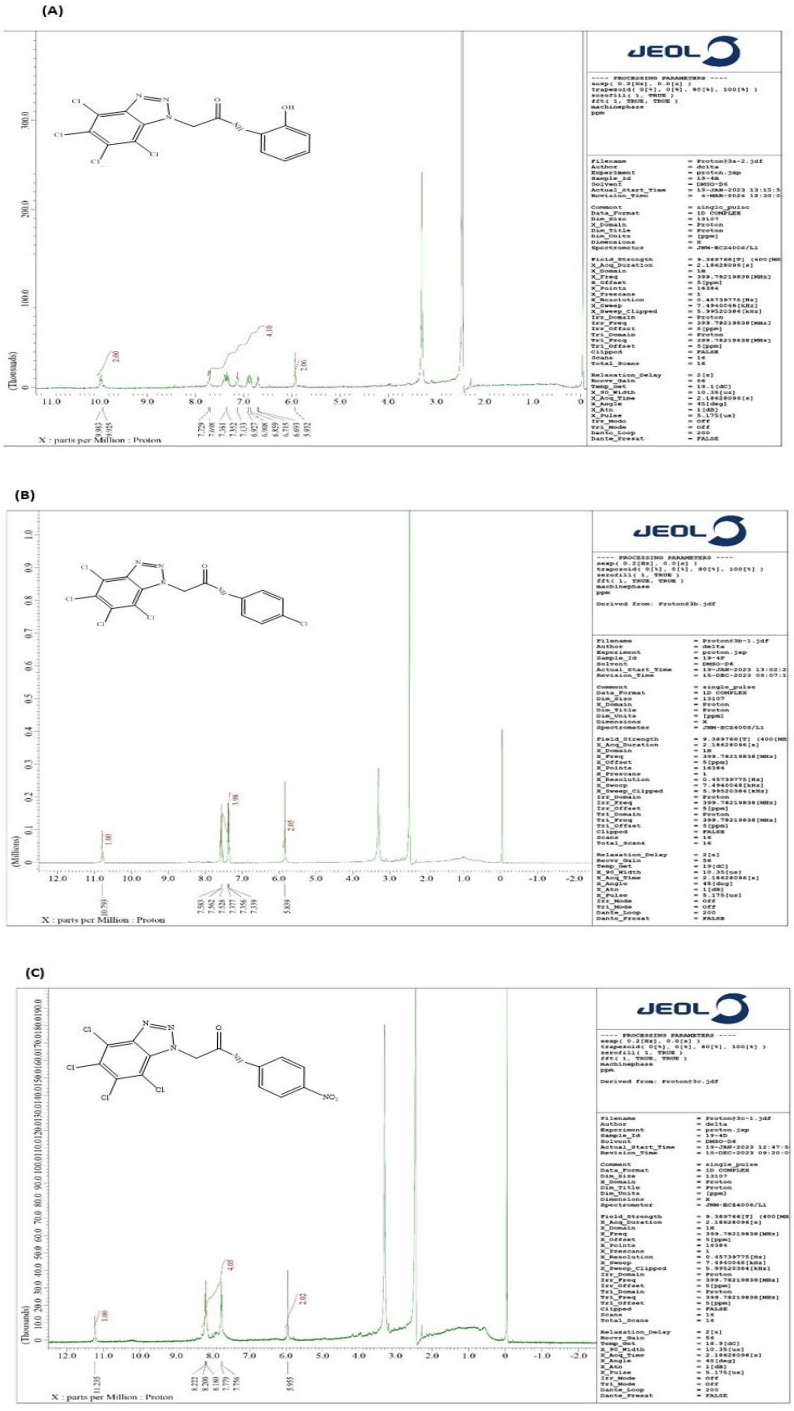


Figure 1

Dated this the 9th day of March, 2024

Sushil Kumar [IN/PA/1132]
ACCURES LEGAL
Plot No. 15, Sai Enclave, Sector 23,
Dwarka, New Delhi – 110 077, India

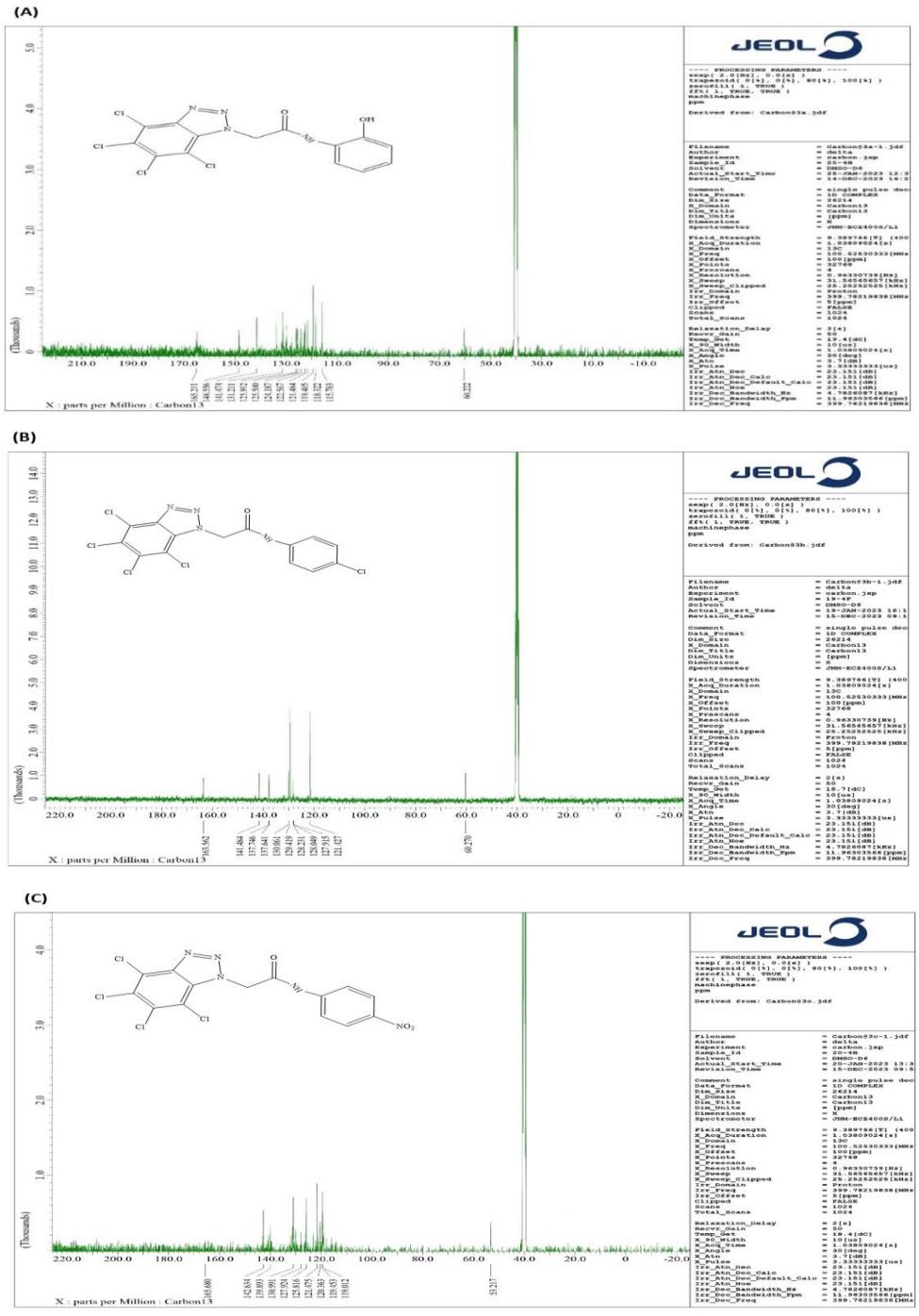


Figure 2

Dated this the 9th day of March, 2024

Sushil Kumar [IN/PA/1132]
ACCURES LEGAL
Plot No. 15, Sai Enclave, Sector 23,
Dwarka, New Delhi – 110 077, India

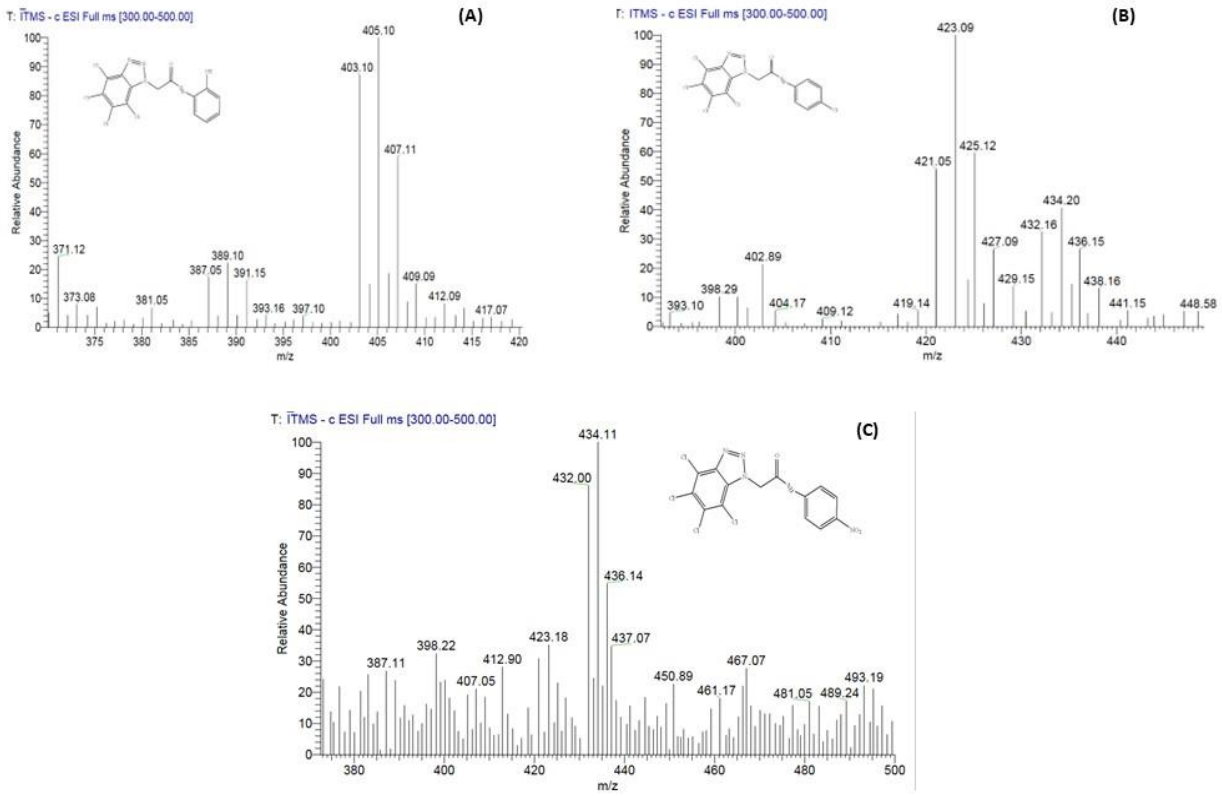


Figure 3

Dated this the 9th day of March, 2024

Sushil Kumar [IN/PA/1132]
ACCURES LEGAL
Plot No. 15, Sai Enclave, Sector 23,
Dwarka, New Delhi – 110 077, India

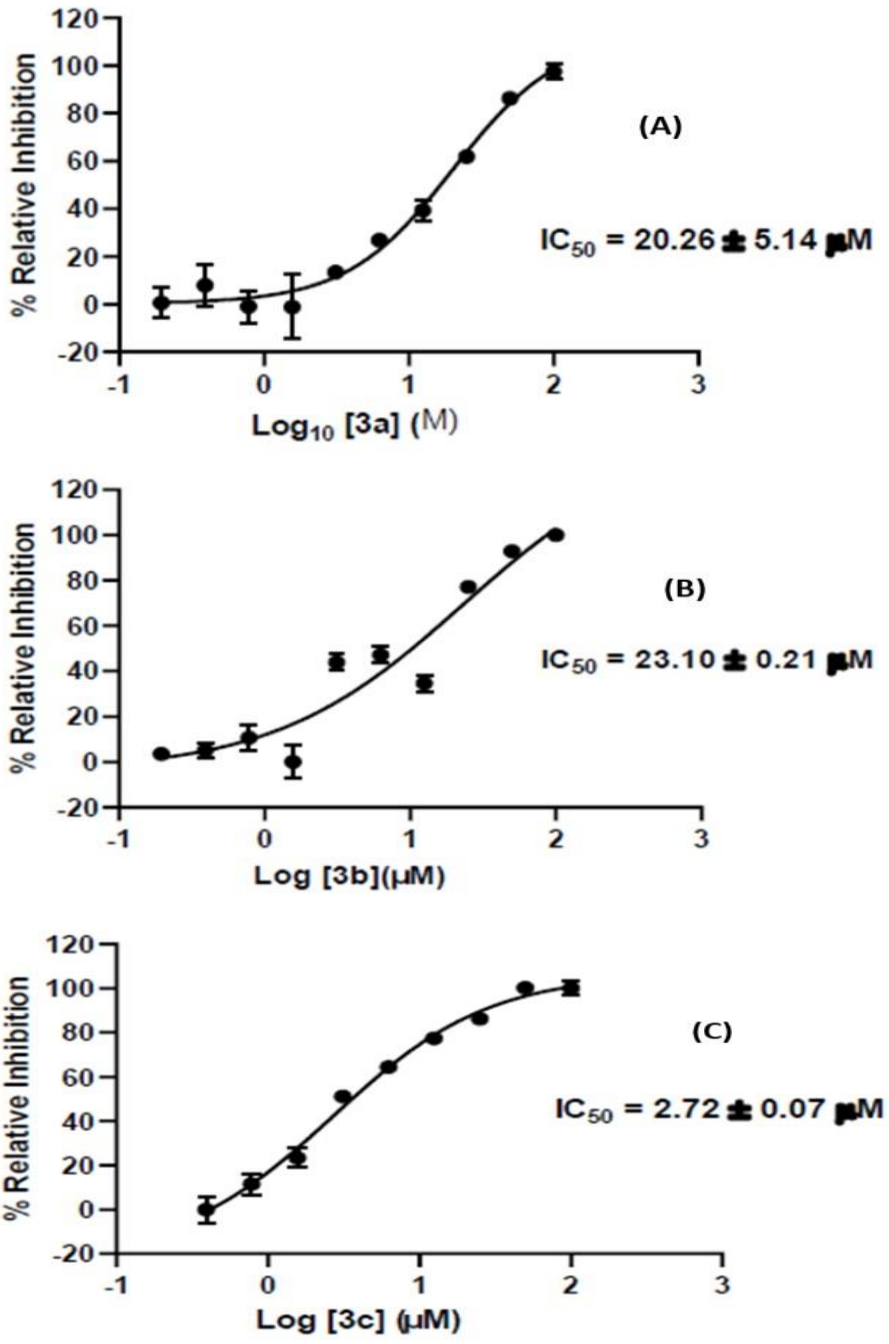


Figure 4

Dated this the 9th day of March, 2024

Sushil Kumar [IN/PA/1132]
ACCURES LEGAL
Plot No. 15, Sai Enclave, Sector 23,
Dwarka, New Delhi – 110 077, India

FORM 2

THE PATENT ACT, 1970

(39 of 1970)

&

THE PATENTS RULES, 2003

COMPLETE SPECIFICATION

(See section 10 and rule 13)

Title of the Invention:

Novel benzotriazole compounds and method of synthesis thereof

Applicant:

(a) Name : BIRLA INSTITUTE OF TECHNOLOGY MESRA

(b) Nationality : Indian

(c) Address : P.O. Mesra, Ranchi, Jharkhand- 835215

Preamble To The Description

Complete

The following specification particularly describes the invention and the manner in which it is to be performed.

Description (Description shall start from next page)

Claims not applicable for provisional specification. Claims should start with the preamble – "I/We claim" on separate page)

Date and Signature (to be given at the last page of specification)

Abstract of the invention (to be given along with complete specification on separate page)

Novel benzotriazole compounds and method of synthesis thereof

Field of the Invention

The present invention relates to the novel benzotriazole compounds and method of synthesis thereof. More particularly, the present invention relates to benzotriazole compounds which are HIV-1 reverse transcriptase (HIV-1 RT) inhibitor, antimycobacterial, antibacterial and antifungal.

Background of the Invention

In the contemporary research landscape concerning HIV, mycobacterial, and microbial disorders, several critical priorities are at the forefront. The quest for an effective HIV vaccine remains a paramount objective, necessitating an in-depth understanding of the virus-host dynamics to formulate innovative vaccine candidates.

Concurrently, the optimization of antiretroviral therapy is a focal point, aiming to enhance treatment efficacy while mitigating long-term side effects and drug resistance challenges. The urgency in discovering novel drugs for mycobacterial infections, particularly drug-resistant strains of tuberculosis, underscores the persistent global health threat posed by these diseases.

Research endeavors also delve into the intricate interplay between HIV, mycobacteria, and other microbes in co-infected individuals, as well as the role of the microbiome in influencing disease progression. Bridging global health disparities is a key imperative, necessitating efforts to ensure equitable access to prevention and treatment, especially in vulnerable populations and resource-limited settings.

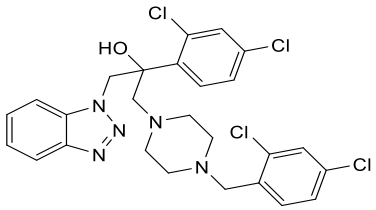
Furthermore, investigations into strategies for eliminating viral reservoirs in HIV-infected individuals and the ongoing surveillance of emerging infectious diseases are pivotal for advancing public health on a global scale. In essence, these multifaceted research priorities collectively contribute to the overarching goal of improving prevention, treatment, and global health outcomes in the context of HIV, mycobacterial, and microbial disorders.

The predominant research gap between the current scenario and the past in the realms of HIV, mycobacterium, and microbial-related disorders lies in the persistent challenges associated with developing a comprehensive cure for HIV and addressing the evolving landscape of drug-resistant strains. While significant strides have been made in understanding the viruses and implementing antiretroviral therapy (ART) for HIV, the quest for an effective vaccine and a definitive cure remains elusive. Moreover, the emergence of drug-resistant strains of mycobacterium, particularly in tuberculosis, presents an escalating threat that

demands urgent attention. The contemporary research focus is not only on refining treatment methodologies but also on unraveling the intricate interplay between microbial agents and the host's immune response, aiming to devise innovative and targeted therapeutic strategies. Addressing these challenges requires a concerted effort to bridge the gap between scientific understanding and practical solutions, ensuring the ongoing pursuit of advancements in HIV, mycobacterium, and microbial research for global health improvement.

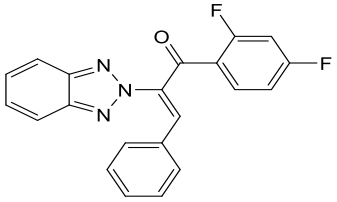
Benzotriazole derivatives hold profound importance as versatile compounds with demonstrated efficacy against HIV, mycobacterial infections, and a broad spectrum of microbes. Their unique molecular structure allows for adaptable modifications, positioning them as promising candidates for antiretroviral and antimicrobial drug development. These derivatives exhibit anti-HIV activity by interfering with the virus's replication, showcase antimycobacterial properties effective against drug-resistant strains, and demonstrate broad-spectrum antimicrobial potential. Computational tools like molecular docking and dynamics further enhance their optimization for heightened efficacy. The overall significance of benzotriazole derivatives lies in their capacity to address critical challenges in infectious disease management, making them valuable assets in the ongoing pursuit of innovative therapeutic solutions.

In the year 2015, Ren *et al* synthesize a series of benzotriazole derivatives (1-(1H-benzo[d] [1,2,3] triazol-1-yl)-3-(4-(2,4-dichlorobenzyl) piperazin-1-yl)-2-(2,4-dichlorophenyl) propan-2-ol), from them compound (3) possesses potent antimicrobial activity.



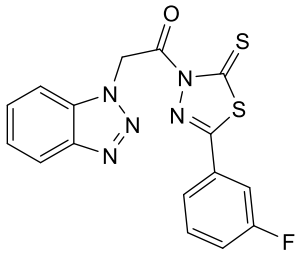
(3)

In 2017, Liu and colleagues conducted the synthesis of a range of benzotriazole derivatives ((Z)-2-(2H-benzo[d] [1,2,3] triazol-2-yl)-1- (2,4-difluorophenyl)-3-phenylprop-2-en-1-one), among which compound (4) exhibited significant antimicrobial efficacies.



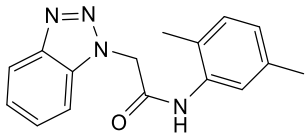
(4)

In 2018, Godhani and colleagues synthesized a range of benzotriazole derivatives (2-(1H-benzo[d] [1,2,3] triazol-1-yl)-1-(5-(3-fluorophenyl)-2-thioxo-1,3,4-thiadiazol-3(2H)-yl) ethan-1-one), among which compound 5 demonstrated significant antimicrobial efficacy.



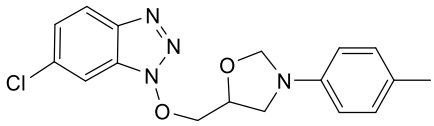
(5)

In 2019, Singh *et al* [1]synthesized a series of benzotriazole derivatives (2-(1H-benzo[d] [1,2,3] triazol-1-yl)-N-(2,5-dimethylphenyl) acetamide) and all of the derivatives underwent for anti-HIV studies and out of all compounds compound (**1)** possess potent anti-HIV activity in compared to the standard drug Zidovudine.



(1)

In 2023, Singh *et al* synthesized a series of benzotriazole derivatives(5-(((6-chloro-1H-benzo[d] [1,2,3] triazol-1-yl) oxy) methyl)-3-(p-tolyl) oxazolidine), out of them compound number (2) exhibit potent antibacterial activity in compared to the standard drug.



(2)

Based on the above comprehensive literature survey, it is clear that a limited number of investigations have been conducted till date, particularly emphasizing time-efficient methodologies, non-toxic verification, and streamlined pathways for the synthesis of multitargeted benzotriazole derivatives. This analysis underscores the existing research gap and highlights the need towards addressing the exigencies of the contemporary scientific landscape.

It is, therefore, desirable to develop novel benzotriazole compounds which have improved inhibition activity and overcome challenges and obviate complexity existing in its preparation in the prior arts.

Summary of the Invention

One of the objectives of the present invention is to provide a compound of N-(aryl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamides that shows improved pharmacological and biological activity with non-toxicity confirmation.

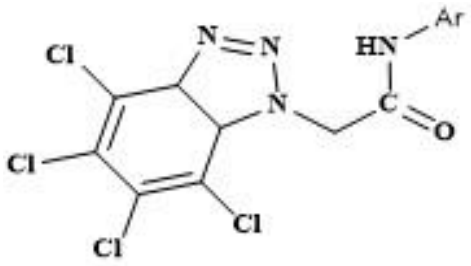
Another objective of the present invention is to provide a compound of N-(aryl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamides whose synthesis is through a single step pathway.

In the present invention, initial design work on benzotriazoles is conducted through the analysis of Structure-Activity Relationships (SAR) and modeling studies derived from existing benzotriazole derivatives, wherein the insights gained from the design studies have been utilized to synthesize benzotriazole analogs in accordance with the chemical availability and synthetic feasibility and further, spectral analysis of the synthesized compounds using analytical techniques such as ^{1}H NMR, ^{13}C NMR, Mass spectra, and elemental analyses are conducted followed by assessment of the biological studies (Anti-HIV and Antimicrobial) for the synthesized compounds is conducted for confirming the non-toxic nature of the identified potent compounds.

In the present invention, an analysis of Structure-Activity Relationships (SAR) is conducted, wherein a synthetic process is subsequently optimized with a focus on simplicity and uniformity throughout for the synthesis of benzotriazole derivatives, wherein this optimization is culminated in the establishment of an efficient and straightforward synthetic pathway, followed by structural confirmation through spectral analysis, wherein the synthesized compounds underwent comprehensive biological studies, and the nontoxic confirmation of the most potent compound is conducted through oral toxicity studies, adhering to OECD guidelines.

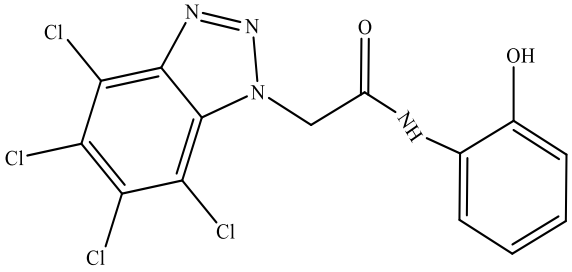
The central emphasis of the present invention lies in the streamlined synthesis of compounds with multifunctional activities, synthesized by a single-step process that ensures efficiency, safety, and reduced time consumption.

In accordance with one embodiment of the present invention, there is provided a novel compound of N-(aryl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamides (3a-3c) having a formula as follows:

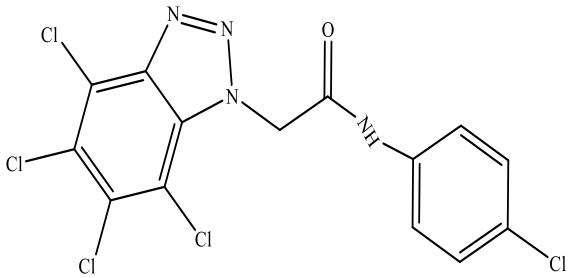


wherein Ar is selected from a group comprising 2-OH-Ph, 4-Cl-Ph and 4-NO_2-Ph or pharmaceutically acceptable salts, isomers, enantiomers or pharmaceutically acceptable solvates thereof.

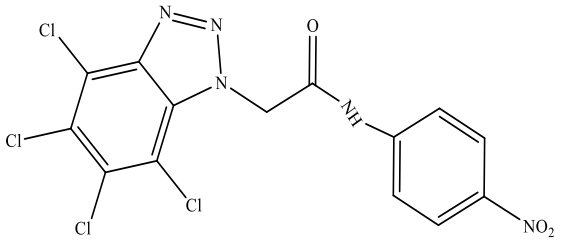
In accordance with one embodiment of the present invention, there is provided a novel compound of N-(aryl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamides (3a-3c), wherein said compound is N-(2-hydroxyphenyl)-2-(perchloro-1*H*-benzo[d][1,2,3]triazol-1-yl)acetamide(3a) having the formula as below:



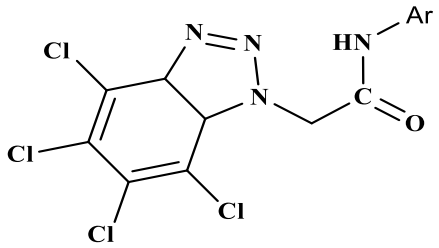
In accordance with one embodiment of the present invention, there is provided a novel compound of N-(aryl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamides (3a-3c), wherein said compound is N-(4-chlorophenyl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)(3b) acetamide having the formula as below:



In accordance with one embodiment of the present invention, there is provided a novel compound of N-(aryl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamides (3a-3c), wherein said compound is N-(4-nitrophenyl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)(3c) acetamide having the formula as below:



In accordance with one embodiment of the present invention, there is provided a novel compound of N-(aryl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamides (3a-3c) having a formula as follows:



3(a-c)

wherein Ar is selected from a group comprising 2-OH-Ph, 4-Cl-Ph and 4-NO_2-Ph or pharmaceutically acceptable salts, isomers, enantiomers or pharmaceutically acceptable solvates thereof, wherein said novel compound of N-(aryl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamides of said formula is prepared by reacting a mixture of 1 mmol of tetrachlorobenzotriazole and 1 mmol of acetamide derivatives (2a-c) in 20 mL of Dimethyl Methyl Formamide and 1 mmol of N, N-diisopropylethylamine and refluxing the solution for 15 hrs followed by cooling the solution to room temperature and recrystallizing white powder compounds (3a-c) using ethanol from a cream-colored solid crude product obtained from the solution by separation and isolation by filtration.

In accordance with one embodiment, there is provided a pharmaceutical formulation comprising the compound of N-(aryl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamides (3a-c) and pharmaceutically acceptable conventional excipients, carriers or diluents, wherein said compound exhibits improved Anti-HIV as well as antimicrobial activity.

These and other embodiments of the invention, as well as additional inventive features, will be apparent from the description of the invention provided herein.

Brief Description of the Drawings

Figure 1 shows ^{1}H NMR spectra of (A) 3a, (B) 3b, and (C) 3c.

Figure 2 shows ^{13}C NMR spectra of (A) 3a, (B) 3b, and (C) 3c.

Figure 3 shows mass spectra of (A) 3a, (B) 3b, and (C) 3c.

Figure 4 shows IC_{50} value of all synthesized compounds 3(a-c).

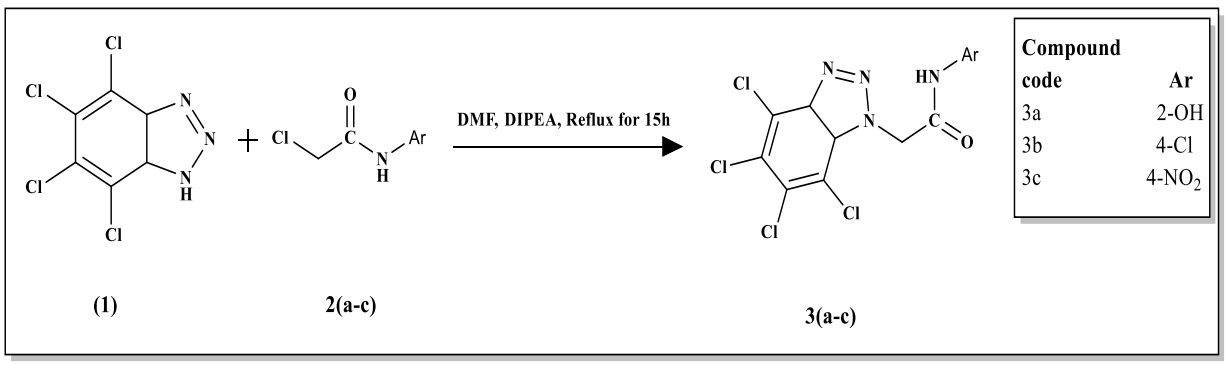
Detailed Description of the Invention

The particulars shown herein are by way of example and for purposes of illustrative discussion of the various embodiments of the present invention only without departing from the soul of the invention and are presented in the cause of providing what is believed to be the most useful and readily understood description of the principles and conceptual embodiments/aspects of the invention. In this regard, no attempt is made to show details of the invention in more detail than is necessary for a fundamental understanding of the invention, the description making apparent to those skilled in the art how the several forms of the invention may be embodied in practice.

While this specification at the end, concludes with claims particularly pointing out and distinctly claiming that, which is regarded as the invention, it is anticipated that the invention can be more readily understood through reading the following detailed description of the invention and study of the included examples.

In the present invention, chemicals and reagents from Sigma-Aldrich, Merck, and Rankem are of high quality with melting point and thin-layer chromatography assessed chemical purity, wherein ^{1}H NMR and ^{13}CNMR spectra are obtained using a JEOL spectrophotometer (400 MHz), with values expressed in ppm relative to tetramethyl-silane and Deuterated-DMSO as the solvent and Mass spectra were recorded using EI-MS.

Scheme-1: Synthesis of N-(aryl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamides



Synthesis of tetrachlorobenzotriazole (1)

Initially, tetrachlorobenzotriazole is synthesized in the laboratory by reacting benzotriazole with hydrochloric acid and nitric acid under reflux condition for 4h followed by recrystallization with nitromethane to get tetrachlorobenzotriazole [Yield:88%, m.p:259-261 ˚C].

Synthesis of acetamide derivatives (2a-c)

A solution containing 0.033 moles of the respective aromatic amine in a relevant solvent glacial acetic acid received the dropwise addition of 0.037 moles of chloroacetyl chloride in an ice bath. After 30 minutes of stirring in the ice bath and another hour at room temperature, the mixture was poured into saturated sodium acetate solution. The resulting precipitate was filtered, washed followed by drying. Recrystallization from dehydrated ethanol produced white crystals of 2-chloro-N-(substituted phenyl) acetamide. Below are derivatives of acetamides (2a-c) with their respective yields and melting points, referenced to established data.

Example 1 - 2-chloro-N-(4-hydroxyphenyl) acetamide (2a) [Yield: 65%, m.p.: 124-126 ˚C] - 3.60 gm (0.033 mole) of 2-hydroxyaniline was carefully added to 15 ml of glacial acetic acid and stirred under ice-cooled conditions for half an hour. Subsequently, 2-chloroacetyl chloride 2.95 ml (0.037 mole) was introduced to the solution drop by drop. The mixture was stirred in an ice bath for 30 minutes and then left to stir for 2 hours at room temperature. The progress of the reaction was monitored using a preparative TLC plate. The reaction mixture was then poured into a saline water solution, filtered, washed with cold water, and dried. Recrystallization has been done through an ethanol-water mixture in 2:1 ratio to yield creamy crystals.

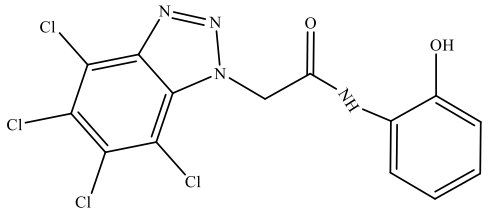
Example 2 - 2-chloro-N-(4-chlorophenyl) acetamide (2b) [Yield: 78%, m.p.: 104-106 ˚C] - 4.20 ml (0.033 mole) of 4-chloroaniline was carefully added to 15 ml of glacial acetic acid and stirred under ice-cooled conditions for half an hour. Subsequently, 2-chloroacetyl chloride 2.95 ml (0.037 mole) was introduced to the solution drop by drop. The mixture was stirred in an ice bath for 30 minutes and then left to stir for 2 hours at room temperature. The mixture was then processed in the same manner under compound 2a. Recrystallization has been carried out through an ethanol-water mixture in 2:1 ratio to yield light yellow crystals.

Example 3 - 2-chloro-N-(4-nitrophenyl) acetamide (2c) [Yield: 78%, m.p.: 180-182 ˚C] - 4.55 gm (0.033 mole) of 4-nitroaniline was carefully added to 15 ml of glacial acetic acid and stirred under ice-cooled conditions for half an hour. Subsequently, 2-chloroacetyl chloride 2.95 ml (0.037 mole) was introduced to the solution drop by drop. The mixture was stirred in an ice bath for 30 minutes and then left to stir for 2 hours at room temperature. The mixture was then processed in the same manner under compound 2a. Recrystallization has been carried out through an ethanol-water mixture in 2:1 ratio to yield yellow crystals.

Synthesis of substituted phenyl-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamide derivatives (3a-c)

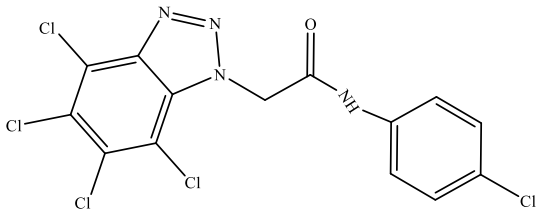
A mixture of 1 mmol tetrachlorobenzotriazole (1) (0.257 gm,) and acetamide derivatives (2a-c) (1 mmol) in DMF (20 mL) and N, N-diisopropylethylamine (0.26 gm, 1 mmol) is refluxed for 15 h. Then, it is allowed to cool to room temperature. A crude product, separated out as a cream-colored solid, was isolated by filtration. Recrystallization from ethanol produced a white powder compound (3a-c).

Example 4 - N-(2-hydroxyphenyl)-2-(perchloro-1H-benzo[d][1,2,3]triazol-1-yl)acetamide (3a)



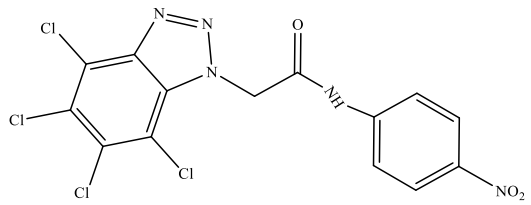
White Solid; Reaction time (hour):15; Yield (%):78; m.p.:208-210 ˚C; **^{1}H-NMR** (400 MHz, DMSO-D6) ppm 9.95 (d, 2H; N<u>H</u>-CO-CH_2; O<u>H</u>), 7.73-6.69 (m, 4H; Ar-<u>H</u>), 5.93 (s, 2H; NH-CO-C<u>H_2</u>) ;**^{13}C-NMR** (101 MHz, DMSO-D6) ppm 165.2, 148.6, 141.5, 131.2, 125.9, 125.5, 124.2, 122.6, 121.5, 119.4, 118.3, 115.8, 60.2; For $C_{14}H_8Cl_4N_4O$ **EI-MS**: *m/z* = 405.10 $[M-1H]^+$; Elemental Analysis: C, 41.41; H, 1.99; Cl, 34.92; N, 13.80; O, 7.88; Found: C, 41.40; H, 1.98; Cl, 34.93; N, 13.79; O, 7.87 [refer figures 1A, 2A, and 3A, respectively].

Example 5 - N-(4-chlorophenyl)-2-(perchloro-1H-benzo[d][1,2,3] triazol-1-yl) acetamide (3b)



White Solid; Reaction time (hour):15; Yield (%):72; m.p.:219-221 ˚C; **^{1}H-NMR** (400 MHz, DMSO-D6) ppm 10.79 (s, 1H; N<u>H</u>-CO-CH_2), 7.58-7.34 (m, 4H; Ar-<u>H</u>), 5.84 (s, 2H; NH-CO-C<u>H_2</u>); **^{13}C-NMR** (101 MHz, DMSO-D6) ppm 163.6, 141.5, 137.7, 137.6, 130.1, 129.4, 128.2, 128.0, 127.9, 121.4, 60.3; For $C_{14}H_7Cl_5N_4O$ **EI-MS**: *m/z* = 423.09 $[M-1H]^+$; Elemental Analysis: C, 39.61; H, 1.66; Cl, 41.76; N, 13.20; O, 3.77; Found: C, 39.60; H, 1.65; Cl, 41.75; N, 13.21; O, 3.78 [refer figures 1B, 2B, and 3B, respectively].

Example 6 - N-(4-nitrophenyl)-2-(perchloro-1H-benzo[d][1,2,3] triazol-1-yl) acetamide (3c)



White Solid; Reaction time (hour):15; Yield (%):75; m.p.:222-224 ˚C; **^{1}H-NMR** (400 MHz, DMSO-D6) ppm 11.24 (s, 1H; N<u>H</u>-CO-CH_2), 8.22-7.76 (m, 4H; Ar-<u>H</u>), 5.96 (s, 2H; NH-CO-C<u>H_2</u>); **^{13}C-NMR** (101 MHz, DMSO-D6) ppm 165.7, 142.6, 139.9, 131.0, 127.9, 125.8, 121.5, 120.4, 119.5, 119.0, 53.2; For $C_{14}H_7Cl_4N_5O_3$, **EI-MS**: *m/z* = 434.11 $[M\text{-}1H]^+$; Elemental Analysis: C, 38.65; H, 1.62; Cl, 32.59; N, 16.10; O, 11.03 ; Found: C, 38.67; H, 1.61; Cl, 32.57; N, 16.08; O, 11.05 [refer figures 1C, 2C, and 3C, respectively].

Example 7 - Bioactivity of the synthesized compounds (3a-c)

Anti-Human Immunodeficiency Virus (HIV) activity - All the three synthesized compounds (3a-c) are evaluated for HIV-1-RT inhibitory activity by using microplate assay with efavirenz as the standard. From the result, it is concluded that out of three test compounds, compound 3a possesses excellent RT inhibitory activity with 100 % inhibition in comparison to that of the standard drug efavirenz. Compounds 3b and 3c exhibited 99.98% and 93.02% showing HIV-1 RT inhibitory activities respectively when compared to standard (table 1). The IC_{50} values of all synthesized compound were carried out. IC_{50} value of best compound 3(a-c) are 20.26 ± 5.14 µM, 23.10 ± 0.21 µM and 2.72 ± 0.07 µM obtained from the graph of percentage of inhibition against log concentration, at 50% of inhibition. Figure 4 (A-C) shows IC_{50} value of all synthesized compounds 3(a-c)**.**

Table 1: Percentage HIV-RT inhibitory activity of the test compounds on wild type (*wt)* strain of HIV-1.

Compound*	**% of RT inhibition**	**IC_{50} (µM)**
3a	100	20.26 ± 5.14
3b	99.98	23.10 ± 0.21
3c	93.02	2.72 ± 0.07
EFV	92.78	0.057

Antimicrobial study - Compounds 3a-c are tested against various gram-positive bacteria (*Bacillus subtilis* and *Staphylococcus aureus*), gram-negative bacteria (*Escherichia coli* and *Pseudomonas aeruginosa*) and fungal strains (*Candida albicans* and *Aspergillus niger*). They showed moderate antibacterial and antifungal activity, although less effective than standard

drugs Streptomycin and Fluconazole. 3c is the most potent compound, with MIC values in table 2.

Table 2: Antimycobacterial, antimicrobial, and antifungal activity of compounds 3(a-c) in µg/ml.

Compounds	**Microorganisms**						
	Mycobacteria	**Gram +ve bacteria**		**Gram -ve bacteria**		**Fungal strain**	
	M. smegmatis	***S. aureus***	***B. subtilis***	***E. coli***	***P. aeruginosa***	***C. albicans***	***A. niger***
3a	0.487	25	25	25	25	50	50
3b	0.487	6.25	6.25	6.25	6.25	12.5	12.5
3c	1.95	6.25	6.25	6.25	6.25	12.5	12.5
Pyrazinamide (Std drug as antimycobacterial)	0.975	-	-	-	-	-	-
Streptomycin (Std drug as antibacterial)	-	6.250	6.250	3.125	3.125	-	-
Fluconazole (Std drug as antifungal)	-	-	-	-	-	12.5	12.5

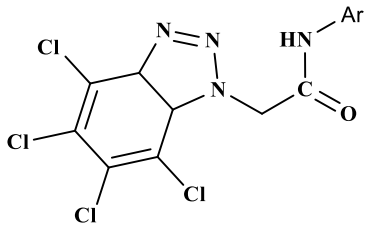
In vivo acute oral toxicity - A comprehensive oral toxicity investigation has been conducted to ascertain the non-toxic profile of our synthesized compound, wherein an inquiry is initiated following the determination of IC_{50} values in the context of anti-HIV studies, wherein a slight elevation is observed in the values compared to standard drugs. However, the percentage of inhibition exhibited by our compound surpassed that of the standard drug, signifying noteworthy efficacy. The acute oral toxicity assessments of Compound 3(a-c) were conducted in strict adherence to OECD guideline 420, revealing no instances of fatalities across all tested levels, spanning up to and including 2000 mg/kg body weight. In alignment with established criteria, the findings unequivocally affirm the non-toxic nature of all Compound 3(a-c) variants.

In the present invention, novel benzotriazole compounds have been synthesized in a single-step pathway which not only expedites the process but also offers significant advantages, including the ability to target multiple disorders effectively and ensuring a non-toxic confirmation.

It is to be understood that while the invention has been described in conjunction with the preferred specific embodiments thereof, that the description and examples given above are intended to illustrate and not to limit the scope of the invention. Other aspects, advantages and modifications within the scope of the invention will be apparent to those skilled in the art to which the invention pertains. Accordingly, the appended claims are intended to cover all embodiments of the invention and modifications thereof that do not depart from the spirit and scope of the invention.

We Claim:

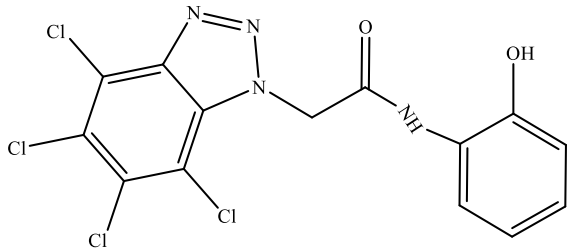
1. A novel compound of N-(aryl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamides (3a-3c) having a formula as follows:



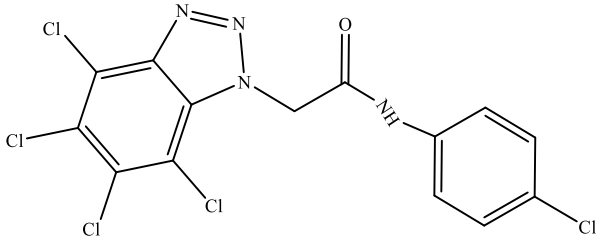
3(a-c)

2. wherein Ar is selected from a group comprising 2-OH-Ph, 4-Cl-Ph and 4-NO_2-Ph or pharmaceutically acceptable salts, isomers, enantiomers or pharmaceutically acceptable solvates thereof.

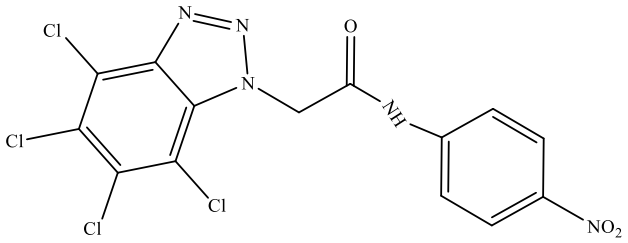
3. The novel compound as claimed in claim 1, wherein said compound is N-(2-hydroxyphenyl)-2-(perchloro-1H-benzo[d][1,2,3]triazol-1-yl)acetamide having the formula as below:



4. The novel compound as claimed in claim 1, wherein said compound is N-(4-chlorophenyl)-2-(perchloro-1H-benzo[d][1,2,3] triazol-1-yl) acetamide having the formula as below:



5. The novel compound as claimed in claim 1, wherein said compound is N-(4-nitrophenyl)-2-(perchloro-1H-benzo[d][1,2,3] triazol-1-yl) acetamide having the formula as below:



6. The novel compound as claimed in claim 1, wherein said novel compound N-(aryl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamides (3a-3c) of said formula is prepared by reacting a mixture of 1 mmol of tetrachlorobenzotriazole and 1 mmol of acetamide derivatives (2a-c) in 20 mL of dimethyl methyl formamide (DMF) and 1 mmol of N, N-diisopropylethylamine and refluxing the solution for 15 hrs followed by cooling the solution to room temperature and recrystallizing white powder compounds (3a-c) using ethanol from a cream-colored solid crude product obtained from the solution by separation and isolation by filtration.

7. A pharmaceutical formulation comprising the compound as claimed in claim 1 and pharmaceutically acceptable conventional excipients, carriers or diluents.

8. The novel compound as claimed in claim 1, wherein said compound exhibits improved anti-HIV as well as antimicrobial activity.

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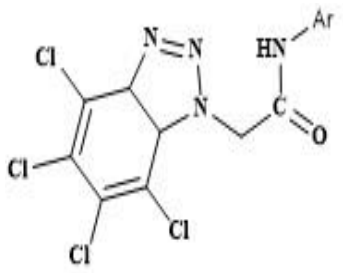


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Novel benzotriazole compounds and method of synthesis thereof

Abstract of the Invention

Disclosed herein novel benzotriazole compounds of N-(aryl)-2-(perchloro-1*H*-benzo[d][1,2,3] triazol-1-yl)-acetamides (3a-3c) and method of preparing the same and use thereof in various pharmaceutical formulations.



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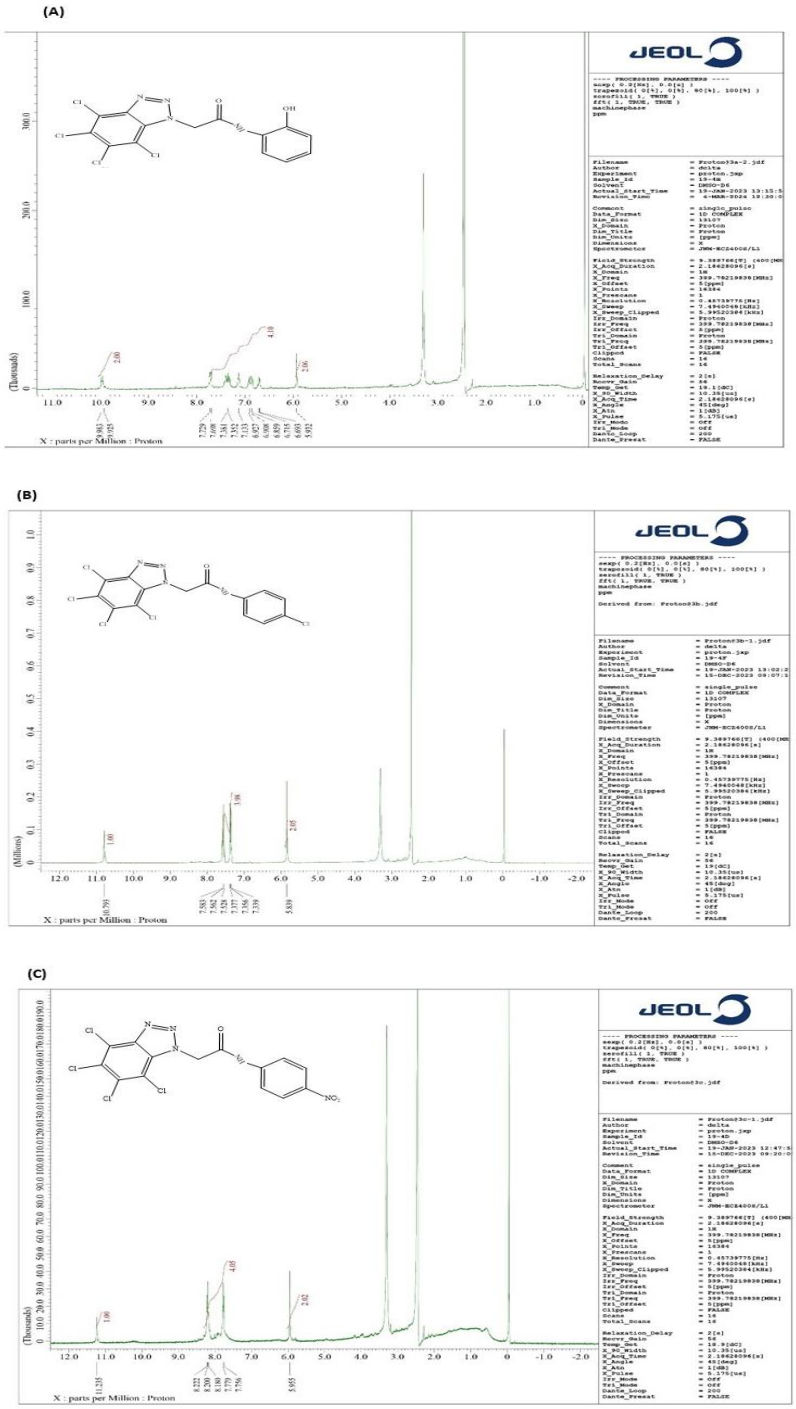


Figure 1

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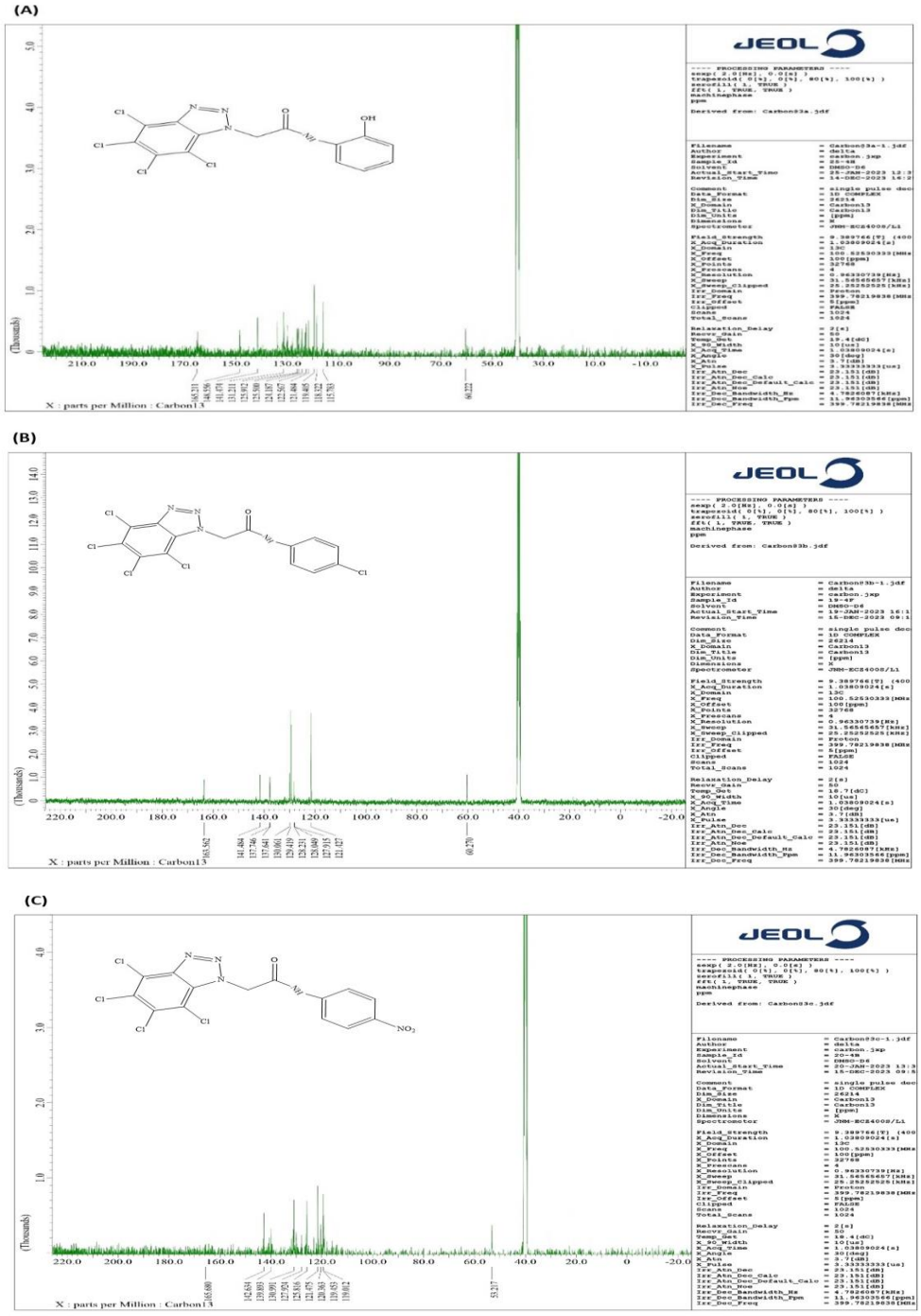


Figure 2

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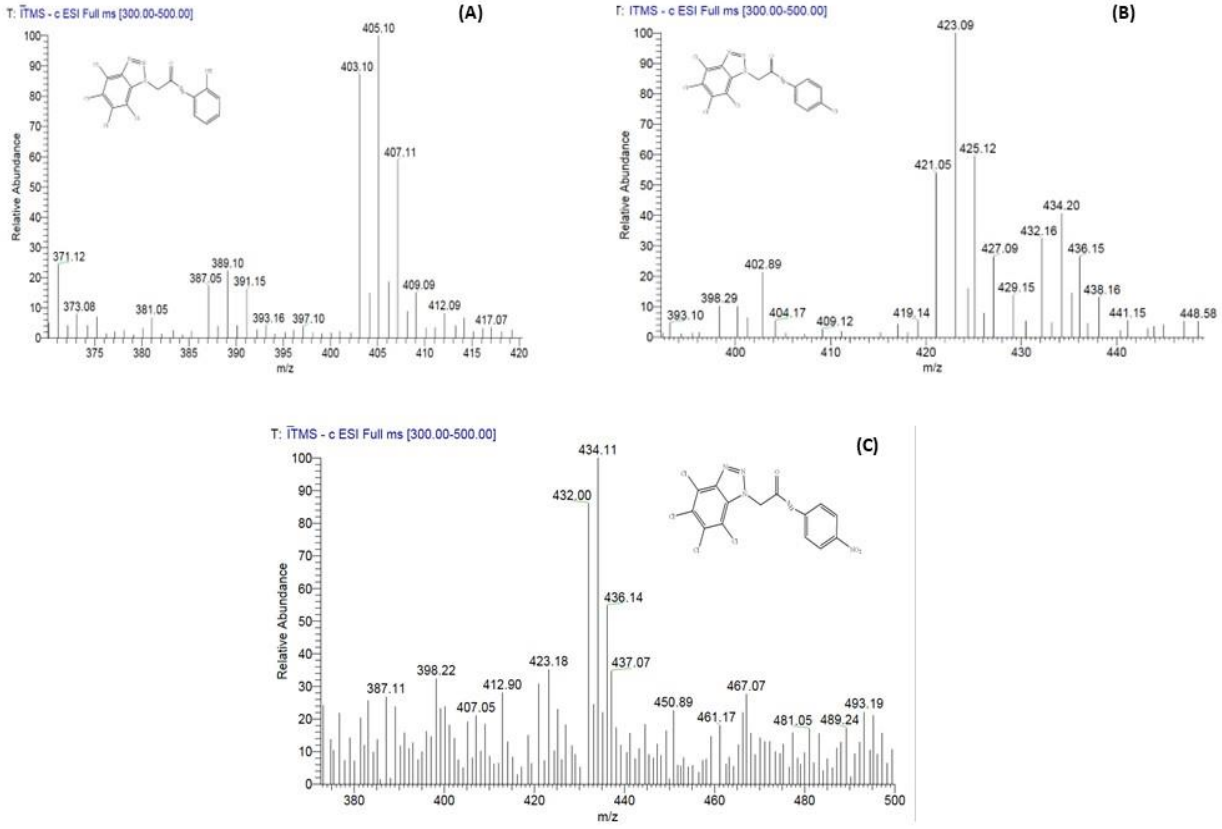


Figure 3

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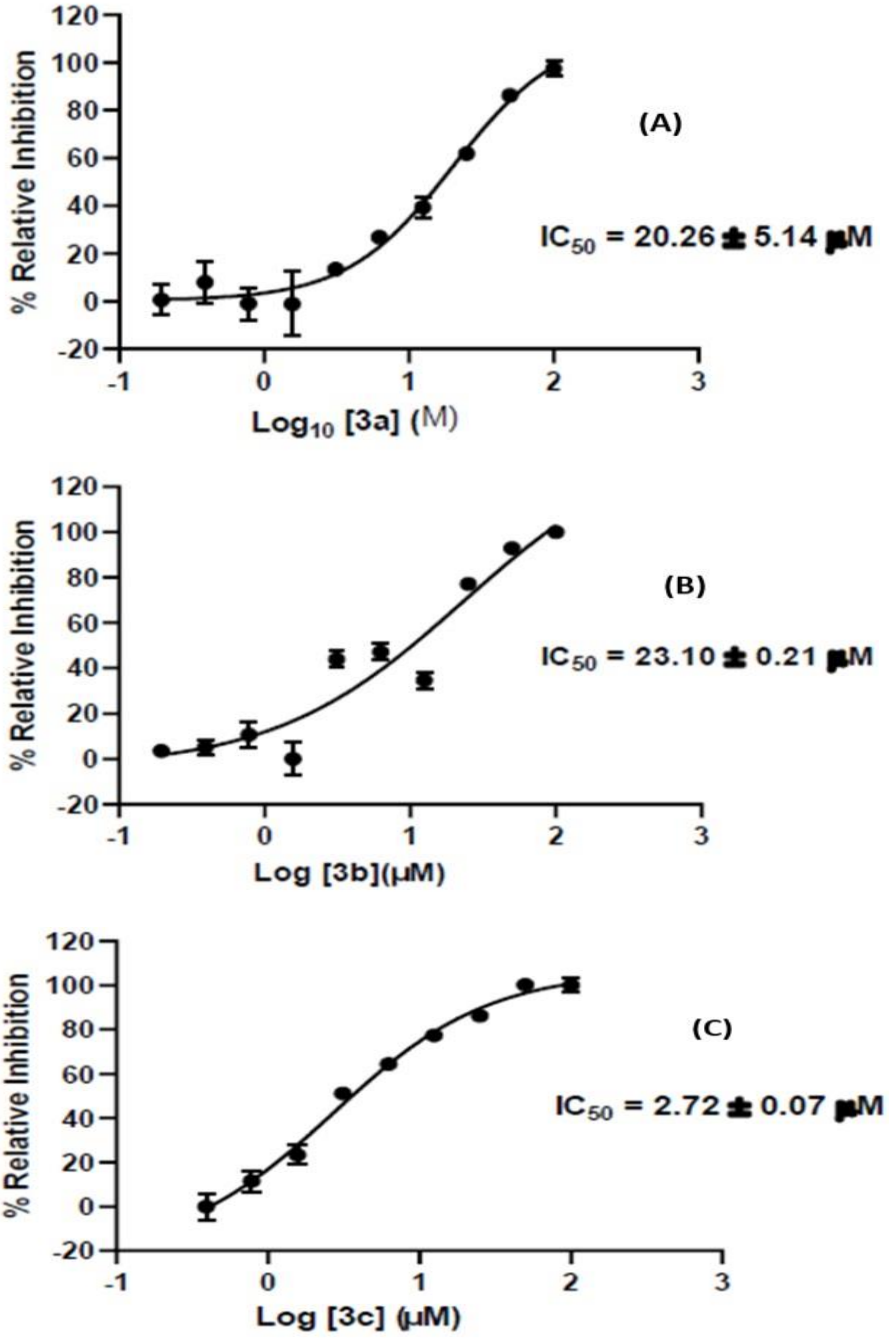


Figure 4

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