



**THOUGHTS<sup>TM</sup>  
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# Transdermal Thiamine Insect Repellent

## OVERVIEW OF THE INVENTION

### 1. Scope of the Invention

- 5 The invention provides a method to ward off various insects through transdermal application of a patch containing thiamine.

### 2. Contextual Background

The primary task of insect repellants is to ward off insects, specifically mosquitoes, from contacting and biting the skin. Traditional insect repellants that are available in the market  
10 contain a number of chemicals such as bicycloheptene dicarboxide, tetrahydro furaldehyde, N-diethyl benzamide, N-diethyl toluamide (DEET), ethyl bexanediol, di-n-propylisocinchonate, indalone, dimethyl phytate and various others. N-diethyl toluamide (DEET) is the most common chemical found in most insect repellents. However, DEET is toxic to the skin with various side effects that include mild skin irritation, mood swings, and even seizures. Apart from DEET,  
15 Indalone and ethyl bexanediol are also harmful for the people. A number of reports have pointed out that insect repellent containing either of these to chemicals cause kidney and liver damage on continued usage.

Apart from adverse side effects of traditional insect repellants, they also leave an unpleasant oily or greasy residue on the skin. The residue produces a peculiar unpleasant smell that emanates  
20 from the body.

Although there are natural non-chemical ways of warding off insects from the skin, they are not without their short comings. For instance, garlic is known to be a natural insect repellent. Yet it produces a very unpleasant smell that emanates from the mouth after ingestion. It also provides only marginal protection against insects. Another natural method to repel insects is oral  
25 application of thiamine (vitamin B<sub>1</sub>). Thiamine is then emitted by the sweat glands that repel insects. However, oral administration of thiamine is not that effective in warding off insects from

the skin. The reason is that gastrointestinal absorption is a variable that lowers the thiamine concentration on extraction from the liver. In order to increase effectiveness of oral administration of thiamine, it is necessary to intake other items such as Vitamin C plus biotin, or garlic, or other herbs to repel insects from the skin.

- 5 This necessitates the effective way to repel insects that results in less adverse effects on the body. The solution to the problem rests in systematic delivery of thiamine ingredients that provide long term protection against insects without having the need to intake other items.

### 3. Invention Summary

10 The invention provides an effective way to ward off insects through transdermal application of thiamine in the form of a skin patch. The transdermal patch contains around 50 mg of thiamine as well as Ginko. It consists of a thin film backing that serves as an occlusive support membrane. One side of this film contains a pressure sensitive coating of thiamine. Thiamine is dispersed into an adhesive resin that is protected by a liner. This liner is removed from the adhesive upon administration of the patch to a clean, hairless, dry part of the skin. The Ginko assists in the process of Thiamine entering the Bloodstream through its vasodilation properties.

15 Upon application to the skin, it takes up to 2 hours to provide protection against the insect. The patch is worn for about 24 - 48 hours during which it will continue to repel biting insects, especially mosquitoes, fleas, flies, and midges. After the patch is removed from the skin, it provides protection for 6 hours more before requiring another transdermal application of the patch.

20 Some of the advantages of this invention that relates to transdermal administration of thiamine containing patch include the following:

- It repels insects by a quick and systematic delivery of thiamine directly into the bloodstream via the skin.
- It is a natural and safe way to ward off insects.
- It provides 30 - 54 hours protection against insects.
- It repels insects without the need to apply ointments and oily creams that result in unpleasant odors.

- It is simple to manufacture and produce.
- It is easy to administer and use.

## **BRIEF DESCRIPTION OF FIGURES**

5 FIG. 1 is a perspective of the present invention in use on the user's skin

FIG. 2 is a front view of the aforementioned

FIG. 3 is a perspective view of the aforementioned

## **DESCRIPTION OF THE INVENTION**

10 The invention of systematic application of thiamine into the bloodstream uses a transdermal patch that contains thiamine and is applied to the skin. Thiamine and Gingko are contained in a reservoir or layer underlying an upper backing layer. This reservoir consists of a polymeric  
metric adhesive material that affixes the patch to skin during application. The adhesive material  
is a pressure-sensitive adhesive (PSA) that is pharmaceutically approved. It is ideal for long term  
15 skin contact and is chemically and physically compatible with thiamine and other carriers and  
additives that are present in the reservoir.

Suitable adhesive materials for the transdermal patch include polyacrylates, polyisobutylenes,  
polyurethanes, polyethylenes, polyacrylamides, polysiloxanesplasticized ethylene-vinyl and  
acetate copolymers. Also tacky rubbers such as polybutadiene, neoprene (polychloroprene),  
20 polystyrene-butadiene copolymers, polystyrene-isoprene copolymers, and polyisobutene can also  
be used as adhesive materials for the transdermal patch. The preferred adhesive material for the  
patch include polyacrylates and polyisobutylenes.

The transdermal patch also includes a release liner. This should be removed prior to application  
of the patch to the skin. The release liner should be disposable and made from a thiamine  
25 impermeable material. Only purpose of the release liner is to protect the patch before it is applied

on the skin. It should be easy to remove from the transdermal patch before application to the skin.

The reservoir that holds thiamine, Ginkgo and adhesive can also be comprised of different layers. The adhesive should be underlying the reservoir. In this case the reservoir can comprise of a polymeric matrix as described above. The reservoir can also consist of a semi solid or liquid formulation that is enclosed in a pouch or compartment. It may also be a hydrogel reservoir that is comprised of crosslinked hydrophilic polymers such as polyurethane, polyvinyl alcohol, polyacrylic acid, polyhydroxyethyl methacrylate (polyHEMA), polyvinylpyrrolidone, polyoxyethylene, and copolymer. The preferred reservoir material for the patch include polyvinylpyrrolidone and polyhydroxyethyl methacrylate (polyHEMA).

The transdermal patch may also contain additional layers such as rate controlling membranes and/or intermediate fabric. Rate controlling membranes control the rate at which thiamine permeates into the skin while fabric layers aids in fabrication of the patch.

If the transdermal patch contains rate controlling membrane, it should be present on the skin side of one or more thiamine containing reservoirs. The material that comprises the rate controlling membrane is especially selected to limit flux of thiamine contained in the formulation. Some of the suitable materials include polyefins such as polypropylene, polyamides, polyesters, ethylene-ethacrylate copolymer, ethylene-vinyl methylacetate copolymer, ethylene-vinyl ethylacetate copolymer, ethylene-vinyl acetate copolymer, ethylene-vinyl propylacetate copolymer, polyacrylonitrile, polyisoprene, ethylene-propylene copolymer and other materials.

The skin contact area of the transdermal patch can be between 5 cm<sup>2</sup> to 200 cm<sup>2</sup>. Preferably, the skin contact area should be in the range of 5 cm<sup>2</sup> to 100 cm<sup>2</sup>, preferably about 36 cm<sup>2</sup>. The area should be large enough to accommodate the amount and flux of thiamine that is delivered to the blood stream via the skin. Larger patches can be used to administer larger doses of thiamine while smaller patches can be used to accommodate smaller doses.

The backing layer provides flexibility and functions as the primary structural element of the transdermal patch containing thiamine. The material for this backing layer should be inert that should easily absorb thiamine and other constituents. In addition, the backing material should be elastomeric that protects the covering to prevent loss of thiamine and/or other components during

- transmission through the surface of the transdermal patch. The material should permit the device to follow the contours of the skin and be worn comfortably on areas of the skin such as at the joints that are subjected to mechanical strain without and likelihood of disengaging from the skin. It should accommodate the difference in resiliency and flexibility of the skin. The backing material should be permeable or occlusive that allows the skin to remain hydrated. The preferred backing material for the patch include occlusive backings that are derived from synthetic polymers such as polyethylene, polyester, polyether amide, polyvinylidene chloride, polyurethane, polypropylene. It could also be derived from macroporous woven or nonwoven materials and natural polymer such as cellulosic materials.
- 5
- 10 The transdermal delivery system can be fabricated using laminating techniques and conventional coating. An adhesive matrix system can be prepared by casting thiamine, a fluid admixture adhesive material and other vehicles onto the baking layer. Afterwards, the release liner can be laminated. In addition, the adhesive mixture may be cast on the release liner followed by lamination of the liner.
- 15 Additionally, the ingredient reservoir may also be prepared in the absence of thiamine or excipient and soaking in the thiamine mixture. The transdermal delivery system can also be fabricated by film casting, die cutting, melt extrusion, film lamination, solvent evaporation etc. Thiamine can be incorporated to the transdermal patch either during or subsequent to manufacturing of the patch.
- 20 Thiamine can delivered in the absence of other liquid materials. It may also be dispersed, dissolved, or suspended in pharmaceutically approved vehicle such as a gel or solvent. Other components like stabilizers, surfactants, and preservatives may also be present along with thiamine.

The present invention utilizes conventional techniques in formulation of the transdermal patch.

25 Thereby, the invention provides an extremely effective way to systematically apply thiamine to the skin of a human or animal for repelling insects.

## **APPLICATION OF THE INVENTION**

Ideally, the transdermal patch should cover an area of 36 cm<sup>2</sup> that can contain around 10 to 300 mg of thiamine. Preferable thiamine content is 50 mg that is enclosed in a thin polyethylene film as an occlusive support membrane. The adhesive material of the reservoir is pressure sensitive that is coated onto one side of the patch. Thiamine is contained within the adhesive mass in small amount of a monomeric ester plasticizer that provides improved adhesion and flow of the thiamine and other constituents to the skin. A supercalendered kraft paper liner that is coated in silicone protects the adhesive mass prior to application to the skin.

The transdermal patch is applied to the skin at least 2 before the protection is required from the insects. First, the liner is removed after which the patch is placed on a dry, clean and hairless part of the skin. It may be required to clean the skin area with solvents to remove water soluble and/or lipid soluble dirt and debris. Upon application of the patch to the skin, the thiamine that is contained in the reservoir is absorbed by the skin and is transported directly into the blood stream. This thiamine is then excreted in the form of sweat, releasing an odor that repels insects.

The patch should be placed for 24 – 48 hours during which time it continues to provide effective protection against insects. After removal of the patch, it will provide protection for about 6 more hours against the insects.

## **OTHER USES OF THE INVENTION**

The above description and application of the invention has been limited to transdermal application of thiamine for repelling insects. However, those who have knowledge of the above mentioned embodiments of the invention can modify it to attain other benefits without deviating from the main objective of the invention.

For instance, thiamine can be replaced with disulfide, diphosphate, monochloride, triphosphate as an insect repellent agent. Also, oil of garlic can be incorporated into the patch instead of thiamine to serve the same purpose.



Other configuration of the transdermal application of drug can also be used to deliver thiamine into the blood stream for which US patent number 6,719,997 is quoted as a reference.

Transdermal patch can also be provided in the form of a kit. This kit can contain a cleaning material to clean the skin prior to application of the patch, transdermal patch with an adhesive reservoir containing thiamine, cleaning element such as cloth or sponge, and other solvents to  
5 remove lipid soluble and/or water soluble dirt and debris from the skin.

These changes can be made by those who have knowledge about the transdermal application device to modify the materials, arrangements, and parts of the invention as described in this section. The changes can be incorporated without deviating from the main scope of the invention  
10 that is mentioned in the following claims.

## PATENT CLAIMS

1. A Transdermal patch that is designed to repel insects such as mosquitoes, midges, flies or combinations and consists of:
  - a. a backing,
  - 5 b. containing Ginkgo and about 10 mg - 300 mg thiamine with a pressure sensitive adhesive matrix,
  - c. wherein the backing side of the pressure sensitive adhesive component is disposed on a portion of the backing, and
  - d. a removable linear is incorporated on the adhesive component that comes in contact with  
10 the skin, and
  - iv. the linear is placed opposite to the backing.
2. The transdermal patch of claim 1, where the adhesive component consists of thiamine and an acrylic multi-polymer adhesive resin.
3. The transdermal patch of claim 2, where the adhesive component consists of a monomeric  
15 ester plasticizer.
4. The transdermal delivery patch of claim 1, where the adhesive matrix consists of at least one of polysiloxanes, polyisobutylenes, , polyacrylamides, plasticized ethylene-vinyl acetate copolymers, polyethylenes, polyacrylates, polyurethanes, and tack rubbers.
5. The transdermal delivery patch of claim 1, where the skin contact area ranges from 5 cm<sup>2</sup> -300  
20 cm<sup>2</sup>.
6. The transdermal delivery patch of claim 1, where the backing is a synthetic polymer.
7. The transdermal delivery patch of claim 1, where the backing is permeable or occlusive.
8. A transdermal kit for warding off insects that contains:

a. a transdermal patch that repels insects and comprised of: for protecting a subject from mosquitoes, flies, midges, or combinations thereof, consisting of:

i. a backing,

5 ii. containing Ginkgo and about 10 mg - 300 mg thiamine with a pressure sensitive adhesive matrix,

ii. wherein the backing side of the pressure sensitive adhesive component is disposed on a portion of the backing, and

iv. a removable linear is incorporated on the adhesive component that comes in contact with the skin, and

10 v. the linear is placed opposite to the backing.

b. a cleaning material and/or solvent.

9. A way of warding of insects, the method comprises of:

a. transdermal application of the patch to repel insects for 24-48 hours after application where the thiamine contained in the patch is absorbed into the bloodstream via the skin. From the  
15 bloodstream thiamine is excreted from the sweat glands providing protection against mosquitoes, midges, flies, and other insects. The transdermal patch consists of:

a. applying a transdermal delivery patch for protecting a subject from mosquitoes, flies, midges, or combinations thereof for at least twenty-four hours allowing dispersal of thiamine into the blood stream of the subject, wherein the thiamine migrates from a skin of  
20 the subject to the blood stream and from the blood stream to the skin of the subject providing protection from mosquitoes, flies, midges, or combinations thereof, and wherein the transdermal patch consists of:

i. a backing,

25 ii. containing Ginkgo and about 10 mg - 300 mg thiamine with a pressure sensitive adhesive matrix,

ii. wherein the backing side of the pressure sensitive adhesive component is disposed on a portion of the backing, and

iv. a removable linear is incorporated on the adhesive component that comes in contact with the skin, and

5 v. the linear is placed opposite to the backing.

b. Upon removal of the patch the thiamine continues to migrate and excretes through the sweat glands, thereby providing protection against insects for six more hours.

10. The transdermal application method of claim 9, where the transdermal patch is applied 2 hours before protection against insects is required.

10 11. The transdermal application of claim 9, where the thiamine is absorbed into the blood stream of the subject through skin.

12. The transdermal application of claim 9, where the skin of the subject is cleaned prior to application of the transdermal patch.

13. The transdermal application of claim 9, where the skin contact area is 5 cm<sup>2</sup>.

15 14. The transdermal application of claim 9, where the adhesive consists of at least polyethylenes, polyacrylates, polysiloxanes, polyurethanes, plasticized ethylene-vinyl acetate copolymers, polyacrylamides, polyisobutylenes, or tack rubbers.

15. The transdermal application of claim 9, where after release of thiamine the patch becomes transparent after being released into the skin.

20

## ABSTRACT

The patent relates to a new way to ward off mosquitoes, fleas and other insects from the skin through transdermal application of a patch containing about 50 mg of thiamine as well as Ginkgo. The transdermal patch covers an area of about 35 cm<sup>2</sup> of the skin. The Thiamine  
5 ingredients absorb into the skin and provides protection against insects for upwards of 48 hours.

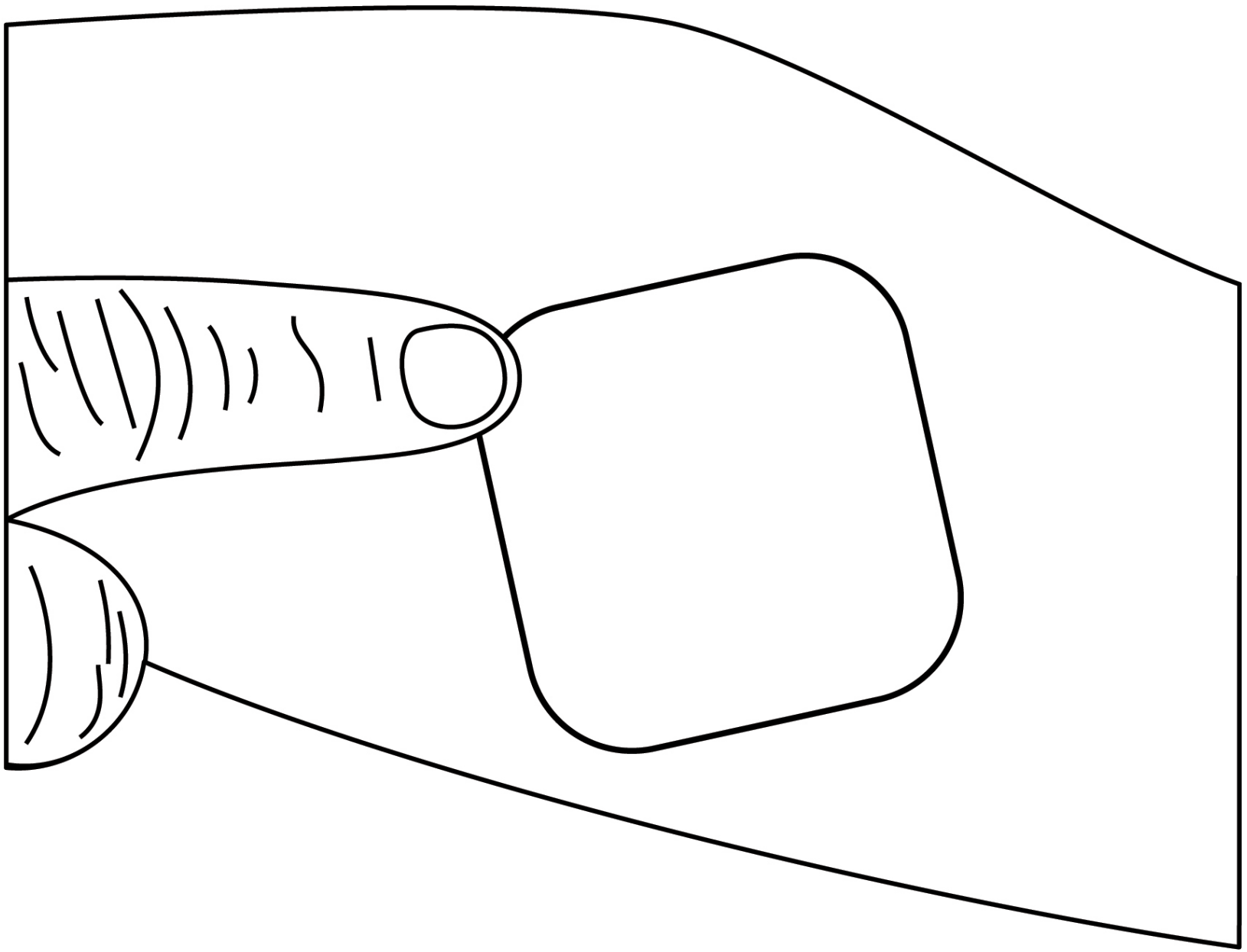


FIG. 1

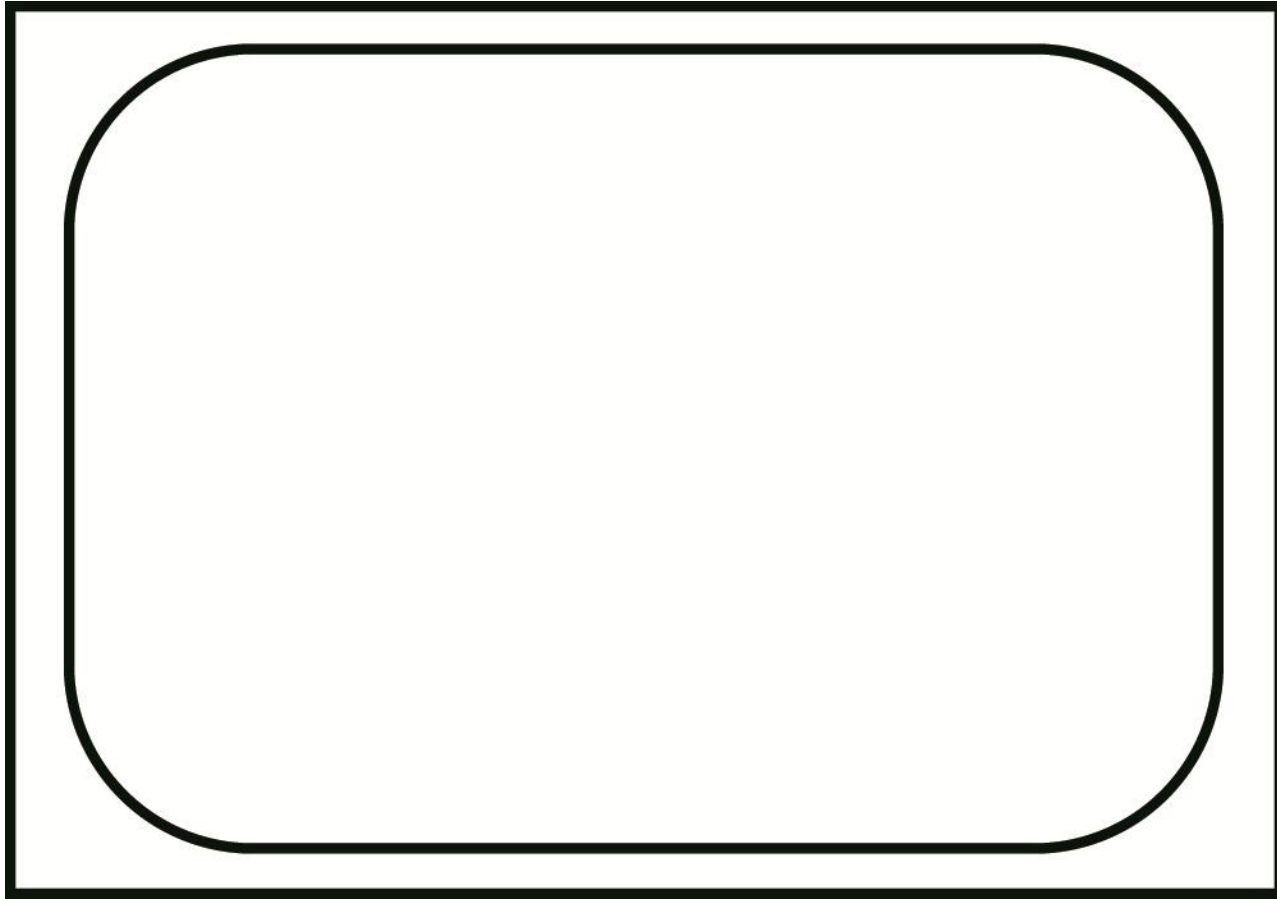


FIG. 2

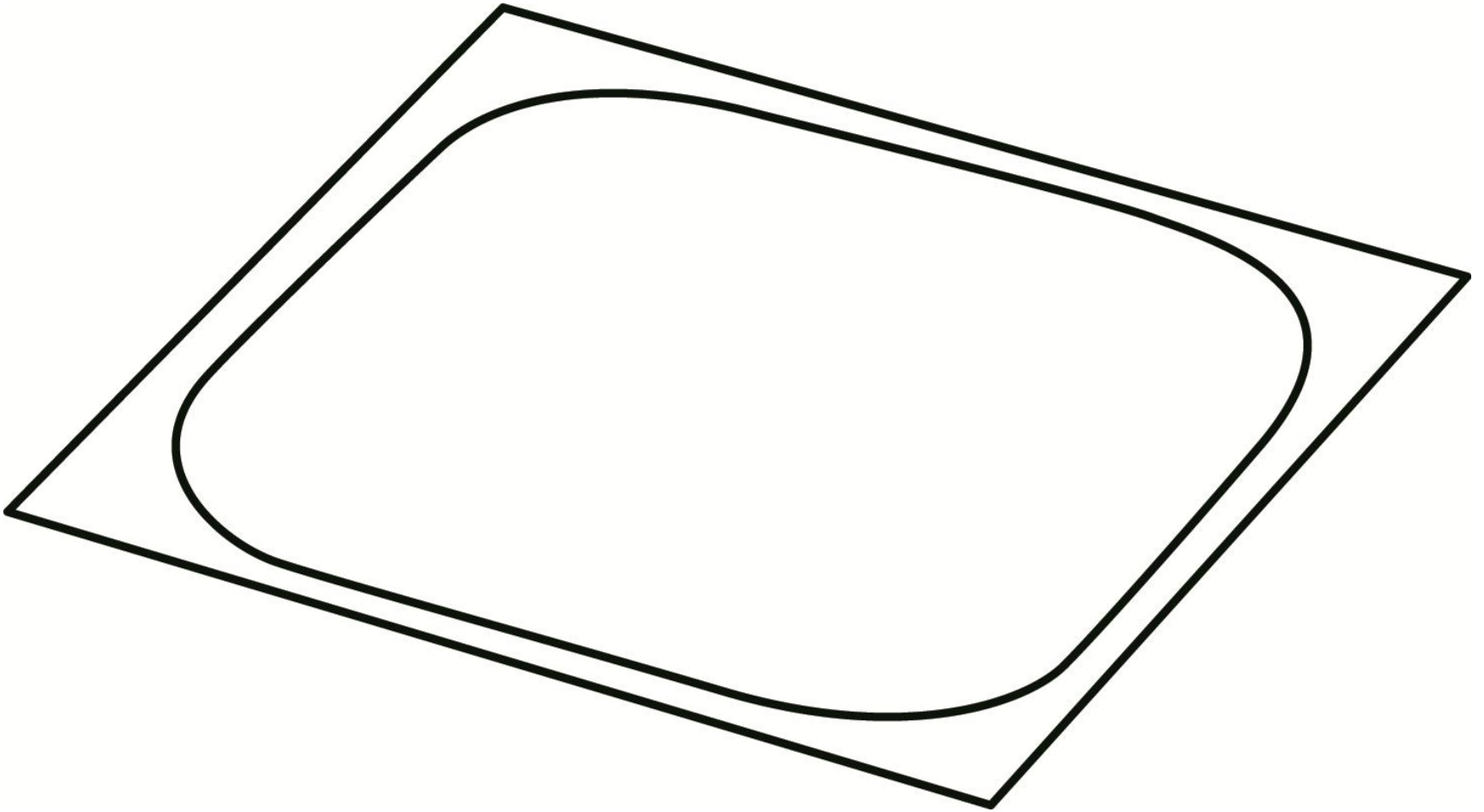


FIG. 3