

- [54] 1-(2-(PHENYL-LOWER-ALKYL)-
AZIRIDINES MUNITION PAYLOAD
- [75] Inventor: **Raj K. Razdan**, Belmont, Mass.
- [73] Assignee: **The United States of America as
represented by the Secretary of the
Army, Washington, D.C.**
- [22] Filed: **Aug. 17, 1971**
- [21] Appl. No.: **172,597**

Related U.S. Application Data

- [60] Division of Ser. No. 22,668, March 25, 1970, Pat.
No. 3,637,662, which is a continuation-in-part of Ser.
No. 640,815, May 24, 1967.
- [52] **U.S. Cl.**..... **102/90; 102/66**
- [51] **Int. Cl.**..... **F42b 11/24**
- [58] **Field of Search** 102/24, 39, 90, 66;
260/239

[56]	References Cited		
	UNITED STATES PATENTS		
2,654,737	10/1953	Bestian	260/239
3,352,238	11/1967	Spragg et al.	102/39

Primary Examiner—Benjamin A. Borchelt
Assistant Examiner—Harold Tudor
Attorney, Agent, or Firm—Robert P. Gibson; Nathan
Edelberg

- [57] **ABSTRACT**
- A munition having a payload of 1-[2-(3,4,5-trimethoxyphenyl)ethyl]aziridine, 1-[1-(3,4,5-trimethoxyphenyl)-2-propyl]aziridine and 1-[1(2-methoxy-4,5-methylenedioxyphenyl)-2-propyl]aziridine are prepared from the appropriate vinyl-substituted benzene and ethylenimine.
- 4 Claims, No Drawings**

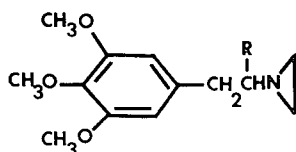
1-(2-PHENYL-LOWER-ALKYL)-AZIRIDINES MUNITION PAYLOAD

DEDICATORY CLAUSE

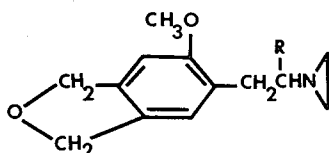
The invention described herein may be manufacture, 5
used, and licensed by or for the government purposes
with the payment to me of any royalty thereon.

This application is a divisional application of my
pending U.S. Pat. Application Ser. No. 22,668 filed
Mar. 25, 1970, now U.S. Pat. No. 3,637,662 continua- 10
tion-in-part of U.S. Pat. Application Ser. No. 640,815
filed May 24, 1967, and relates to novel aziridines as a
chemical munition payload. More particularly, the in-
vention here is to certain 2-(tri-substituted phenyl)-e-
thylaziridines as ther munition payload.

The aziridines of the invention, have in the free base
form the structural formulas



and



wherein R is hydrogen or methyl.

compounds as therapeutic agents and other prior art
compounds as lethal or incapacitating agents. The na-
ture of the functional groups of the compounds, the
length and branching of aliphatic chains, and the num-
ber and configuration of aromatic and alicyclic rings
affect the ease or difficulty of approach of the com-
pound to the specific neuromuscular junctions and
other acetylcholine receptive sites. Substitution of dif-
ferent functional groups influence association and hy-
dration and can considerably change the solubilities in
animal physiological media, either in vivo or in vitro.

As demonstrated by the test data set forth in Table
I, the compounds of this invention are mildly toxic,
and, due to the toxicity, the compounds of this inven- 15
tion interfere with the normal process of neuromusc-
ular impulse transmission and disrupt the propagation of
impulses from animal nerves to muscles and mildly in-
capacitate the animal. Accordingly, the compounds of
my invention were conceived and reduced to practice
20 to supply a long sought composition which, due to ab-
normal physiological behavior produced in animals as
a result of the effect on the central nervous system
caused by the toxicity of the compound, can be used as
an agent to induce mild incapacitation in an animal.
25 The abnormal physiological behavior can result from
mere contact of the compounds of this invention with
the skin of the animal, and such contact can be brought
about by means of dissemination of the compound by
any conventional chemical munition having the com-
pounds of this invention as a payload such as bombs,
30 shells, spray tanks, rockets, missiles, aerosol generatirs,
etc.

The principal object of this invention is to utilized as
the payload in a chemical munition a new composition
35 of matter useful to induce incapacitation in an animal.

Other objects of and uses for my invention will be ob-
vious from and will appear hereinafter in the following
detailed description of the invention.

TABLE I

Compound Tested	Screen Animal	Dose/Animal Weight In mg/kg	Symptoms
Example I end product herein	Mouse	LD50* - 100.0 MED50** - 56.0 Ratio LD50/ MED50 - 1.8	General activity decreased by mild hyperexcitation, mild motor deficit of short duration, salivation, exoph- thalmos
Example II end product herein	Mouse	LD50 - 100.0 MED50 - 32.0 Ratio LD50/ MED50 - 3.1	General activity decreased and mild motor deficit

*LD50 - dosage necessary to kill

**MED50 - dosage necessary to incapacitate

One of the significant anotomical differences be-
tween the neuromuscular junctions and other acetyl-
choline receptive sites is the absence of a membrane
barrier or a sheath such as envelops the ganglia. Acces-
sibility of the aforementioned neuromuscular junctions
and other acetylcholine receptive sites to the comp- 60
ounds of this invention evoke physiological actions
that modify or interrupt normal neuromuscular impulse
transmission. Depending on their chemical structures,
different compounds interfere with the mechanism of
impulse transmission in animals in different manners
and the final physiological effects can vary considera- 65
bly which accounts for the utilization of some prior art

The preparation of the new 2-(trisubstituted-
phenyl)-ethylaziridines is carried out by treating the
appropriately substituted vinylbenzene with ethyleni-
mine in the presence of sodium metal. Conveniently
the substituted phenyl alkene is interacted with an ex-
cess of ethylenimine as a solvent to produce the aziri-
dines. The free base can be isolated as such or con-
verted to any desired acid addition salt by treatment
with one equivalent of the appropriate organic or inor-
ganic acid. The acid addition salts of the base are the
form in which the base is more conveniently prepared
for use and are the full equivalents of the subject matter
specifically claimed.

The intermediate vinylbenzenes are a known class of compounds generally prepared by dehydration of the appropriate alcohol.

The structures of the compounds of the invention are established by the mode of synthesis by nuclear magnetic resonance and infrared spectroscopy, and agreement of the found values with the calculated values in elementary analysis.

The 2-(trisubstituted-phenyl)ethylaziridines are prepared for use in the manner by which psychotropic agents are conventionally formulated.

The invention is illustrated by the following examples without, however, being limited thereto.

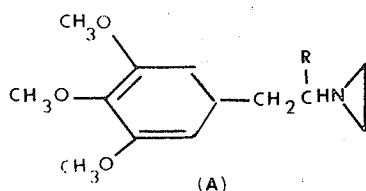
EXAMPLE 1

1-[2-(3,4,5-Trimethoxyphenyl)ethyl]aziridine

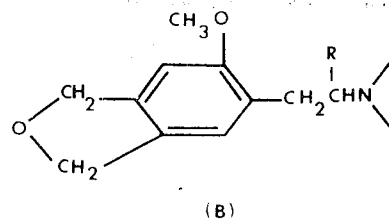
To 0.15g. of sodium metal in 4.3g. of ethylenimine was added over ten minutes with stirring 8.5g. of 3,4,5-trimethoxystyrene. The solution colored and an exothermic reaction took place. After the reaction had subsided the mixture was stirred at room temperature for 22 hours. The solution was decanted from the excess sodium metal and diluted with 75 ml. of ether. The ether layer was washed with water, dried and the ether removed by evaporation. Fractional distillation gave 1.72 g. of 1-[2-(3,4,5-trimethoxy)-ethyl]aziridine, b.p. 110°-112°/0.13 mm.

EXAMPLE 2

1-[1-(3,4,5-Trimethoxyphenyl)-2-propyl]aziridine was prepared from 6 g. of 1-(3,4,5-trimethoxyphenyl)-1-propene and 0.13 g. of sodium metal in 3 ml. of ethylenimine using the procedure described in Example 1. There was thus obtained 2.1 g. of 1-[1-(3,4,5-trimethoxyphenyl)-2-propyl]aziridine, b.p. 100°-101°/0.4 mm.



OR



EXAMPLE 3

1-[1-(2-Methoxy-4,5-methylenedioxyphenyl)-2-propyl]-aziridine was prepared from 7 g. of 1-(2-methoxy-4,5-methylene-dioxyphenyl)-1-propene, 0.9 g. of atomized sodium in 9 ml. of ethylenimine using the procedure described in Example 1. The 1-[1-(2-methoxy-4,5-methylenedioxyphenyl)-2-propyl]aziridine thus obtained had the b.p. 101°/0.3 mm.

Intermediates

1-(3,4,5-Trimethoxyphenyl)ethanol

A solution of 25 g. of 3,4,5-trimethoxybenzaldehyde in 720 ml. of ether was added to a refluxing solution of methylmagnesium bromide made from 6.22 g. of magnesium turnings and 25.26 g. of methyl bromide in 400 ml. of ether. The mixture was refluxed for 12 hours then hydrolyzed with 300 ml. of 10% ammonium chloride. The ether layer was separated, the aqueous layer extracted with ether and the combined extracts washed with water and dried. The ether was removed by evaporation and the residue fractionally distilled to give 21 g. of 1-(3,4,5-trimethoxyphenyl)ethanol, b.p. 133°-134°/0.1 mm.

3,4,5-Trimethoxystyrene

The 1-(3,4,5-trimethoxyphenyl)ethanol prepared as above (14.6 g.) was added dropwise to 2.5 g. of freshly fused potassium hydrogen sulfate, containing a small crystal of p-t-butyl-catechol, at 220°-230°, while the pressure was kept at 18 mm. After the addition was complete the temperature and pressure were held constant for 10 minutes, then the pressure was slowly lowered and the product distilled at 0.2 mm. The distillate was dissolved in 50 ml. of ether, the ether solution washed with water and dried, and a small amount of t-butylcatechol added. After the ether was removed by distillation the residue was fractionated to give 5.8 g. of 3,4,5-trimethoxystyrene, b.p. 99°-101°/0.5 mm.

It is obvious that other modifications may be made of my invention, and I desire that my invention be limited only by the scope of the appended claims.

What is claimed is:

1. A munition comprising: a means for delivery, and a payload, said payload comprising a compound of the formula

wherein R is hydrogen or methyl.

2. A compound according to claim 1 of formula (A) wherein R is hydrogen.

3. A compound according to claim 1 of Formula (A) wherein R is methyl.

4. A compound according to claim 1 of Formula (B) wherein R is methyl.

* * * * *