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(72) Inventor; and

(71) Applicant: ANTONY, Benny [IN/IN]; Kulangara Parecat-  
til House, Kidangoor.P.O Angamaly, Kerala 683572 (IN).

(74) Agent: KHURANA & KHURANA, ADVOCATES &  
IP ATTORNEYS; E-13, UPSIDC, Site-IV, Behind-Grand  
Venice, Kasna Road, Greater Noida, National Capital Re-  
gion, Uttar Pradesh 201310 (IN).

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(54) Title: MUSTARD EXTRACT ENRICHED WITH SINIGRIN HAVING INCREASED BIOACTIVITY AND BIOAVAILABILITY OF ALLYL ISOTHIOCYANATE

(57) Abstract: The invention relates to an enteric release system for releasing glucosinolate in the colon to increase bioavailability of allyl-isothiocyanate in the body of human subject. It comprises of a) a solid core made of glucosinolate obtained from the extract prepared from prepared from mustard seed, mustard cake or mustard bran or a combination thereof and b) an enteric protective coating over said core. The glucosinolate derived from mustard extract contains 20% to 90% sinigrin which is proved to have anticancer activity. The delivery systems used here includes excipients. It is dispensed in the form of hard gel capsule, soft gel capsule, tablet, beadlets, mini tablet, granule, powder and pills and the dosage ranges from 200 mg to about 2000 mg to a human subject. The enteric coating targets the sinigrin for release in large intestine where sinigrin is converted to AITC and increases its bioactivity.



WO 2020/161653 A3

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/IB 20/50940

## A. CLASSIFICATION OF SUBJECT MATTER

IPC - A61K 31/7028; A61K 36/31; A61K 9/14; A61K 9/20; A61K 9/48 (2020.01)

CPC - A61K 31/7028; A61K 9/0053; A61K 9/1652; A61K 9/48; A61K 9/2833

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.
X	US 2008/0311192 A1 (WEST et al.) 18 December 2008 (18.12.2008); para [0002], [0005], [0008], [0011], [0013], [0018], [0023]-[0024], [0027]-[0028], [0030], [0033], [0038], [0040], [0048], [0055]-[0057], [0061], [0066]-[0067], [0071]-[0072]; Fig. 5	1-3, 8-9, 11-12, 14-19, 31-33
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Y	HERZALLAH S. et al., "Determination of sinigrin, sinalbin, allyl- and benzyl isothiocyanates by RP-HPLC in mustard powder extracts", LWT - Food Science and Technology, 2012, volume 47, issue 2, pp. 293-299; retrieved from the Internet: < DOI: 10.1016/j.lwt.2012.01.022 > see entire document, especially, pg 294, 296	4-7, 10, 13, 20-22, 34-35
Y	US 2005/0220878 A1 (FEGELY et al.) 06 October 2005 (06.10.2005); claims 1-2	10
Y	EP 2213280 A1 (DSM IP ASSETS B. V.) 04 August 2010 (04.08.2010); para [0001], [0014], [0030]	13
Y	US 2010/0028268 A1 (ROSENBLOOM et al.) 04 February 2010 (04.02.2010); para [0042], [0046]	20
Y	US 2010/0172941 A1 (VAJDY et al.) 08 July 2010 (08.07.2010); para [0061]-[0062], [0077], [0086], [0137], [0139]	21-22
Y	WO 2003/048666 A1 (PENWEST PHARMACEUTICAL COMPANY) 12 June 2003 (12.06.2003); para [0058], [0071]	34
A	US 2017/0049676 A1 (CONOPCO, INC., d/b/a UNILEVER) 23 February 2017 (23.02.2017); see entire document	1-22, 31-35

 Further documents are listed in the continuation of Box C. See patent family annex.

* Special categories of cited documents:	"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
"A" document defining the general state of the art which is not considered to be of particular relevance	"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
"D" document cited by the applicant in the international application	"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
"E" earlier application or patent but published on or after the international filing date	"&" document member of the same patent family
"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	

Date of the actual completion of the international search

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Name and mailing address of the ISA/US

Mail Stop PCT, Attn: ISA/US, Commissioner for Patents  
P.O. Box 1450, Alexandria, Virginia 22313-1450  
Facsimile No. 571-273-8300

Authorized officer

Lee Young

Telephone No. PCT Helpdesk: 571-272-4300

INTERNATIONAL SEARCH REPORT

International application No.

PCT/IB 20/50940

**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.:  
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:
  
- 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:  
Please see attached sheet--

- 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.:  
1-22 and 31-35

**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/IB 20/50940

Attachment to 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. In order for all inventions to be searched, the appropriate additional search fees must be paid.

Group I: Claims 1-22 and 31-35, directed to enteric release system for releasing glucosinolate in the colon to increase bioavailability of allyl-isothiocyanate in the body, when administered to a human subject, and to a pharmaceutical composition comprising glucosinolate.

Group II: Claims 23-30, directed to a method of making an enteric release system for releasing glucosinolate.

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 II includes the technical feature of a method of making an enteric release system for releasing glucosinolate, not required by Group I.

Common technical features:

Groups I-II share the technical feature of an enteric release system for releasing glucosinolate in the colon, when administered to a human subject. This shared technical feature, however, does not provide a contribution over the prior art, as being anticipated by US 2008/0311192 A1 to West et al. (hereinafter West), which discloses an enteric release system (para [0008]: "enteric-coated glucosinolate ... incorporated into enteric coated capsules, tablets, or the like") for releasing glucosinolate in the colon (para [0008]: "upon digestion, the enteric coating remains intact while passing through the stomach and only dissolves in the small intestine to release the beta-thioglucosidase and glucosinolate particles"), when administered to a human subject (para [0002], [0013]), comprising of: (a) a solid core made of glucosinolate (para [0067], the said particles are inherently solid) obtained from cruciferous vegetables (para [0061]: "mustard seed extract"), and (b) an enteric protective coating over said core (para [0018]; Fig. 5).

As said enteric release system was known in the art at the time of the invention, this cannot be considered a special technical feature, that would otherwise unify the inventions of Groups I-II.

The inventions of Groups I-II, thus, lack unity under PCT Rule 13.