cl | H | H | H |
| 9.031 | Et | Cl | H | H | Me |
| 9.032 | Et | Cl | H | H | Ph |
| 9.033 | Et | Cl | H | H | SMe |
| 9.034 | H | F | F | H | H |
| 9.035 | H | F | H | F | H |

[0082] 表10: 本发明式(I)的化合物, 其中X代表CH, A代表A9, R³和R⁴各自代表氢, 并且R²代表氯:



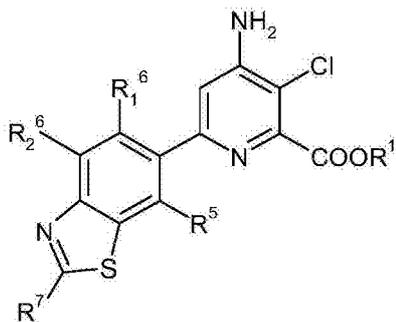
| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 10.001 | H | H | H | H | H |
| 10.002 | H | H | H | H | Me |
| 10.003 | H | H | H | H | Ph |
| 10.004 | H | H | H | H | SMe |
| [0084] 10.005 | H | F | H | H | H |
| 10.006 | H | F | H | H | Me |
| 10.007 | H | F | H | H | Ph |
| 10.008 | H | F | H | H | SMe |
| 10.009 | H | Cl | H | H | H |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 10.010 | H | Cl | H | H | Me |
| 10.011 | H | Cl | H | H | Ph |
| 10.012 | H | Cl | H | H | SMe |
| 10.013 | Me | H | H | H | H |
| 10.014 | Me | H | H | H | Me |
| 10.015 | Me | H | H | H | Ph |
| 10.016 | Me | H | H | H | SMe |
| 10.017 | Me | F | H | H | H |
| 10.018 | Me | F | H | H | Me |
| 10.019 | Me | F | H | H | Ph |
| 10.020 | Me | F | H | H | SMe |
| 10.021 | Me | Cl | H | H | H |
| 10.022 | Me | Cl | H | H | Me |
| 10.023 | Me | Cl | H | H | Ph |
| 10.024 | Me | Cl | H | H | SMe |
| 10.025 | Et | H | H | H | H |
| 10.026 | Et | H | H | H | Me |
| 10.027 | Et | H | H | H | Ph |
| 10.028 | Et | H | H | H | SMe |
| 10.029 | Et | F | H | H | H |
| 10.030 | Et | F | H | H | Me |
| 10.031 | Et | F | H | H | Ph |
| 10.032 | Et | F | H | H | SMe |
| 10.033 | Et | Cl | H | H | H |
| 10.034 | Et | Cl | H | H | Me |
| 10.035 | Et | Cl | H | H | Ph |
| 10.036 | Et | Cl | H | H | SMe |
| 10.037 | H | F | F | H | H |
| 10.038 | H | F | H | F | H |

[0085]

[0086] 表11:本发明式(I)的化合物,其中x代表CH,A代表A10,R³和R⁴各自代表氢,并且R²代表氯:

[0087]

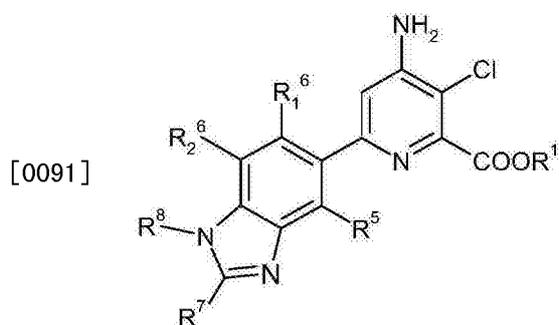


[0088]

| 实例编号 | R ¹ | R ⁵ | R ⁶ | R ⁶ | R ⁷ |
|--------|----------------|----------------|----------------|----------------|----------------|
| 11.001 | H | H | H | H | H |
| 11.002 | H | H | H | H | Me |
| 11.003 | H | H | H | H | Ph |
| 11.004 | H | H | H | H | SMe |
| 11.005 | H | F | H | H | H |
| 11.006 | H | F | H | H | Me |
| 11.007 | H | F | H | H | Ph |
| 11.008 | H | F | H | H | SMe |
| 11.009 | H | Cl | H | H | H |
| 11.010 | H | Cl | H | H | Me |
| 11.011 | H | Cl | H | H | Ph |
| 11.012 | H | Cl | H | H | SMe |
| 11.013 | Me | H | H | H | H |
| 11.014 | Me | H | H | H | Me |
| 11.015 | Me | H | H | H | Ph |
| 11.016 | Me | H | H | H | SMe |
| 11.017 | Me | F | H | H | H |
| 11.018 | Me | F | H | H | Me |
| 11.019 | Me | F | H | H | Ph |
| 11.020 | Me | F | H | H | SMe |
| 11.021 | Me | Cl | H | H | H |
| 11.022 | Et | H | H | H | H |
| 11.023 | Et | H | H | H | Me |
| 11.024 | Et | H | H | H | Ph |
| 11.025 | Et | H | H | H | SMe |
| 11.026 | Et | F | H | H | H |
| 11.027 | Et | F | H | H | Me |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 11.028 | Et | F | H | H | Ph |
| 11.029 | Et | F | H | H | SMe |
| 11.030 | Et | Cl | H | H | H |
| [0089] 11.031 | Et | Cl | H | H | Me |
| 11.032 | Et | Cl | H | H | Ph |
| 11.033 | Et | Cl | H | H | SMe |
| 11.034 | H | F | F | H | H |
| 11.035 | H | F | H | F | H |

[0090] 表12: 本发明式(I)的化合物, 其中x代表CH, A代表A11, R³和R⁴各自代表氢, 并且R²代表氯:



[0092]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 12.001 | H | H | H | H | H | H |
| 12.002 | H | F | H | H | H | H |
| 12.003 | H | F | H | H | H | Me |
| 12.004 | H | F | H | H | Me | H |
| 12.005 | H | F | H | H | Me | Me |
| 12.006 | H | Cl | H | H | H | H |
| 12.007 | H | Cl | H | H | H | Me |
| 12.008 | H | Cl | H | H | Me | H |
| 12.009 | H | Cl | H | H | Me | Me |
| 12.010 | H | H | H | H | Ph | H |
| 12.011 | H | H | H | H | Ph | Me |
| 12.012 | H | F | H | H | Ph | H |
| 12.013 | H | F | H | H | Ph | Me |
| 12.014 | H | Cl | H | H | Ph | H |
| 12.015 | H | Cl | H | H | Ph | Me |

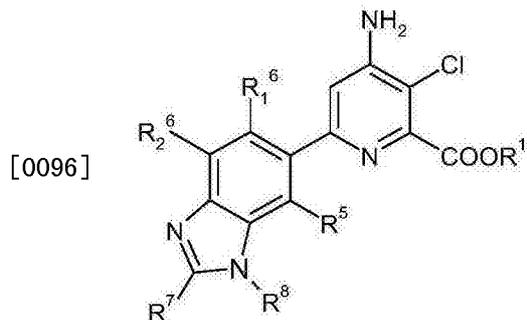
[0093]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 12.016 | H | H | H | H | Me | H |
| 12.017 | H | H | H | H | H | Me |
| 12.018 | H | H | H | H | Me | Me |
| 12.019 | Me | H | H | H | H | H |
| 12.020 | Me | F | H | H | H | H |
| 12.021 | Me | F | H | H | H | Me |
| 12.022 | Me | F | H | H | Me | H |
| 12.023 | Me | F | H | H | Me | Me |
| 12.024 | Me | Cl | H | H | H | H |
| 12.025 | Me | Cl | H | H | H | Me |
| 12.026 | Me | Cl | H | H | Me | H |
| 12.027 | Me | Cl | H | H | Me | Me |
| 12.028 | Me | H | H | H | Ph | H |
| 12.029 | Me | H | H | H | Ph | Me |
| 12.030 | Me | F | H | H | Ph | H |
| 12.031 | Me | F | H | H | Ph | Me |
| 12.032 | Me | Cl | H | H | Ph | H |
| 12.033 | Me | Cl | H | H | Ph | Me |
| 12.034 | Me | H | H | H | Me | H |
| 12.035 | Me | H | H | H | H | Me |
| 12.036 | Me | H | H | H | Me | Me |
| 12.037 | Et | H | H | H | H | H |
| 12.038 | Et | F | H | H | H | H |
| 12.039 | Et | F | H | H | H | Me |
| 12.040 | Et | F | H | H | Me | H |
| 12.041 | Et | F | H | H | Me | Me |
| 12.042 | Et | Cl | H | H | H | H |
| 12.043 | Et | Cl | H | H | H | Me |
| 12.044 | Et | Cl | H | H | Me | H |
| 12.045 | Et | H | H | H | Ph | H |
| 12.046 | Et | H | H | H | Ph | Me |
| 12.047 | Et | F | H | H | Ph | H |
| 12.048 | Et | F | H | H | Ph | Me |
| 12.049 | Et | Cl | H | H | Ph | H |
| 12.050 | Et | Cl | H | H | Ph | Me |

[0094]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 12.051 | Et | H | H | H | Me | H |
| 12.052 | Et | H | H | H | H | Me |
| 12.053 | Me | H | H | H | Me | Me |
| 12.054 | H | F | F | H | H | H |
| 12.055 | H | F | H | F | H | H |

[0095] 表13:本发明式(I)的化合物,其中x代表CH,A代表A12,R³和R⁴各自代表氢,并且R²代表氯:



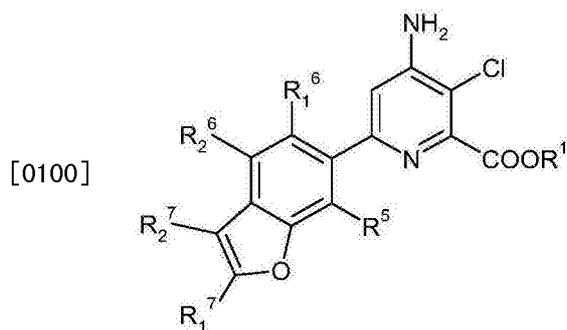
[0097]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 13.001 | H | H | H | H | H | Me |
| 13.002 | H | F | H | H | H | Me |
| 13.003 | H | F | H | H | Me | Me |
| 13.004 | H | Cl | H | H | H | Me |
| 13.005 | H | Cl | H | H | Me | Me |
| 13.006 | H | H | H | H | Ph | Me |
| 13.007 | H | F | H | H | Ph | Me |
| 13.008 | H | Cl | H | H | Ph | Me |
| 13.009 | H | H | H | H | H | Me |
| 13.010 | Me | H | H | H | H | Me |
| 13.011 | Me | F | H | H | H | Me |
| 13.012 | Me | H | H | H | Me | Me |
| 13.013 | Me | F | H | H | Me | Me |
| 13.014 | Me | Cl | H | H | H | Me |
| 13.015 | Me | Cl | H | H | Me | Me |
| 13.016 | Me | H | H | H | Ph | Me |
| 13.017 | Me | F | H | H | Ph | Me |
| 13.018 | Me | Cl | H | H | Ph | Me |

[0098]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 13.019 | Et | F | H | H | H | Me |
| 13.020 | Et | F | H | H | Me | Me |
| 13.021 | Et | Cl | H | H | H | Me |
| 13.022 | Et | H | H | H | Ph | Me |
| 13.023 | Et | F | H | H | Ph | Me |
| 13.024 | Et | Cl | H | H | Ph | Me |
| 13.025 | Et | H | H | H | H | Me |
| 13.026 | Me | H | H | H | Me | Me |
| 13.027 | Et | Cl | H | H | Me | Me |
| 13.028 | H | F | F | H | H | H |
| 13.029 | H | F | H | F | H | H |

[0099] 表14:本发明式(I)的化合物,其中x代表CH,A代表A13,R³和R⁴各自代表氢,并且R²代表氯:



[0101]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 14.001 | H | H | H | H | H | H |
| 14.002 | H | H | H | H | H | Cl |
| 14.003 | H | H | H | H | H | F |
| 14.004 | H | H | H | H | H | Me |
| 14.005 | H | H | H | H | F | H |
| 14.006 | H | H | H | H | F | Cl |
| 14.007 | H | H | H | H | F | F |
| 14.008 | H | H | H | H | F | Me |
| 14.009 | H | H | H | H | Cl | H |
| 14.010 | H | H | H | H | Cl | F |
| 14.011 | H | H | H | H | Cl | Cl |
| 14.012 | H | H | H | H | Cl | Me |

[0102]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 14.013 | H | H | H | H | Me | H |
| 14.014 | H | H | H | H | Me | Cl |
| 14.015 | H | H | H | H | Me | F |
| 14.016 | H | H | H | H | Me | Me |
| 14.017 | H | H | H | H | Ph | H |
| 14.018 | H | H | H | H | Ph | Cl |
| 14.019 | H | H | H | H | Ph | F |
| 14.020 | H | H | H | H | Ph | Me |
| 14.021 | H | F | H | H | H | H |
| 14.022 | H | F | H | H | H | Cl |
| 14.023 | H | F | H | H | H | F |
| 14.024 | H | F | H | H | H | Me |
| 14.025 | H | F | H | H | F | H |
| 14.026 | H | F | H | H | F | F |
| 14.027 | H | F | H | H | F | Cl |
| 14.028 | H | F | H | H | F | Me |
| 14.029 | H | F | H | H | Cl | H |
| 14.030 | H | F | H | H | Cl | Cl |
| 14.031 | H | F | H | H | Cl | F |
| 14.032 | H | F | H | H | Cl | Me |
| 14.033 | H | F | H | H | Me | H |
| 14.034 | H | F | H | H | Me | Cl |
| 14.035 | H | F | H | H | Me | F |
| 14.036 | H | F | H | H | Me | Me |
| 14.037 | H | F | H | H | Ph | H |
| 14.038 | H | F | H | H | Ph | Cl |
| 14.039 | H | F | H | H | Ph | F |
| 14.040 | H | F | H | H | Ph | Me |
| 14.041 | H | Cl | H | H | H | H |
| 14.042 | H | Cl | H | H | H | Cl |
| 14.043 | H | Cl | H | H | H | F |
| 14.044 | H | Cl | H | H | H | Me |
| 14.045 | H | Cl | H | H | F | H |
| 14.046 | H | Cl | H | H | F | Cl |
| 14.047 | H | Cl | H | H | F | F |

[0103]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 14.048 | H | Cl | H | H | F | Me |
| 14.049 | H | Cl | H | H | Cl | H |
| 14.050 | H | Cl | H | H | Cl | F |
| 14.051 | H | Cl | H | H | Cl | Cl |
| 14.052 | H | Cl | H | H | Cl | Me |
| 14.053 | H | Cl | H | H | Me | H |
| 14.054 | H | Cl | H | H | Me | Cl |
| 14.055 | H | Cl | H | H | Me | F |
| 14.056 | H | Cl | H | H | Me | Me |
| 14.057 | H | Cl | H | H | Ph | H |
| 14.058 | H | Cl | H | H | Ph | Cl |
| 14.059 | H | Cl | H | H | Ph | F |
| 14.060 | H | Cl | H | H | Ph | Me |
| 14.061 | Me | H | H | H | H | H |
| 14.062 | Me | H | H | H | H | Cl |
| 14.063 | Me | H | H | H | H | F |
| 14.064 | Me | H | H | H | H | Me |
| 14.065 | Me | H | H | H | F | H |
| 14.066 | Me | H | H | H | F | Cl |
| 14.067 | Me | H | H | H | F | F |
| 14.068 | Me | H | H | H | F | Me |
| 14.069 | Me | H | H | H | Cl | H |
| 14.070 | Me | H | H | H | Cl | F |
| 14.071 | Me | H | H | H | Cl | Cl |
| 14.072 | Me | H | H | H | Cl | Me |
| 14.073 | Me | H | H | H | Me | H |
| 14.074 | Me | H | H | H | Me | Cl |
| 14.075 | Me | H | H | H | Me | F |
| 14.076 | Me | H | H | H | Me | Me |
| 14.077 | Me | H | H | H | Ph | H |
| 14.078 | Me | H | H | H | Ph | Cl |
| 14.079 | Me | H | H | H | Ph | F |
| 14.080 | Me | H | H | H | Ph | Me |
| 14.081 | Me | F | H | H | H | H |
| 14.082 | Me | F | H | H | H | Cl |

[0104]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 14.083 | Me | F | H | H | H | F |
| 14.084 | Me | F | H | H | H | Me |
| 14.085 | Me | F | H | H | F | H |
| 14.086 | Me | F | H | H | F | Cl |
| 14.087 | Me | F | H | H | F | F |
| 14.088 | Me | F | H | H | F | Me |
| 14.089 | Me | F | H | H | Cl | H |
| 14.090 | Me | F | H | H | Cl | F |
| 14.091 | Me | F | H | H | Cl | Cl |
| 14.092 | Me | F | H | H | Cl | Me |
| 14.093 | Me | F | H | H | Me | H |
| 14.094 | Me | F | H | H | Me | Cl |
| 14.095 | Me | F | H | H | Me | F |
| 14.096 | Me | F | H | H | Me | Me |
| 14.097 | Me | F | H | H | Ph | H |
| 14.098 | Me | F | H | H | Ph | Cl |
| 14.099 | Me | F | H | H | Ph | F |
| 14.100 | Me | F | H | H | Ph | Me |
| 14.101 | Me | Cl | H | H | H | H |
| 14.102 | Me | Cl | H | H | H | Cl |
| 14.103 | Me | Cl | H | H | H | F |
| 14.104 | Me | Cl | H | H | H | Me |
| 14.105 | Me | Cl | H | H | F | H |
| 14.106 | Me | Cl | H | H | F | Cl |
| 14.107 | Me | Cl | H | H | F | F |
| 14.108 | Me | Cl | H | H | F | Me |
| 14.109 | Me | Cl | H | H | Cl | H |
| 14.110 | Me | Cl | H | H | Cl | F |
| 14.111 | Me | Cl | H | H | Cl | Cl |
| 14.112 | Me | Cl | H | H | Cl | Me |
| 14.113 | Me | Cl | H | H | Me | H |
| 14.114 | Me | Cl | H | H | Me | Cl |
| 14.115 | Me | Cl | H | H | Me | F |
| 14.116 | Me | Cl | H | H | Me | Me |
| 14.117 | Me | Cl | H | H | Ph | H |

[0105]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 14.118 | Me | Cl | H | H | Ph | Cl |
| 14.119 | Me | Cl | H | H | Ph | F |
| 14.120 | Me | Cl | H | H | Ph | Me |
| 14.121 | Et | H | H | H | H | H |
| 14.122 | Et | H | H | H | H | Cl |
| 14.123 | Et | H | H | H | H | F |
| 14.124 | Et | H | H | H | H | Me |
| 14.125 | Et | H | H | H | F | H |
| 14.126 | Et | H | H | H | F | Cl |
| 14.127 | Et | H | H | H | F | F |
| 14.128 | Et | H | H | H | F | Me |
| 14.129 | Et | H | H | H | Cl | H |
| 14.130 | Et | H | H | H | Cl | F |
| 14.131 | Et | H | H | H | Cl | Cl |
| 14.132 | Et | H | H | H | Cl | Me |
| 14.133 | Et | H | H | H | Me | H |
| 14.134 | Et | H | H | H | Me | Cl |
| 14.135 | Et | H | H | H | Me | F |
| 14.136 | Et | H | H | H | Me | Me |
| 14.137 | Et | H | H | H | Ph | H |
| 14.138 | Et | H | H | H | Ph | Cl |
| 14.139 | Et | H | H | H | Ph | F |
| 14.140 | Et | H | H | H | Ph | Me |
| 14.141 | Et | F | H | H | H | H |
| 14.142 | Et | F | H | H | H | Cl |
| 14.143 | Et | F | H | H | H | F |
| 14.144 | Et | F | H | H | H | Me |
| 14.145 | Et | F | H | H | F | H |
| 14.146 | Et | F | H | H | F | Cl |
| 14.147 | Et | F | H | H | F | F |
| 14.148 | Et | F | H | H | F | Me |
| 14.149 | Et | F | H | H | Cl | H |
| 14.150 | Et | F | H | H | Cl | F |
| 14.151 | Et | F | H | H | Cl | Cl |
| 14.152 | Et | F | H | H | Cl | Me |

[0106]

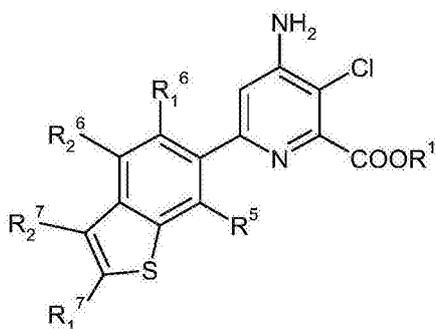
| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 14.153 | Et | F | H | H | Me | H |
| 14.154 | Et | F | H | H | Me | Cl |
| 14.155 | Et | F | H | H | Me | F |
| 14.156 | Et | F | H | H | Me | Me |
| 14.157 | Et | F | H | H | Ph | H |
| 14.158 | Et | F | H | H | Ph | Cl |
| 14.159 | Et | F | H | H | Ph | F |
| 14.160 | Et | F | H | H | Ph | Me |
| 14.161 | Et | Cl | H | H | H | H |
| 14.162 | Et | Cl | H | H | H | Cl |
| 14.163 | Et | Cl | H | H | H | F |
| 14.164 | Et | Cl | H | H | H | Me |
| 14.165 | Et | Cl | H | H | F | H |
| 14.166 | Et | Cl | H | H | F | Cl |
| 14.167 | Et | Cl | H | H | F | F |
| 14.168 | Et | Cl | H | H | F | Me |
| 14.169 | Et | Cl | H | H | Cl | H |
| 14.170 | Et | Cl | H | H | Cl | F |
| 14.171 | Et | Cl | H | H | Cl | Cl |
| 14.172 | Et | Cl | H | H | Cl | Me |
| 14.173 | Et | Cl | H | H | Me | H |
| 14.174 | Et | Cl | H | H | Me | Cl |
| 14.175 | Et | Cl | H | H | Me | F |
| 14.176 | Et | Cl | H | H | Me | Me |
| 14.177 | Et | Cl | H | H | Ph | H |
| 14.178 | Et | Cl | H | H | Ph | Cl |
| 14.179 | Et | Cl | H | H | Ph | F |
| 14.180 | Et | Cl | H | H | Ph | Me |
| 14.181 | H | F | F | H | H | H |
| 14.182 | H | F | H | F | H | H |
| 14.183 | Me | H | F | H | H | H |
| 14.184 | H | H | F | H | H | H |
| 14.185 | K | H | F | H | H | H |
| 14.186 | Me | H | Cl | H | H | H |
| 14.187 | H | H | Cl | H | H | H |

[0107]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 14.188 | K | H | Cl | H | H | H |
| 14.189 | Me | H | H | F | H | H |
| 14.190 | H | H | H | F | H | H |
| 14.191 | K | H | H | F | H | H |
| 14.192 | Me | H | H | Cl | H | H |
| 14.193 | H | H | H | Cl | H | H |
| 14.194 | K | H | H | Cl | H | H |
| 14.195 | K | F | H | H | H | H |
| 14.196 | K | Cl | H | H | H | H |

[0108] 表15:本发明式(I)的化合物,其中x代表CH,A代表A14,R³和R⁴各自代表氢,并且R²代表氯:

[0109]



[0110]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 15.001 | H | H | H | H | H | H |
| 15.002 | H | H | H | H | H | Cl |
| 15.003 | H | H | H | H | H | F |
| 15.004 | H | H | H | H | H | Me |
| 15.005 | H | H | H | H | F | H |
| 15.006 | H | H | H | H | F | Cl |
| 15.007 | H | H | H | H | F | F |
| 15.008 | H | H | H | H | F | Me |
| 15.009 | H | H | H | H | Cl | H |
| 15.010 | H | H | H | H | Cl | F |
| 15.011 | H | H | H | H | Cl | Cl |
| 15.012 | H | H | H | H | Cl | Me |
| 15.013 | H | H | H | H | Me | H |
| 15.014 | H | H | H | H | Me | Cl |

[0111]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 15.015 | H | H | H | H | Me | F |
| 15.016 | H | H | H | H | Me | Me |
| 15.017 | H | H | H | H | Ph | H |
| 15.018 | H | H | H | H | Ph | Cl |
| 15.019 | H | H | H | H | Ph | F |
| 15.020 | H | H | H | H | Ph | Me |
| 15.021 | H | F | H | H | H | H |
| 15.022 | H | F | H | H | H | Cl |
| 15.023 | H | F | H | H | H | F |
| 15.024 | H | F | H | H | H | Me |
| 15.025 | H | F | H | H | F | H |
| 15.026 | H | F | H | H | F | Cl |
| 15.027 | H | F | H | H | F | F |
| 15.028 | H | F | H | H | F | Me |
| 15.029 | H | F | H | H | Cl | H |
| 15.030 | H | F | H | H | Cl | F |
| 15.031 | H | F | H | H | Cl | Cl |
| 15.032 | H | F | H | H | Cl | Me |
| 15.033 | H | F | H | H | Me | H |
| 15.034 | H | F | H | H | Me | Cl |
| 15.035 | H | F | H | H | Me | F |
| 15.036 | H | F | H | H | Me | Me |
| 15.037 | H | F | H | H | Ph | H |
| 15.038 | H | F | H | H | Ph | Cl |
| 15.039 | H | F | H | H | Ph | F |
| 15.040 | H | F | H | H | Ph | Me |
| 15.041 | H | Cl | H | H | H | H |
| 15.042 | H | Cl | H | H | H | Cl |
| 15.043 | H | Cl | H | H | H | F |
| 15.044 | H | Cl | H | H | H | Me |
| 15.045 | H | Cl | H | H | F | H |
| 15.046 | H | Cl | H | H | F | Cl |
| 15.047 | H | Cl | H | H | F | F |
| 15.048 | H | Cl | H | H | F | Me |
| 15.049 | H | Cl | H | H | Cl | H |

[0112]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 15.050 | H | Cl | H | H | Cl | F |
| 15.051 | H | Cl | H | H | Cl | Cl |
| 15.052 | H | Cl | H | H | Cl | Me |
| 15.053 | H | Cl | H | H | Me | H |
| 15.054 | H | Cl | H | H | Me | Cl |
| 15.055 | H | Cl | H | H | Me | F |
| 15.056 | H | Cl | H | H | Me | Me |
| 15.057 | H | Cl | H | H | Ph | H |
| 15.058 | H | Cl | H | H | Ph | Cl |
| 15.059 | H | Cl | H | H | Ph | F |
| 15.060 | H | Cl | H | H | Ph | Me |
| 15.061 | Me | H | H | H | H | H |
| 15.062 | Me | H | H | H | H | Cl |
| 15.063 | Me | H | H | H | H | F |
| 15.064 | Me | H | H | H | H | Me |
| 15.065 | Me | H | H | H | F | H |
| 15.066 | Me | H | H | H | F | Cl |
| 15.067 | Me | H | H | H | F | F |
| 15.068 | Me | H | H | H | F | Me |
| 15.069 | Me | H | H | H | Cl | H |
| 15.070 | Me | H | H | H | Cl | F |
| 15.071 | Me | H | H | H | Cl | Cl |
| 15.072 | Me | H | H | H | Cl | Me |
| 15.073 | Me | H | H | H | Me | H |
| 15.074 | Me | H | H | H | Me | Cl |
| 15.075 | Me | H | H | H | Me | F |
| 15.076 | Me | H | H | H | Me | Me |
| 15.077 | Me | H | H | H | Ph | H |
| 15.078 | Me | H | H | H | Ph | Cl |
| 15.079 | Me | H | H | H | Ph | F |
| 15.080 | Me | H | H | H | Ph | Me |
| 15.081 | Me | F | H | H | H | H |
| 15.082 | Me | F | H | H | H | Cl |
| 15.083 | Me | F | H | H | H | F |
| 15.084 | Me | F | H | H | H | Me |

[0113]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 15.085 | Me | F | H | H | F | H |
| 15.086 | Me | F | H | H | F | Cl |
| 15.087 | Me | F | H | H | F | F |
| 15.088 | Me | F | H | H | F | Me |
| 15.089 | Me | F | H | H | Cl | H |
| 15.090 | Me | F | H | H | Cl | F |
| 15.091 | Me | F | H | H | Cl | Cl |
| 15.092 | Me | F | H | H | Cl | Me |
| 15.093 | Me | F | H | H | Me | H |
| 15.094 | Me | F | H | H | Me | Cl |
| 15.095 | Me | F | H | H | Me | F |
| 15.096 | Me | F | H | H | Me | Me |
| 15.097 | Me | F | H | H | Ph | H |
| 15.098 | Me | F | H | H | Ph | Cl |
| 15.099 | Me | F | H | H | Ph | F |
| 15.100 | Me | F | H | H | Ph | Me |
| 15.101 | Me | Cl | H | H | H | H |
| 15.102 | Me | Cl | H | H | H | Cl |
| 15.103 | Me | Cl | H | H | H | F |
| 15.104 | Me | Cl | H | H | H | Me |
| 15.105 | Me | Cl | H | H | F | H |
| 15.106 | Me | Cl | H | H | F | Cl |
| 15.107 | Me | Cl | H | H | F | F |
| 15.108 | Me | Cl | H | H | F | Me |
| 15.109 | Me | Cl | H | H | Cl | H |
| 15.110 | Me | Cl | H | H | Cl | F |
| 15.111 | Me | Cl | H | H | Cl | Cl |
| 15.112 | Me | Cl | H | H | Cl | Me |
| 15.113 | Me | Cl | H | H | Me | H |
| 15.114 | Me | Cl | H | H | Me | Cl |
| 15.115 | Me | Cl | H | H | Me | F |
| 15.116 | Me | Cl | H | H | Me | Me |
| 15.117 | Me | Cl | H | H | Ph | H |
| 15.118 | Me | Cl | H | H | Ph | Cl |
| 15.119 | Me | Cl | H | H | Ph | F |

[0114]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 15.120 | Me | Cl | H | H | Ph | Me |
| 15.121 | Et | H | H | H | H | H |
| 15.122 | Et | H | H | H | H | Cl |
| 15.123 | Et | H | H | H | H | F |
| 15.124 | Et | H | H | H | H | Me |
| 15.125 | Et | H | H | H | F | H |
| 15.126 | Et | H | H | H | F | Cl |
| 15.127 | Et | H | H | H | F | F |
| 15.128 | Et | H | H | H | F | Me |
| 15.129 | Et | H | H | H | Cl | H |
| 15.130 | Et | H | H | H | Cl | F |
| 15.131 | Et | H | H | H | Cl | Cl |
| 15.132 | Et | H | H | H | Cl | Me |
| 15.133 | Et | H | H | H | Me | H |
| 15.134 | Et | H | H | H | Me | Cl |
| 15.135 | Et | H | H | H | Me | F |
| 15.136 | Et | H | H | H | Me | Me |
| 15.137 | Et | H | H | H | Ph | H |
| 15.138 | Et | H | H | H | Ph | Cl |
| 15.139 | Et | H | H | H | Ph | F |
| 15.140 | Et | H | H | H | Ph | Me |
| 15.141 | Et | F | H | H | H | H |
| 15.142 | Et | F | H | H | H | Cl |
| 15.143 | Et | F | H | H | H | F |
| 15.144 | Et | F | H | H | H | Me |
| 15.145 | Et | F | H | H | F | H |
| 15.146 | Et | F | H | H | F | Cl |
| 15.147 | Et | F | H | H | F | F |
| 15.148 | Et | F | H | H | F | Me |
| 15.149 | Et | F | H | H | Cl | H |
| 15.150 | Et | F | H | H | Cl | F |
| 15.151 | Et | F | H | H | Cl | Cl |
| 15.152 | Et | F | H | H | Cl | Me |
| 15.153 | Et | F | H | H | Me | H |
| 15.154 | Et | F | H | H | Me | Cl |

[0115]

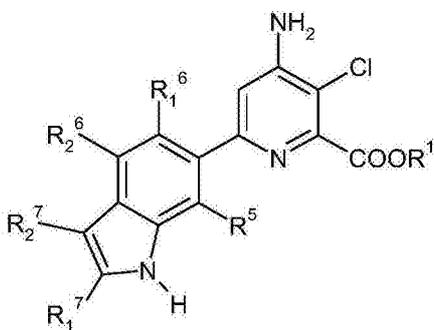
| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 15.155 | Et | F | H | H | Me | F |
| 15.156 | Et | F | H | H | Me | Me |
| 15.157 | Et | F | H | H | Ph | H |
| 15.158 | Et | F | H | H | Ph | Cl |
| 15.159 | Et | F | H | H | Ph | F |
| 15.160 | Et | F | H | H | Ph | Me |
| 15.161 | Et | Cl | H | H | H | H |
| 15.162 | Et | Cl | H | H | H | Cl |
| 15.163 | Et | Cl | H | H | H | F |
| 15.164 | Et | Cl | H | H | H | Me |
| 15.165 | Et | Cl | H | H | F | H |
| 15.166 | Et | Cl | H | H | F | Cl |
| 15.167 | Et | Cl | H | H | F | F |
| 15.168 | Et | Cl | H | H | F | Me |
| 15.169 | Et | Cl | H | H | Cl | H |
| 15.170 | Et | Cl | H | H | Cl | F |
| 15.171 | Et | Cl | H | H | Cl | Cl |
| 15.172 | Et | Cl | H | H | Cl | Me |
| 15.173 | Et | Cl | H | H | Me | H |
| 15.174 | Et | Cl | H | H | Me | Cl |
| 15.175 | Et | Cl | H | H | Me | F |
| 15.176 | Et | Cl | H | H | Me | Me |
| 15.177 | Et | Cl | H | H | Ph | H |
| 15.178 | Et | Cl | H | H | Ph | Cl |
| 15.179 | Et | Cl | H | H | Ph | F |
| 15.180 | Et | Cl | H | H | Ph | Me |
| 15.181 | H | F | F | H | H | H |
| 15.182 | H | F | H | F | H | H |
| 15.183 | Me | H | F | H | H | H |
| 15.184 | H | H | F | H | H | H |
| 15.185 | K | H | F | H | H | H |
| 15.186 | Me | H | Cl | H | H | H |
| 15.187 | H | H | Cl | H | H | H |
| 15.188 | K | H | Cl | H | H | H |
| 15.189 | Me | H | H | F | H | H |

[0116]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 15.190 | H | H | H | F | H | H |
| 15.191 | K | H | H | F | H | H |
| 15.192 | Me | H | H | Cl | H | H |
| 15.193 | H | H | H | Cl | H | H |
| 15.194 | K | H | H | Cl | H | H |
| 15.195 | K | F | H | H | H | H |
| 15.196 | K | Cl | H | H | H | H |

[0117] 表16:本发明式(I)的化合物,其中x代表CH,A代表A15,R⁸、R³和R⁴各自代表氢,并且R²代表氯:

[0118]



[0119]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 16.001 | H | H | H | H | H | H |
| 16.002 | H | H | H | H | H | Cl |
| 16.003 | H | H | H | H | H | F |
| 16.004 | H | H | H | H | H | Me |
| 16.005 | H | H | H | H | F | H |
| 16.006 | H | H | H | H | F | Cl |
| 16.007 | H | H | H | H | F | F |
| 16.008 | H | H | H | H | F | Me |
| 16.009 | H | H | H | H | Cl | H |
| 16.010 | H | H | H | H | Cl | F |
| 16.011 | H | H | H | H | Cl | Cl |
| 16.012 | H | H | H | H | Cl | Me |
| 16.013 | H | H | H | H | Me | H |
| 16.014 | H | H | H | H | Me | Cl |
| 16.015 | H | H | H | H | Me | F |
| 16.016 | H | H | H | H | Me | Me |

[0120]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 16.017 | H | H | H | H | Ph | H |
| 16.018 | H | H | H | H | Ph | Cl |
| 16.019 | H | H | H | H | Ph | F |
| 16.020 | H | H | H | H | Ph | Me |
| 16.021 | H | F | H | H | H | H |
| 16.022 | H | F | H | H | H | Cl |
| 16.023 | H | F | H | H | H | F |
| 16.024 | H | F | H | H | H | Me |
| 16.025 | H | F | H | H | F | H |
| 16.026 | H | F | H | H | F | Cl |
| 16.027 | H | F | H | H | F | F |
| 16.028 | H | F | H | H | F | Me |
| 16.029 | H | F | H | H | Cl | H |
| 16.030 | H | F | H | H | Cl | F |
| 16.031 | H | F | H | H | Cl | Cl |
| 16.032 | H | F | H | H | Cl | Me |
| 16.033 | H | F | H | H | Me | H |
| 16.034 | H | F | H | H | Me | Cl |
| 16.035 | H | F | H | H | Me | F |
| 16.036 | H | F | H | H | Me | Me |
| 16.037 | H | F | H | H | Ph | H |
| 16.038 | H | F | H | H | Ph | Cl |
| 16.039 | H | F | H | H | Ph | F |
| 16.040 | H | F | H | H | Ph | Me |
| 16.041 | H | Cl | H | H | H | H |
| 16.042 | H | Cl | H | H | H | Cl |
| 16.043 | H | Cl | H | H | H | F |
| 16.044 | H | Cl | H | H | H | Me |
| 16.045 | H | Cl | H | H | F | H |
| 16.046 | H | Cl | H | H | F | Cl |
| 16.047 | H | Cl | H | H | F | F |
| 16.048 | H | Cl | H | H | F | Me |
| 16.049 | H | Cl | H | H | Cl | H |
| 16.050 | H | Cl | H | H | Cl | F |
| 16.051 | H | Cl | H | H | Cl | Cl |

[0121]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 16.052 | H | Cl | H | H | Cl | Me |
| 16.053 | H | Cl | H | H | Me | H |
| 16.054 | H | Cl | H | H | Me | Cl |
| 16.055 | H | Cl | H | H | Me | F |
| 16.056 | H | Cl | H | H | Me | Me |
| 16.057 | H | Cl | H | H | Ph | H |
| 16.058 | H | Cl | H | H | Ph | Cl |
| 16.059 | H | Cl | H | H | Ph | F |
| 16.060 | H | Cl | H | H | Ph | Me |
| 16.061 | Me | H | H | H | H | H |
| 16.062 | Me | H | H | H | H | Cl |
| 16.063 | Me | H | H | H | H | F |
| 16.064 | Me | H | H | H | H | Me |
| 16.065 | Me | H | H | H | F | H |
| 16.066 | Me | H | H | H | F | Cl |
| 16.067 | Me | H | H | H | F | F |
| 16.068 | Me | H | H | H | F | Me |
| 16.069 | Me | H | H | H | Cl | H |
| 16.070 | Me | H | H | H | Cl | F |
| 16.071 | Me | H | H | H | Cl | Cl |
| 16.072 | Me | H | H | H | Cl | Me |
| 16.073 | Me | H | H | H | Me | H |
| 16.074 | Me | H | H | H | Me | Cl |
| 16.075 | Me | H | H | H | Me | F |
| 16.076 | Me | H | H | H | Me | Me |
| 16.077 | Me | H | H | H | Ph | H |
| 16.078 | Me | H | H | H | Ph | Cl |
| 16.079 | Me | H | H | H | Ph | F |
| 16.080 | Me | H | H | H | Ph | Me |
| 16.081 | Me | F | H | H | H | H |
| 16.082 | Me | F | H | H | H | Cl |
| 16.083 | Me | F | H | H | H | F |
| 16.084 | Me | F | H | H | H | Me |
| 16.085 | Me | F | H | H | F | H |
| 16.086 | Me | F | H | H | F | Cl |

[0122]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 16.087 | Me | F | H | H | F | F |
| 16.088 | Me | F | H | H | F | Me |
| 16.089 | Me | F | H | H | Cl | H |
| 16.090 | Me | F | H | H | Cl | F |
| 16.091 | Me | F | H | H | Cl | Cl |
| 16.092 | Me | F | H | H | Cl | Me |
| 16.093 | Me | F | H | H | Me | H |
| 16.094 | Me | F | H | H | Me | Cl |
| 16.095 | Me | F | H | H | Me | F |
| 16.096 | Me | F | H | H | Me | Me |
| 16.097 | Me | F | H | H | Ph | H |
| 16.098 | Me | F | H | H | Ph | Cl |
| 16.099 | Me | F | H | H | Ph | F |
| 16.100 | Me | F | H | H | Ph | Me |
| 16.101 | Me | Cl | H | H | H | H |
| 16.102 | Me | Cl | H | H | H | Cl |
| 16.103 | Me | Cl | H | H | H | F |
| 16.104 | Me | Cl | H | H | H | Me |
| 16.105 | Me | Cl | H | H | F | H |
| 16.106 | Me | Cl | H | H | F | Cl |
| 16.107 | Me | Cl | H | H | F | F |
| 16.108 | Me | Cl | H | H | F | Me |
| 16.109 | Me | Cl | H | H | Cl | H |
| 16.110 | Me | Cl | H | H | Cl | F |
| 16.111 | Me | Cl | H | H | Cl | Cl |
| 16.112 | Me | Cl | H | H | Cl | Me |
| 16.113 | Me | Cl | H | H | Me | H |
| 16.114 | Me | Cl | H | H | Me | Cl |
| 16.115 | Me | Cl | H | H | Me | F |
| 16.116 | Me | Cl | H | H | Me | Me |
| 16.117 | Me | Cl | H | H | Ph | H |
| 16.118 | Me | Cl | H | H | Ph | Cl |
| 16.119 | Me | Cl | H | H | Ph | F |
| 16.120 | Me | Cl | H | H | Ph | Me |
| 16.121 | Et | H | H | H | H | H |

[0123]

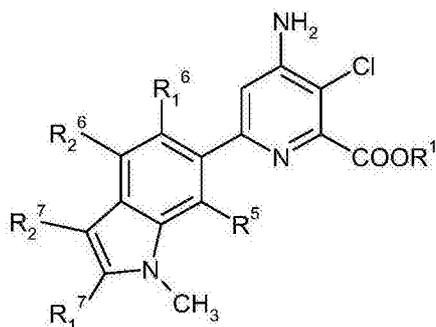
| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 16.122 | Et | H | H | H | H | Cl |
| 16.123 | Et | H | H | H | H | F |
| 16.124 | Et | H | H | H | H | Me |
| 16.125 | Et | H | H | H | F | H |
| 16.126 | Et | H | H | H | F | Cl |
| 16.127 | Et | H | H | H | F | F |
| 16.128 | Et | H | H | H | F | Me |
| 16.129 | Et | H | H | H | Cl | H |
| 16.130 | Et | H | H | H | Cl | F |
| 16.131 | Et | H | H | H | Cl | Cl |
| 16.132 | Et | H | H | H | Cl | Me |
| 16.133 | Et | H | H | H | Me | H |
| 16.134 | Et | H | H | H | Me | Cl |
| 16.135 | Et | H | H | H | Me | F |
| 16.136 | Et | H | H | H | Me | Me |
| 16.137 | Et | H | H | H | Ph | H |
| 16.138 | Et | H | H | H | Ph | Cl |
| 16.139 | Et | H | H | H | Ph | F |
| 16.140 | Et | H | H | H | Ph | Me |
| 16.141 | Et | F | H | H | H | H |
| 16.142 | Et | F | H | H | H | Cl |
| 16.143 | Et | F | H | H | H | F |
| 16.144 | Et | F | H | H | H | Me |
| 16.145 | Et | F | H | H | F | H |
| 16.146 | Et | F | H | H | F | Cl |
| 16.147 | Et | F | H | H | F | F |
| 16.148 | Et | F | H | H | F | Me |
| 16.149 | Et | F | H | H | Cl | H |
| 16.150 | Et | F | H | H | Cl | F |
| 16.151 | Et | F | H | H | Cl | Cl |
| 16.152 | Et | F | H | H | Cl | Me |
| 16.153 | Et | F | H | H | Me | H |
| 16.154 | Et | F | H | H | Me | Cl |
| 16.155 | Et | F | H | H | Me | F |
| 16.156 | Et | F | H | H | Me | Me |

[0124]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 16.157 | Et | F | H | H | Ph | H |
| 16.158 | Et | F | H | H | Ph | Cl |
| 16.159 | Et | F | H | H | Ph | F |
| 16.160 | Et | F | H | H | Ph | Me |
| 16.161 | Et | Cl | H | H | H | H |
| 16.162 | Et | Cl | H | H | H | Cl |
| 16.163 | Et | Cl | H | H | H | F |
| 16.164 | Et | Cl | H | H | H | Me |
| 16.165 | Et | Cl | H | H | F | H |
| 16.166 | Et | Cl | H | H | F | Cl |
| 16.167 | Et | Cl | H | H | F | F |
| 16.168 | Et | Cl | H | H | F | Me |
| 16.169 | Et | Cl | H | H | Cl | H |
| 16.170 | Et | Cl | H | H | Cl | F |
| 16.171 | Et | Cl | H | H | Cl | Cl |
| 16.172 | Et | Cl | H | H | Cl | Me |
| 16.173 | Et | Cl | H | H | Me | H |
| 16.174 | Et | Cl | H | H | Me | Cl |
| 16.175 | Et | Cl | H | H | Me | F |
| 16.176 | Et | Cl | H | H | Me | Me |
| 16.177 | Et | Cl | H | H | Ph | H |
| 16.178 | Et | Cl | H | H | Ph | Cl |
| 16.179 | Et | Cl | H | H | Ph | F |
| 16.180 | Et | Cl | H | H | Ph | Me |
| 16.181 | H | F | F | H | H | H |
| 16.182 | H | F | H | F | H | H |

[0125] 表17:本发明式(I)的化合物,其中x代表CH,A代表A15,R⁸代表甲基,R³和R⁴各自代表氢,并且R²代表氯:

[0126]



[0127]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 17.001 | H | H | H | H | H | H |
| 17.002 | H | H | H | H | H | Cl |
| 17.003 | H | H | H | H | H | F |
| 17.004 | H | H | H | H | H | Me |
| 17.005 | H | H | H | H | F | H |
| 17.006 | H | H | H | H | F | Cl |
| 17.007 | H | H | H | H | F | F |
| 17.008 | H | H | H | H | F | Me |
| 17.009 | H | H | H | H | Cl | H |
| 17.010 | H | H | H | H | Cl | F |
| 17.011 | H | H | H | H | Cl | Cl |
| 17.012 | H | H | H | H | Cl | Me |
| 17.013 | H | H | H | H | Me | H |
| 17.014 | H | H | H | H | Me | Cl |
| 17.015 | H | H | H | H | Me | F |
| 17.016 | H | H | H | H | Me | Me |
| 17.017 | H | H | H | H | Ph | H |
| 17.018 | H | H | H | H | Ph | Cl |
| 17.019 | H | H | H | H | Ph | F |
| 17.020 | H | H | H | H | Ph | Me |
| 17.021 | H | F | H | H | H | H |
| 17.022 | H | F | H | H | H | Cl |
| 17.023 | H | F | H | H | H | F |
| 17.024 | H | F | H | H | H | Me |
| 17.025 | H | F | H | H | F | H |
| 17.026 | H | F | H | H | F | Cl |
| 17.027 | H | F | H | H | F | F |

[0128]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 17.028 | H | F | H | H | F | Me |
| 17.029 | H | F | H | H | Cl | H |
| 17.030 | H | F | H | H | Cl | F |
| 17.031 | H | F | H | H | Cl | Cl |
| 17.032 | H | F | H | H | Cl | Me |
| 17.033 | H | F | H | H | Me | H |
| 17.034 | H | F | H | H | Me | Cl |
| 17.035 | H | F | H | H | Me | F |
| 17.036 | H | F | H | H | Me | Me |
| 17.037 | H | F | H | H | Ph | H |
| 17.038 | H | F | H | H | Ph | Cl |
| 17.039 | H | F | H | H | Ph | F |
| 17.040 | H | F | H | H | Ph | Me |
| 17.041 | H | Cl | H | H | H | H |
| 17.042 | H | Cl | H | H | H | Cl |
| 17.043 | H | Cl | H | H | H | F |
| 17.044 | H | Cl | H | H | H | Me |
| 17.045 | H | Cl | H | H | F | H |
| 17.046 | H | Cl | H | H | F | Cl |
| 17.047 | H | Cl | H | H | F | F |
| 17.048 | H | Cl | H | H | F | Me |
| 17.049 | H | Cl | H | H | Cl | H |
| 17.050 | H | Cl | H | H | Cl | F |
| 17.051 | H | Cl | H | H | Cl | Cl |
| 17.052 | H | Cl | H | H | Cl | Me |
| 17.053 | H | Cl | H | H | Me | H |
| 17.054 | H | Cl | H | H | Me | Cl |
| 17.055 | H | Cl | H | H | Me | F |
| 17.056 | H | Cl | H | H | Me | Me |
| 17.057 | H | Cl | H | H | Ph | H |
| 17.058 | H | Cl | H | H | Ph | Cl |
| 17.059 | H | Cl | H | H | Ph | F |
| 17.060 | H | Cl | H | H | Ph | Me |
| 17.061 | Me | H | H | H | H | H |
| 17.062 | Me | H | H | H | H | Cl |

[0129]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 17.063 | Me | H | H | H | H | F |
| 17.064 | Me | H | H | H | H | Me |
| 17.065 | Me | H | H | H | F | H |
| 17.066 | Me | H | H | H | F | Cl |
| 17.067 | Me | H | H | H | F | F |
| 17.068 | Me | H | H | H | F | Me |
| 17.069 | Me | H | H | H | Cl | H |
| 17.070 | Me | H | H | H | Cl | F |
| 17.071 | Me | H | H | H | Cl | Cl |
| 17.072 | Me | H | H | H | Cl | Me |
| 17.073 | Me | H | H | H | Me | H |
| 17.074 | Me | H | H | H | Me | Cl |
| 17.075 | Me | H | H | H | Me | F |
| 17.076 | Me | H | H | H | Me | Me |
| 17.077 | Me | H | H | H | Ph | H |
| 17.078 | Me | H | H | H | Ph | Cl |
| 17.079 | Me | H | H | H | Ph | F |
| 17.080 | Me | H | H | H | Ph | Me |
| 17.081 | Me | F | H | H | H | H |
| 17.082 | Me | F | H | H | H | Cl |
| 17.083 | Me | F | H | H | H | F |
| 17.084 | Me | F | H | H | H | Me |
| 17.085 | Me | F | H | H | F | H |
| 17.086 | Me | F | H | H | F | Cl |
| 17.087 | Me | F | H | H | F | F |
| 17.088 | Me | F | H | H | F | Me |
| 17.089 | Me | F | H | H | Cl | H |
| 17.090 | Me | F | H | H | Cl | F |
| 17.091 | Me | F | H | H | Cl | Cl |
| 17.092 | Me | F | H | H | Cl | Me |
| 17.093 | Me | F | H | H | Me | H |
| 17.094 | Me | F | H | H | Me | Cl |
| 17.095 | Me | F | H | H | Me | F |
| 17.096 | Me | F | H | H | Me | Me |
| 17.097 | Me | F | H | H | Ph | H |

[0130]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 17.098 | Me | F | H | H | Ph | Cl |
| 17.099 | Me | F | H | H | Ph | F |
| 17.100 | Me | F | H | H | Ph | Me |
| 17.101 | Me | Cl | H | H | H | H |
| 17.102 | Me | Cl | H | H | H | Cl |
| 17.103 | Me | Cl | H | H | H | F |
| 17.104 | Me | Cl | H | H | H | Me |
| 17.105 | Me | Cl | H | H | F | H |
| 17.106 | Me | Cl | H | H | F | Cl |
| 17.107 | Me | Cl | H | H | F | F |
| 17.108 | Me | Cl | H | H | F | Me |
| 17.109 | Me | Cl | H | H | Cl | H |
| 17.110 | Me | Cl | H | H | Cl | F |
| 17.111 | Me | Cl | H | H | Cl | Cl |
| 17.112 | Me | Cl | H | H | Cl | Me |
| 17.113 | Me | Cl | H | H | Me | H |
| 17.114 | Me | Cl | H | H | Me | Cl |
| 17.115 | Me | Cl | H | H | Me | F |
| 17.116 | Me | Cl | H | H | Me | Me |
| 17.117 | Me | Cl | H | H | Ph | H |
| 17.118 | Me | Cl | H | H | Ph | Cl |
| 17.119 | Me | Cl | H | H | Ph | F |
| 17.120 | Me | Cl | H | H | Ph | Me |
| 17.121 | Et | H | H | H | H | H |
| 17.122 | Et | H | H | H | H | Cl |
| 17.123 | Et | H | H | H | H | F |
| 17.124 | Et | H | H | H | H | Me |
| 17.125 | Et | H | H | H | F | H |
| 17.126 | Et | H | H | H | F | Cl |
| 17.127 | Et | H | H | H | F | F |
| 17.128 | Et | H | H | H | F | Me |
| 17.129 | Et | H | H | H | Cl | H |
| 17.130 | Et | H | H | H | Cl | F |
| 17.131 | Et | H | H | H | Cl | Cl |
| 17.132 | Et | H | H | H | Cl | Me |

[0131]

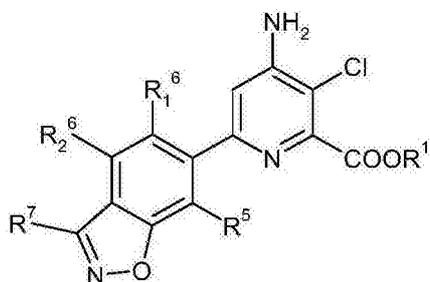
| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 17.133 | Et | H | H | H | Me | H |
| 17.134 | Et | H | H | H | Me | Cl |
| 17.135 | Et | H | H | H | Me | F |
| 17.136 | Et | H | H | H | Me | Me |
| 17.137 | Et | H | H | H | Ph | H |
| 17.138 | Et | H | H | H | Ph | Cl |
| 17.139 | Et | H | H | H | Ph | F |
| 17.140 | Et | H | H | H | Ph | Me |
| 17.141 | Et | F | H | H | H | H |
| 17.142 | Et | F | H | H | H | Cl |
| 17.143 | Et | F | H | H | H | F |
| 17.144 | Et | F | H | H | H | Me |
| 17.145 | Et | F | H | H | F | H |
| 17.146 | Et | F | H | H | F | Cl |
| 17.147 | Et | F | H | H | F | F |
| 17.148 | Et | F | H | H | F | Me |
| 17.149 | Et | F | H | H | Cl | H |
| 17.150 | Et | F | H | H | Cl | F |
| 17.151 | Et | F | H | H | Cl | Cl |
| 17.152 | Et | F | H | H | Cl | Me |
| 17.153 | Et | F | H | H | Me | H |
| 17.154 | Et | F | H | H | Me | Cl |
| 17.155 | Et | F | H | H | Me | F |
| 17.156 | Et | F | H | H | Me | Me |
| 17.157 | Et | F | H | H | Ph | H |
| 17.158 | Et | F | H | H | Ph | Cl |
| 17.159 | Et | F | H | H | Ph | F |
| 17.160 | Et | F | H | H | Ph | Me |
| 17.161 | Et | Cl | H | H | H | H |
| 17.162 | Et | Cl | H | H | H | Cl |
| 17.163 | Et | Cl | H | H | H | F |
| 17.164 | Et | Cl | H | H | H | Me |
| 17.165 | Et | Cl | H | H | F | H |
| 17.166 | Et | Cl | H | H | F | Cl |
| 17.167 | Et | Cl | H | H | F | F |

[0132]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 17.168 | Et | Cl | H | H | F | Me |
| 17.169 | Et | Cl | H | H | Cl | H |
| 17.170 | Et | Cl | H | H | Cl | F |
| 17.171 | Et | Cl | H | H | Cl | Cl |
| 17.172 | Et | Cl | H | H | Cl | Me |
| 17.173 | Et | Cl | H | H | Me | H |
| 17.174 | Et | Cl | H | H | Me | Cl |
| 17.175 | Et | Cl | H | H | Me | F |
| 17.176 | Et | Cl | H | H | Me | Me |
| 17.177 | Et | Cl | H | H | Ph | H |
| 17.178 | Et | Cl | H | H | Ph | Cl |
| 17.179 | Et | Cl | H | H | Ph | F |
| 17.180 | Et | Cl | H | H | Ph | Me |
| 17.181 | H | F | F | H | H | H |
| 17.182 | H | F | H | F | H | H |

[0133] 表18:本发明式(I)的化合物,其中x代表CH,A代表A16,R³和R⁴各自代表氢,并且R²代表氯:

[0134]



[0135]

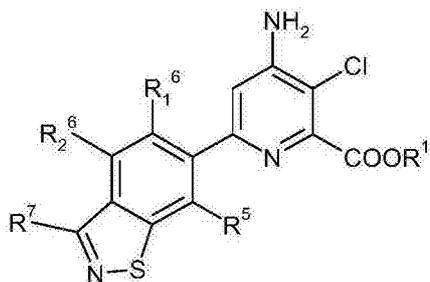
| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 18.001 | H | H | H | H | H |
| 18.002 | H | H | H | H | Me |
| 18.003 | H | H | H | H | Ph |
| 18.004 | H | H | H | H | F |
| 18.005 | H | H | H | H | Cl |
| 18.006 | H | Cl | H | H | H |
| 18.007 | H | Cl | H | H | Me |
| 18.008 | H | Cl | H | H | Ph |
| 18.009 | H | Cl | H | H | F |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 18.010 | H | Cl | H | H | Cl |
| 18.011 | H | F | H | H | H |
| 18.012 | H | F | H | H | Me |
| 18.013 | H | F | H | H | Ph |
| 18.014 | H | F | H | H | F |
| 18.015 | H | F | H | H | Cl |
| 18.016 | Me | H | H | H | H |
| 18.017 | Me | H | H | H | Me |
| 18.018 | Me | H | H | H | Ph |
| 18.019 | Me | H | H | H | F |
| 18.020 | Me | H | H | H | Cl |
| 18.021 | Me | Cl | H | H | H |
| 18.022 | Me | Cl | H | H | Me |
| 18.023 | Me | Cl | H | H | Ph |
| 18.024 | Me | Cl | H | H | F |
| 18.025 | Me | Cl | H | H | Cl |
| [0136] 18.026 | Et | H | H | H | H |
| 18.027 | Et | H | H | H | Me |
| 18.028 | Et | H | H | H | Ph |
| 18.029 | Et | H | H | H | F |
| 18.030 | Et | H | H | H | Cl |
| 18.031 | Et | F | H | H | H |
| 18.032 | Et | F | H | H | Me |
| 18.033 | Et | F | H | H | Ph |
| 18.034 | Et | F | H | H | F |
| 18.035 | Et | F | H | H | Cl |
| 18.036 | Et | Cl | H | H | H |
| 18.037 | Et | Cl | H | H | Me |
| 18.038 | Et | Cl | H | H | Ph |
| 18.039 | Et | Cl | H | H | F |
| 18.040 | Et | Cl | H | H | Cl |
| 18.041 | H | F | F | H | H |
| 18.042 | H | F | H | F | H |

[0137] 表19:本发明式(I)的化合物,其中x代表CH,A代表A17,R³和 R⁴各自代表氢,并且R²

代表氯:

[0138]

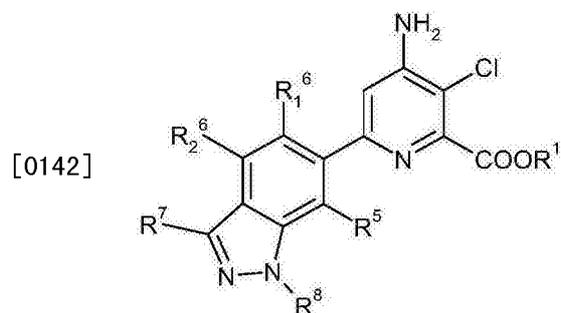


[0139]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 19.001 | H | H | H | H | H |
| 19.002 | H | H | H | H | Me |
| 19.003 | H | H | H | H | Ph |
| 19.004 | H | H | H | H | F |
| 19.005 | H | H | H | H | Cl |
| 19.006 | H | Cl | H | H | H |
| 19.007 | H | Cl | H | H | Me |
| 19.008 | H | Cl | H | H | Ph |
| 19.009 | H | Cl | H | H | F |
| 19.010 | H | Cl | H | H | Cl |
| 19.011 | H | F | H | H | H |
| 19.012 | H | F | H | H | Me |
| 19.013 | H | F | H | H | Ph |
| 19.014 | H | F | H | H | F |
| 19.015 | H | F | H | H | Cl |
| 19.016 | Me | H | H | H | H |
| 19.017 | Me | H | H | H | Me |
| 19.018 | Me | H | H | H | Ph |
| 19.019 | Me | H | H | H | F |
| 19.020 | Me | H | H | H | Cl |
| 19.021 | Me | Cl | H | H | H |
| 19.022 | Me | Cl | H | H | Me |
| 19.023 | Me | Cl | H | H | Ph |
| 19.024 | Me | Cl | H | H | F |
| 19.025 | Me | Cl | H | H | Cl |
| 19.026 | Et | H | H | H | H |
| 19.027 | Et | H | H | H | Me |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 19.028 | Et | H | H | H | Ph |
| 19.029 | Et | H | H | H | F |
| 19.030 | Et | H | H | H | Cl |
| 19.031 | Et | F | H | H | H |
| 19.032 | Et | F | H | H | Me |
| 19.033 | Et | F | H | H | Ph |
| 19.034 | Et | F | H | H | F |
| [0140] 19.035 | Et | F | H | H | Cl |
| 19.036 | Et | Cl | H | H | H |
| 19.037 | Et | Cl | H | H | Me |
| 19.038 | Et | Cl | H | H | Ph |
| 19.039 | Et | Cl | H | H | F |
| 19.040 | Et | Cl | H | H | Cl |
| 19.041 | H | F | F | H | H |
| 19.042 | H | F | H | F | H |

[0141] 表20: 本发明式(I)的化合物, 其中x代表CH, A代表A18, R³和R⁴各自代表氢, 并且R²代表氯:



[0143]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 20.001 | H | H | H | H | H | H |
| 20.002 | H | H | H | H | Me | H |
| 20.003 | H | H | H | H | Ph | H |
| 20.004 | H | H | H | H | F | Me |
| 20.005 | H | H | H | H | Cl | H |
| 20.006 | H | Cl | H | H | H | Me |
| 20.007 | H | Cl | H | H | Me | Me |
| 20.008 | H | Cl | H | H | Ph | Me |

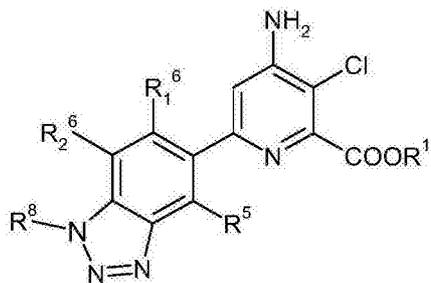
[0144]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 20.009 | H | Cl | H | H | F | H |
| 20.010 | H | Cl | H | H | Cl | H |
| 20.011 | H | F | H | H | H | Me |
| 20.012 | H | F | H | H | Me | H |
| 20.013 | H | F | H | H | Ph | H |
| 20.014 | H | F | H | H | F | Me |
| 20.015 | H | F | H | H | Cl | Me |
| 20.016 | Me | H | H | H | H | Et |
| 20.017 | Me | H | H | H | Me | Et |
| 20.018 | Me | H | H | H | Ph | Et |
| 20.019 | Me | H | H | H | F | Et |
| 20.020 | Me | H | H | H | Cl | Et |
| 20.021 | Me | Cl | H | H | H | Me |
| 20.022 | Me | Cl | H | H | Me | H |
| 20.023 | Me | Cl | H | H | Ph | H |
| 20.024 | Me | Cl | H | H | F | H |
| 20.025 | Me | Cl | H | H | Cl | Et |
| 20.026 | Et | H | H | H | H | Me |
| 20.027 | Et | H | H | H | Me | Me |
| 20.028 | Et | H | H | H | Ph | Me |
| 20.029 | Et | H | H | H | F | H |
| 20.030 | Et | H | H | H | Cl | H |
| 20.031 | Et | F | H | H | H | Me |
| 20.032 | Et | F | H | H | Me | Me |
| 20.033 | Et | F | H | H | Ph | H |
| 20.034 | Et | F | H | H | F | Et |
| 20.035 | Et | F | H | H | Cl | Et |
| 20.036 | Et | Cl | H | H | H | H |
| 20.037 | Et | Cl | H | H | Me | H |
| 20.038 | Et | Cl | H | H | Ph | H |
| 20.039 | Et | Cl | H | H | F | Me |
| 20.040 | Et | Cl | H | H | Cl | H |
| 20.041 | H | F | F | H | H | H |
| 20.042 | H | F | H | F | H | H |

[0145] 表21:本发明式(I)的化合物,其中x代表CH,A代表A19,R³和R⁴各自代表氢,并且R²

代表氯：

[0146]

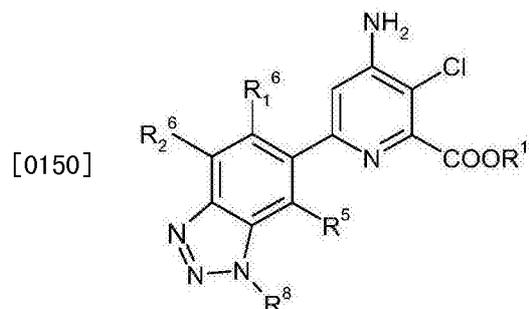


[0147]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 21.001 | H | H | H | H | H |
| 21.002 | H | H | H | H | Me |
| 21.003 | H | H | H | H | Et |
| 21.004 | H | F | H | H | H |
| 21.005 | H | F | H | H | Me |
| 21.006 | H | F | H | H | Et |
| 21.007 | H | Cl | H | H | H |
| 21.008 | H | Cl | H | H | Me |
| 21.009 | H | Cl | H | H | Et |
| 21.010 | Me | H | H | H | H |
| 21.011 | Me | H | H | H | Me |
| 21.012 | Me | H | H | H | Et |
| 21.013 | Me | F | H | H | H |
| 21.014 | Me | F | H | H | Me |
| 21.015 | Me | F | H | H | Et |
| 21.016 | Me | Cl | H | H | H |
| 21.017 | Me | Cl | H | H | Me |
| 21.018 | Me | Cl | H | H | Et |
| 21.019 | Et | H | H | H | H |
| 21.020 | Et | H | H | H | Me |
| 21.021 | Et | H | H | H | Et |
| 21.022 | Et | F | H | H | H |
| 21.023 | Et | F | H | H | Me |
| 21.024 | Et | F | H | H | Et |
| 21.025 | Et | Cl | H | H | H |
| 21.026 | Et | Cl | H | H | Me |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁸ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| [0148] 21.027 | Et | Cl | H | H | Et |
| 21.028 | H | F | F | H | H |
| 21.029 | H | F | H | F | H |

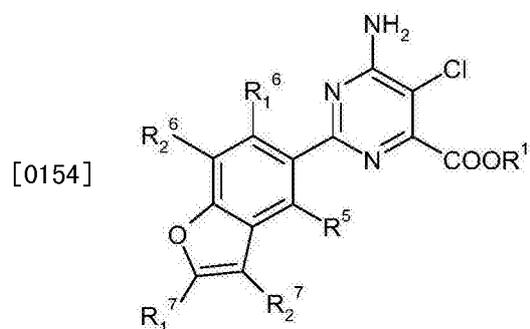
[0149] 表22:本发明式(I)的化合物,其中x代表CH,A代表A20,R³和R⁴各自代表氢,并且R²代表氯:



| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁸ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 22.001 | H | H | H | H | H |
| 22.002 | H | H | H | H | Me |
| 22.003 | H | H | H | H | Et |
| 22.004 | H | F | H | H | H |
| 22.005 | H | F | H | H | Me |
| 22.006 | H | F | H | H | Et |
| 22.007 | H | Cl | H | H | H |
| 22.008 | H | Cl | H | H | Me |
| 22.009 | H | Cl | H | H | Et |
| [0151] 22.010 | Me | H | H | H | H |
| 22.011 | Me | H | H | H | Me |
| 22.012 | Me | H | H | H | Et |
| 22.013 | Me | F | H | H | H |
| 22.014 | Me | F | H | H | Me |
| 22.015 | Me | F | H | H | Et |
| 22.016 | Me | Cl | H | H | H |
| 22.017 | Me | Cl | H | H | Me |
| 22.018 | Me | Cl | H | H | Et |
| 22.019 | Et | H | H | H | H |
| 22.020 | Et | H | H | H | Me |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁸ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 22.021 | Et | H | H | H | Et |
| 22.022 | Et | F | H | H | H |
| 22.023 | Et | F | H | H | Me |
| 22.024 | Et | F | H | H | Et |
| [0152] 22.025 | Et | Cl | H | H | H |
| 22.026 | Et | Cl | H | H | Me |
| 22.027 | Et | Cl | H | H | Et |
| 22.028 | H | F | F | H | H |
| 22.029 | H | F | H | F | H |

[0153] 表23:本发明式(I)的化合物,其中x代表N,A代表A1,R³和R⁴各自代表氢,并且R²代表氯:



[0155]

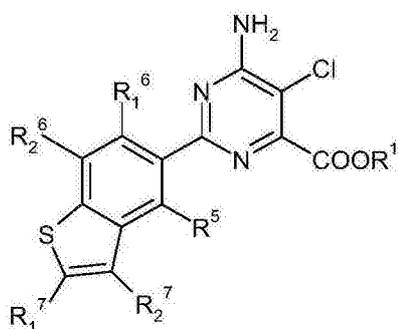
| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 23.001 | H | H | H | H | H | H |
| 23.002 | H | F | H | H | H | H |
| 23.003 | H | F | H | H | Cl | H |
| 23.004 | H | F | H | H | H | Cl |
| 23.005 | H | F | H | H | Cl | Cl |
| 23.006 | H | F | H | H | Ph | H |
| 23.007 | H | F | H | H | Ph | Cl |
| 23.008 | H | F | H | H | Ph | F |
| 23.009 | H | F | H | H | Me | H |
| 23.010 | H | F | H | H | Me | Cl |
| 23.011 | H | F | H | H | Me | F |
| 23.012 | Me | H | H | H | H | H |
| 23.013 | Me | F | H | H | H | H |
| 23.014 | Me | F | H | H | Cl | H |

[0156]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 23.015 | Me | F | H | H | H | Cl |
| 23.016 | Me | F | H | H | Cl | Cl |
| 23.017 | Me | F | H | H | H | F |
| 23.018 | Me | F | H | H | Ph | H |
| 23.019 | Me | F | H | H | Ph | Cl |
| 23.020 | Me | F | H | H | Ph | F |
| 23.021 | Me | F | H | H | Me | H |
| 23.022 | Me | F | H | H | Me | Cl |
| 23.023 | Me | F | H | H | Me | F |
| 23.024 | Et | H | H | H | H | H |
| 23.025 | Et | Cl | H | H | H | H |
| 23.026 | Et | Cl | H | H | Cl | H |
| 23.027 | Et | Cl | H | H | H | Cl |
| 23.028 | Et | Cl | H | H | Cl | Cl |
| 23.029 | Et | Cl | H | H | H | F |
| 23.030 | Et | Cl | H | H | Ph | H |
| 23.031 | Et | Cl | H | H | Ph | Cl |
| 23.032 | Et | Cl | H | H | Ph | F |
| 23.033 | Et | Cl | H | H | Me | H |
| 23.034 | Et | Cl | H | H | Me | Cl |
| 23.035 | Et | Cl | H | H | Me | F |
| 23.036 | H | F | F | H | H | H |
| 23.037 | H | F | H | F | H | H |

[0157] 表24: 本发明式(I)的化合物, 其中x代表N, A代表A2, R³和R⁴各自代表氢, 并且R²代表氯:

[0158]



[0159]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
|------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|

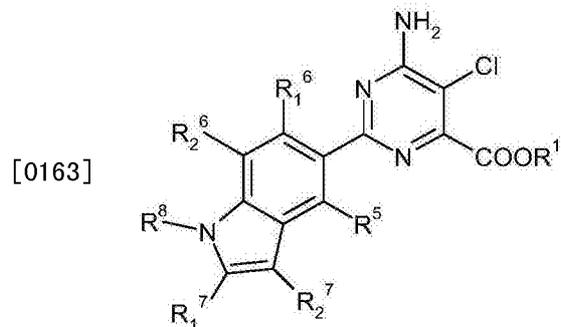
[0160]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 24.001 | H | H | H | H | H | H |
| 24.002 | H | F | H | H | H | H |
| 24.003 | H | F | H | H | Cl | H |
| 24.004 | H | F | H | H | H | Cl |
| 24.005 | H | F | H | H | Cl | Cl |
| 24.006 | H | F | H | H | Ph | H |
| 24.007 | H | F | H | H | Ph | Cl |
| 24.008 | H | F | H | H | Ph | F |
| 24.009 | H | F | H | H | Me | H |
| 24.010 | H | F | H | H | Me | Cl |
| 24.011 | H | F | H | H | Me | F |
| 24.012 | Me | H | H | H | H | H |
| 24.013 | Me | F | H | H | H | H |
| 24.014 | Me | F | H | H | Cl | H |
| 24.015 | Me | F | H | H | H | Cl |
| 24.016 | Me | F | H | H | Cl | Cl |
| 24.017 | Me | F | H | H | H | F |
| 24.018 | Me | F | H | H | Ph | H |
| 24.019 | Me | F | H | H | Ph | Cl |
| 24.020 | Me | F | H | H | Ph | F |
| 24.021 | Me | F | H | H | Me | H |
| 24.022 | Me | F | H | H | Me | Cl |
| 24.023 | Me | F | H | H | Me | F |
| 24.024 | Et | H | H | H | H | H |
| 24.025 | Et | Cl | H | H | H | H |
| 24.026 | Et | Cl | H | H | Cl | H |
| 24.027 | Et | Cl | H | H | H | Cl |
| 24.028 | Et | Cl | H | H | Cl | Cl |
| 24.029 | Et | Cl | H | H | H | F |
| 24.030 | Et | Cl | H | H | Ph | H |
| 24.031 | Et | Cl | H | H | Ph | Cl |
| 24.032 | Et | Cl | H | H | Ph | F |
| 24.033 | Et | Cl | H | H | Me | H |
| 24.034 | Et | Cl | H | H | Me | Cl |
| 24.035 | Et | Cl | H | H | Me | F |

[0161]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 24.036 | H | F | F | H | H | H |
| 24.037 | H | F | H | F | H | H |

[0162] 表25: 本发明式(I)的化合物, 其中x代表N, A代表A3, R³和R⁴各自代表氢, 并且R²代表氯:



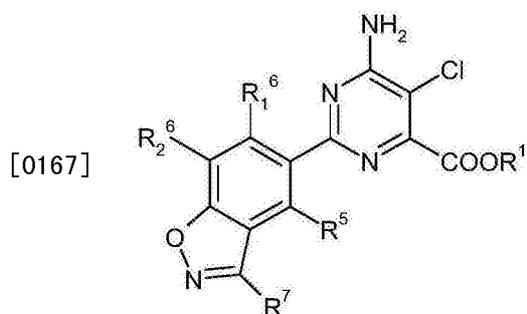
[0164]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|----------------|
| 25.001 | H | H | H | H | H | H | H |
| 25.002 | H | F | H | H | H | H | H |
| 25.003 | H | F | H | H | Cl | H | H |
| 25.004 | H | F | H | H | H | Cl | Me |
| 25.005 | H | F | H | H | Cl | Cl | Me |
| 25.006 | H | F | H | H | Ph | H | Me |
| 25.007 | H | F | H | H | Ph | Cl | H |
| 25.008 | H | F | H | H | Ph | F | H |
| 25.009 | H | F | H | H | Me | H | H |
| 25.010 | H | F | H | H | Me | Cl | Me |
| 25.011 | H | F | H | H | Me | F | Me |
| 25.012 | Me | H | H | H | H | H | H |
| 25.013 | Me | F | H | H | H | H | H |
| 25.014 | Me | F | H | H | Cl | H | H |
| 25.015 | Me | F | H | H | H | Cl | Me |
| 25.016 | Me | F | H | H | Cl | Cl | Me |
| 25.017 | Me | F | H | H | H | F | Me |
| 25.018 | Me | F | H | H | Ph | H | H |
| 25.019 | Me | F | H | H | Ph | Cl | H |
| 25.020 | Me | F | H | H | Ph | F | H |
| 25.021 | Me | F | H | H | Me | H | H |

[0165]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|----------------|
| 25.022 | Me | F | H | H | Me | Cl | Me |
| 25.023 | Me | F | H | H | Me | F | H |
| 25.024 | Et | H | H | H | H | H | H |
| 25.025 | Et | Cl | H | H | H | H | Me |
| 25.026 | Et | Cl | H | H | Cl | H | Me |
| 25.027 | Et | Cl | H | H | H | Cl | Me |
| 25.028 | Et | Cl | H | H | Cl | Cl | Me |
| 25.029 | Et | Cl | H | H | H | F | Me |
| 25.030 | Et | Cl | H | H | Ph | H | H |
| 25.031 | Et | Cl | H | H | Ph | Cl | H |
| 25.032 | Et | Cl | H | H | Ph | F | H |
| 25.033 | Et | Cl | H | H | Me | H | H |
| 25.034 | Et | Cl | H | H | Me | Cl | H |
| 25.035 | Et | Cl | H | H | Me | F | Me |
| 25.036 | H | F | F | H | H | H | H |
| 25.037 | H | F | H | F | H | H | H |

[0166] 表26: 本发明式(I)的化合物, 其中x代表N, A代表A4, R³和R⁴各自代表氢, 并且R²代表氯:

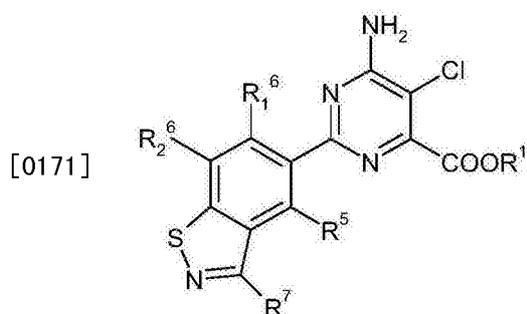


[0168]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 26.001 | H | H | H | H | H |
| 26.002 | H | F | H | H | H |
| 26.003 | H | F | H | H | F |
| 26.004 | H | F | H | H | Cl |
| 26.005 | H | F | H | H | Me |
| 26.006 | H | Cl | H | H | H |
| 26.007 | H | Cl | H | H | F |
| 26.008 | H | Cl | H | H | Cl |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 26.009 | H | Cl | H | H | Me |
| 26.010 | Me | H | H | H | H |
| 26.011 | Me | F | H | H | H |
| 26.012 | Me | F | H | H | F |
| 26.013 | Me | F | H | H | Cl |
| 26.014 | Me | Cl | H | H | H |
| 26.015 | Me | Cl | H | H | F |
| [0169] 26.016 | Me | Cl | H | H | Cl |
| 26.017 | Me | Cl | H | H | Me |
| 26.018 | Et | H | H | H | H |
| 26.019 | Et | F | H | H | H |
| 26.020 | Et | Cl | H | H | H |
| 26.021 | Et | F | H | H | Me |
| 26.022 | Et | Cl | H | H | Me |
| 26.023 | H | F | F | H | H |
| 26.024 | H | F | H | F | H |

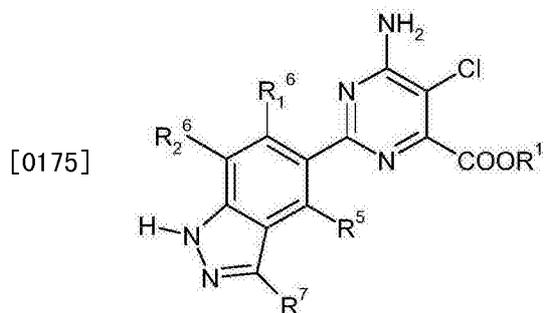
[0170] 表27: 本发明式(I)的化合物, 其中x代表N, A代表A5, R³和R⁴各自代表氢, 并且R²代表氯:



| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 27.001 | H | H | H | H | H |
| 27.002 | H | F | H | H | H |
| 27.003 | H | F | H | H | F |
| [0172] 27.004 | H | F | H | H | Cl |
| 27.005 | H | F | H | H | F |
| 27.006 | H | Cl | H | H | H |
| 27.007 | H | Cl | H | H | F |
| 27.008 | H | Cl | H | H | Cl |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 27.009 | H | Cl | H | H | Me |
| 27.010 | Me | H | H | H | H |
| 27.011 | Me | F | H | H | H |
| 27.012 | Me | F | H | H | Cl |
| 27.013 | Me | Cl | H | H | H |
| 27.014 | Me | Cl | H | H | F |
| 27.015 | Me | Cl | H | H | Cl |
| 27.016 | Me | Cl | H | H | Me |
| 27.017 | Et | H | H | H | H |
| 27.018 | Et | F | H | H | H |
| 27.019 | Et | Cl | H | H | H |
| 27.020 | Et | F | H | H | Me |
| 27.021 | Et | Cl | H | H | Me |
| 27.022 | H | F | F | H | H |
| 27.023 | H | F | H | F | H |

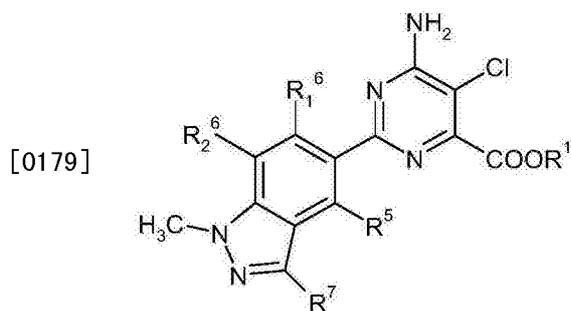
[0174] 表28: 本发明式(I)的化合物, 其中x代表N, A代表A6, R⁸、R³和R⁴各自代表氢, 并且R²代表氯:



| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 28.001 | H | H | H | H | H |
| 28.002 | H | F | H | H | H |
| 28.003 | H | F | H | H | Me |
| 28.004 | H | F | H | H | Et |
| 28.005 | H | H | H | H | Me |
| 28.006 | H | Cl | H | H | H |
| 28.007 | H | Cl | H | H | Me |
| 28.008 | H | Cl | H | H | Et |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 28.009 | Me | H | H | H | H |
| 28.010 | Me | H | H | H | Me |
| 28.011 | Me | F | H | H | H |
| 28.012 | Me | F | H | H | Me |
| 28.013 | Me | F | H | H | Et |
| 28.014 | Me | Cl | H | H | H |
| 28.015 | Me | Cl | H | H | Me |
| 28.016 | Me | Cl | H | H | Et |
| 28.017 | Me | H | H | H | Me |
| [0177] 28.018 | Et | H | H | H | H |
| 28.019 | Et | H | H | H | Me |
| 28.020 | Et | H | H | H | Et |
| 28.021 | Et | F | H | H | H |
| 28.022 | Et | F | H | H | Me |
| 28.023 | Et | F | H | H | Et |
| 28.024 | Et | Cl | H | H | H |
| 28.025 | Et | Cl | H | H | Me |
| 28.026 | Et | Cl | H | H | Et |
| 28.027 | H | F | F | H | H |
| 28.028 | H | F | H | F | H |

[0178] 表29:本发明式(I)的化合物,其中x代表N,A代表A6,R³和R⁴各自代表氢,R⁸代表甲基,并且R²代表氯:



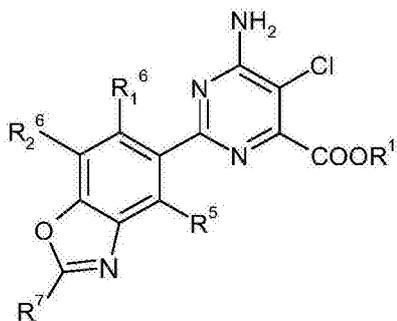
| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| [0180] 29.001 | H | H | H | H | H |
| 29.002 | H | F | H | H | H |
| 29.003 | H | F | H | H | Me |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 29.004 | H | F | H | H | Et |
| 29.005 | H | H | H | H | Me |
| 29.006 | H | Cl | H | H | H |
| 29.007 | H | Cl | H | H | Me |
| 29.008 | H | Cl | H | H | Et |
| 29.009 | Me | H | H | H | H |
| 29.010 | Me | H | H | H | Me |
| 29.011 | Me | F | H | H | H |
| 29.012 | Me | F | H | H | Me |
| 29.013 | Me | F | H | H | Et |
| 29.014 | Me | Cl | H | H | H |
| 29.015 | Me | Cl | H | H | Me |
| 29.016 | Me | Cl | H | H | Et |
| 29.017 | Me | H | H | H | Me |
| 29.018 | Et | H | H | H | H |
| 29.019 | Et | H | H | H | Me |
| 29.020 | Et | H | H | H | Et |
| 29.021 | Et | F | H | H | H |
| 29.022 | Et | F | H | H | Me |
| 29.023 | Et | F | H | H | Et |
| 29.024 | Et | Cl | H | H | H |
| 29.025 | Et | Cl | H | H | Me |
| 29.026 | Et | Cl | H | H | Et |
| 29.027 | H | F | F | H | H |
| 29.028 | H | F | H | F | H |

[0181]

[0182] 表30: 本发明式(I)的化合物, 其中x代表N, A代表A7, R³和R⁴各自代表氢, 并且R²代表氯:

[0183]

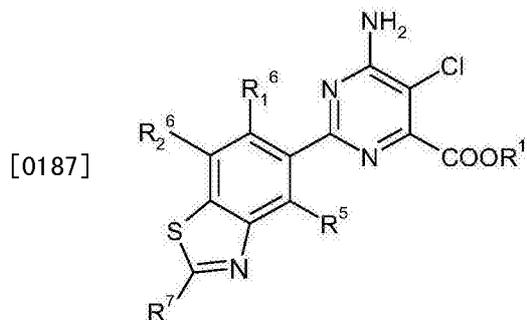


| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 30.001 | H | H | H | H | H |
| 30.002 | H | H | H | H | Me |
| 30.003 | H | H | H | H | Ph |
| 30.004 | H | H | H | H | SMe |
| 30.005 | H | F | H | H | H |
| 30.006 | H | F | H | H | Me |
| 30.007 | H | F | H | H | Ph |
| 30.008 | H | F | H | H | SMe |
| 30.009 | H | Cl | H | H | H |
| 30.010 | H | Cl | H | H | Me |
| 30.011 | H | Cl | H | H | Ph |
| 30.012 | H | Cl | H | H | SMe |
| 30.013 | Me | H | H | H | H |
| 30.014 | Me | H | H | H | Me |
| 30.015 | Me | H | H | H | Ph |
| 30.016 | Me | H | H | H | SMe |
| 30.017 | Me | F | H | H | H |
| 30.018 | Me | F | H | H | Me |
| 30.019 | Me | F | H | H | Ph |
| 30.020 | Me | F | H | H | SMe |
| 30.021 | Me | Cl | H | H | H |
| 30.022 | Me | Cl | H | H | Me |
| 30.023 | Me | Cl | H | H | Ph |
| 30.024 | Me | Cl | H | H | SMe |
| 30.025 | Et | H | H | H | H |
| 30.026 | Et | H | H | H | Me |
| 30.027 | Et | H | H | H | Ph |
| 30.028 | Et | H | H | H | SMe |
| 30.029 | Et | F | H | H | H |
| 30.030 | Et | F | H | H | Me |
| 30.031 | Et | F | H | H | Ph |
| 30.032 | Et | F | H | H | SMe |
| 30.033 | Et | Cl | H | H | H |
| 30.034 | Et | Cl | H | H | Me |
| 30.035 | Et | Cl | H | H | Ph |

[0184]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| [0185] 30.036 | Et | Cl | H | H | SMe |
| 30.037 | H | F | F | H | H |
| 30.038 | H | F | H | F | H |

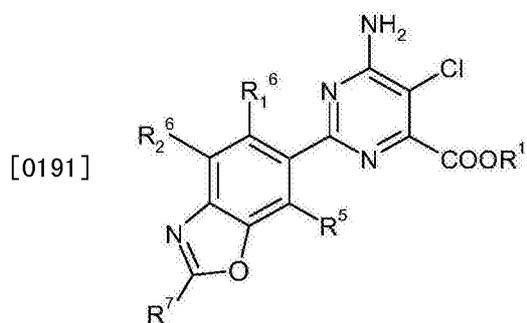
[0186] 表31:本发明式(I)的化合物,其中x代表N,A代表A8,R³和R⁴各自代表氢,并且R²代表氯:



| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 31.001 | H | H | H | H | H |
| 31.002 | H | H | H | H | Me |
| 31.003 | H | H | H | H | Ph |
| 31.004 | H | H | H | H | SMe |
| 31.005 | H | F | H | H | H |
| 31.006 | H | F | H | H | Me |
| 31.007 | H | F | H | H | Ph |
| 31.008 | H | F | H | H | SMe |
| 31.009 | H | Cl | H | H | H |
| [0188] 31.010 | H | Cl | H | H | Me |
| 31.011 | H | Cl | H | H | Ph |
| 31.012 | H | Cl | H | H | SMe |
| 31.013 | Me | H | H | H | H |
| 31.014 | Me | H | H | H | Me |
| 31.015 | Me | H | H | H | Ph |
| 31.016 | Me | H | H | H | SMe |
| 31.017 | Me | F | H | H | H |
| 31.018 | Me | F | H | H | Me |
| 31.019 | Me | F | H | H | Ph |
| 31.020 | Me | F | H | H | SMe |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 31.021 | Me | Cl | H | H | H |
| 31.022 | Et | H | H | H | H |
| 31.023 | Et | H | H | H | Me |
| 31.024 | Et | H | H | H | Ph |
| 31.025 | Et | H | H | H | SMe |
| 31.026 | Et | F | H | H | H |
| 31.027 | Et | F | H | H | Me |
| [0189] 31.028 | Et | F | H | H | Ph |
| 31.029 | Et | F | H | H | SMe |
| 31.030 | Et | Cl | H | H | H |
| 31.031 | Et | Cl | H | H | Me |
| 31.032 | Et | Cl | H | H | Ph |
| 31.033 | Et | Cl | H | H | SMe |
| 31.034 | H | F | F | H | H |
| 31.035 | H | F | H | F | H |

[0190] 表32: 本发明式(I)的化合物, 其中X代表N, A代表A9, R³和R⁴各自代表氢, 并且R²代表氯:

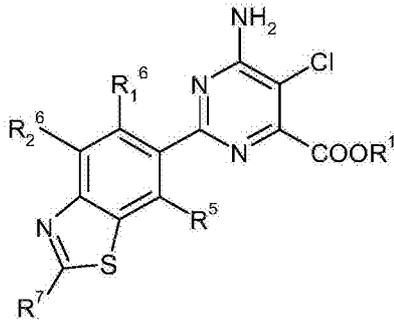


| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 32.001 | H | H | H | H | H |
| 32.002 | H | H | H | H | Me |
| 32.003 | H | H | H | H | Ph |
| [0192] 32.004 | H | H | H | H | SMe |
| 32.005 | H | F | H | H | H |
| 32.006 | H | F | H | H | Me |
| 32.007 | H | F | H | H | Ph |
| 32.008 | H | F | H | H | SMe |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 32.009 | H | Cl | H | H | H |
| 32.010 | H | Cl | H | H | Me |
| 32.011 | H | Cl | H | H | Ph |
| 32.012 | H | Cl | H | H | SMe |
| 32.013 | Me | H | H | H | H |
| 32.014 | Me | H | H | H | Me |
| 32.015 | Me | H | H | H | Ph |
| 32.016 | Me | H | H | H | SMe |
| 32.017 | Me | F | H | H | H |
| 32.018 | Me | F | H | H | Me |
| 32.019 | Me | F | H | H | Ph |
| 32.020 | Me | F | H | H | SMe |
| 32.021 | Me | Cl | H | H | H |
| 32.022 | Me | Cl | H | H | Me |
| [0193] 32.023 | Me | Cl | H | H | Ph |
| 32.024 | Me | Cl | H | H | SMe |
| 32.025 | Et | H | H | H | H |
| 32.026 | Et | H | H | H | Me |
| 32.027 | Et | H | H | H | Ph |
| 32.028 | Et | H | H | H | SMe |
| 32.029 | Et | F | H | H | H |
| 32.030 | Et | F | H | H | Me |
| 32.031 | Et | F | H | H | Ph |
| 32.032 | Et | F | H | H | SMe |
| 32.033 | Et | Cl | H | H | H |
| 32.034 | Et | Cl | H | H | Me |
| 32.035 | Et | Cl | H | H | Ph |
| 32.036 | Et | Cl | H | H | SMe |
| 32.037 | H | F | F | H | H |
| 32.038 | H | F | H | F | H |

[0194] 表33:本发明式(I)的化合物,其中x代表N,A代表A10,R³和R⁴各自代表氢,并且R²代表氯:

[0195]

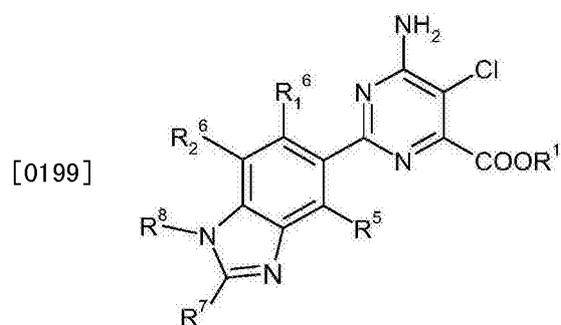


[0196]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 33.001 | H | H | H | H | H |
| 33.002 | H | H | H | H | Me |
| 33.003 | H | H | H | H | Ph |
| 33.004 | H | H | H | H | SMe |
| 33.005 | H | F | H | H | H |
| 33.006 | H | F | H | H | Me |
| 33.007 | H | F | H | H | Ph |
| 33.008 | H | F | H | H | SMe |
| 33.009 | H | Cl | H | H | H |
| 33.010 | H | Cl | H | H | Me |
| 33.011 | H | Cl | H | H | Ph |
| 33.012 | H | Cl | H | H | SMe |
| 33.013 | Me | H | H | H | H |
| 33.014 | Me | H | H | H | Me |
| 33.015 | Me | H | H | H | Ph |
| 33.016 | Me | H | H | H | SMe |
| 33.017 | Me | F | H | H | H |
| 33.018 | Me | F | H | H | Me |
| 33.019 | Me | F | H | H | Ph |
| 33.020 | Me | F | H | H | SMe |
| 33.021 | Me | Cl | H | H | H |
| 33.022 | Et | H | H | H | H |
| 33.023 | Et | H | H | H | Me |
| 33.024 | Et | H | H | H | Ph |
| 33.025 | Et | H | H | H | SMe |
| 33.026 | Et | F | H | H | H |
| 33.027 | Et | F | H | H | Me |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 33.028 | Et | F | H | H | Ph |
| 33.029 | Et | F | H | H | SMe |
| 33.030 | Et | Cl | H | H | H |
| [0197] 33.031 | Et | Cl | H | H | Me |
| 33.032 | Et | Cl | H | H | Ph |
| 33.033 | Et | Cl | H | H | SMe |
| 33.034 | H | F | F | H | H |
| 33.035 | H | F | H | F | H |

[0198] 表34:本发明式(I)的化合物,其中x代表N,A代表A11,R³和R⁴各自代表氢,并且R²代表氯:



[0200]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 34.001 | H | H | H | H | H | H |
| 34.002 | H | F | H | H | H | H |
| 34.003 | H | F | H | H | H | Me |
| 34.004 | H | F | H | H | Me | H |
| 34.005 | H | F | H | H | Me | Me |
| 34.006 | H | Cl | H | H | H | H |
| 34.007 | H | Cl | H | H | H | Me |
| 34.008 | H | Cl | H | H | Me | H |
| 34.009 | H | Cl | H | H | Me | Me |
| 34.010 | H | H | H | H | Ph | H |
| 34.011 | H | H | H | H | Ph | Me |
| 34.012 | H | F | H | H | Ph | H |
| 34.013 | H | F | H | H | Ph | Me |
| 34.014 | H | Cl | H | H | Ph | H |
| 34.015 | H | Cl | H | H | Ph | Me |

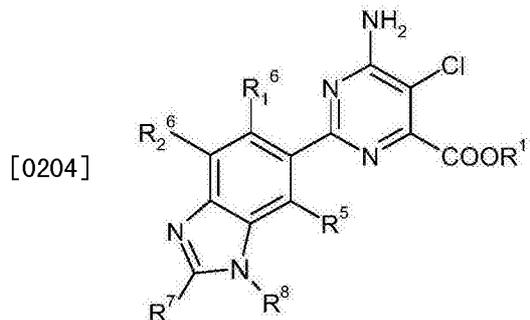
[0201]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 34.016 | H | H | H | H | Me | H |
| 34.017 | H | H | H | H | H | Me |
| 34.018 | H | H | H | H | Me | Me |
| 34.019 | Me | H | H | H | H | H |
| 34.020 | Me | F | H | H | H | H |
| 34.021 | Me | F | H | H | H | Me |
| 34.022 | Me | F | H | H | Me | H |
| 34.023 | Me | F | H | H | Me | Me |
| 34.024 | Me | Cl | H | H | H | H |
| 34.025 | Me | Cl | H | H | H | Me |
| 34.026 | Me | Cl | H | H | Me | H |
| 34.027 | Me | Cl | H | H | Me | Me |
| 34.028 | Me | H | H | H | Ph | H |
| 34.029 | Me | H | H | H | Ph | Me |
| 34.030 | Me | F | H | H | Ph | H |
| 34.031 | Me | F | H | H | Ph | Me |
| 34.032 | Me | Cl | H | H | Ph | H |
| 34.033 | Me | Cl | H | H | Ph | Me |
| 34.034 | Me | H | H | H | Me | H |
| 34.035 | Me | H | H | H | H | Me |
| 34.036 | Me | H | H | H | Me | Me |
| 34.037 | Et | H | H | H | H | H |
| 34.038 | Et | F | H | H | H | H |
| 34.039 | Et | F | H | H | H | Me |
| 34.040 | Et | F | H | H | Me | H |
| 34.041 | Et | F | H | H | Me | Me |
| 34.042 | Et | Cl | H | H | H | H |
| 34.043 | Et | Cl | H | H | H | Me |
| 34.044 | Et | Cl | H | H | Me | H |
| 34.045 | Et | H | H | H | Ph | H |
| 34.046 | Et | H | H | H | Ph | Me |
| 34.047 | Et | F | H | H | Ph | H |
| 34.048 | Et | F | H | H | Ph | Me |
| 34.049 | Et | Cl | H | H | Ph | H |
| 34.050 | Et | Cl | H | H | Me | Me |

[0202]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 34.051 | Et | H | H | H | Me | H |
| 34.052 | Et | H | H | H | H | Me |
| 34.053 | Et | H | H | H | Me | Me |
| 34.054 | H | F | F | H | H | H |
| 34.055 | H | F | H | F | H | H |

[0203] 表35:本发明式(I)的化合物,其中x代表N,A代表A12,R³和R⁴各自代表氢,并且R²代表氯:



[0205]

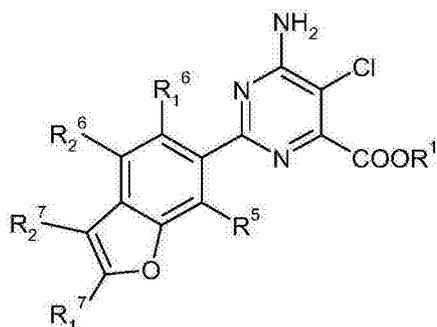
| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 35.001 | H | H | H | H | H | Me |
| 35.002 | H | F | H | H | H | Me |
| 35.003 | H | F | H | H | Me | Me |
| 35.004 | H | Cl | H | H | H | Me |
| 35.005 | H | Cl | H | H | Me | Me |
| 35.006 | H | H | H | H | Ph | Me |
| 35.007 | H | F | H | H | Ph | Me |
| 35.008 | H | Cl | H | H | Ph | Me |
| 35.009 | H | H | H | H | H | Me |
| 35.010 | Me | H | H | H | H | Me |
| 35.011 | Me | F | H | H | H | Me |
| 35.012 | Me | H | H | H | Me | Me |
| 35.013 | Me | F | H | H | Me | Me |
| 35.014 | Me | Cl | H | H | H | Me |
| 35.015 | Me | Cl | H | H | Me | Me |
| 35.016 | Me | H | H | H | Ph | Me |
| 35.017 | Me | F | H | H | Ph | Me |
| 35.018 | Me | Cl | H | H | Ph | Me |

[0206]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 35.019 | Et | F | H | H | H | Me |
| 35.020 | Et | F | H | H | Me | Me |
| 35.021 | Et | Cl | H | H | H | Me |
| 35.022 | Et | H | H | H | Ph | Me |
| 35.023 | Et | F | H | H | Ph | Me |
| 35.024 | Et | Cl | H | H | Ph | Me |
| 35.025 | Et | H | H | H | H | Me |
| 35.026 | Et | H | H | H | Me | Me |
| 35.027 | Et | Cl | H | H | Me | Me |
| 35.028 | H | F | F | H | H | H |
| 35.029 | H | F | H | F | H | H |

[0207] 表36:本发明式(I)的化合物,其中x代表N,A代表A13,R³和R⁴各自代表氢,并且R²代表氯:

[0208]



[0209]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 36.001 | H | H | H | H | H | H |
| 36.002 | H | H | H | H | H | Cl |
| 36.003 | H | H | H | H | H | F |
| 36.004 | H | H | H | H | H | Me |
| 36.005 | H | H | H | H | F | H |
| 36.006 | H | H | H | H | F | Cl |
| 36.007 | H | H | H | H | F | F |
| 36.008 | H | H | H | H | F | Me |
| 36.009 | H | H | H | H | Cl | H |
| 36.010 | H | H | H | H | Cl | F |
| 36.011 | H | H | H | H | Cl | Cl |
| 36.012 | H | H | H | H | Cl | Me |

[0210]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 36.013 | H | H | H | H | Me | H |
| 36.014 | H | H | H | H | Me | Cl |
| 36.015 | H | H | H | H | Me | F |
| 36.016 | H | H | H | H | Me | Me |
| 36.017 | H | H | H | H | Ph | H |
| 36.018 | H | H | H | H | Ph | Cl |
| 36.019 | H | H | H | H | Ph | F |
| 36.020 | H | H | H | H | Ph | Me |
| 36.021 | H | F | H | H | H | H |
| 36.022 | H | F | H | H | H | Cl |
| 36.023 | H | F | H | H | H | F |
| 36.024 | H | F | H | H | H | Me |
| 36.025 | H | F | H | H | F | H |
| 36.026 | H | F | H | H | F | Cl |
| 36.027 | H | F | H | H | F | F |
| 36.028 | H | F | H | H | F | Me |
| 36.029 | H | F | H | H | Cl | H |
| 36.030 | H | F | H | H | Cl | F |
| 36.031 | H | F | H | H | Cl | Cl |
| 36.032 | H | F | H | H | Cl | Me |
| 36.033 | H | F | H | H | Me | H |
| 36.034 | H | F | H | H | Me | Cl |
| 36.035 | H | F | H | H | Me | F |
| 36.036 | H | F | H | H | Me | Me |
| 36.037 | H | F | H | H | Ph | H |
| 36.038 | H | F | H | H | Ph | Cl |
| 36.039 | H | F | H | H | Ph | F |
| 36.040 | H | F | H | H | Ph | Me |
| 36.041 | H | Cl | H | H | H | H |
| 36.042 | H | Cl | H | H | H | Cl |
| 36.043 | H | Cl | H | H | H | F |
| 36.044 | H | Cl | H | H | H | Me |
| 36.045 | H | Cl | H | H | F | H |
| 36.046 | H | Cl | H | H | F | Cl |
| 36.047 | H | Cl | H | H | F | F |

[0211]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 36.048 | H | Cl | H | H | F | Me |
| 36.049 | H | Cl | H | H | Cl | H |
| 36.050 | H | Cl | H | H | Cl | F |
| 36.051 | H | Cl | H | H | Cl | Cl |
| 36.052 | H | Cl | H | H | Cl | Me |
| 36.053 | H | Cl | H | H | Me | H |
| 36.054 | H | Cl | H | H | Me | Cl |
| 36.055 | H | Cl | H | H | Me | F |
| 36.056 | H | Cl | H | H | Me | Me |
| 36.057 | H | Cl | H | H | Ph | H |
| 36.058 | H | Cl | H | H | Ph | Cl |
| 36.059 | H | Cl | H | H | Ph | F |
| 36.060 | H | Cl | H | H | Ph | Me |
| 36.061 | Me | H | H | H | H | H |
| 36.062 | Me | H | H | H | H | Cl |
| 36.063 | Me | H | H | H | H | F |
| 36.064 | Me | H | H | H | H | Me |
| 36.065 | Me | H | H | H | F | H |
| 36.066 | Me | H | H | H | F | Cl |
| 36.067 | Me | H | H | H | F | F |
| 36.068 | Me | H | H | H | F | Me |
| 36.069 | Me | H | H | H | Cl | H |
| 36.070 | Me | H | H | H | Cl | F |
| 36.071 | Me | H | H | H | Cl | Cl |
| 36.072 | Me | H | H | H | Cl | Me |
| 36.073 | Me | H | H | H | Me | H |
| 36.074 | Me | H | H | H | Me | Cl |
| 36.075 | Me | H | H | H | Me | F |
| 36.076 | Me | H | H | H | Me | Me |
| 36.077 | Me | H | H | H | Ph | H |
| 36.078 | Me | H | H | H | Ph | Cl |
| 36.079 | Me | H | H | H | Ph | F |
| 36.080 | Me | H | H | H | Ph | Me |
| 36.081 | Me | F | H | H | H | H |
| 36.082 | Me | F | H | H | H | Cl |

[0212]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 36.083 | Me | F | H | H | H | F |
| 36.084 | Me | F | H | H | H | Me |
| 36.085 | Me | F | H | H | F | H |
| 36.086 | Me | F | H | H | F | Cl |
| 36.087 | Me | F | H | H | F | F |
| 36.088 | Me | F | H | H | F | Me |
| 36.089 | Me | F | H | H | Cl | H |
| 36.090 | Me | F | H | H | Cl | F |
| 36.091 | Me | F | H | H | Cl | Cl |
| 36.092 | Me | F | H | H | Cl | Me |
| 36.093 | Me | F | H | H | Me | H |
| 36.094 | Me | F | H | H | Me | Cl |
| 36.095 | Me | F | H | H | Me | F |
| 36.096 | Me | F | H | H | Me | Me |
| 36.097 | Me | F | H | H | Ph | H |
| 36.098 | Me | F | H | H | Ph | Cl |
| 36.099 | Me | F | H | H | Ph | F |
| 36.100 | Me | F | H | H | Ph | Me |
| 36.101 | Me | Cl | H | H | H | H |
| 36.102 | Me | Cl | H | H | H | Cl |
| 36.103 | Me | Cl | H | H | H | F |
| 36.104 | Me | Cl | H | H | H | Me |
| 36.105 | Me | Cl | H | H | F | H |
| 36.106 | Me | Cl | H | H | F | Cl |
| 36.107 | Me | Cl | H | H | F | F |
| 36.108 | Me | Cl | H | H | F | Me |
| 36.109 | Me | Cl | H | H | Cl | H |
| 36.110 | Me | Cl | H | H | Cl | F |
| 36.111 | Me | Cl | H | H | Cl | Cl |
| 36.112 | Me | Cl | H | H | Cl | Me |
| 36.113 | Me | Cl | H | H | Me | H |
| 36.114 | Me | Cl | H | H | Me | Cl |
| 36.115 | Me | Cl | H | H | Me | F |
| 36.116 | Me | Cl | H | H | Me | Me |
| 36.117 | Me | Cl | H | H | Ph | H |

[0213]

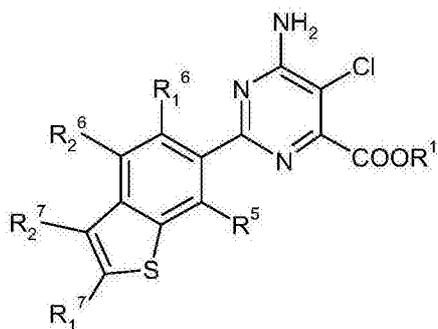
| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 36.118 | Me | Cl | H | H | Ph | Cl |
| 36.119 | Me | Cl | H | H | Ph | F |
| 36.120 | Me | Cl | H | H | Ph | Me |
| 36.121 | Et | H | H | H | H | H |
| 36.122 | Et | H | H | H | H | Cl |
| 36.123 | Et | H | H | H | H | F |
| 36.124 | Et | H | H | H | H | Me |
| 36.125 | Et | H | H | H | F | H |
| 36.126 | Et | H | H | H | F | Cl |
| 36.127 | Et | H | H | H | F | F |
| 36.128 | Et | H | H | H | F | Me |
| 36.129 | Et | H | H | H | Cl | H |
| 36.130 | Et | H | H | H | Cl | F |
| 36.131 | Et | H | H | H | Cl | Cl |
| 36.132 | Et | H | H | H | Cl | Me |
| 36.133 | Et | H | H | H | Me | H |
| 36.134 | Et | H | H | H | Me | Cl |
| 36.135 | Et | H | H | H | Me | F |
| 36.136 | Et | H | H | H | Me | Me |
| 36.137 | Et | H | H | H | Ph | H |
| 36.138 | Et | H | H | H | Ph | Cl |
| 36.139 | Et | H | H | H | Ph | F |
| 36.140 | Et | H | H | H | Ph | Me |
| 36.141 | Et | F | H | H | H | H |
| 36.142 | Et | F | H | H | H | Cl |
| 36.143 | Et | F | H | H | H | F |
| 36.144 | Et | F | H | H | H | Me |
| 36.145 | Et | F | H | H | F | H |
| 36.146 | Et | F | H | H | F | Cl |
| 36.147 | Et | F | H | H | F | F |
| 36.148 | Et | F | H | H | F | Me |
| 36.149 | Et | F | H | H | Cl | H |
| 36.150 | Et | F | H | H | Cl | F |
| 36.151 | Et | F | H | H | Cl | Cl |
| 36.152 | Et | F | H | H | Cl | Me |

[0214]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 36.153 | Et | F | H | H | Me | H |
| 36.154 | Et | F | H | H | Me | Cl |
| 36.155 | Et | F | H | H | Me | F |
| 36.156 | Et | F | H | H | Me | Me |
| 36.157 | Et | F | H | H | Ph | H |
| 36.158 | Et | F | H | H | Ph | Cl |
| 36.159 | Et | F | H | H | Ph | F |
| 36.160 | Et | F | H | H | Ph | Me |
| 36.161 | Et | Cl | H | H | H | H |
| 36.162 | Et | Cl | H | H | H | Cl |
| 36.163 | Et | Cl | H | H | H | F |
| 36.164 | Et | Cl | H | H | H | Me |
| 36.165 | Et | Cl | H | H | F | H |
| 36.166 | Et | Cl | H | H | F | Cl |
| 36.167 | Et | Cl | H | H | F | F |
| 36.168 | Et | Cl | H | H | F | Me |
| 36.169 | Et | Cl | H | H | Cl | H |
| 36.170 | Et | Cl | H | H | Cl | F |
| 36.171 | Et | Cl | H | H | Cl | Cl |
| 36.172 | Et | Cl | H | H | Cl | Me |
| 36.173 | Et | Cl | H | H | Me | H |
| 36.174 | Et | Cl | H | H | Me | Cl |
| 36.175 | Et | Cl | H | H | Me | F |
| 36.176 | Et | Cl | H | H | Me | Me |
| 36.177 | Et | Cl | H | H | Ph | H |
| 36.178 | Et | Cl | H | H | Ph | Cl |
| 36.179 | Et | Cl | H | H | Ph | F |
| 36.180 | Et | Cl | H | H | Ph | Me |
| 36.181 | H | F | F | H | H | H |
| 36.182 | H | F | H | F | H | H |

[0215] 表37:本发明式(I)的化合物,其中x代表N,A代表A14,R³和R⁴各自代表氢,并且R²代表氯:

[0216]



[0217]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 37.001 | H | H | H | H | H | H |
| 37.002 | H | H | H | H | H | Cl |
| 37.003 | H | H | H | H | H | F |
| 37.004 | H | H | H | H | H | Me |
| 37.005 | H | H | H | H | F | H |
| 37.006 | H | H | H | H | F | Cl |
| 37.007 | H | H | H | H | F | F |
| 37.008 | H | H | H | H | F | Me |
| 37.009 | H | H | H | H | Cl | H |
| 37.010 | H | H | H | H | Cl | F |
| 37.011 | H | H | H | H | Cl | Cl |
| 37.012 | H | H | H | H | Cl | Me |
| 37.013 | H | H | H | H | Me | H |
| 37.014 | H | H | H | H | Me | Cl |
| 37.015 | H | H | H | H | Me | F |
| 37.016 | H | H | H | H | Me | Me |
| 37.017 | H | H | H | H | Ph | H |
| 37.018 | H | H | H | H | Ph | Cl |
| 37.019 | H | H | H | H | Ph | F |
| 37.020 | H | H | H | H | Ph | Me |
| 37.021 | H | F | H | H | H | H |
| 37.022 | H | F | H | H | H | Cl |
| 37.023 | H | F | H | H | H | F |
| 37.024 | H | F | H | H | H | Me |
| 37.025 | H | F | H | H | F | H |
| 37.026 | H | F | H | H | F | Cl |
| 37.027 | H | F | H | H | F | F |

[0218]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 37.028 | H | F | H | H | F | Me |
| 37.029 | H | F | H | H | Cl | H |
| 37.030 | H | F | H | H | Cl | F |
| 37.031 | H | F | H | H | Cl | Cl |
| 37.032 | H | F | H | H | Cl | Me |
| 37.033 | H | F | H | H | Me | H |
| 37.034 | H | F | H | H | Me | Cl |
| 37.035 | H | F | H | H | Me | F |
| 37.036 | H | F | H | H | Me | Me |
| 37.037 | H | F | H | H | Ph | H |
| 37.038 | H | F | H | H | Ph | Cl |
| 37.039 | H | F | H | H | Ph | F |
| 37.040 | H | F | H | H | Ph | Me |
| 37.041 | H | Cl | H | H | H | H |
| 37.042 | H | Cl | H | H | H | Cl |
| 37.043 | H | Cl | H | H | H | F |
| 37.044 | H | Cl | H | H | H | Me |
| 37.045 | H | Cl | H | H | F | H |
| 37.046 | H | Cl | H | H | F | Cl |
| 37.047 | H | Cl | H | H | F | F |
| 37.048 | H | Cl | H | H | F | Me |
| 37.049 | H | Cl | H | H | Cl | H |
| 37.050 | H | Cl | H | H | Cl | F |
| 37.051 | H | Cl | H | H | Cl | Cl |
| 37.052 | H | Cl | H | H | Cl | Me |
| 37.053 | H | Cl | H | H | Me | H |
| 37.054 | H | Cl | H | H | Me | Cl |
| 37.055 | H | Cl | H | H | Me | F |
| 37.056 | H | Cl | H | H | Me | Me |
| 37.057 | H | Cl | H | H | Ph | H |
| 37.058 | H | Cl | H | H | Ph | Cl |
| 37.059 | H | Cl | H | H | Ph | F |
| 37.060 | H | Cl | H | H | Ph | Me |
| 37.061 | Me | H | H | H | H | H |
| 37.062 | Me | H | H | H | H | Cl |

[0219]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 37.063 | Me | H | H | H | H | F |
| 37.064 | Me | H | H | H | H | Me |
| 37.065 | Me | H | H | H | F | H |
| 37.066 | Me | H | H | H | F | Cl |
| 37.067 | Me | H | H | H | F | F |
| 37.068 | Me | H | H | H | F | Me |
| 37.069 | Me | H | H | H | Cl | H |
| 37.070 | Me | H | H | H | Cl | F |
| 37.071 | Me | H | H | H | Cl | Cl |
| 37.072 | Me | H | H | H | Cl | Me |
| 37.073 | Me | H | H | H | Me | H |
| 37.074 | Me | H | H | H | Me | Cl |
| 37.075 | Me | H | H | H | Me | F |
| 37.076 | Me | H | H | H | Me | Me |
| 37.077 | Me | H | H | H | Ph | H |
| 37.078 | Me | H | H | H | Ph | Cl |
| 37.079 | Me | H | H | H | Ph | F |
| 37.080 | Me | H | H | H | Ph | Me |
| 37.081 | Me | F | H | H | H | H |
| 37.082 | Me | F | H | H | H | Cl |
| 37.083 | Me | F | H | H | H | F |
| 37.084 | Me | F | H | H | H | Me |
| 37.085 | Me | F | H | H | F | H |
| 37.086 | Me | F | H | H | F | Cl |
| 37.087 | Me | F | H | H | F | F |
| 37.088 | Me | F | H | H | F | Me |
| 37.089 | Me | F | H | H | Cl | H |
| 37.090 | Me | F | H | H | Cl | F |
| 37.091 | Me | F | H | H | Cl | Cl |
| 37.092 | Me | F | H | H | Cl | Me |
| 37.093 | Me | F | H | H | Me | H |
| 37.094 | Me | F | H | H | Me | Cl |
| 37.095 | Me | F | H | H | Me | F |
| 37.096 | Me | F | H | H | Me | Me |
| 37.097 | Me | F | H | H | Ph | H |

[0220]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 37.098 | Me | F | H | H | Ph | Cl |
| 37.099 | Me | F | H | H | Ph | F |
| 37.100 | Me | F | H | H | Ph | Me |
| 37.101 | Me | Cl | H | H | H | H |
| 37.102 | Me | Cl | H | H | H | Cl |
| 37.103 | Me | Cl | H | H | H | F |
| 37.104 | Me | Cl | H | H | H | Me |
| 37.105 | Me | Cl | H | H | F | H |
| 37.106 | Me | Cl | H | H | F | Cl |
| 37.107 | Me | Cl | H | H | F | F |
| 37.108 | Me | Cl | H | H | F | Me |
| 37.109 | Me | Cl | H | H | Cl | H |
| 37.110 | Me | Cl | H | H | Cl | F |
| 37.111 | Me | Cl | H | H | Cl | Cl |
| 37.112 | Me | Cl | H | H | Cl | Me |
| 37.113 | Me | Cl | H | H | Me | H |
| 37.114 | Me | Cl | H | H | Me | Cl |
| 37.115 | Me | Cl | H | H | Me | F |
| 37.116 | Me | Cl | H | H | Me | Me |
| 37.117 | Me | Cl | H | H | Ph | H |
| 37.118 | Me | Cl | H | H | Ph | Cl |
| 37.119 | Me | Cl | H | H | Ph | F |
| 37.120 | Me | Cl | H | H | Ph | Me |
| 37.121 | Et | H | H | H | H | H |
| 37.122 | Et | H | H | H | H | Cl |
| 37.123 | Et | H | H | H | H | F |
| 37.124 | Et | H | H | H | H | Me |
| 37.125 | Et | H | H | H | F | H |
| 37.126 | Et | H | H | H | F | Cl |
| 37.127 | Et | H | H | H | F | F |
| 37.128 | Et | H | H | H | F | Me |
| 37.129 | Et | H | H | H | Cl | H |
| 37.130 | Et | H | H | H | Cl | F |
| 37.131 | Et | H | H | H | Cl | Cl |
| 37.132 | Et | H | H | H | Cl | Me |

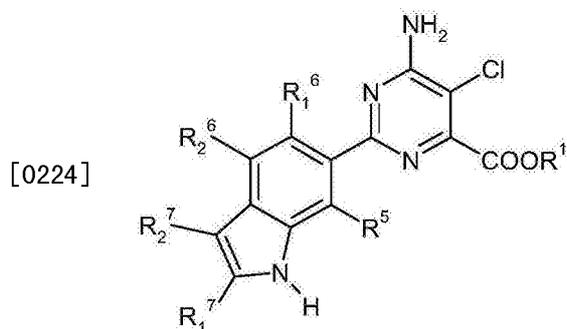
[0221]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 37.133 | Et | H | H | H | Me | H |
| 37.134 | Et | H | H | H | Me | Cl |
| 37.135 | Et | H | H | H | Me | F |
| 37.136 | Et | H | H | H | Me | Me |
| 37.137 | Et | H | H | H | Ph | H |
| 37.138 | Et | H | H | H | Ph | Cl |
| 37.139 | Et | H | H | H | Ph | F |
| 37.140 | Et | H | H | H | Ph | Me |
| 37.141 | Et | F | H | H | H | H |
| 37.142 | Et | F | H | H | H | Cl |
| 37.143 | Et | F | H | H | H | F |
| 37.144 | Et | F | H | H | H | Me |
| 37.145 | Et | F | H | H | F | H |
| 37.146 | Et | F | H | H | F | Cl |
| 37.147 | Et | F | H | H | F | F |
| 37.148 | Et | F | H | H | F | Me |
| 37.149 | Et | F | H | H | Cl | H |
| 37.150 | Et | F | H | H | Cl | F |
| 37.151 | Et | F | H | H | Cl | Cl |
| 37.152 | Et | F | H | H | Cl | Me |
| 37.153 | Et | F | H | H | Me | H |
| 37.154 | Et | F | H | H | Me | Cl |
| 37.155 | Et | F | H | H | Me | F |
| 37.156 | Et | F | H | H | Me | Me |
| 37.157 | Et | F | H | H | Ph | H |
| 37.158 | Et | F | H | H | Ph | Cl |
| 37.159 | Et | F | H | H | Ph | F |
| 37.160 | Et | F | H | H | Ph | Me |
| 37.161 | Et | Cl | H | H | H | H |
| 37.162 | Et | Cl | H | H | H | Cl |
| 37.163 | Et | Cl | H | H | H | F |
| 37.164 | Et | Cl | H | H | H | Me |
| 37.165 | Et | Cl | H | H | F | H |
| 37.166 | Et | Cl | H | H | F | Cl |
| 37.167 | Et | Cl | H | H | F | F |

[0222]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 37.168 | Et | Cl | H | H | F | Me |
| 37.169 | Et | Cl | H | H | Cl | H |
| 37.170 | Et | Cl | H | H | Cl | F |
| 37.171 | Et | Cl | H | H | Cl | Cl |
| 37.172 | Et | Cl | H | H | Cl | Me |
| 37.173 | Et | Cl | H | H | Me | H |
| 37.174 | Et | Cl | H | H | Me | Cl |
| 37.175 | Et | Cl | H | H | Me | F |
| 37.176 | Et | Cl | H | H | Me | Me |
| 37.177 | Et | Cl | H | H | Ph | H |
| 37.178 | Et | Cl | H | H | Ph | Cl |
| 37.179 | Et | Cl | H | H | Ph | F |
| 37.180 | Et | Cl | H | H | Ph | Me |
| 37.181 | H | F | F | H | H | H |
| 37.182 | H | F | H | F | H | H |

[0223] 表38:本发明式(I)的化合物,其中x代表N,A代表A15,R⁸、R³和R⁴各自代表氢,并且R²代表氯:



[0225]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 38.001 | H | H | H | H | H | H |
| 38.002 | H | H | H | H | H | Cl |
| 38.003 | H | H | H | H | H | F |
| 38.004 | H | H | H | H | H | Me |
| 38.005 | H | H | H | H | F | H |
| 38.006 | H | H | H | H | F | Cl |
| 38.007 | H | H | H | H | F | F |
| 38.008 | H | H | H | H | F | Me |

[0226]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 38.009 | H | H | H | H | Cl | H |
| 38.010 | H | H | H | H | Cl | F |
| 38.011 | H | H | H | H | Cl | Cl |
| 38.012 | H | H | H | H | Cl | Me |
| 38.013 | H | H | H | H | Me | H |
| 38.014 | H | H | H | H | Me | Cl |
| 38.015 | H | H | H | H | Me | F |
| 38.016 | H | H | H | H | Me | Me |
| 38.017 | H | H | H | H | Ph | H |
| 38.018 | H | H | H | H | Ph | Cl |
| 38.019 | H | H | H | H | Ph | F |
| 38.020 | H | H | H | H | Ph | Me |
| 38.021 | H | F | H | H | H | H |
| 38.022 | H | F | H | H | H | Cl |
| 38.023 | H | F | H | H | H | F |
| 38.024 | H | F | H | H | H | Me |
| 38.025 | H | F | H | H | F | H |
| 38.026 | H | F | H | H | F | Cl |
| 38.027 | H | F | H | H | F | F |
| 38.028 | H | F | H | H | F | Me |
| 38.029 | H | F | H | H | Cl | H |
| 38.030 | H | F | H | H | Cl | F |
| 38.031 | H | F | H | H | Cl | Cl |
| 38.032 | H | F | H | H | Cl | Me |
| 38.033 | H | F | H | H | Me | H |
| 38.034 | H | F | H | H | Me | Cl |
| 38.035 | H | F | H | H | Me | F |
| 38.036 | H | F | H | H | Me | Me |
| 38.037 | H | F | H | H | Ph | H |
| 38.038 | H | F | H | H | Ph | Cl |
| 38.039 | H | F | H | H | Ph | F |
| 38.040 | H | F | H | H | Ph | Me |
| 38.041 | H | Cl | H | H | H | H |
| 38.042 | H | Cl | H | H | H | Cl |
| 38.043 | H | Cl | H | H | H | F |

[0227]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 38.044 | H | Cl | H | H | H | Me |
| 38.045 | H | Cl | H | H | F | H |
| 38.046 | H | Cl | H | H | F | Cl |
| 38.047 | H | Cl | H | H | F | F |
| 38.048 | H | Cl | H | H | F | Me |
| 38.049 | H | Cl | H | H | Cl | H |
| 38.050 | H | Cl | H | H | Cl | F |
| 38.051 | H | Cl | H | H | Cl | Cl |
| 38.052 | H | Cl | H | H | Cl | Me |
| 38.053 | H | Cl | H | H | Me | H |
| 38.054 | H | Cl | H | H | Me | Cl |
| 38.055 | H | Cl | H | H | Me | F |
| 38.056 | H | Cl | H | H | Me | Me |
| 38.057 | H | Cl | H | H | Ph | H |
| 38.058 | H | Cl | H | H | Ph | Cl |
| 38.059 | H | Cl | H | H | Ph | F |
| 38.060 | H | Cl | H | H | Ph | Me |
| 38.061 | Me | H | H | H | H | H |
| 38.062 | Me | H | H | H | H | Cl |
| 38.063 | Me | H | H | H | H | F |
| 38.064 | Me | H | H | H | H | Me |
| 38.065 | Me | H | H | H | F | H |
| 38.066 | Me | H | H | H | F | Cl |
| 38.067 | Me | H | H | H | F | F |
| 38.068 | Me | H | H | H | F | Me |
| 38.069 | Me | H | H | H | Cl | H |
| 38.070 | Me | H | H | H | Cl | F |
| 38.071 | Me | H | H | H | Cl | Cl |
| 38.072 | Me | H | H | H | Cl | Me |
| 38.073 | Me | H | H | H | Me | H |
| 38.074 | Me | H | H | H | Me | Cl |
| 38.075 | Me | H | H | H | Me | F |
| 38.076 | Me | H | H | H | Me | Me |
| 38.077 | Me | H | H | H | Ph | H |
| 38.078 | Me | H | H | H | Ph | Cl |

[0228]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 38.079 | Me | H | H | H | Ph | F |
| 38.080 | Me | H | H | H | Ph | Me |
| 38.081 | Me | F | H | H | H | H |
| 38.082 | Me | F | H | H | H | Cl |
| 38.083 | Me | F | H | H | H | F |
| 38.084 | Me | F | H | H | H | Me |
| 38.085 | Me | F | H | H | F | H |
| 38.086 | Me | F | H | H | F | Cl |
| 38.087 | Me | F | H | H | F | F |
| 38.088 | Me | F | H | H | F | Me |
| 38.089 | Me | F | H | H | Cl | H |
| 38.090 | Me | F | H | H | Cl | F |
| 38.091 | Me | F | H | H | Cl | Cl |
| 38.092 | Me | F | H | H | Cl | Me |
| 38.093 | Me | F | H | H | Me | H |
| 38.094 | Me | F | H | H | Me | Cl |
| 38.095 | Me | F | H | H | Me | F |
| 38.096 | Me | F | H | H | Me | Me |
| 38.097 | Me | F | H | H | Ph | H |
| 38.098 | Me | F | H | H | Ph | Cl |
| 38.099 | Me | F | H | H | Ph | F |
| 38.100 | Me | F | H | H | Ph | Me |
| 38.101 | Me | Cl | H | H | H | H |
| 38.102 | Me | Cl | H | H | H | Cl |
| 38.103 | Me | Cl | H | H | H | F |
| 38.104 | Me | Cl | H | H | H | Me |
| 38.105 | Me | Cl | H | H | F | H |
| 38.106 | Me | Cl | H | H | F | Cl |
| 38.107 | Me | Cl | H | H | F | F |
| 38.108 | Me | Cl | H | H | F | Me |
| 38.109 | Me | Cl | H | H | Cl | H |
| 38.110 | Me | Cl | H | H | Cl | F |
| 38.111 | Me | Cl | H | H | Cl | Cl |
| 38.112 | Me | Cl | H | H | Cl | Me |
| 38.113 | Me | Cl | H | H | Me | H |

[0229]

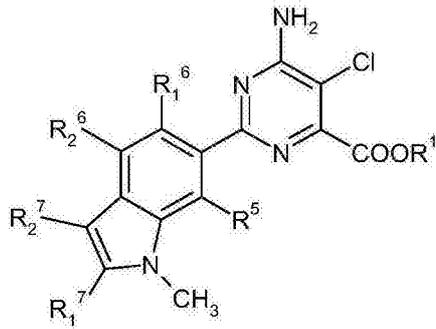
| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 38.114 | Me | Cl | H | H | Me | Cl |
| 38.115 | Me | Cl | H | H | Me | F |
| 38.116 | Me | Cl | H | H | Me | Me |
| 38.117 | Me | Cl | H | H | Ph | H |
| 38.118 | Me | Cl | H | H | Ph | Cl |
| 38.119 | Me | Cl | H | H | Ph | F |
| 38.120 | Me | Cl | H | H | Ph | Me |
| 38.121 | Et | H | H | H | H | H |
| 38.122 | Et | H | H | H | H | Cl |
| 38.123 | Et | H | H | H | H | F |
| 38.124 | Et | H | H | H | H | Me |
| 38.125 | Et | H | H | H | F | H |
| 38.126 | Et | H | H | H | F | Cl |
| 38.127 | Et | H | H | H | F | F |
| 38.128 | Et | H | H | H | F | Me |
| 38.129 | Et | H | H | H | Cl | H |
| 38.130 | Et | H | H | H | Cl | F |
| 38.131 | Et | H | H | H | Cl | Cl |
| 38.132 | Et | H | H | H | Cl | Me |
| 38.133 | Et | H | H | H | Me | H |
| 38.134 | Et | H | H | H | Me | Cl |
| 38.135 | Et | H | H | H | Me | F |
| 38.136 | Et | H | H | H | Me | Me |
| 38.137 | Et | H | H | H | Ph | H |
| 38.138 | Et | H | H | H | Ph | Cl |
| 38.139 | Et | H | H | H | Ph | F |
| 38.140 | Et | H | H | H | Ph | Me |
| 38.141 | Et | F | H | H | H | H |
| 38.142 | Et | F | H | H | H | Cl |
| 38.143 | Et | F | H | H | H | F |
| 38.144 | Et | F | H | H | H | Me |
| 38.145 | Et | F | H | H | F | H |
| 38.146 | Et | F | H | H | F | Cl |
| 38.147 | Et | F | H | H | F | F |
| 38.148 | Et | F | H | H | F | Me |

[0230]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 38.149 | Et | F | H | H | Cl | H |
| 38.150 | Et | F | H | H | Cl | F |
| 38.151 | Et | F | H | H | Cl | Cl |
| 38.152 | Et | F | H | H | Cl | Me |
| 38.153 | Et | F | H | H | Me | H |
| 38.154 | Et | F | H | H | Me | Cl |
| 38.155 | Et | F | H | H | Me | F |
| 38.156 | Et | F | H | H | Me | Me |
| 38.157 | Et | F | H | H | Ph | H |
| 38.158 | Et | F | H | H | Ph | Cl |
| 38.159 | Et | F | H | H | Ph | F |
| 38.160 | Et | F | H | H | Ph | Me |
| 38.161 | Et | Cl | H | H | H | H |
| 38.162 | Et | Cl | H | H | H | Cl |
| 38.163 | Et | Cl | H | H | H | F |
| 38.164 | Et | Cl | H | H | H | Me |
| 38.165 | Et | Cl | H | H | F | H |
| 38.166 | Et | Cl | H | H | F | Cl |
| 38.167 | Et | Cl | H | H | F | F |
| 38.168 | Et | Cl | H | H | F | Me |
| 38.169 | Et | Cl | H | H | Cl | H |
| 38.170 | Et | Cl | H | H | Cl | F |
| 38.171 | Et | Cl | H | H | Cl | Cl |
| 38.172 | Et | Cl | H | H | Cl | Me |
| 38.173 | Et | Cl | H | H | Me | H |
| 38.174 | Et | Cl | H | H | Me | Cl |
| 38.175 | Et | Cl | H | H | Me | F |
| 38.176 | Et | Cl | H | H | Me | Me |
| 38.177 | Et | Cl | H | H | Ph | H |
| 38.178 | Et | Cl | H | H | Ph | Cl |
| 38.179 | Et | Cl | H | H | Ph | F |
| 38.180 | Et | Cl | H | H | Ph | Me |
| 38.181 | H | F | F | H | H | H |
| 38.182 | H | F | H | F | H | H |

[0231] 表39:本发明式(I)的化合物,其中x代表N,A代表A15,R⁸代表甲基,R³和R⁴各自代表氢,并且R²代表氯:

[0232]



[0233]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 39.001 | H | H | H | H | H | H |
| 39.002 | H | H | H | H | H | Cl |
| 39.003 | H | H | H | H | H | F |
| 39.004 | H | H | H | H | H | Me |
| 39.005 | H | H | H | H | F | H |
| 39.006 | H | H | H | H | F | Cl |
| 39.007 | H | H | H | H | F | F |
| 39.008 | H | H | H | H | F | Me |
| 39.009 | H | H | H | H | Cl | H |
| 39.010 | H | H | H | H | Cl | F |
| 39.011 | H | H | H | H | Cl | Cl |
| 39.012 | H | H | H | H | Cl | Me |
| 39.013 | H | H | H | H | Me | H |
| 39.014 | H | H | H | H | Me | Cl |
| 39.015 | H | H | H | H | Me | F |
| 39.016 | H | H | H | H | Me | Me |
| 39.017 | H | H | H | H | Ph | H |
| 39.018 | H | H | H | H | Ph | Cl |
| 39.019 | H | H | H | H | Ph | F |
| 39.020 | H | H | H | H | Ph | Me |
| 39.021 | H | F | H | H | H | H |
| 39.022 | H | F | H | H | H | Cl |
| 39.023 | H | F | H | H | H | F |
| 39.024 | H | F | H | H | H | Me |
| 39.025 | H | F | H | H | F | H |

[0234]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 39.026 | H | F | H | H | F | Cl |
| 39.027 | H | F | H | H | F | F |
| 39.028 | H | F | H | H | F | Me |
| 39.029 | H | F | H | H | Cl | H |
| 39.030 | H | F | H | H | Cl | F |
| 39.031 | H | F | H | H | Cl | Cl |
| 39.032 | H | F | H | H | Cl | Me |
| 39.033 | H | F | H | H | Me | H |
| 39.034 | H | F | H | H | Me | Cl |
| 39.035 | H | F | H | H | Me | F |
| 39.036 | H | F | H | H | Me | Me |
| 39.037 | H | F | H | H | Ph | H |
| 39.038 | H | F | H | H | Ph | Cl |
| 39.039 | H | F | H | H | Ph | F |
| 39.040 | H | F | H | H | Ph | Me |
| 39.041 | H | Cl | H | H | H | H |
| 39.042 | H | Cl | H | H | H | Cl |
| 39.043 | H | Cl | H | H | H | F |
| 39.044 | H | Cl | H | H | H | Me |
| 39.045 | H | Cl | H | H | F | H |
| 39.046 | H | Cl | H | H | F | Cl |
| 39.047 | H | Cl | H | H | F | F |
| 39.048 | H | Cl | H | H | F | Me |
| 39.049 | H | Cl | H | H | Cl | H |
| 39.050 | H | Cl | H | H | Cl | F |
| 39.051 | H | Cl | H | H | Cl | Cl |
| 39.052 | H | Cl | H | H | Cl | Me |
| 39.053 | H | Cl | H | H | Me | H |
| 39.054 | H | Cl | H | H | Me | Cl |
| 39.055 | H | Cl | H | H | Me | F |
| 39.056 | H | Cl | H | H | Me | Me |
| 39.057 | H | Cl | H | H | Ph | H |
| 39.058 | H | Cl | H | H | Ph | Cl |
| 39.059 | H | Cl | H | H | Ph | F |
| 39.060 | H | Cl | H | H | Ph | Me |

[0235]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 39.061 | Me | H | H | H | H | H |
| 39.062 | Me | H | H | H | H | Cl |
| 39.063 | Me | H | H | H | H | F |
| 39.064 | Me | H | H | H | H | Me |
| 39.065 | Me | H | H | H | F | H |
| 39.066 | Me | H | H | H | F | Cl |
| 39.067 | Me | H | H | H | F | F |
| 39.068 | Me | H | H | H | F | Me |
| 39.069 | Me | H | H | H | Cl | H |
| 39.070 | Me | H | H | H | Cl | F |
| 39.071 | Me | H | H | H | Cl | Cl |
| 39.072 | Me | H | H | H | Cl | Me |
| 39.073 | Me | H | H | H | Me | H |
| 39.074 | Me | H | H | H | Me | Cl |
| 39.075 | Me | H | H | H | Me | F |
| 39.076 | Me | H | H | H | Me | Me |
| 39.077 | Me | H | H | H | Ph | H |
| 39.078 | Me | H | H | H | Ph | Cl |
| 39.079 | Me | H | H | H | Ph | F |
| 39.080 | Me | H | H | H | Ph | Me |
| 39.081 | Me | F | H | H | H | H |
| 39.082 | Me | F | H | H | H | Cl |
| 39.083 | Me | F | H | H | H | F |
| 39.084 | Me | F | H | H | H | Me |
| 39.085 | Me | F | H | H | F | H |
| 39.086 | Me | F | H | H | F | Cl |
| 39.087 | Me | F | H | H | F | F |
| 39.088 | Me | F | H | H | F | Me |
| 39.089 | Me | F | H | H | Cl | H |
| 39.090 | Me | F | H | H | Cl | F |
| 39.091 | Me | F | H | H | Cl | Cl |
| 39.092 | Me | F | H | H | Cl | Me |
| 39.093 | Me | F | H | H | Me | H |
| 39.094 | Me | F | H | H | Me | Cl |
| 39.095 | Me | F | H | H | Me | F |

[0236]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 39.096 | Me | F | H | H | Me | Me |
| 39.097 | Me | F | H | H | Ph | H |
| 39.098 | Me | F | H | H | Ph | Cl |
| 39.099 | Me | F | H | H | Ph | F |
| 39.100 | Me | F | H | H | Ph | Me |
| 39.101 | Me | Cl | H | H | H | H |
| 39.102 | Me | Cl | H | H | H | Cl |
| 39.103 | Me | Cl | H | H | H | F |
| 39.104 | Me | Cl | H | H | H | Me |
| 39.105 | Me | Cl | H | H | F | H |
| 39.106 | Me | Cl | H | H | F | Cl |
| 39.107 | Me | Cl | H | H | F | F |
| 39.108 | Me | Cl | H | H | F | Me |
| 39.109 | Me | Cl | H | H | Cl | H |
| 39.110 | Me | Cl | H | H | Cl | F |
| 39.111 | Me | Cl | H | H | Cl | Cl |
| 39.112 | Me | Cl | H | H | Cl | Me |
| 39.113 | Me | Cl | H | H | Me | H |
| 39.114 | Me | Cl | H | H | Me | Cl |
| 39.115 | Me | Cl | H | H | Me | F |
| 39.116 | Me | Cl | H | H | Me | Me |
| 39.117 | Me | Cl | H | H | Ph | H |
| 39.118 | Me | Cl | H | H | Ph | Cl |
| 39.119 | Me | Cl | H | H | Ph | F |
| 39.120 | Me | Cl | H | H | Ph | Me |
| 39.121 | Et | H | H | H | H | H |
| 39.122 | Et | H | H | H | H | Cl |
| 39.123 | Et | H | H | H | H | F |
| 39.124 | Et | H | H | H | H | Me |
| 39.125 | Et | H | H | H | F | H |
| 39.126 | Et | H | H | H | F | Cl |
| 39.127 | Et | H | H | H | F | F |
| 39.128 | Et | H | H | H | F | Me |
| 39.129 | Et | H | H | H | Cl | H |
| 39.130 | Et | H | H | H | Cl | F |

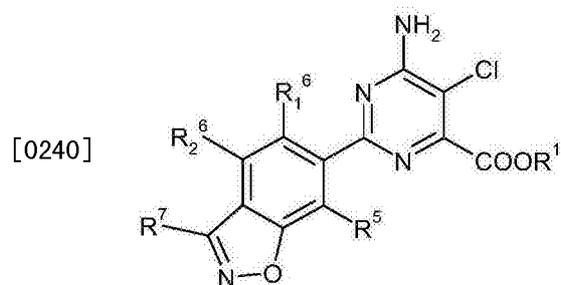
[0237]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 39.131 | Et | H | H | H | Cl | Cl |
| 39.132 | Et | H | H | H | Cl | Me |
| 39.133 | Et | H | H | H | Me | H |
| 39.134 | Et | H | H | H | Me | Cl |
| 39.135 | Et | H | H | H | Me | F |
| 39.136 | Et | H | H | H | Me | Me |
| 39.137 | Et | H | H | H | Ph | H |
| 39.138 | Et | H | H | H | Ph | Cl |
| 39.139 | Et | H | H | H | Ph | F |
| 39.140 | Et | H | H | H | Ph | Me |
| 39.141 | Et | F | H | H | H | H |
| 39.142 | Et | F | H | H | H | Cl |
| 39.143 | Et | F | H | H | H | F |
| 39.144 | Et | F | H | H | H | Me |
| 39.145 | Et | F | H | H | F | H |
| 39.146 | Et | F | H | H | F | Cl |
| 39.147 | Et | F | H | H | F | F |
| 39.148 | Et | F | H | H | F | Me |
| 39.149 | Et | F | H | H | Cl | H |
| 39.150 | Et | F | H | H | Cl | F |
| 39.151 | Et | F | H | H | Cl | Cl |
| 39.152 | Et | F | H | H | Cl | Me |
| 39.153 | Et | F | H | H | Me | H |
| 39.154 | Et | F | H | H | Me | Cl |
| 39.155 | Et | F | H | H | Me | F |
| 39.156 | Et | F | H | H | Me | Me |
| 39.157 | Et | F | H | H | Ph | H |
| 39.158 | Et | F | H | H | Ph | Cl |
| 39.159 | Et | F | H | H | Ph | F |
| 39.160 | Et | F | H | H | Ph | Me |
| 39.161 | Et | Cl | H | H | H | H |
| 39.162 | Et | Cl | H | H | H | Cl |
| 39.163 | Et | Cl | H | H | H | F |
| 39.164 | Et | Cl | H | H | H | Me |
| 39.165 | Et | Cl | H | H | F | H |

[0238]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ₁ ⁷ | R ₂ ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|-----------------------------|-----------------------------|
| 39.166 | Et | Cl | H | H | F | Cl |
| 39.167 | Et | Cl | H | H | F | F |
| 39.168 | Et | Cl | H | H | F | Me |
| 39.169 | Et | Cl | H | H | Cl | H |
| 39.170 | Et | Cl | H | H | Cl | F |
| 39.171 | Et | Cl | H | H | Cl | Cl |
| 39.172 | Et | Cl | H | H | Cl | Me |
| 39.173 | Et | Cl | H | H | Me | H |
| 39.174 | Et | Cl | H | H | Me | Cl |
| 39.175 | Et | Cl | H | H | Me | F |
| 39.176 | Et | Cl | H | H | Me | Me |
| 39.177 | Et | Cl | H | H | Ph | H |
| 39.178 | Et | Cl | H | H | Ph | Cl |
| 39.179 | Et | Cl | H | H | Ph | F |
| 39.180 | Et | Cl | H | H | Ph | Me |
| 39.181 | H | F | F | H | H | H |
| 39.182 | H | F | H | F | H | H |

[0239] 表40:本发明式(I)的化合物,其中x代表N,A代表A16,R³和R⁴各自代表氢,并且R²代表氯:

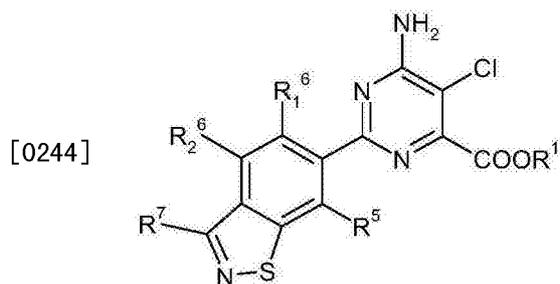


[0241]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 40.001 | H | H | H | H | H |
| 40.002 | H | H | H | H | Me |
| 40.003 | H | H | H | H | Ph |
| 40.004 | H | H | H | H | F |
| 40.005 | H | H | H | H | Cl |
| 40.006 | H | Cl | H | H | H |
| 40.007 | H | Cl | H | H | Me |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 40.008 | H | Cl | H | H | Ph |
| 40.009 | H | Cl | H | H | F |
| 40.010 | H | Cl | H | H | Cl |
| 40.011 | H | F | H | H | H |
| 40.012 | H | F | H | H | Me |
| 40.013 | H | F | H | H | Ph |
| 40.014 | H | F | H | H | F |
| 40.015 | H | F | H | H | Cl |
| 40.016 | Me | H | H | H | H |
| 40.017 | Me | H | H | H | Me |
| 40.018 | Me | H | H | H | Ph |
| 40.019 | Me | H | H | H | F |
| 40.020 | Me | H | H | H | Cl |
| 40.021 | Me | Cl | H | H | H |
| 40.022 | Me | Cl | H | H | Me |
| 40.023 | Me | Cl | H | H | Ph |
| 40.024 | Me | Cl | H | H | F |
| [0242] 40.025 | Me | Cl | H | H | Cl |
| 40.026 | Et | H | H | H | H |
| 40.027 | Et | H | H | H | Me |
| 40.028 | Et | H | H | H | Ph |
| 40.029 | Et | H | H | H | F |
| 40.030 | Et | H | H | H | Cl |
| 40.031 | Et | F | H | H | H |
| 40.032 | Et | F | H | H | Me |
| 40.033 | Et | F | H | H | Ph |
| 40.034 | Et | F | H | H | F |
| 40.035 | Et | F | H | H | Cl |
| 40.036 | Et | Cl | H | H | H |
| 40.037 | Et | Cl | H | H | Me |
| 40.038 | Et | Cl | H | H | Ph |
| 40.039 | Et | Cl | H | H | F |
| 40.040 | Et | Cl | H | H | Cl |
| 40.041 | H | F | F | H | H |
| 40.042 | H | F | H | F | H |

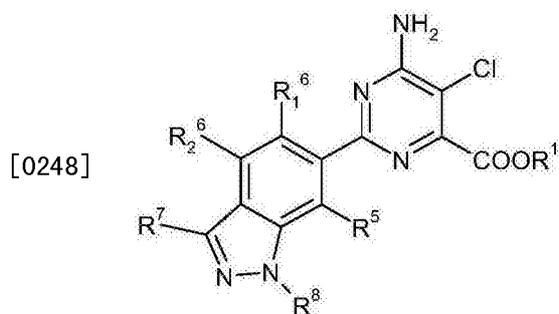
[0243] 表41:本发明式(I)的化合物,其中x代表N,A代表A17,R³和R⁴各自代表氢,并且R²代表氯:



| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 41.001 | H | H | H | H | H |
| 41.002 | H | H | H | H | Me |
| 41.003 | H | H | H | H | Ph |
| 41.004 | H | H | H | H | F |
| 41.005 | H | H | H | H | Cl |
| 41.006 | H | Cl | H | H | H |
| 41.007 | H | Cl | H | H | Me |
| 41.008 | H | Cl | H | H | Ph |
| 41.009 | H | Cl | H | H | F |
| 41.010 | H | Cl | H | H | Cl |
| 41.011 | H | F | H | H | H |
| 41.012 | H | F | H | H | Me |
| [0245] 41.013 | H | F | H | H | Ph |
| 41.014 | H | F | H | H | F |
| 41.015 | H | F | H | H | Cl |
| 41.016 | Me | H | H | H | H |
| 41.017 | Me | H | H | H | Me |
| 41.018 | Me | H | H | H | Ph |
| 41.019 | Me | H | H | H | F |
| 41.020 | Me | H | H | H | Cl |
| 41.021 | Me | Cl | H | H | H |
| 41.022 | Me | Cl | H | H | Me |
| 41.023 | Me | Cl | H | H | Ph |
| 41.024 | Me | Cl | H | H | F |
| 41.025 | Me | Cl | H | H | Cl |
| 41.026 | Et | H | H | H | H |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 41.027 | Et | H | H | H | Me |
| 41.028 | Et | H | H | H | Ph |
| 41.029 | Et | H | H | H | F |
| 41.030 | Et | H | H | H | Cl |
| 41.031 | Et | F | H | H | H |
| 41.032 | Et | F | H | H | Me |
| 41.033 | Et | F | H | H | Ph |
| [0246] 41.034 | Et | F | H | H | F |
| 41.035 | Et | F | H | H | Cl |
| 41.036 | Et | Cl | H | H | H |
| 41.037 | Et | Cl | H | H | Me |
| 41.038 | Et | Cl | H | H | Ph |
| 41.039 | Et | Cl | H | H | F |
| 41.040 | Et | Cl | H | H | Cl |
| 41.041 | H | F | F | H | H |
| 41.042 | H | F | H | F | H |

[0247] 表42:本发明式(I)的化合物,其中x代表N,A代表A18,R³和R⁴各自代表氢,并且R²代表氯:



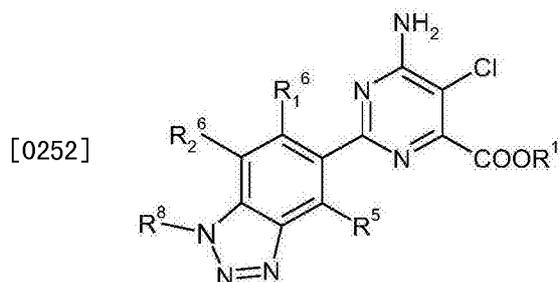
[0249]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 42.001 | H | H | H | H | H | H |
| 42.002 | H | H | H | H | Me | H |
| 42.003 | H | H | H | H | Ph | H |
| 42.004 | H | H | H | H | F | Me |
| 42.005 | H | H | H | H | Cl | H |
| 42.006 | H | Cl | H | H | H | Me |
| 42.007 | H | Cl | H | H | Me | Me |

[0250]

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁷ | R ⁸ |
|--------|----------------|----------------|-----------------------------|-----------------------------|----------------|----------------|
| 42.008 | H | Cl | H | H | Ph | Me |
| 42.009 | H | Cl | H | H | F | H |
| 42.010 | H | Cl | H | H | Cl | H |
| 42.011 | H | F | H | H | H | Me |
| 42.012 | H | F | H | H | Me | H |
| 42.013 | H | F | H | H | Ph | H |
| 42.014 | H | F | H | H | F | Me |
| 42.015 | H | F | H | H | Cl | Me |
| 42.016 | Me | H | H | H | H | Et |
| 42.017 | Me | H | H | H | Me | Et |
| 42.018 | Me | H | H | H | Ph | Et |
| 42.019 | Me | H | H | H | F | Et |
| 42.020 | Me | H | H | H | Cl | Et |
| 42.021 | Me | Cl | H | H | H | Me |
| 42.022 | Me | Cl | H | H | Me | H |
| 42.023 | Me | Cl | H | H | Ph | H |
| 42.024 | Me | Cl | H | H | F | H |
| 42.025 | Me | Cl | H | H | Cl | Et |
| 42.026 | Et | H | H | H | H | Me |
| 42.027 | Et | H | H | H | Me | Me |
| 42.028 | Et | H | H | H | Ph | Me |
| 42.029 | Et | H | H | H | F | H |
| 42.030 | Et | H | H | H | Cl | H |
| 42.031 | Et | F | H | H | H | Me |
| 42.032 | Et | F | H | H | Me | Me |
| 42.033 | Et | F | H | H | Ph | H |
| 42.034 | Et | F | H | H | F | Et |
| 42.035 | Et | F | H | H | Cl | Et |
| 42.036 | Et | Cl | H | H | H | H |
| 42.037 | Et | Cl | H | H | Me | H |
| 42.038 | Et | Cl | H | H | Ph | H |
| 42.039 | Et | Cl | H | H | F | Me |
| 42.040 | Et | Cl | H | H | Cl | H |
| 42.041 | H | F | F | H | H | H |
| 42.042 | H | F | H | F | H | H |

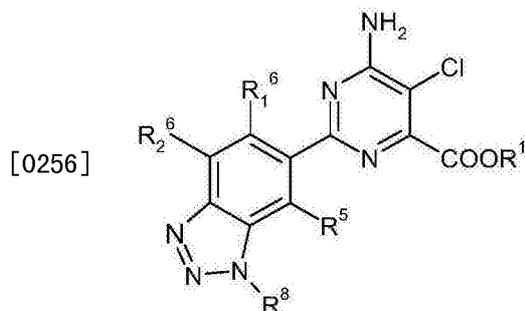
[0251] 表43:本发明式(I)的化合物,其中x代表N,A代表A19,R³和R⁴各自代表氢,并且R²代表氯:



| 实例编号 | R ¹ | R ⁵ | R ⁶ | R ² | R ⁸ |
|---------------|----------------|----------------|----------------|----------------|----------------|
| 43.001 | H | H | H | H | H |
| 43.002 | H | H | H | H | Me |
| 43.003 | H | H | H | H | Et |
| 43.004 | H | F | H | H | H |
| 43.005 | H | F | H | H | Me |
| 43.006 | H | F | H | H | Et |
| 43.007 | H | Cl | H | H | H |
| 43.008 | H | Cl | H | H | Me |
| 43.009 | H | Cl | H | H | Et |
| 43.010 | Me | H | H | H | H |
| 43.011 | Me | H | H | H | Me |
| 43.012 | Me | H | H | H | Et |
| [0253] 43.013 | Me | F | H | H | H |
| 43.014 | Me | F | H | H | Me |
| 43.015 | Me | F | H | H | Et |
| 43.016 | Me | Cl | H | H | H |
| 43.017 | Me | Cl | H | H | Me |
| 43.018 | Me | Cl | H | H | Et |
| 43.019 | Et | H | H | H | H |
| 43.020 | Et | H | H | H | Me |
| 43.021 | Et | H | H | H | Et |
| 43.022 | Et | F | H | H | H |
| 43.023 | Et | F | H | H | Me |
| 43.024 | Et | F | H | H | Et |
| 43.025 | Et | Cl | H | H | H |
| 43.026 | Et | Cl | H | H | Me |

| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁸ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| [0254] 43.027 | Et | Cl | H | H | Et |
| 43.028 | H | F | F | H | H |
| 43.029 | H | F | H | F | H |

[0255] 表44:本发明式(I)的化合物,其中x代表N,A代表A20,R³和R⁴各自代表氢,并且R²代表氯:



| 实例编号 | R ¹ | R ⁵ | R ₁ ⁶ | R ₂ ⁶ | R ⁸ |
|---------------|----------------|----------------|-----------------------------|-----------------------------|----------------|
| 44.001 | H | H | H | H | Me |
| 44.002 | H | H | H | H | Et |
| 44.003 | H | F | H | H | Me |
| 44.004 | H | F | H | H | Et |
| 44.005 | H | Cl | H | H | Me |
| 44.006 | H | Cl | H | H | Et |
| 44.007 | Me | H | H | H | Me |
| 44.008 | Me | H | H | H | Et |
| 44.009 | Me | F | H | H | Me |
| [0257] 44.010 | Me | F | H | H | Et |
| 44.011 | Me | Cl | H | H | Me |
| 44.012 | Me | Cl | H | H | Et |
| 44.013 | Et | H | H | H | Me |
| 44.014 | Et | H | H | H | Et |
| 44.015 | Et | F | H | H | Me |
| 44.016 | Et | F | H | H | Et |
| 44.017 | Et | Cl | H | H | Me |
| 44.018 | Et | Cl | H | H | Et |
| 44.019 | H | F | F | H | Me |
| 44.020 | H | F | H | F | Me |

[0258] 可以通过上述反应合成的式(I)化合物和/或其盐的集合也可以用一种平行方法

制备,其可以通过手动的、部分自动的或全自动的方法进行。在这一方面,例如,可以自动进行反应操作、后处理(work-up)、或产物和/或中间物的纯化。总之,这可以被理解为例如D.Tiebes在Combinatorial Chemistry-Synthesis,Analysis,Screening(editor Günther Jung),Verlag Wiley1999,第1至34页中所记载的操作。

[0259] 对于所述平行反应操作和后处理,可以使用一系列的市售设备,例如购自Barnstead International,Dubuque,Iowa52004-0797,USA的Calpyso反应块(reaction block),或是购自Radleys,Shirehill,Saffron Walden,Essex,CB113AZ,England的反应站,或是购自Perkin Elmer,Waltham,Massachusetts02451,USA的MultiPROBE自动工作站。为了平行纯化式(I)化合物及其盐或是反应过程中产生的中间物,尤其可以使用色谱设备,例如购自ISCO,Inc.,4700Superior Street,Lincoln,NE68504,USA。

[0260] 所列出的设备引起模块程序(modular procedure),其中各个处理步骤都是自动化的,然而在处理步骤之间必须进行手动操作。这可以通过使用部分一体化或完全一体化的自动系统来避免,在所述自动系统中各个自动模块例如通过机器人来运行。这一类的自动系统可以购于例如Caliper,Hopkinton,MA01748,USA。

[0261] 可以使用聚合物-负载试剂/清除树脂(scavenger resin)来支持单个或多个合成步骤的实施。专业文献记载了一系列实验方案,例如记载于ChemFiles,Vol.4,No.1,Polymer-Supported Scavengers and Reagents for Solution-Phase Synthesis(Sigma-Aldrich)。

[0262] 除了本文所记载的方法之外,式(I)化合物及其盐的制备也可以完全地或部分地通过固相负载(solid-phase-supported)的方法进行。为此目的,在所述合成中或者适用于相应操作的合成中,单独的中间物或所有的中间物被结合到合成树脂上。专业文献中已充分地记载了固相负载合成方法,例如由Barry A.Bunin记载于“The Combinatorial Index”,Academic Press,1998,和Combinatorial Chemistry-Synthesis,Analysis,Screening(编辑为Günther Jung),Wiley,1999。使用固相负载合成方法允许使用文献中已知的一系列方案,其也可以手动进行或以自动方式进行。所述反应可以例如使用IRORI技术,在购自Nexus Biosystems,12140Community Road,Poway,CA92064,USA的微反应器中进行。

[0263] 在固相上和液相中均可以通过使用微波技术来支持单独的合成步骤或多个合成步骤。专业文献中记载了一系列的实验方案,例如记载于Microwaves in Organic and Medicinal Chemistry(编辑为C.O.Kappe和A.Stadler),Wiley,2005。

[0264] 根据本文所述的方法制备产生以物质集合的形式的式(I)化合物及其盐,所述物质集合称为库(library)。本发明也提供含有至少两种式(I)化合物及其盐的库。

[0265] 本发明的式(I)化合物(和/或其盐),在下文中统称为“本发明化合物”,对抗广谱的在经济上重要的单子叶和双子叶一年生有害植物具有优异的除草效力。所述活性化合物甚至能有效地作用于从根茎、根状茎和其他多年生器官中发芽的难以防治的多年生有害植物。

[0266] 因此,本发明也涉及一种防治不需要的植物或调节植物的生长的方法,优选用于植物作物中,其中将本发明的一种或多种化合物施用于植物上(例如有害植物,例如单子叶或双子叶杂草或不需要的作物植物)、施用于种子(例如谷物、种子或无性繁殖体,例如块茎

或带有芽的茎部分)或施用于植物生长区域(例如栽培区域)。在本文中,本发明化合物可以例如在播种前施用(如果合适,也可以通过引入土壤而施用)、苗前或苗后施用。可以提及的具体的实例为可以通过本发明的化合物防治的单子叶和双子叶杂草植物群的一些代表,而所述列举并不限于某些品种。

[0267] 以下属的单子叶有害植物:山羊草属(*Aegilops*)、冰草属(*Agropyron*)、剪股颖属(*Agrostis*)、看麦娘属(*Alopecurus*)、假剪股颖属(*Apera*)、燕麦属(*Avena*)、臂形草属(*Brachiaria*)、雀麦属(*Bromus*)、蒺藜草属(*Cenchrus*)、鸭跖草属(*Commelina*)、狗牙根属(*Cynodon*)、莎草属(*Cyperus*)、龙爪茅属(*Dactyloctenium*)、马唐属(*Digitaria*)、稗属(*Echinochloa*)、荸荠属(*Eleocharis*)、蟋蟀草属(*Eleusine*)、画眉草属(*Eragrostis*)、野黍属(*Eriochloa*)、羊茅属(*Festuca*)、飘拂草属(*Fimbristylis*)、异蕊花属(*Heteranthera*)、白茅属(*Imperata*)、鸭嘴草属(*Ischaemum*)、千金子属(*Leptochloa*)、黑麦草属(*Lolium*)、雨久花属(*Monochoria*)、黍属(*Panicum*)、雀稗属(*Paspalum*)、藨草属(*Phalaris*)、梯牧草属(*Phleum*)、早熟禾属(*Poa*)、筒轴茅属(*Rottboellia*)、慈姑属(*Sagittaria*)、莞草属(*Scirpus*)、狗尾草属(*Setaria*)、高粱属(*Sorghum*)。

[0268] 以下属的双子叶杂草:苘麻属(*Abutilon*)、苋属(*Amaranthus*)、豚草属(*Ambrosia*)、单花葵属(*Anoda*)、春黄菊属(*Anthemis*)、蔷薇属(*Aphanes*)、艾属(*Artemisia*)、滨藜属(*Atriplex*)、雏菊属(*Bellis*)、鬼针属(*Bidens*)、芥属(*Capsella*)、飞廉属(*Carduus*)、决明属(*Cassia*)、矢车菊属(*Centaurea*)、藜属(*Chenopodium*)、蓟属(*Cirsium*)、旋花属(*Convolvulus*)、曼陀罗属(*Datura*)、山蚂蝗属(*Desmodium*)、刺酸模属(*Emex*)、糖芥属(*Erysimum*)、大戟属(*Euphorbia*)、鼬瓣花属(*Galeopsis*)、牛膝菊属(*Galinsoga*)、拉拉藤属(*Galium*)、芙蓉属(*Hibiscus*)、番薯属(*Ipomoea*)、地肤属(*Kochia*)、野芝麻属(*Lamium*)、独行菜属(*Lepidium*)、母草属(*Lindernia*)、母菊属(*Matricaria*)、薄荷属(*Mentha*)、山黧属(*Mercurialis*)、Mullugo属、勿忘我属(*Myosotis*)、罂粟属(*Papaver*)、牵牛属(*Pharbitis*)、车前属(*Plantago*)、蓼属(*Polygonum*)、马齿苋属(*Portulaca*)、毛茛属(*Ranunculus*)、萝卜属(*Raphanus*)、焯菜属(*Rorippa*)、节节菜属(*Rotala*)、酸模属(*Rumex*)、猪毛菜属(*Salsola*)、千里光属(*Senecio*)、田菁属(*Sesbania*)、黄花稔属(*Sida*)、白芥属(*Sinapis*)、茄属(*Solanum*)、苦苣菜属(*Sonchus*)、尖瓣花属(*Sphenoclea*)、繁缕属(*Stellaria*)、蒲公英属(*Taraxacum*)、蔊菜属(*Thlaspi*)、车轴草属(*Trifolium*)、荨麻属(*Urtica*)、婆婆纳属(*Veronica*)、堇菜属(*Viola*)、苍耳属(*Xanthium*)。

[0269] 如果在发芽前将本发明的化合物施用至土壤表面,则完全阻止杂草幼苗的发芽,或者使得杂草生长直至达到子叶期,但之后它们就停止生长,并最终在三至四周后完全死亡。

[0270] 如果在苗后将所述活性化合物施用至植物的绿色部位,则在处理后生长停止,并且有害植物停留在施用时间点的生长期,或者在一段时间后完全死亡,从而以这种方式在非常早的时期并持续地消除对作物植物有害的杂草的竞争。

[0271] 虽然本发明的化合物对单子叶和双子叶杂草显示出优异的除草活性,但只轻微地或完全不损害经济上重要的作物的作物植物,这取决于本发明各个化合物的结构和其施用率,所述的经济上重要的作物例如,以下属的双子叶作物:花生属(*Arachis*)、甜菜属(*Beta*)、芸苔属(*Brassica*)、黄瓜属(*Cucumis*)、南瓜属(*Cucurbita*)、向日葵属

(Helianthus)、胡萝卜属(Daucus)、大豆属(Glycine)、棉属(Gossypium)、番薯属(Ipomoea)、莴苣属(Lactuca)、亚麻属(Linum)、番茄属(Lycopersicon)、烟草属(Nicotiana)、菜豆属(Phaseolus)、豌豆属(Pisum)、茄属(Solanum)、蚕豆属(Vicia),或以下属的单子叶作物:葱属(Allium)、凤梨属(Ananas)、天门冬属(Asparagus)、燕麦属(Avena)、大麦属(Hordeum)、稻属(Oryza)、黍属(Panicum)、甘蔗属(Saccharum)、黑麦属(Secale)、高粱属(Sorghum)、小黑麦属(Triticale)、小麦属(Triticum)、玉蜀黍属(zea),特别是玉蜀黍属和小麦属。这就是本发明化合物极适于在植物作物中,例如农业有用植物或观赏植物中选择性地防治不想要的植物的生长的原因。

[0272] 此外,本发明的化合物(取决于它们各自的结构和施用的施用率)对作物植物具有杰出的生长调节性能。它们以调节的方式参与植物的新陈代谢,并且因此可以用于以定向的方式影响植物的成分,以及有助于收割,例如通过引发脱水和矮化生长。此外,它们也适用于广泛地防治和抑制不想要的营养生长而在这个过程中不损害植物。抑制营养生长在许多单子叶和双子叶作物中起到了重要的作用,因为例如可以减少或完全避免倒伏。

[0273] 由于它们的除草和植物生长调节性质,所述活性化合物也可用于在已知的或待开发的基因修饰植物的作物中防治有害植物。通常,转基因植物的特征在于具有一些特别有利的性质,例如对某些农药的抗性,主要是对于某些除草剂的抗性,对于植物疾病或植物疾病的致病生物的抗性,所述生物例如某些昆虫或微生物,例如真菌、细菌或病毒。其他具体性质涉及例如采收物的产量、品质、储存性、组成和具体成分。因此,已知具有提高的淀粉含量或改变的淀粉品质的转基因植物,或者在采收物中具有不同的脂肪酸组成的转基因植物。其他的具体性质可为对非生物应激物如热、低温、干旱、盐和紫外线辐射的耐受性或抗性。

[0274] 优选在有用植物和观赏性植物的经济上重要的转基因作物中使用本发明式(I)的化合物或其盐类,所述作物为例如谷物,例如小麦、大麦、黑麦、燕麦、高粱和黍、稻、木薯和玉米,或者甜菜、棉花、大豆、油菜、马铃薯、番茄、豌豆以及其他蔬菜的作物。

[0275] 优选在对除草剂的植物毒性效果具有抗性或已通过重组方式产生抗性的有用植物的作物中使用式(I)的化合物作为除草剂。

[0276] 制备与目前已知的植物相比具有改进特性的新植物的常规方法在于例如常规育种方法和突变体产生法。或者,具有改进特性的新植物可借助重组方法产生(参见,例如,EP0221044,EP0131624)。例如描述了以下几种情况:

[0277] -通过基因修饰作物植物,目的在于使植物中合成的淀粉改性(例如W092/011376A、W092/014827A、W091/019806A),

[0278] -通过“基因叠加”对某些草铵磷(glufosinate)类除草剂(参见,例如EP0242236A、EP0242246A)或草甘膦(gluphosate)类除草剂(W092/00377A)或磺酰脲类除草剂(EP0257993A、US5,013,659)或这些除草剂的组合物或混合物具有抗性的转基因作物植物,例如商品名或名称为Optimum™ GAT™(耐草甘膦ALS)的转基因作物植物,例如玉米或大豆,

[0279] -能产生苏云金杆菌毒素(Bt毒素)从而使植物对某些害虫具有抗性的转基因作物植物,例如棉花(EP0142924A、EP0193259A);

[0280] -具有改性的脂肪酸组成的转基因作物植物(W091/013972A),

[0281] -具有能够带来增强的抗病性的新成分或次级代谢物,例如新的植物抗毒素的基

因修饰作物植物(EP0309862A,EP0464461A),

[0282] -具有降低的光呼吸的基因修饰植物,其特征在于具有较高的产量和较高的应激耐受性(EP0305398A),

[0283] -产生药学上或诊断学上重要的蛋白质的转基因作物植物(“分子农场(molecular pharming)”),

[0284] -特征在于更高产量或更好品质的转基因作物植物,

[0285] -特征在于具有例如上述新性能的组合(“基因叠加”)的转基因作物植物。

[0286] 许多可制备具有改进性质的新转基因植物的分子生物技术原则上是已知的;参见,例如I.Potrykus and G.Spangenberg(编)Gene Transfer to Plants, Springer Lab Manual(1995), Springer Verlag Berlin, Heidelberg 或者Christou, “Trends in Plant Science” 1(1996)423-431。

[0287] 为了进行这类重组操作,可以将通过重组DNA序列而产生突变或产生序列改变的核酸分子引入质粒。例如,通过标准方法,可以进行碱基交换、可以移除子序列或加入天然的或合成的序列。为了将DNA片段彼此连接,可以在片段上连接接头(adapter)或连接体(linker);参见,例如,Sambrook et al., 1989, Molecular Cloning, A Laboratory Manual, 第二版, Cold Spring Harbor Laboratory Press, Cold Spring Harbor, NY; 或Winnacker “Gene und Klone”, VCH Weinheim 第二版, 1996。

[0288] 例如,基因产物活性降低的植物细胞的产生可以通过下列方法实现:通过表达至少一种相应的反义RNA、用于实现共抑制效应的正义RNA,或者通过表达至少一种能够特异性切割上述基因产物的转录物并具有合适结构的核酶。

[0289] 为此目的,可以使用包括基因产物的完整编码序列——包括所有可能存在的侧翼序列——的DNA分子,也可以使用仅含有部分编码序列的DNA分子,但是所述部分编码序列必须足够长,以便在细胞中产生反义效果。也可以使用与基因产物的所述编码序列具有高度同源性但并不完全相同的DNA序列。

[0290] 当在植物中表达核酸分子时,所合成的蛋白质可被定位至植物细胞的任何所需的区室中。然而,为了将其定位于特定区室,可以例如将编码区与确保在某一区室中定位的DNA序列相连接。这种序列是本领域中技术人员已知的(参见,例如Braun et al., EMBO J. 11(1992), 3219-3227; Wolter et al., Proc. Natl. Acad. Sci. USA 85(1988), 846-850; Sonnewald et al., Plant J. 1(1991), 95-106)。核酸分子也可以在植物细胞的细胞器中表达。

[0291] 可以使用已知的技术使转基因植物细胞再生为整株植物。原则上,所述转基因植物可为任何所需的植物品种的植物,即不仅可为单子叶植物,也可为双子叶植物。

[0292] 因此,可以通过对同源(=天然)基因或基因序列的过表达、阻抑或抑制,或者通过异源(=外源)基因或基因序列的表达而获得性质改变的转基因植物。

[0293] 优选将本发明式(I)的化合物用于转基因作物中,所述转基因作物对生长调节剂例如2,4-D、麦草畏(dicamba)具有抗性,或者对抑制必需的植物酶,例如乙酰乳酸合酶(acetolactate synthases, ALS)、EPSP合酶、谷氨酰胺合酶(glutamine synthases, GS)或羟基苯丙酮酸双加氧酶(hydroxyphenylpyruvate dioxygenases, HPPD)的除草剂具有抗性,或者对选自磺酰脲类、草甘膦、草铵磷或苯甲酰基异噁唑类以及类似的活性化合物的除

草剂具有抗性,或对这些活性化合物的任意结合物具有抗性。

[0294] 特别优选地,本发明的化合物可以用于对草甘膦类和草铵磷类的结合、草甘膦类和磺酰脲类或咪唑啉酮类具有抗性的转基因作物植物。极特别优选地,本发明的化合物可以用于转基因作物植物,例如商品名或名称为Optimum™ GAT™的玉米或大豆(耐草甘膦ALS)。

[0295] 当将本发明的活性化合物用于转基因作物时,除了可在其他作物中观察到的对于有害植物的效果之外,还经常发现施用于所述的转基因作物的特殊的效果,例如改变或特别是增宽的可以防治的杂草谱、改进的施用时可以使用的施用率、与转基因作物对其有抗性的除草剂的优选良好的相容性,以及对转基因作物植物的生长和产率的影响。

[0296] 因此,本发明还涉及本发明式(I)的化合物作为除草剂用于防治转基因作物植物中的有害植物的用途。

[0297] 本发明的化合物可以以常规剂型施用,所述常规剂型有可湿性粉剂、乳化浓缩剂、可喷雾溶液剂、粉末剂或颗粒剂。因此,本发明还提供含有本发明的化合物的除草组合物和植物生长调节组合物。

[0298] 根据所需的生物学和/或物理化学参数,可通过多种方式将本发明的化合物配制为制剂。可能的制剂包括,例如:可湿性粉剂(WP)、水溶性粉剂(SP)、水溶性浓缩剂、乳化浓缩剂(EC)、乳剂(EW)例如水包油乳剂和油包水乳剂、可喷雾溶液剂、悬浮浓缩剂(SC)、油基分散剂或水基分散剂、油混溶性溶液剂、胶囊悬浮剂(CS)、粉末剂(DP)、拌种产品、用于撒播和土壤施用的颗粒剂、微颗粒形式的颗粒剂(GR)、喷雾颗粒剂、包衣颗粒剂和吸附颗粒剂、水分散性颗粒剂(WG)、水溶性颗粒剂(SG)、ULV制剂、微胶囊剂和蜡剂。

[0299] 这些制剂的各个类型原则上都是已知的,并记载于,例如:Winnacker-Kiichler, "Chemische Technologie" [Chemical Technology], Volume 7, C. Hauser Verlag Munich, 第4版, 1986; Wade van Valkenburg, "Pesticide Formulations", Marcel Dekker, N.Y., 1973; K. Martens, "Spray Drying" Handbook, 第3版, 1979, G. Goodwin Ltd. London.

[0300] 所需的制剂助剂,例如惰性物质、表面活性剂、溶剂和其他添加剂同样也是已知的,并记载于,例如:Watkins, "Handbook of Insecticide Dust Diluents and Carriers", 第二版, Darland Books, Caldwell N.J.; H. v. Olphen, "Introduction to Clay Colloid Chemistry"; 第二版, J. Wiley & Sons, N.Y.; C. Marsden, "Solvents Guide"; 第二版, Interscience, N.Y. 1963; McCutcheon's "Detergents and Emulsifiers Annual". MC Publ. Corp., Ridgewood N.J.; Sisley and Wood, "Encyclopedia of Surface Active Agents", Chem. Publ. Co. Inc., N.Y. 1964; **Schönfeldt, "Grenzflächenaktive Äthylenoxidaddukte"** [Interface-active ethylene oxide adducts], Wiss. Verlagsgesell., Stuttgart 1976; Winnacker-Kiichler, "Chemische Technologie", 第7卷, C. Hanser Verlag Munich, 第四版, 1986.

[0301] 基于这些制剂,可以生产与其它农药活性化合物——例如杀昆虫剂、杀螨剂、除草剂和杀真菌剂——以及与安全剂、肥料和/或生长调节剂的结合物,例如以成品制剂或桶混物的形式。适当的安全剂是例如,吡唑解草酯(mefenpyr-diethyl)、环丙磺酰胺(cyprosulfamide)、双苯噁唑酸(isoxadifen-ethyl)、解草酯(cloquintocet-mexyl)和二氯丙烯胺(dichlormid)。

[0302] 可湿性粉剂为可均匀分散于水中,并且除了含有活性化合物和稀释剂或惰性物质之外,还含有离子型表面活性剂和/或非离子型表面活性剂(湿润剂、分散剂)的制剂,所述表面活性剂例如聚氧乙基化烷基酚、聚氧乙基化脂肪醇、聚氧乙基化脂肪胺、脂肪醇聚二醇醚硫酸盐、烷基磺酸盐、烷基苯磺酸盐、木素磺酸钠、2,2'-二萘基甲烷-6,6'-二磺酸钠、二丁基萘磺酸钠或油酰基甲基牛磺酸钠。为了制备可湿性粉剂,例如在常规设备例如锤磨机、鼓风磨机和空气喷射磨机中将除草活性化合物磨细,并同时或随后与制剂助剂混合。

[0303] 乳化浓缩剂通过下述过程制备:将活性化合物溶于有机溶剂(例如丁醇、环己酮、二甲基甲酰胺、二甲苯或相对高沸点的芳香族化合物或烃类)或有机溶剂混合物中,并加入一种或多种离子型和/或非离子型表面活性剂(乳化剂)。使用的乳化剂可为例如烷基芳基磺酸钙盐,例如十二烷基苯磺酸钙;或非离子型乳化剂,例如脂肪酸聚二醇酯、烷基芳基聚二醇醚、脂肪醇聚二醇醚、环氧丙烷-环氧乙烷缩合产物、烷基聚醚、脱水山梨聚糖酯(例如脱水山梨聚糖脂肪酸酯)或聚氧乙烯脱水山梨聚糖酯(例如聚氧乙烯脱水山梨聚糖脂肪酸酯)。

[0304] 粉末剂可通过将活性化合物与细分散的固体物质研磨而制备,所述固体物质例如滑石、天然粘土(例如高岭土、膨润土和叶蜡石)或硅藻土。

[0305] 悬浮浓缩剂可为水基或油基的。它们可以通过例如使用市售的球磨机湿法研磨而制备,在制备过程中可以任选地加入上文在例如其他剂型中所述的表面活性剂。

[0306] 乳剂例如水包油乳剂(Ew),可以使用例如搅拌器、胶体磨机和/或静态混合器制备,使用水性有机溶剂以及任选的例如在上文其他剂型中所述的表面活性剂。

[0307] 颗粒剂可以通过将所述活性化合物喷洒在能够吸附的颗粒状惰性材料上、或通过使用粘合剂将活性化合物浓缩剂施用到载体物质表面而制备,所述载体例如砂、高岭土或颗粒状惰性材料,所述粘合剂例如聚乙烯醇、聚丙烯酸钠或矿物油。合适的活性化合物也可以以制备肥料颗粒的常规方式——如果需要,与肥料相混合——而制成颗粒。

[0308] 水分散性颗粒剂通常通过常规方法制备,所述常规方法例如喷雾干燥法、流化床制粒法、盘式制粒法、使用高速混合器的混合法以及不使用固体惰性物质的挤出法。

[0309] 关于盘式制粒、流化床制粒、挤出法制粒和喷雾法制粒,参见,例如:“Spray-Drying Handbook”第三版,1979,G.Goodwin Ltd.,London;J.E.Browning,“Agglomeration”,Chemical and Engineering 1967,第147页及后文;“Perry's Chemical Engineer's Handbook”,第5版,McGraw-Hill,New York 1973,第8-57页中的方法。

[0310] 关于作物保护组合物制剂的其他细节,参见,例如G.C.Klingman,“Weed Control as a Science”,John Wiley and Sons.,Inc.,New York,1961,81-96页;和J.D.Freyer,S.A.Evans,“Weed Control Handbook”,第5版,Blackwell Scientific Publications,Oxford,1968,第101-103页。

[0311] 所述农业化学制剂通常含有0.1至99重量%、特别地为0.1至95重量%的本发明的化合物。

[0312] 在可湿性粉剂中,活性化合物的浓度例如为约10至90重量%,达到100重量%的剩余部分由常规制剂成分组成。对于乳化浓缩剂,活性化合物的浓度可为约1至90重量%,优选地为5至80重量%。粉末剂形式的制剂含有1至30重量%的活性化合物,优选地通常含有5至20重量%的活性化合物;可喷雾溶液剂中含有约0.05至80重量%、优选地为2至50重量%

的活性化合物。对于水分散性颗粒剂而言,活性化合物的含量部分地取决于所述活性化合物以液体形式还是固体形式存在,以及所使用的粒化助剂和填料等。在水分散性颗粒剂中,活性化合物的含量例如为1至95重量%,优选地为10至80重量%。

[0313] 此外,所述活性化合物制剂任选含有各自常用的粘合剂、湿润剂、分散剂、乳化剂、渗透剂、防腐剂、抗冻剂和溶剂、填料、载体和染料、消泡剂、蒸发抑制剂和影响pH值及粘度的试剂。

[0314] 基于这些制剂,也可以制备与其它农药活性化合物——例如杀昆虫剂、杀螨剂、除草剂和杀真菌剂——以及与安全剂、肥料和/或生长调节剂的结合物,例如以成品制剂或桶混物的形式。

[0315] 可以与本发明的化合物以混合制剂或桶混物形式结合使用的活性化合物为,例如,已知的基于抑制以下物质的活性化合物,所述物质是例如乙酰乳酸合酶、乙酰辅酶A羧化酶、纤维素合酶、烯醇式丙酮酰莽草酸-3-磷酸合酶、谷氨酰胺合酶、对羟基苯基丙酮酸双加氧酶、八氢番茄红素去饱和酶、光系统I、光系统II、原卟啉原氧化酶,例如记载于WeedResearch26(1986)441-445页或“*The Pesticide Manual*”,第15版,TheBritish Crop Protection Council and the Royal Soc.of Chemistry,2006和其中引用的文献中。可以与本发明的化合物结合的已知的除草剂或植物生长调节剂是例如以下的活性化合物(化合物以国际标准化组织(ISO)规定的通用名表示,或以化学名称、或其代号表示),它们总是包括其所有使用形式,例如酸、盐、酯和异构体,例如立体异构体和光学异构体。此处以示例的方式提及一种——在一些情况下多种——使用形式:

[0316] 乙草胺(acetochlor)、苯并噻二唑(acibenzolar)、苯并噻二唑 (acibenzolar-S-methyl)、三氟羧草醚(acifluorfen)、三氟羧草醚(acifluorfen-sodium)、苯草醚(aclonifen)、甲草胺(alachlor)、草毒死(allidochlor)、禾草灭(alloxydim)、枯杀达(alloxydim-sodium)、莠灭净(amestryne)、氨唑草酮(amicarbazone)、先甲草胺(amidochlor)、酰胺磺隆(amidoflufen)、环丙嘧啶酸(aminocyclopyrachlor)、氯氨吡啶酸(aminopyralid)、杀草强(amtrole)、氨基磺酸铵(ammoniumsulfamate)、环丙嘧啶醇(ancymidol)、莎稗磷(anilofos)、磺草灵(asulam)、莠去津(atrazine)、唑啶草酮(azafenidin)、四唑嘧磺隆(azimsulfuron)、叠氮津(aziprotryne)、氟丁酰草胺(beflubutamid)、草除灵(benazolin)、草除灵(benazolin-ethyl)、bencarbazone、乙丁氟灵(benfiuralin)、呋草黄(benfuresate)、地散磷(bensulide)、苄嘧磺隆(bensulfuron、bensulfuron-methyl)、灭草松(bentazone)、双苯嘧草酮(benzfendizone)、双环磺草酮(benzobicyclon)、吡草酮(benzofenap)、氟磺胺草(benzofluor)、新燕灵(benzoylprop)、bicyclopyrone、甲羧除草醚(bifenox)、双丙氨膦(bilanafos)、双丙氨膦钠盐(bilanafos-sodium)、双草醚(bispyrib ac)、双草醚(bispyribac-sodium)、除草定(bromacil)、溴丁酰草胺(bromobutide)、溴酚肟(bromofenoxim)、溴苯腈(bromoxynil)、莠谷隆(bromuron)、特克草(buminafos)、羟草酮(busoxinone)、丁草胺(butachlor)、氟丙嘧草酯(butafenacil)、抑草磷(butamifos)、丁烯草胺(butenachlor)、仲丁灵(butralin)、丁氧环酮(butroxydim)、丁草敌(butylate)、唑草胺(cafenstrole)、双酰草胺(carbetamide)、唑酮草酯(carfentrazone)、唑酮草酯(carfentrazone-ethyl)、甲氧除草醚(chlomethoxyfen)、草灭畏(chloramben)、chlorazifop、chlorazifop-butyl、氯溴隆(chlorbromuron)、氯炔灵

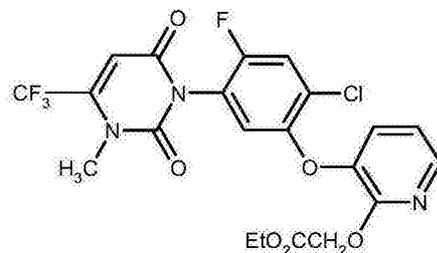
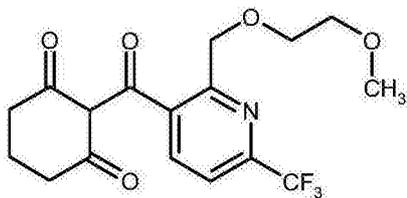
(chlorbufam)、伐草克(chlorfenac)、双氯酚酸钠(chlorfenac-sodium)、燕麦酯(chlorfenprop)、氯甲丹(chlorflurenol)、氯甲丹(chlorflurecol-methyl)、氯草敏(chloridazon)、氯嘧磺隆(chlorimuron)、氯嘧磺隆(chlorimuron-ethyl)、矮壮素(chlormequat-chloride)、草枯醚(chlornitrofen)、4-氯邻苯二甲酸(chlorophthalim)、氯酞酸甲酯(chlorthal-dimethyl)、绿麦隆(chlortoluron)、氯磺隆(chlorsulfuron)、吡啶酮草酯(cinidon)、吡啶酮草酯(cinidon-ethyl)、环庚草醚(cinmethylin)、醚磺隆(cinosulfuron)、烯草酮(clethodim)、炔草酸(clodinafop)、炔草酯(clodinafop-propargyl)、杀雄嗪酸(ciofencet)、异噁草松(clomazone)、氯甲酰草胺(clomeprop)、调果酸(cloprop)、二氯吡啶酸(clopyralid)、氯酯磺草胺(cloransulam)、氯酯磺草胺(cloransulam-methyl)、苜草隆(cumyluron)、氨基氰(cyanamide)、氰草津(cyanazine)、环丙酰胺酸(cyclanilide)、环草敌(cycloate)、环丙嘧磺隆(cyclosulfamuron)、噻草酮(cycloxydim)、环莠隆(cycluron)、氰氟草酯(cyhalofop)、氰氟草酯(cyhalofop-butyl)、莎草快(cyperquat)、环丙津(cyprazine)、环唑草胺(cyprazole)、2,4-D、2,4-DB、杀草隆(daimuron/dymron)、茅草枯(dalapon)、丁酰肼(daminozide)、棉隆(dazomet)、正癸醇(n-decanol)、甜菜安(desmedipham)、敌草净(desmetryn)、detosyl-pyrazolate(DTP)、燕麦敌(diallate)、麦草畏(dicamba)、敌草腈(dichlobenil)、2,4-滴丙酸(dichlorprop)、2,4-滴丙酸(dichlorprop-P)、二氯苯氧基丙酸(diclofop)、禾草灵(diclofop-methyl)、禾草灵(diclofop-P-methyl)、双氯磺草胺(diclosulam)、乙酰甲草酸(diethatyl)、乙酰甲草胺(diethatyl-ethyl)、枯莠隆(difenoxuron)、野燕枯(difenzoquat)、吡氟酰草胺(difiufenican)、二氟吡隆(difiufenzopyr)、氟吡草胺(difiufenzopyr-sodium)、噁唑隆(dimefuron)、敌草克(dikegulac-sodium)、噁唑隆(dimefuron)、哌草丹(dimepiperate)、二甲草胺(dimethachlor)、异戊乙净(dimethametryn)、二甲吩草胺(dimethenamid)、甲酚噻草胺-P(dimethenamid-P)、噻节因(dimethipin)、dimetrasulfuron、氨基灵(dinitramine)、地乐酚(dinoseb)、特乐酚(dinoterb)、双苯酰草胺(diphenamid)、异丙净(dipropetryn)、敌草快(diquat)、敌草快(diquat dibromide)、氟硫草定(dithiopyr)、敌草隆(diuron)、二硝酚(DNOC)、草止津(eglinazine-ethyl)、茵多酸(endothal)、扑草灭(EPTC)、戊草丹(esprocarb)、乙丁烯氟灵(ethalfiuralin)、胺苯磺隆(ethamesulfuron)、胺苯磺隆(ethametsulfuron-methyl)、乙烯利(ethephon)、磺噻隆(ethidimuron)、乙噻草酮(ethiozin)、乙氧呋草黄(ethofumesate)、氟乳醚(ethoxyfen)、氯氟草醚乙酯(ethoxyfen-ethyl)、乙氧嘧磺隆(ethoxysulfuron)、乙氧苯草胺(etobenzanid)、F-5331, 即N-[2-氯-4-氟-5-[4-(3-氟丙基)-4,5-二氢-5-氧代-1H-四唑-1-基]苯基]乙磺酰胺、F-7967, 即3-[7-氯-5-氟-2-(三氟甲基)-1H-苯并咪唑-4-基]-1-甲基-6-(三氟甲基)嘧啶-2,4(1H,3H)-二酮、2,4,5-涕丙酸(fenoprop)、噁唑禾草灵(fenoxaprop)、噁唑禾草灵(fenoxaprop-P)、噁唑禾草灵(fenoxaprop-ethyl)、精噁唑禾草灵(fenoxaprop-P-ethyl)、fenoxasulfone、四唑酰草胺(fentrazamide)、非草隆(fenuron)、麦草氟(flamprop)、麦草氟异丙酯(fiamprop-M-isopropyl)、麦草氟甲酯(flamprop-M-methyl)、啶嘧磺隆(fiazasulfuron)、双氟磺草胺(fiorasulam)、吡氟禾草灵(fiuzifop)、精吡氟禾草灵(fiuzifop-P)、吡氟禾草灵丁酯(fiuzifop-butyl)、精吡氟禾草灵丁酯(fiuzifop-P-butyl)、异丙吡草酯(fiuzolate)、氟唑磺隆(fiucarbazone)、氟酮磺隆(fiucarbazone-

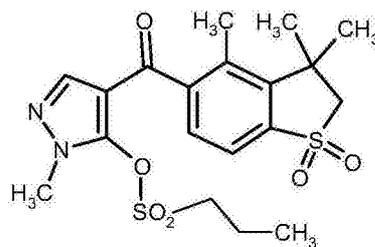
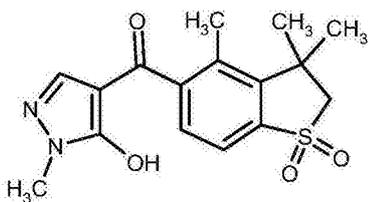
sodium)、氟吡磺隆(fiucetosulfuron)、氯乙氟灵(fiuchloralin)、氟噻草胺(nufenacet (thiafiuamide))、氟吡嗪草酯(fiufenpyr)、氟吡嗪草酯(flufenpyr-ethyl)、氟节胺(fiumetralin)、唑啉磺草胺(fiumetsulam)、氟烯草酸(fiomiclorac)、氟烯草酸(flumiclorac-pentyl)、丙炔氟草胺(fiumioxazin)、炔草胺(fiumipropyn)、氟草隆(fiuometuron)、三氟硝草醚(fiuorodifen)、乙羧氟草醚(fiuoroglycofen)、乙羧氟草醚(fiuoroglycofen-ethyl)、氟胺草唑(fiupoxam)、氟丙啉草酯(fiupropacil)、四氟丙酸(fiupropanoate)、氟啶磺隆(fiupyr-sulfuron)、氟啶啉磺隆(fiupyr-sulfuron-methyl-sodium)、抑草丁(flurenol)、茚丁酯(fiurenol-butyl)、氟啶草酮(fiuridone)、氟咯草酮(fiurochloridone)、氟草烟(fiuroxypyr)、甲基庚酯(fiuroxypyr-meptyl)、咪唑醇(fiurprimidol)、咪草酮(fiurtamone)、噻草酸(fiuthiacet)、氟噻乙草酯(fiuthiacet-methyl)、噻唑草酰胺(fiuthiamide)、氟磺胺草醚(fomesafen)、甲酰氨磺隆(foramsulfuron)、氯吡脲(forchlorfenuron)、杀木膦(fosamine)、呋氧草醚(furyloxyfen)、赤霉素(gibberillic acid)、草铵膦(glufosinate)、草铵磷(glufosinate-ammonium)、精草铵膦(glufosinate-P)、精草铵磷(glufosinate-P-ammonium)、glufosinate-P-sodium、草甘膦(glyphosate)、草甘膦异丙胺盐(glyphosate-isopropylammonium)、H-9201,即0-(2,4-二甲基-6-硝基 苯基)-O-乙基异丙基硫代磷酰胺酯、氟硝磺酰胺(halosafen)、氯吡啉磺隆(halosulfuron)、氯吡啉磺隆(halosulfuron-methyl)、氟吡禾灵(haloxypyr)、精氟吡禾灵(haloxypyr-P)、氯氟乙禾灵(haloxypyr-ethoxyethyl)、氯氟乙禾灵(haloxypyr-P-ethoxyethyl)、氟吡甲禾灵(haloxypyr-methyl)、氟吡甲禾灵(haloxypyr-P-methyl)、环嗪酮(hexazinone)、HW-02,即(2,4-二氯苯氧基)乙酸1-(二甲氧基磷酰基)乙酯、咪草酸(imazamethabenz)、咪草酸(imazamethabenz-methyl)、甲氧咪草烟(imazamox)、铵基咪草啉酸(imazamox-ammonium)、甲咪唑烟酸(imazapic)、咪唑烟酸(imazapyr)、咪唑烟酸异丙基胺盐(imazapyr-isopropylammonium)、咪唑啉酸(imazaquin)、咪唑啉酸铵(imazaquin-ammonium)、咪唑乙烟酸(imazethapyr)、咪唑乙烟酸铵(imazethapyr-ammonium)、唑啉磺隆(imazosulfuron)、抗倒胺(inabenzfide)、茚草酮(indanofan)、碘甲磺隆(indazifiam)、吲哚乙酸(indoleacetic acid(IAA))、4-吲哚-3-基丁酸(IBA)、碘甲磺隆钠盐(iodosulfuron)、碘甲磺隆钠盐(iodosulfuron-methyl-sodium)、碘苯腈(ioxynil)、三哇苯胺除草剂(ipfencarbazone)、丁脞酰胺(isocarbamid)、异丙乐灵(isopropalin)、异丙隆(isoproturon)、异噁隆(isouron)、异噁酰草胺(isoxaben)、异噁氯草酮(isoxachlortole)、异噁唑草酮(isoxafiutole)、异噁草醚(isoxapyrifop)、KUH-043,即3-([5-(二氟甲基)-1-甲基-3-(三氟甲基)-1H-吡唑-4-基]甲基)磺酰基)-5,5-二甲基-4,5-二氢-1,2-噁唑、特胺灵(karbutilate)、ketospiradox、乳氟禾草灵(lactofen)、环草定(lenacil)、利谷隆(linuron)、马来酰肼(maleic hydrazide)、MCPA、MCPB、MCPB甲酯(MCPB-methyl)、MCPB乙酯(MCPB-ethyl)和MCPB钠(MCPB-sodium)、2-甲-4-氯丙酸(mecoprop)、2-甲-4-氯丙酸钠(mecoprop-sodium)、2-甲-4-氯丙酸丁氧酯(mecoprop-butotyl)、高2-甲-4-氯丙酸丁氧酯(mecoprop-P-butotyl)、高2-甲-4-氯丙酸二甲铵(mecoprop-P-dimethylammonium)、高2-甲-4-氯丙酸2-乙基己酯(mecoprop-P-2-ethylhexyl)、高2-甲-4-氯丙酸钾(mecoprop-P-potassium)、苯噻酰草胺(mefenacet)、氯磺酰草胺(mefiuidide)、甲哌啶(mepiquat

chloride)、甲磺胺磺隆(mesosulfuron)、甲磺胺磺隆(mesosulfuron-methyl)、甲基磺草酮(mesotrione)、甲基苯噻隆(methabenzthiazuron)、威百亩(metam)、噁唑酰草胺(metamifop)、苯嗪草酮(metamitron)、吡唑草胺(metazachlor)、双醚氯吡啶磺隆(metazosulfuron)、灭草唑(methazole)、甲硫嘧磺隆(methiopyrsulfuron)、methiozolin、苯草酮(methoxyphenone)、甲基杀草隆(methyldymron)、1-甲基环丙烯(1-methylcyclopropene)、异硫氰酸甲酯(methyl isothiocyanate)、吡喃隆(metobenzuron)、溴谷隆(metobromuron)、异丙甲草胺(metolachlor)、S-异丙甲草胺(S-metolachlor)、磺草唑胺(metosulam)、甲氧隆(metoxuron)、噻草酮(metribuzin)、甲磺隆(metsulfuron)、甲磺隆(metsulfuron-methyl)、禾草敌(molinate)、庚酰草胺(monalide)、单脲(monocarbamide)、甲酰胺硫酸二氢盐(monocarbamide dihydrogensulfate)、绿谷隆(monolinuron)、单嘧磺隆(monosulfuron)、单嘧磺酯(monosulfuron-ester)、灭草隆(monuron)、MT-128,即6-氯-N-[(2E)-3-氯丙-2-烯-1-基]-5-甲基-N-苯基哒嗪-3-胺、MT-5950,即N-[3-氯-4-(1-甲基乙基)苯基]-2-甲基戊酰胺、NGGC-011、萘丙胺(naproanilide)、敌草胺(napropamide)、萘草胺(naptalam)、NC310,即4-(2,4-二氯苯甲酰基)-1-甲基-5-苄氧基吡唑、草不隆(neburon)、烟嘧磺隆(nicosulfuron)、氟氯草胺(nipyraclofen)、甲磺乐灵(nitralin)、除草醚(nitrofen)、复硝酚钠(nitrophenolate-sodium)(异构体混合物)、硝氟草醚(nitrofiurufen)、正壬酸(nonanoic acid)、氟草敏(norflurazon)、坪草丹(orbencarb)、噻苯胺磺隆(orthosulfamuron)、氨磺乐灵(oryzalin)、丙炔噁草酮(oxadiargyl)、噁草酮(oxadiazon)、环氧嘧磺隆(oxasulfuron)、噁嗪草酮(oxaziclomefone)、乙氧氟草醚(oxyfiurufen)、多效唑(paclobutrazole)、百草枯(paraquat)、百草枯(paraquat dichloride)、壬酸(pelargonic acid(nonanoic acid))、二甲戊灵(pendimethalin)、4-(叔丁基)-N-(1-乙基-丙基)-2,6-二硝基-苯胺(pendralin)、五氟磺草胺(penoxsulam)、甲氯酰草胺(pentanochlor)、环戊噁草酮(pentoxazone)、黄草伏(perfiuidone)、烯草胺(pethoxamid)、棉胺宁(phenisopham)、甜菜宁(phenmedipham)、甜菜宁-乙酯(phenmedipham-ethyl)、氨基吡啶酸(picloram)、氟吡酰草胺(picolinafen)、唑啉草酯(pinoxaden)、哌草磷(piperophos)、pirifenop、pirifenop-butyl、丙草胺(pretilachlor)、氟嘧磺隆(primisulfuron)、氟嘧磺隆(primisulfuron-methyl)、烯丙苯噻唑(probenazole)、氟唑草胺(profiuazole)、环丙氰津(procyazine)、氨基乐灵(prodiamine)、环丙氟灵(prifiuralin)、环苯草酮(profoxydim)、调环酸(prohexadione)、调环酸(prohexadione-calcium)、茉莉酮(prohydrojasmon)、扑灭通(prometon)、扑草净(prometryn)、毒草胺(propachlor)、敌稗(propanil)、噁草酸(propaquizafop)、扑灭津(propazine)、苯胺灵(propham)、异丙草胺(propisochlor)、丙苯磺隆(propoxycarbazone)、丙苯磺隆(propoxycarbazone-sodium)、噻咪唑嘧磺隆(propyrisulfuron)、炔苯酰草胺(propyzamide)、磺亚胺草(prosulfalin)、苄草丹(prosulfocarb)、氟磺隆(prosulfuron)、丙炔草胺(prynachlor)、双唑草腈(pyraclonil)、吡草醚(pyrafiufen)、吡草醚(pyrafiufen-ethyl)、pyrasulfotole、吡唑特(pyrazolynate(pyrazolate))、吡嘧磺隆(pyrazosulfuron, pyrazosulfuron-ethyl)、苄草唑(pyrazoxyfen)、异丙酯草醚(pyribambenz)、异丙酯草醚(pyribambenz-isopropyl)、丙酯草醚(pyribambenz-propyl)、嘧啶肟草醚(pyribenzoxim)、稗草丹(pyributicarb)、达草止

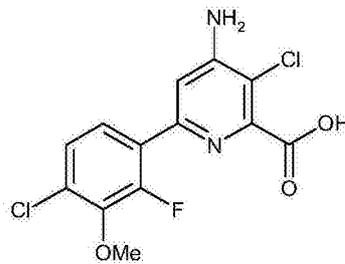
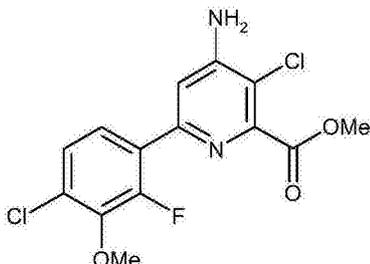
(pyridafol)、啉草特(pyridate)、环酯草醚(pyrifthalid)、嘧草醚(pyriminob ac)、嘧草醚(pyriminob ac-methyl)、pyrimisulfan、嘧草硫醚(pyriothiobac)、嘧草硫醚(pyriothiobac-sodium)、异噁草酮(pyroxasulfone)、甲氧磺草胺(pyroxulam)、二氯喹啉酸(quinchlorac)、氯甲喹啉酸(quinmerac)、灭藻醌(quinoclamine)、喹禾灵(quizalofop)、喹禾灵乙酯(quizalofop-ethyl)、精喹禾灵(quizalofop-P)、精喹禾灵乙酯(quizalofop-P-ethyl)、喹禾糠酯(quizalofop-P-tefuryl)、砒嘧磺隆(rimsulfuron)、苯嘧磺草胺(saflufenacil)、仲丁通(secbumeton)、烯禾啉(sethoxydim)、环草隆(siduron)、西玛津(simazine)、西草净(simetryn)、SN-106279,即(2R)-2({7-[2-氯-4-(三氟甲基)苯氧基]-2-萘基}氧基)丙酸甲酯、磺草酮(sulcotrione)、草克死(sulfallate(CDEC))、甲磺草胺(sulfentrazone)、甲嘧磺隆(sulfometuron)、甲嘧磺隆(sulfometuron-methyl)、草硫磷(sulfosate(glyphosate-trimesium))、磺酰磺隆(sulfosulfuron)、SYN-523、SYP-249,即5-[2-氯-4-(三氟甲基)苯氧基]-2-硝基苯甲酸1-乙氧基-3-甲基-1-氧代丁-3-烯-2-基酯、SYP-300,即1-[7-氟-3-氧代-4-(丙-2-炔-1-基)-3,4-二氢-2H-1,4-苯并噁嗪-6-基]-3-丙基-2-硫代咪唑烷-4,5-二酮、牧草胺(tebutam)、丁噻隆(tebuthiuron)、四氯硝基苯(tecnazene)、tefuryltrione、环磺酮(tembotrione)、吡喃草酮(tepraloxymid)、特草定(terbacil)、特草灵(terbucarb)、特丁草胺(terbuchlor)、特丁通(terbumeton)、特丁津(terbuthylazine)、特丁净(terbutryne)、噻吩草胺(thenylchlor)、氟噻草胺(thiafiuamide)、噻氟隆(thiazafiuron)、噻唑烟酸(thiazopyr)、噻二唑草胺(thidiazimin)、噻苯隆(thidiazuron)、异草唑酮(thiencarbazone)、噻酮磺隆(thiencarbazone-methyl)、噻吩磺隆(thifensulfuron)、噻吩磺隆(thifensulfuron-methyl)、禾草丹(thiobencarb)、仲草丹(tiocarbazil)、苯吡唑草酮(topramezone)、三甲苯草酮(tralkoxydim)、野燕畏(triallate)、醚苯磺隆(triasulfuron)、三嗪氟草胺(triazifiam)、triazofenamide、苯磺隆(tribenuron)、苯磺隆(tribenuron-methyl)、三氯乙酸(trichloroacetic acid(TCA))、三氯吡氧乙酸(triclopyr)、灭草环(tridiphane)、草达津(trietazine)、三氟啉磺隆(trifloxysulfuron)、三氟啉磺隆(trifloxysulfuron-sodium)、氟乐灵(trifiuralin)、氟胺磺隆(trifiusulfuron)、氟胺磺隆(trifiusulfuron-methyl)、三甲隆(trimeturon)、抗倒酯(trinexapac)、抗倒酯(trinexapac-ethyl)、三氟甲磺隆(tritosulfuron)、tsitodef、烯效唑(uniconazole)、精烯效唑(uniconazole-P)、灭草敌(vernolate)、ZJ-0862,即3,4-二氯-N-{2-[4,6-二甲氧基嘧啶-2-基]氧基}苯胺和以下化合物:

[0317]





[0318]



[0319] 为了施用,以市售形式存在的制剂,如果合适,以常规的方式稀释,例如在可湿性粉剂、乳化浓缩剂、分散剂和水分散性颗粒剂的情况下,用水稀释。粉末剂、适于土壤施用的颗粒剂或适于撒播的颗粒剂和可喷雾溶液剂形式的制剂通常在施用前不再用其他惰性物质稀释。

[0320] 通式(I)的化合物所需的施用率根据外界条件而变化,所述外界条件例如特别是温度、湿度和所用的除草剂类型。所述施用率可以在很宽的范围内变化,例如0.001至1.0kg/ha或更多的活性物质;然而,优选0.005至750g/ha。

[0321] 下述实施例说明本发明:

[0322] A. 化学实施例

[0323] 1. 制备4-氨基-3-氯-6-(7-氟-2-苯基-1,3-苯并噁唑-6-基)吡啶-2-羧酸甲酯(实例编号8.019)

[0324] 将0.024g(0.03mmol)的 $(PPh_3)_2PdCl_2$ 添加至0.3g(1.13mmol)4-氨基-6-溴-3-氯吡啶-2-羧酸甲酯的20ml二氧杂环己烷溶液中,并将该混合物在室温(RT)下搅拌30分钟。之后向该混合物中接连地加入0.35g(1.35mmol)的(7-氟-2-苯基-1,3-苯并噁唑-6-基)硼酸、0.47g(3.4mmol)的 K_2CO_3 和2g(111mmol)的 H_2O ,之后回流搅拌6小时。将该混合物在室温下继续留置12小时,之后加入到40ml H_2O 中。重复用 CH_2Cl_2 萃取该混合物,然后将合并的有机相用 Na_2SO_4 干燥并浓缩。通过硅胶柱色谱法纯化,使用的流动相为庚烷/乙酸乙酯(3/7),得到0.16g(36%)产物。 ^1H-NMR ($CDCl_3$): δ 8.30, 8.00, 7.55(3m, 5H, C_6H_5), 7.60, 7.55(2d, 2H, 苯并噁唑环), 7.30(s, 1H, 吡啶) 4.85(bs, 2H, NH_2), 4.00(s, 3H, $COOCH_3$)

[0325] 2. 制备4-氨基-3-氯-6-(1,3-苯并噁唑-6-基)吡啶-2-羧酸甲酯(实例编号8.013)

[0326] 将0.024g(0.03mmol)的 $(PPh_3)_2PdCl_2$ 添加至0.3g(1.13mmol)4-氨基-6-溴-3-氯吡啶-2-羧酸甲酯的20ml二氧杂环己烷溶液中,并将该混合物在室温(RT)下搅拌30分钟。之后向该混合物中接连地加入0.28g(1.13mmol)的6-(4,4,5,5-四甲基-1,3,2-二氧杂戊硼烷-2-基)-1,3-苯并噁唑、0.47g(3.4mmol)的 K_2CO_3 和2g(111mmol) H_2O ,之后回流搅拌6小时。之后将该混合物在室温下继续留置12小时,之后加入到40ml H_2O 中。重复用 CH_2Cl_2 萃取该混合物,然后将合并的有机相用 Na_2SO_4 干燥并浓缩。通过硅胶柱色谱法纯化,使用的流动相为庚烷/乙酸乙酯(3/7),得到0.1g(29%)产物。 ^1H-NMR ($CDCl_3$): δ 8.25(s, 1H, 苯并噁唑), 8.15(s,

1H, 苯并噁唑), 7.90, 7.80 (2d, 2H, 苯并噁唑), 7.20 (s, 1H, 吡啶), 4.80 (bs, 2H, NH₂), 4.00 (s, 3H, COOCH₃).

[0327] 3. 制备6-氨基-5-氯-2-(2-甲基-1,3-苯并噁唑-5-基)嘧啶-4-羧酸甲酯(实例编号30.014)

[0328] 将0.024g(0.03mmol)的(PPh₃)₂PdCl₂添加至0.3g(1.13mmol)6-氨基-2-溴-5-氯吡啶-4-羧酸甲酯的20ml二氧杂环己烷溶液中,并将该混合物在室温(RT)下搅拌30分钟。之后向该混合物中接连地加入0.29g(1.13mmol)的2-甲基-5-(4,4,5,5-四甲基-1,3,2-二氧杂戊硼烷-2-基)-1,3-苯并噁唑、0.47g(3.4mmol)的K₂CO₃和2g(111mmol)的H₂O,之后将混合物回流搅拌6小时。之后将该混合物在室温下继续留置12小时,之后加入到40ml H₂O中。重复用CH₂Cl₂萃取该混合物,然后将合并的有机相用Na₂SO₄干燥并浓缩。通过硅胶柱色谱法纯化,使用的流动相为庚烷/乙酸乙酯(3/7),得到0.06g(17%)产物。¹H-NMR(CDCl₃): δ8.65(d, 1H, 苯并噁唑), 8.40(dd, 1H, 苯并噁唑), 7.50(d, 1H, 苯并噁唑), 5.55(bs, 2H, NH₂), 4.05(s, 3H, COOCH₃) 2.70(s, 3H, -CH₃).

[0329] 在下表中,列出本发明的一些化合物的NMR数据以进行表征。

[0330]

| 实例编号 | ¹ H-NMR δ (ppm) |
|-------|---|
| 1.012 | CDCl ₃ : 8.40d, 1H; 7.83d, 1H; 7.65, 1H; 7.53d, 1H; 7.12s, 1H; 4.80bs, 2H, NH ₂ ; |

[0331]

| 实例编号 | ¹ H-NMR δ (ppm) |
|--------|--|
| | 4.05s, 3H, COOMe |
| 1.038 | CDCl ₃ : 8.40d, 1H; 7.62d, 1H; 7.57d, 1H; 7.20s, 1H; 6.70d, 1H; 4.80bs, 2H, NH ₂ ; 4.00s, 3H, COOMe |
| 2.012 | CDCl ₃ : 8.40d, 1H; 7.92d, 1H; 7.88dd, 1H; 7.46d, 1H; 7.39d, 1H; 7.18s, 1H; 4.8bs, NH ₂ ; 4.02s, 3H, COOMe |
| 2.038 | CDCl ₃ : 8.40d, 1H; 7.60d, 1H; 7.40d, 1H; 7.35d, 1H; 7.25s, 1H; 4.85bs, 2H, NH ₂ ; 4.00s, 3H, COOMe |
| 8.007 | DMSO-d ₆ : 8.45d, 2H; 8.20m, 1H; 7.80s, 1H; 7.70m, 3H; 7.40m; 1H |
| 8.013 | CDCl ₃ : 8.30s, 1H; 8.13s, 1H; 8.05d, 2H; 7.62d, 1H; 7.13s, 1H; 4.82bs, NH ₂ ; 4.0s, 3H, COOMe |
| 8.014 | CDCl ₃ : 8.10s, 1H; 7.90d, 1H; 7.50d, 1H; 7.10s, 1H; 4.70bs, 2H, NH ₂ ; 4.00s, 3H, COOMe; 2.65s, 3H, CH ₃ |
| 8.019 | CDCl ₃ : 8.30m, 2H; 8.15m, 1H; 7.59m, 4H; 7.18m, 1H; 4.95bs, 2H, NH ₂ ; 4.05s, 3H, COOMe |
| 8.039 | CDCl ₃ : 7.85s, 1H; 7.70d, 1H; 7.30d, 1H; 7.10s, 1H; 4.95bs, 2H, NH ₂ ; 4.80bs, 2H, NH ₂ ; 4.00s, 3H, COOMe |
| 9.013 | CDCl ₃ : 9.00s, 1H; 8.60s, 1H; 8.12d, 1H; 8.00d, 1H; 7.20s, 1H; 4.85bs, 2H, NH ₂ ; 4.00s, 3H, COOMe |
| 9.014 | CDCl ₃ : 8.40s, 1H; 8.00d, 1H; 7.85d, 1H; 7.18s, 1H; 4.80bs, 2H, NH ₂ ; 4.00s, 3H, COOMe |
| 10.013 | CDCl ₃ : 8.25s, 1H; 8.15s, 1H; 8.92d, 1H; 8.82d, 1H; 7.18s, 1H; 4.80bs, 2H, NH ₂ ; 4.00s, 3H, COOMe |
| 10.014 | CDCl ₃ : 8.10s, 1H; 7.82d, 1H; 7.68d, 1H; 7.14s, 1H; 4.80bs, 2H, NH ₂ ; 4.00s, 3H, COOMe; 2.68s, 3H, CH ₃ |
| 10.019 | CDCl ₃ : 8.30m, 2H; 8.00dd, 1H; 7.60d, 1H; 7.57m, 3H; 7.30s, 1H; 4.85bs, 2H, NH ₂ ; 4.00s 3H, COOMe |
| 10.023 | CDCl ₃ : 8.30m, 2H; 7.73d, 1H; 7.67s, 1H; 7.60m, 3H; 7.14s, 1H; 4.90bs, 2H, NH ₂ ; 4.00s, 3H, COOMe |
| 11.014 | CDCl ₃ : 8.50s, 1H; 7.98d, 1H; 7.90d, 1H; 7.15s, 1H; 4.85bs, 2H, NH ₂ ; 4.00s, 3H, COOMe; 2.85s, 3H, CH ₃ |
| 14.061 | CDCl ₃ : 8.20s, 1H; 7.80d, 1H; 7.65d, 1H; 7.60d, 1H; 7.15s, 1H; 6.78d, 1H; 4.80bs, 2H, NH ₂ ; 4.02s, 3H, COOMe |
| 14.081 | CDCl ₃ : 7.85dd, 1H; 7.70d, 2H; 7.40d, 2H; 7.30s, 1H; 6.70d, 1H; 4.80bs, 2H, NH ₂ ; 4.00s, 3H, COOMe |
| 15.061 | CDCl ₃ : 8.50s, 1H; 7.89d, 1H; 7.85d, 1H; 7.50d, 1H; 7.35d, 1H; 7.20s, 1H; 4.80bs, 2H, NH ₂ ; 4.03s, 3H, COOMe |
| 16.064 | CDCl ₃ : 8.10s, 1H; 8.00bs, 1H, NH; 7.60m, 2H; 7.20s, 1H; 7.00s, 1H; 4.70bs, 2H, NH ₂ ; 4.00s, 3H, COOMe; 2.35s, 3H, CH ₃ |
| 16.073 | CDCl ₃ : 8.10bs, 1H, NH; 7.95s, 1H; 7.50m, 2H; 7.10s, 1H; 6.20s, 1H; 4.75bs, 2H; NH ₂ ; 4.00s, 3H, COOMe; 2.45s, 3H, CH ₃ |
| 24.012 | CDCl ₃ : 8.84s, 1H; 8.35d, 1H; 7.93d, 1H; 7.48d, 1H; 7.42d, 1H; 5.60bs, 2H, NH ₂ ; 4.00s, 3H; COOMe |

[0332]

| 实例编号 | ¹ H-NMR δ (ppm) |
|--------|---|
| 30.014 | CDCl ₃ : 8.65s, 1H; 8.40d, 1H; 7.50d, 1H; 5.60bs, 2H, NH ₂ ; 4.05s, 3H, COOMe; 2.70s, 3H, CH ₃ |
| 31.013 | CDCl ₃ : 9.15s, 1H; 9.05s, 1H; 8.50d, 1H; 8.00d, 1H; 5.60bs, 2H, NH ₂ ; 4.05s, 3H, COOMe |
| 32.013 | CDCl ₃ : 8.60s, 1H; 8.45d, 1H; 8.18s, 1H; 7.70d, 1H; 5.60bs, 2H, NH ₂ ; 4.05s, 3H, COOMe |
| 33.014 | CDCl ₃ : 8.85s, 1H; 8.45d, 1H; 7.95d, 1H; 5.60bs, 2H, NH ₂ ; 4.00s, 3H, COOMe; 2.85s, 3H, CH ₃ |
| 38.061 | CDCl ₃ : 8.48s, 1H; 8.30bs, NH; 8.15d, 1H; 7.70d, 1H; 7.30d, 1H; 6.60d, 1H; 5.50bs, 2H, NH ₂ ; 4.00s, 3H, COOMe |

[0333] B. 制剂实施例

[0334] 1. 粉末剂

[0335] 粉末剂通过以下方式获得:将10重量份的通式(I)的化合物与90重量份的作为惰性物质的滑石混合,并将混合物在锤磨机中粉碎。

[0336] 2. 分散性粉剂

[0337] 易分散于水中的可湿性粉剂通过以下方式获得:将25重量份的通式(I)的化合物、64重量份的作为惰性物质的含高岭土的石英、10重量份的木素磺酸钾和1重量份的作为湿润剂和分散剂的油酰基甲基牛磺酸钠混合,将混合物在销盘式研磨机(pinned-disk mill)中研磨。

[0338] 3. 分散浓缩剂

[0339] 易分散于水中的分散浓缩剂通过以下方式获得:将20重量份的通式(I)的化合物与6重量份的烷基酚聚乙二醇醚(**®Triton X 207**)、3重量份的异十三烷醇聚乙二醇醚(8E0)和71重量份的石蜡矿物油(沸程为例如约255°C至277°C以上)混合,并将混合物在球磨机中研磨至低于5微米的细度。

[0340] 4. 乳化浓缩剂

[0341] 乳化浓缩剂由以下获得:15重量份的通式(I)的化合物、75重量份的作为溶剂的环己酮和10重量份的作为乳化剂的氧乙基化壬基苯酚。

[0342] 5. 水分散性颗粒剂

[0343] 水分散性颗粒剂通过以下方式获得:将以下物质混合

[0344] 75重量份的通式(I)的化合物、

[0345] 10重量份的木素磺酸钙、

[0346] 5重量份的十二烷基硫酸钠、

[0347] 3重量份的聚乙烯醇和

[0348] 7重量份的高岭土,

[0349] 将混合物在销盘式研磨机中研磨,并在流化床中通过在其上喷洒作为制粒液体的水而粒化该粉末。

[0350] 水分散性颗粒剂还通过以下方式获得:将以下物质在胶体磨机中均匀化并预粉碎:

[0351] 25重量份的通式(I)的化合物、

- [0352] 5重量份的2,2'-二萘基甲烷-6,6'-二磺酸钠、
- [0353] 2重量份的油酰基甲基牛磺酸钠、
- [0354] 1重量份的聚乙烯醇、
- [0355] 17重量份的碳酸钙、和
- [0356] 50重量份的水，
- [0357] 随后在球磨机中研磨该混合物，并在喷雾塔中通过单物质喷嘴将所得悬浮液雾化并干燥。

[0358] C. 生物实施例

[0359] 1. 抵抗有害植物的苗前除草作用

[0360] 将单子叶和双子叶有害植物的种子或根茎部分置于盛有砂质壤土的9厘米至13厘米直径的盆中，并用土壤覆盖。配制为乳化浓缩剂或粉末剂的除草剂以水分散液或悬浮液或乳液的多种剂型施用至覆盖土壤的表面，水施用率为300至800l/ha(经换算)。将盆置于温室中并保持最优的条件下以对植物进一步培育。将测试植物置于温室中在最优生长条件下保持3周至4周后，目测评估本发明的化合物的活性。例如，化合物1.012、1.038、14.061和15.061各自在320g/ha的施用率下对抗稗草(*Echinochloa crus galli*)、苘麻(*Abutilon theophrasti*)、反枝苋(*Amaranthus retrofractus*)、无臭母菊(*Matricaria inodora*)、繁缕(*Stellaria media*)和阿拉伯婆婆纳(*Veronica persica*)显示出了至少90%的活性。

[0361] 2. 对有害植物的苗后除草作用

[0362] 将单子叶和双子叶有害植物的种子置于盛有砂质壤土的纸板盆中，用土壤覆盖并置于温室中，在良好生长条件下栽培。在播种两到三周后，在三叶期处理测试植物。将配制为可湿性粉剂或乳化浓缩剂的本发明化合物喷洒至植物的绿色部位的表面，水施用率为600至800l/ha(经换算)。将测试植物置于温室中于最佳生长条件下保持3周至4周后，目测评估本发明的化合物的效果。例如，化合物1.012、14.061和15.061各自在80g/ha的施用率下对抗稗草(*Echinochloa crus galli*)、苘麻(*Abutilon theophrasti*)、反枝苋(*Amaranthus retrofractus*)和无臭母菊(*Matricaria inodora*)显示出了至少90%的活性。