

SCI Day of Science and Careers Being a patent attorney

Darren Smyth

Partner, EIP

dsmyth@eip.com



What is a patent attorney?



A patent attorney is

- A lawyer
- Specialised in the legal protection of inventions
- Mostly obtaining protection
 - —Drafting patent applications
 - —Arguing with patent offices
- Also advising on rights, eg licensing, freedom to operate
- And enforcement of rights, eg litigation



You get to work with

- Inventors and research teams
- Commercial and marketing teams
- Patent attorneys in other countries
- Patent examiners at UK and European Patent Offices
- Other lawyers (solicitors, barristers)



What do you need?



Essential and desirable qualifications

- A science or engineering degree
- Further degree is optional, but not unusual
- Proficiency at written English
- Foreign languages an asset
- Attention to detail
- Good communication and analytical skills



Qualification route

- Must be done under supervision of patent attorney (patent attorney firm or in-house department)
- First level exams Patent Examination Board or University course (Queen Mary, Bournemouth, Brunel)
- Final UK exams
- Two sets of exams for qualification before European Patent Office exam and "pre-exam"
- Expect to take 4 to 6 years
- Involves large amount of private study and for many their first experience of exam failure



Not all patents are complicated



[54]	PRODUCTION	ON OF VIDA			[58]	Field of Search		
Isaa	cs et al.	in entre in the					[45]	Oct. 17, 1972
United States Patent			٠.			[15] 3,699,222		

What is claimed is:

- 1. Interferon.
- 2. Human interferon.
- 3. Monkey interferon.
- 4. Chick interferon.



United States Patent Office

2,699,054 Patented Jan. 11, 1955

What is claimed is:

- 1. A compound chosen from the group consisting of tetracycline, the mineral acid salts of tetracycline, the alkali metal salts of tetracycline and the alkaline earth metal salts of tetracycline.
 - 2. Tetracycline.
 - 3. Mineral acid salts of tetracycline.
 - 4. Alkali metal salts of tetracycline.
 - 5. Alkaline earth metal salts of tetracycline.
 - 6. Tetracycline hydrochloride.



UNITED STATES PATENT OFFICE

2,230,654

TETRAFLUOROETHYLENE POLYMERS

I claim:

1. Polymerized tetrafluoroethylene.

2. The process of polymerizing tetrafluoroethylene which comprises subjecting it to superatmospheric pressure.

3. The process of polymerizing tetrafluoroethylene which comprises subjecting it to superatmospheric pressure in the presence of a catalyst.



United States Patent Office

3,156,523 Patented Nov. 10, 1964

What is claimed is:

- 1. Element 95.
- 2. The isotope of element 95 having the mass number 241.
- 3. The isotope of element 95 having the mass number 242.



But often they are...

(19) United States

(12) Patent Application Publication (10) Pub. No.: US 2015/0141399 A1

Aay et al.

May 21, 2015 (43) **Pub. Date:**



MEK INHIBITORS AND METHODS OF THEIR USE

Publication Classification

1. A compound of formula I:

defined in Group A, Group B, Group C, or Group D:

As a sylene optionally substituted with one, two, farce or four groups selected from R¹⁰, R¹³, R¹⁴, R¹⁵, and R¹⁹ where R¹⁰, R¹², R¹⁴ and R¹⁶ are independently hydro-gen, alkyl, alkenyl, alkynyl, halo, halonkoxy, hydroxy, alkoxy, amino, alkylamino, dialkylamino, balcelkyl, —NHS(O)₂R*, —CN, —C(O)R*, —C(O)OR*, —C(O) NR*R* and —NR*C(O)R* and where R¹⁹ is hydrogen,

X is alkyl, halo, haloalkyl, or haloalkoxy;

A B 1933, Barea anomaly, a see independently hydrogen, lade, nitro, —NR 187, —OR 3, —NI 1800, R*, —CO, —SO, "R*, =SO, "NR **, —OR 3, —COO 26, —COO 26, —SO, —NR **, —COO 26, —COO 26, —SO, —COO 26, — (NR***R***), — CH₂NR** CL=NH₂NR* K — CH₂NR**C(=NH₂)(N₂), — CH₂NR**C (=NH₂)(NR***)(CN), — CH₂NR**C(=NH₂)(R** — CH₂NR**C(NR***R***) — CH₂(NO₂), alkyl, alkeryl allowyl, cyclonleyl, heteroaryl, or heterocycloalkyl where the alkyl, alkenyl, alkynyl, cycloalkyl, hetercaryl and heterocyclocikyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloulkyl, nitro, optionally substituted cycloulkyl optionally substituted heterocycloulkyl, optionally subconcumy substances necess/cosms/, openeamy substances necess/cosms/, openeamy substances are poincingly substituted are label, optionally substituted heteroscyl, —OR, —NR*R*, —NR*(O)OR, R*, —C(O)R*, —C(O)OR*, —C(O)NR*, —NR*(C)OR* R**, —NR*(C)OR* and —NR*(C)OR*; or one of R* and R* together with the carbon to which they are attached, R3 and R2 together with the carbon to which they are attached, and R2 and R6 together with the carbon to which they are attached

m is 0, 1, or 2;

R7 is hydrogen, halo or alkyl:

R⁸, R⁹ and R⁸ are independently selected from hydrogen, hydroxy, optionally substituted alkexy, alkyl, alkenyl, allowl, and, evelcalled, heteroard, and heteroecloalkyl; where the alkyl, alkenyl, alkynyl, aryl, eveloalkyl, heteroaryl, and heterocycloalkyl are inde-

four, or five groups independently selected from alkyl. halo, hydroxy, hydroxyalkyl, optionally substituted alkoxy, alkoxyalkyl, haloalkyl, carboxy, alkoxycarboalkenyloxycarbonyl, optionally substituted eveloalkyl, ontionally substituted eveloalkyloxycarbonyl, optionally substituted aryl, optionally substituted aryloxy, optionally substituted aryloxycarbonyl, optionally substituted arylalkyl, optionally substituted arylalkyloxy, optionally substituted arylalkyloxycarbonyl, nitro, cyano, optionally substituted heterocycloalkyl, optionally substituted heterouryl, -S(O), R31 (where of is 0, 1, or 2 and R³¹ is optionally substituted alkyl, optionally substituted aryl, optionally substituted hererocycloalityl, or optionally substituted heteroaryl), —NR³⁶SO₂R³⁶² (where R³⁶ is hydrogen or alkyl and R^{36a} is alkyl, alkenyl, cycloulkyl, aryl, beteroaryl, or beterocycloulkyl), —SO₂NR³⁵R^{35a} (where R³⁵ is hydrogen or alkyl and R352 is alkyl, alkenyl, cycloalkyl, aryl, heteroaryl, or heterocycloalkyl), -NR32C(O)R3 (where R32 is hydrogen or alkyl and R32a is alkyl, alkenyl, alkoxy, or cycloalkyl), -NR³⁰R³⁰ (where R³⁰ and R³⁰ are independently hydrogen, alkyl, or hydroxyalkyl), and -C(O)NR33R33a (where R33 is hydrogen o affeyl and R33 a fix a/kyl, affeyryl, affeyryl, or cycleo/kyl):

R9 is alkyl, alkenyl, alkynyl, aryl, cycloalkyl, beteroaryl and heterocyclos/kyl; where the alkyl, alkenyl, alkynyl, aryl, eyelculicyl, heteroaryl, and heterocycloslicyl are independently optionally substituted with one, two, three, four, or five groups selected from halo, hydroxy, alkyl, halcalkyl, halcalkoxy, amine, alkylamino, and dialkylamino:

A is heterony/ene optionally substituted with one, two, three, or four groups selected from R¹⁰, R¹², R¹⁴, R¹⁸ and R¹⁷ where R¹⁶, R²⁷, R¹⁴ and R¹⁸ are independently hydrogen, 30(4), alternyl, allynyl, Jush, Jakobaloxsy, hydroxy, allovy, cyano, antino, alkylamino, dialkylamino, halculkyl, alkylsulfonylamino, alkylcurbonyl, alkenylcurbonyl, alkoxycurbonyl, alkenyloxycurbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocar-bonyl, or alkylcarbonylamino; where R19 is hydrogen, alkyl, or alkenyl; and where each alkyl and alkenyl, either alone or as part of another group within R¹⁰, R¹², R¹⁴, R¹⁶, and R¹⁰, is independently optionally substi-

X is alkyl, halo, halcolkyl, or haloalkoxy;

R¹, R², R³, R⁴, R⁴ and R⁶ are independently hydrogen, halo, nitro, NR³R⁸, OR³, NHS(O)₂R⁵, CN, -S(O)₂R³, -S(O)₂NR³R⁸, -C(O)R³, -C(O)R⁸, -C(O)NR³R⁹, -NR³C(O)OR³, -NR⁴C(O)NR⁵R⁸, -NR⁵C(O)OR⁸, -NR⁸C(O)R⁸, -CH₂N(R NR²⁵/R²⁵⁶), -CH₂NR²⁵C(-NH)(NR²⁵/R²⁶ (NR²⁻⁵R²⁻⁵), — CH.NR²C(=NII)(NR²⁻¹R²⁻⁵), — CH.NR²⁻⁵C(=NII)(NR²⁻⁵R²⁻⁵), — CH.NR²⁻⁵C(—NII)(NR²⁻⁵R²⁻⁵), — CH.NR²⁻⁵C(=NII)(NR²⁻⁵R²⁻⁵C(=NII)(R²⁻⁵R²⁻⁵C(=NII)(R²⁻⁵R²⁻⁵R²⁻⁵C(=NII)(R²⁻⁵ where the alkyl, alkenyl, alkynyl, cycloalkyl, beteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloolkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally sub-stituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, —OR*, —NR*R*, —NR*S(O) -R*, —CN, —S(O), R*, —C(O)R*, —C(O)OR*, —C(O)NR*R*, —NR*C(O)NR*R*, —NR*C(O)OR* and -NR*C(O)R*; or one of R1 and R2 together with the carbon to which they are attached. R3 and R4 together with the carbon to which they are attached, and R5 and R6 together with the carbon to which they are attached

m is 1 or 2;

R7 is hydrogen, halo or alkyl; and

R8, R8 and R8 are independently selected from hydrogen hydroxy, optionally substituted alkexy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, cycloalkyl, heteroaryl, and heterocyclosikyl, where the alkyl, alkenyl, alkynyl, aryl, cyclosikyl, heteroaryl, and heterocyclosikyl are independently optionally substituted with one, two three, four, or five groups independently selected from alkyl, halo, hydroxy, hydroxyalkyl, optionally substituted alkoxy, alkoxyalkyl, haloalkyl, carboxy, carboxy ester, nitro, cvano, —S(O), R³¹ (where n is 0, 1, or 2 and R²¹ is entionally substituted eveloalkyl, ontionally substitutes epitonary substituted cyclonary, optomary substituted beterocyclonlist, or optionally substituted beteroaryl), —NR ³⁶⁵(O), R ³⁶⁶ (where R ³⁶ is hydrogen, alkyl, or alk-enyl and R ³⁶⁶ is alkyl, alkenyl, optionally substituted aryl, optionally substituted cyclonliyl, optionally sub-

stituted heterocycloalkyl, or optionally substituted heterocryly, —S(O)₂NR²⁷R^{37a} (where R³⁷ is hydrogen, alkyl, or alkenyl and R^{37a} is alkyl, alkenyl, optionally substituted aryl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), optionally substituted cyclealicyl, optionally substituted heterocyclealicyl. ptionally substituted aryl, optionally substituted aryla lkyl, optionally substituted arylexy, optionally substituted arylalkyloxy, optionally substituted heteroaryl, —NHC(O)R¹² (where R³² is alkyl, alkenyl, alkoxy, or cyclealkyl) and -NR³⁰R³⁰ (where R³⁰ and R³⁰ are independently hydrogen, alkyl, or hydroxyalkyl), and —C(O)NHR³³ (where R³³ is alkyl, alkenyl, alkynyl, or cyclculicyl):

where R¹⁰ is hydrogen, alkyl, alkenyl, alkynyl, halo, haloelkoxy, hydroxy, alkexy, amino, alkylamino, dinlkylamino, haloelkyl, —NHS(O)₂R⁸, —CN, —C(O) R*, -C(O)OR*, -C(O)NR*R* and NR*C(O)R*

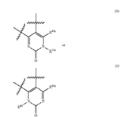
R 13s is hydrogen, alkyl, or alkenyl

X is alkyl, halo, haloalkyl, or haloalkoxy;

R1. R2. R3. R4. R5 and R6 are independently hydrogen balo, aitro, —NR*R*, —OR*, —NFIS(O)₂R*, —CN, —S(O)₂R*, —S(O)₂NR*R*, —C(O)R*, —C(O)OR*, —C(O)NR*R*, —NR*C(O)OR*, —NR*C(O)NR*R*, $-NR^sC(O)OR^s$, $-NR^sC(O)R^s$, -CH.NR25C(-NH)(NR25aR25) (NC"K"). — CH₂NK"C(=NH)(NK"K"). —CH₂NK³C(=NH)(N(R²⁵)(NO₂)). —CH₂NR²⁵C (—NI)(N(R²⁵)(CN)). —CH₂NR²⁵C(=NI)(R²⁵). —CH₂NR²⁵C(NR²⁵-R²⁵)—CH(NO₂), alkyl, alkenyl, alicynyl, cycloalicyl, hetercuryl, or heterocycloalicyl where the alkyl, alkenyl, alkynyl, cycloalkyl, heterogryl stituted with one, two, three, four, five, six or seven strated wan one, two, taree, four, five, six or seven groups independently selected from halo, alcyl, haloulkyl, nitro, optionally substituted cycloallyl, optionally substituted heterocycloallyl, optionally sub-stituted aryl, optionally substituted arylalcyl, optionally substituted heteroarys, —OR*, —NR*R*, —NR*S(O)
_R*, —CN, —S(O)_R*, —C(O)R*, —C(O)OR*,
—C(O)NR*R*, —NR*C(O)NR*R*, —NR*C(O)OR*
and —NR*C(O)R*; or one of R¹ and R² together with the carbon to which they are attached, R3 and R4 together with the carbon to which they are attached, and R5 and R6 together with the carbon to which they are attached

R7 is hydrogen, halo or alkyl; and

R8, R8 and R4, are independently selected from hydrogen hydroxy, optionally substituted alkoxy, alkyl, haloalkyl alkenyl, alkynyl, aryl, cyclonikyl, heteroaryl, and het-erocyclonikyl, where the alkyl, alkenyl, alkynyl, aryl, cycloalkyl, beteroaryl, and heterocycloalkyl are inde-pendently optionally substituted with one, two three penseury optionally substitutes with one, wo five, four, or five groups independently selected from alkyl, halo, hydroxy, hydroxyalkyl, optionally substituted alkoxy, alkoxyalkyl, huloalkyl, carboxy, carboxy esser, nitro, cyano, —S(O)₀R³¹ (where n is 0, 1, or 2 and R³¹ is optionally substituted alkyl, optionally substituted and optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, or optionally substituted heteroryl). neterocyclosuky, i.e. opponinisy insustanien testerosty), "NR" "SO(9), R" o (where R" is hydrogen, alkyi, or all-enyl and R. "o is a lkyl, alkemyl, optionally substituted anyl, optionally substituted cyclosukyl, optionally sub-stituted heseocyclosukyl, or optionally substituted heseocyclosukyl, or optionally optionally substituted heseocyclosukyl, or optionally optiona substituted aryl, optionally substituted cyclealkyl optionally substituted heterocyclealkyl, or optionally substituted heteroaryl), optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted aryla lkyl, optionally substituted aryloxy, optionally substituted arylalkyloxy, optionally substituted heteroaryl, —NHC(O/R^{3,2} (where R^{3,2} is alkyl, alkeryl, alkoxy, or cycloalkyl) and —NR³⁰R³⁰ (where R^{3,3} and R³⁰ are independently hydrogen, alkyl, or hydroxyalkyl), and —C(O)NHR^{3,5} (where R^{3,5} is alkyl, alkenyl, alkynyl, or eveloalkylk or



R*0 and R*0s are independently hydrogen or alkyl; X is alkyl, halo, haloalkyl, or haloalkoxy;

alkynyl, cycloelkyl, heteroaryl, or heterocycloalkyl where the alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl and heterocyclonicyl are independently optionally sub stituted with one, two, three, four, five, six or seve groups independently selected from halo, alkyl haloalkyl, nitro, optionally substituted cycloalkyl optionally substituted heterocycloalkyl, optionally sub-stituted aryl, optionally substituted aryla kyl, optionally substituted heteroaryl, OR*, NR*R*, NR*S(O)

_R*, -C(O)R*, -C(O)R*, -C(O)OR*,

_C(O)NR*R*, -NR*C(O)NR*R*, -NR*C(O)OR*
and -NR*C(O)R*; cr one of R¹ and R* together with the carbon to which they are attached, R3 and R4 together with the carbon to which they are attached, and R3 and R6 together with the carbon to which they are attached form C(C) or C(=NOH);

m is 1 or 2:

R' is hydrogen, halo or alkyl; and

R is ayaragen, not or any, and a selected from hydrogen, hydroxy, optionally substituted alloxy, ally, haleallyd, alkenyl, aliyayi, aryl, cycleallyd, heteroaryl, and heterocycleallyd, where the alixyl, alkenyl, allyayi, aryl. cycloslkyl, heteroryl, and heterocycloslkyl are inde-pendently optionally substituted with one, two three four, or five groups independently selected from alkyl, halo, hydroxy, hydroxyalkyl, optionally substituted alkoxy, alkoxyalkyl, haloalkyl, carboxy, carboxy ester nitro, cyano, —S(O). R³¹ (where n is 0, 1, or 2 and R³ is optionally substituted alkyl, optionally substituted

aryl, optionally substituted cycloalkyl, optionally sub asyl, openantly substituted eyeroaxyl, optomatly sub-stituted heterocycloalkyl, or optionally substituted het-erours[], —NR¹⁶⁵(O)_R²⁶⁴ (where R⁶⁶ is Irydrogan, alkyl, or alkenyl and R³⁶⁶ is alkyl, alkenyl, optionally substituted aryl, optionally substituted cycloatkyl, ontionally substituted betweencycloallyd, or ontionally substituted heteroaryl), —S(O)₂NR³⁷R³⁷s (where R³⁷i: hvdrozen, alkyl, or alkenyl and R³⁷i is alkyl, alkenyl optionally substituted aryl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, or cyclonary, optionary substituted neterocyclonary, or optionally substituted heteroaryl), optionally substi-tuted cyclonlicyl, optionally substituted heterocy-clonlikyl, optionally substituted arylankyl, optionally substi-tuted arylalkyl, optionally substituted arylanky, optionally substituted arylalkyloxy, optionally substi-tuted heteroaryl, —NHC(O)R 52 (where R 32 is alkyl, alktines useen style — NYPL(D)K** (where K*** Is alky), alk-enyl, alknoy, or cycloallyl) and — NR NoR Ne (where R** and R N** are independently hydrogen, alkyl, or hydroxy-alkyl), and — C(O)NHR** (where R**) is alkyl, alkenyl, We claim:

1. A compound of formula I:

or a pharmaceutically acceptable salt or solvate, thereof, wherein A, X, R¹, R², R³, R⁴, R⁵, R⁶, and R⁷ are as defined in Group A, Group B, Group C, or Group D:

Group A:

A is arylene optionally substituted with one, two, three or four groups selected from R¹⁰, R¹², R¹⁴, R¹⁶, and R¹⁹ where R¹⁰, R¹², R¹⁴ and R¹⁶ are independently hydrogen, alkyl, alkenyl, alkynyl, halo, haloalkoxy, hydroxy, alkoxy, amino, alkylamino, dialkylamino, haloalkyl, —NHS(O)₂R⁸, —CN, —C(O)R⁸, —C(O)OR⁸, —C(O) NR⁸R⁸ and —NR⁸C(O)R⁸ and where R¹⁹ is hydrogen, alkyl, or alkenyl;

X is alkyl, halo, haloalkyl, or haloalkoxy;

R1, R2, R3, R4, R5 and R6 are independently hydrogen, halo, nitro, —NR⁸R⁸, —OR⁸, —NHS(O)₂R⁸, —CN, $-S(O)_{-}R^{8}$, $-S(O)_{-}NR^{8}R^{8}$, $-C(O)R^{8}$, $-C(O)OR^{8}$. —C(O)NR⁸R⁸',—NR⁸C(O)OR⁸',—NR⁸C(O)NR⁸'R⁸'', $-NR^8C(O)OR^{8'}$, $-NR^8C(O)R^{8'}$, $-CH_2N(R^{25})$ $(NR^{25a}R^{25b}),$ $-CH_2NR^{25}C(=NH)(NR^{25a}R^{25b})$ $-CH_2NR^{25}C(=NH)(N(R^{25a})(NO_2)), -CH_2NR^{25}C(=NH)(N(R^{25a})(NO_2))$ $(=NH)(N(R^{25a})(CN)), -CH_2NR^{25}C(=NH)(R^{25}).$ -CH2NR25C(NR25aR25b)=CH(NO2), alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl; where the alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, —OR8, —NR8R8, —NR8S(O) $_{2}R^{9}$, —CN, —S(O), $_{m}R^{9}$, —C(O)R⁸, —C(O)OR⁸ -C(O)NR⁸R⁸, -NR⁸C(O)NR⁸R⁸", -NR⁸C(O)OR⁸ and -NR8C(O)R8; or one of R1 and R2 together with the carbon to which they are attached, R3 and R4 together with the carbon to which they are attached, and R5 and R⁶ together with the carbon to which they are attached form C(O) or C(=NOH);

m is 0, 1, or 2;

R⁷ is hydrogen, halo or alkyl;

R⁸, R⁸ and R⁸" are independently selected from hydrogen, hydroxy, optionally substituted alkoxy, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl; where the alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two three,

four, or five groups independently selected from alkyl, halo, hydroxy, hydroxyalkyl, optionally substituted alkoxy, alkoxyalkyl, haloalkyl, carboxy, alkoxycarbonyl, alkenyloxycarbonyl, optionally substituted cycloalkyl, optionally substituted cycloalkyloxycarbonyl, optionally substituted aryl, optionally substituted aryloxy, optionally substituted aryloxycarbonyl, optionally substituted arylalkyl, optionally substituted arylalkyloxy, optionally substituted arylalkyloxycarbonyl, nitro, cvano, optionally substituted heterocycloalkyl, optionally substituted heteroaryl, -S(O), R31 (where n is 0, 1, or 2 and R31 is optionally substituted alkyl, optionally substituted aryl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), -NR34SO2R34a (where R34 is hydrogen or alkyl and R34α is alkyl, alkenyl, cycloalkyl, aryl, heteroaryl, or heterocycloalkyl), -SO₂NR³⁵R^{35a} (where R³⁵ is hydrogen or alkyl and R35a is alkyl, alkenyl, cycloalkyl, aryl, heteroaryl, or heterocycloalkyl), -NR32C(O)R32a (where R32 is hydrogen or alkyl and R32a is alkyl, alkenyl, alkoxy, or cycloalkyl), -NR30R30' (where R30 and R30' are independently hydrogen, alkyl, or hydroxyalkyl), and -C(O)NR33R33a (where R33 is hydrogen or alkyl and R^{33a} is alkyl, alkenyl, alkynyl, or cycloalkyl); and

R⁹ is alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl; where the alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, or five groups selected from halo, hydroxy, alkyl, haloalkyl, haloalkoxy, amino, alkylamino, and dialkylamino;

Group B:

A is heteroarylene optionally substituted with one, two, three, or four groups selected from R¹⁰, R¹², R¹⁴, R¹⁶ and R¹⁹ where R¹⁰, R¹², R¹⁴ and R¹⁶ are independently hydrogen, alkyl, alkenyl, alkynyl, halo, haloalkoxy, hydroxy, alkoxy, cyano, amino, alkylamino, dialkylamino, haloalkyl, alkylsulfonylamino, alkylcarbonyl, alkenylcarbonyl, alkoxycarbonyl, alkenylcarbonyl, alkoxycarbonyl, dialkylaminocarbonyl, or alkylcarbonylamino; where R¹⁹ is hydrogen, alkyl, or alkenyl; and where each alkyl and alkenyl, either alone or as part of another group within R¹⁰, R¹², R¹⁴, R¹⁶, and R¹⁹, is independently optionally substituted with halo, hydroxy, or alkoxy;

X is alkyl, halo, haloalkyl, or haloalkoxy;

R¹, R², R³, R⁴, R⁵ and R⁶ are independently hydrogen, halo, nitro, —NR⁸R^{8′}, —OR⁸, —NHS(O)₂R⁸, —CN, —S(O)_mR⁸, —S(O)₂NR⁸R^{8′}, —C(O)R⁸, —C(O)OR⁸, —C(O)OR⁸, —NR⁸C(O)OR^{8′}, —NR⁸C(O)OR^{8′}, —NR⁸C(O)OR^{8′}, —CH₂N(R²⁵, (NR²⁵R^{25b}), —CH₂NR²⁵C(—NH)(NR²⁵a^{25b}), —CH₂NR²⁵C(—NH)(NR²⁵a^{25b}), —CH₂NR²⁵C(—NH)(NR²⁵a^{25b}), —CH₂NR²⁵C(—NH)(NR²⁵a^{25b}), —CH₂NR²⁵C(—NH)(NR²⁵a^{25b}), —CH₂NR²⁵C(—NH)(NR²⁵a^{25b}), —CH₂NR²⁵C(NH)(R²⁵a)(CN)), —CH₂NR²⁵C(NH)(R²⁵a)(CN), —CH₂NR²⁵C(NH)(R²⁵a)(CN), alkyl, alkyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl,



haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted arvl, optionally substituted arvlalkyl, optionally substituted heteroaryl, -OR8, -NR8R8', -NR8S(O) ${}_{2}R^{9}$, —CN, —S(O) ${}_{m}R^{9}$, —C(O)R⁸, —C(O)OR⁸ -C(O)NR⁸R⁸', -NR⁸C(O)NR⁸R⁸'', -NR⁸C(O)OR⁸ and —NR⁸C(O)R⁸; or one of R¹ and R² together with the carbon to which they are attached, R3 and R4 together with the carbon to which they are attached, and R5 and R⁶ together with the carbon to which they are attached form C(O) or C(=NOH);

m is 1 or 2;

R⁷ is hydrogen, halo or alkyl; and

R8, R8' and R8" are independently selected from hydrogen, hydroxy, optionally substituted alkoxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl, where the alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two three, four, or five groups independently selected from alkyl, halo, hydroxy, hydroxyalkyl, optionally substituted alkoxy, alkoxyalkyl, haloalkyl, carboxy, carboxy ester, nitro, cyano, -S(O), R31 (where n is 0, 1, or 2 and R31 is optionally substituted alkyl, optionally substituted aryl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), -NR36S(O)2R36a (where R36 is hydrogen, alkyl, or alkenyl and R36a is alkyl, alkenyl, optionally substituted aryl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), —S(O)₂NR³⁷R^{37a} (where R³⁷ is hydrogen, alkyl, or alkenyl and R37a is alkyl, alkenyl, optionally substituted arvl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted aryloxy, optionally substituted arylalkyloxy, optionally substituted heteroaryl, -NHC(O)R32 (where R32 is alkyl, alkenyl, alkoxy, or cycloalkyl) and -NR30R30' (where R30 and R30' are independently hydrogen, alkyl, or hydroxyalkyl), and —C(O)NHR³³ (where R³³ is alkyl, alkenyl, alkynyl, or cycloalkyl);

Group C:

A is

where R10 is hydrogen, alkyl, alkenyl, alkynyl, halo, haloalkoxy, hydroxy, alkoxy, amino, alkylamino, dialkylamino, haloalkyl, —NHS(O), R⁸, —CN, —C(O) R⁸. —C(O)OR⁸. —C(O)NR⁸R⁸ and NR⁸C(O)R⁸:

R^{10a} is hydrogen, alkyl, or alkenyl;

 Y^1 is =CH- or =N-;

X is alkyl, halo, haloalkyl, or haloalkoxy; R1, R2, R3, R4, R5 and R6 are independently hydrogen, halo, nitro, —NR⁸R⁸, —OR⁸, —NHS(O)₂R⁸, —CN, $-S(O)_{m}R^{8}$, $-S(O)_{7}NR^{8}R^{8'}$, $-C(O)R^{8}$, $-C(O)OR^{8}$, —C(O)NR⁸R⁸, —NR⁸C(O)OR⁸, —NR⁸C(O)NR⁸'R⁸",

 $-NR^8C(O)OR^{8'}$. $-NR^8C(O)R^{8'}$. $-CH_2N(R^{25})$ $(NR^{25a}R^{25b}),$ $-CH_2NR^{25}C(=NH)(NR^{25a}R^{25b}),$ $-\text{CH}_2\text{NR}^{25}\text{C}(=\text{NH})(\text{N}(\text{R}^{25a})(\text{NO}_2)), -\text{CH}_2\text{NR}^{25}\text{C}$ $(=NH)(N(R^{25a})(CN)), -CH_2NR^{25}C(=NH)(R^{25})$ —CH₂NR²⁵C(NR^{25a}R^{25b})—CH(NO₂), alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl, where the alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, —OR8, —NR8R8, —NR8S(O) $_{2}R^{9}$, -CN, $-S(O)_{m}R^{9}$, $-C(O)R^{8}$, $-C(O)OR^{8}$, -C(O)NR⁸R⁸', -NR⁸C(O)NR⁸'R⁸'', -NR⁸C(O)OR⁸ and -NR8C(O)R8; or one of R1 and R2 together with the carbon to which they are attached, R3 and R4 together with the carbon to which they are attached, and R5 and R6 together with the carbon to which they are attached form C(O) or C(=NOH);

m is 1 or 2;

R⁷ is hydrogen, halo or alkyl; and

R8, R8 and R8 are independently selected from hydrogen. hydroxy, optionally substituted alkoxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl, where the alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two three, four, or five groups independently selected from alkyl, halo, hydroxy, hydroxyalkyl, optionally substituted alkoxy, alkoxyalkyl, haloalkyl, carboxy, carboxy ester, nitro, cyano, —S(O), R³¹ (where n is 0, 1, or 2 and R³¹ is optionally substituted alkyl, optionally substituted aryl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), -NR36S(O)2R36a (where R36 is hydrogen, alkyl, or alkenvl and R36a is alkyl, alkenyl, optionally substituted aryl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), —S(O)₂NR³⁷R^{37a} (where R³⁷ is hydrogen, alkyl, or alkenyl and R37a is alkyl, alkenyl, optionally substituted aryl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted aryloxy, optionally substituted arylalkyloxy, optionally substituted heteroaryl, —NHC(O)R³² (where R³² is alkyl, alkenyl, alkoxy, or cycloalkyl) and -NR30R30' (where R30 and R30' are independently hydrogen, alkyl, or hydroxyalkyl), and —C(O)NHR³³ (where R³³ is alkyl, alkenyl, alkynyl, or cycloalkyl); or



Group D: A is

$$R^{40a}$$
or
$$R^{10a}$$

R40 and R40a are independently hydrogen or alkyl;

X is alkyl, halo, haloalkyl, or haloalkoxy;

R1, R2, R3, R4, R5 and R6 are independently hydrogen, halo, nitro, -NR⁸R⁸, -OR⁸, -NHS(O)₂R⁸, -CN, $-S(O)_m R^8$, $-S(O)_2 N R^8 R^8$, $-C(O) R^8$, $-C(O) O R^8$. -C(O)NR⁸R⁸', -NR⁸C(O)OR⁸', -NR⁸C(O)NR⁸'R⁸" $-NR^8C(O)OR^{8'}$, $-NR^8C(O)R^8$, $-CH_2N(R^{25}$ $(NR^{25a}R^{25b}),$ $-CH_2NR^{25}C(=NH)(NR^{25a}R^{25b}),$ $-CH_2NR^{25}C(=NH)(N(\tilde{R}^{25a})(NO_2)), -CH_2NR^{25}C$ $(=NH)(N(R^{25a})(CN)), \quad -CH_2NR^{25}C(=NH)(R^{25})$ $-\text{CH}_2\text{NR}^{25}\text{C}(\text{NR}^{25a}\text{R}^{25b})=\text{CH}(\text{NO}_2)$, alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, or heterocycloalkyl, where the alkyl, alkenyl, alkynyl, cycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two, three, four, five, six or seven groups independently selected from halo, alkyl, haloalkyl, nitro, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, —OR8, —NR8R8', —NR8S(O) $_{2}R^{9}$, -CN, $-S(O)_{m}R^{9}$, $-C(O)R^{8}$, $-C(O)OR^{8}$ _C(O)NR⁸R⁸', _NR⁸C(O)NR⁸R⁸'', _NR⁸C(O)OR⁸ and -NR8C(O)R8; or one of R1 and R2 together with the carbon to which they are attached, R3 and R4 together with the carbon to which they are attached, and R5 and R6 together with the carbon to which they are attached form C(O) or C(=NOH);

m is 1 or 2;

R⁷ is hydrogen, halo or alkyl; and

R⁸, R^{8'} and R_{8'} are independently selected from hydrogen, hydroxy, optionally substituted alkoxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, cycloalkyl, heteroaryl, and heterocycloalkyl, heteroaryl, and heterocycloalkyl, heteroaryl, and heterocycloalkyl are independently optionally substituted with one, two three, four, or five groups independently selected from alkyl, halo, hydroxy, hydroxyalkyl, optionally substituted alkoxy, alkoxyalkyl, haloalkyl, carboxy, carboxy ester, nitro, cyano, —S(O). R³¹ (where n is 0, 1, or 2 and R³¹ is optionally substituted alkyl, optionally substituted

aryl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), -NR36S(O)2R36a (where R36 is hydrogen, alkyl, or alkenyl and R36a is alkyl, alkenyl, optionally substituted aryl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), -S(O), NR37R37a (where R37 is hydrogen, alkyl, or alkenyl and R37a is alkyl, alkenyl, optionally substituted aryl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, or optionally substituted heteroaryl), optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted aryloxy, optionally substituted arylalkyloxy, optionally substituted heteroaryl, -NHC(O)R32 (where R32 is alkyl, alkenyl, alkoxy, or cycloalkyl) and -NR30R30 (where R30 and R30' are independently hydrogen, alkyl, or hydroxyalkyl), and —C(O)NHR³³ (where R³³ is alkyl, alkenyl, alkynyl, or cycloalkyl).





Please ask questions