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- Published:**
- with international search report (Art. 21(3))
 - before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))
- (88) **Date of publication of the international search report:**
20 July 2017



WO 2017/100645 A3

(54) **Title:** INHIBITORS OF BACTERIAL RNA POLYMERASE: ARYLPROPANOYL, ARYLPROPENOYL, AND ARYLCYCLOPROPANECARBOXYL PHLOROGLUCINOLS

(57) **Abstract:** The invention provides compounds that are inhibitors of bacterial RNA polymerase. The invention also provides compositions comprising such compounds, methods of making such compounds, and methods of using said compounds. The invention has applications in control of bacterial gene expression, control of bacterial growth, antibacterial chemistry, and antibacterial therapy.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 16/65931

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. Claims Nos.: 23-24
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
unsearchable because they are omnibus claims under Rule 6.2(a).

3. Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:
-see supplemental page--

1. As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying additional fees, this Authority did not invite payment of additional fees.
3. As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
1-2, (11-22)/(1-2)

- Remark on Protest**
- The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.
- The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 16/65931

A. CLASSIFICATION OF SUBJECT MATTER
 IPC(8) - A61K 38/12, A01N 37/18, C07K 11/02 (2017.01)
 CPC - A01N 37/18, C07K 11/02

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

See Search History Document

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

See Search History Document

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

See Search History Document

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 2015/011647 A1 (Ebright et al.) 08 January 2015 (08.01.2015); para [0010]	1-2, (11-22)/(1-2)
A	US 2013/0289128 A1 (Ebright et al.) 31 October 2013 (31.10.2013); para [0041]	1-2, (11-22)/(1-2)
A	US 2003/0065039 A1 (Kharazmi et al.) 03 April 2003 (03.04.2003); para [0897]	1-2, (11-22)/(1-2)
A	' Pubchem CID 44562517' 26 January 2010 (26.01.2010) page 3 compound	1-2, (11-22)/(1-2)

Further documents are listed in the continuation of Box C.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

03 April 2017

Date of mailing of the international search report

30 MAY 2017

Name and mailing address of the ISA/US

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INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 16/65931

--continued from Box No. III--

This application contains the following inventions or groups of inventions which are not so linked as to form a single general inventive concept under PCT Rule 13.1.

Group I+: Claims 1-9 and 11-22(in part), directed to compounds having the general formulae (I)-(VI). The compound of formula (I)-(VI) will be searched to the extent that it encompasses the first species of claim 1, represented by the first formula of claim 1, formula I and tautomers and salts thereof, wherein R1 is fluoromethyl; R2, R6, and R7 are H; R3 and R4 are H; and R5 is halo; and at least one of R3, R4, and R5 is other than H. It is believed that claims 1-2 and (11-22)/(1-2) read on this first named invention, and thus these claims will be searched without fee. Applicant is invited to elect additional compounds of claim 1, wherein each additional compound elected will require one additional invention fee. Applicants must specify the claims that encompass any additionally elected compound. Applicants must further indicate, if applicable, the claims which encompass the first named invention, if different than what was indicated above for this group. Failure to clearly identify how any paid additional invention fees are to be applied to the '+' group(s) will result in only the first claimed invention to be searched. Additionally, an exemplary election wherein different actual variables are selected is suggested. An exemplary election would be a compound of claim 1, represented by a formula of claim 1, formula III and tautomers and salts thereof, wherein R1" is fluoromethyl; R2", R6", and R7" are H; R3", R4" and R5" are H (i.e., claims 1, 4 and (11-22)/(1, 4)).

Group II: Claims 10 and 11-22(in part), directed to the compounds listed of claim 10.

The group of inventions listed above do not relate to a single general inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons:

Special Technical Features:

Group I+ includes the technical feature of a unique compound of formula in claim 1, which is not required by any other invention of Group I+.

Group II includes the technical feature the compounds listed in claim 10, not required by Group I+.

Common technical features:

The inventions of Group I+ share the technical feature of a compound of the core structure of the general formulae I-VI in claim 1, comprising a trihydroxyphenylpropanone or en-one or tautomer thereof.

Groups I+ and II share the technical feature of a compound having the core structure of the general formulae of claim 1, formula I/III, comprising a para substituted-phenyl-trihydroxyphenylpropanone or en-one.

These shared technical features, however, do not provide a contribution over the prior art, as being obvious over a document entitled "Pubchem CID 44562517" (hereinafter Pubchem-517). Pubchem-517 teaches a tautomer of the compound of formula III (a para substituted-phenyl-trihydroxyphenylpropan-one) wherein R2", R6", and R7" are H; R1" is Cl; R3" and R4" are H, and R5" is C5 alkenyl; and at least one of R3", R4", and R5" is other than H (pg. 4, compound listed), but does not disclose a compound wherein R1" is F. It would have been obvious to one with skill in the art to prepare derivatives of the compound disclosed wherein the chloro group is replaced with fluoro group utilizing a similar electron withdrawing halogen atom at the specified position.

As said compound and compositions were known in the art at the time of the invention, these cannot be considered special technical features that would otherwise unify the inventions of Groups I+ and II. The inventions of Group I+ and II thus lack unity under PCT Rule 13.

Note: Claims 23-24 have been found to be unsearchable because they are omnibus claims under Rule 6.2(a).