

**NALSAR PROXIMATE EDUCATION
NALSAR UNIVERSITY OF LAW, HYDERABAD
P.G.DIPLOMA IN PATENTS LAW
2003-04**

**Paper II - Practical
(Exploitation of Patents – Drafting, Specification & Patent Writing)**

Time: 2 ½ hours.

Full marks: 60.

You are required to attempt either A or B (any one).

A)

You are required to draft an US patent application for Joseph and Donald of Chicago, Illinois who have approached you with the following data and information.

Joseph and Donald believe that they have an invention which pertains to portable telephones for use in showers. Many people receive telephone calls when taking showers or in a bathtub. This is very inconvenient, since the person in the shower or bath to receive the telephone call has to get out of the shower or bath, put a towel or robe over their dripping wet body and run to the telephone. Sometimes they get to the telephone after it stops ringing which is too late to receive the call. Other times the person in the shower or bath does not hear the telephone call. This can be very frustrating.

The shower speaker telephone system 10 comprises a portable cordless shower speaker telephone 12 providing a light weight waterproof handset 14 which can be safely, conveniently and comfortably used in a shower. While the water-resistant handset is particularly useful in a shower, it can also be safely used when taking a bath. The cordless telephone handset can comprise an analog handset, a digital handset, or a dual mode handset that has both an analog capacity and a digital capacity.

The shower speaker telephone 12 comprises an impact-resistant plastic telephone housing 16 or shell which provides a semi-rigid handset body that can withstand water pressures from a shower ranging from 20-80 psi. The telephone housing 16 has an internal battery chamber or compartment 18 (FIG. 3) with a removable battery-access door 20 to receive a battery pack 22 comprising a set of batteries 24 to power the cordless speaker telephone. The telephone housing also has a low battery LED indicator 26. The housing further has an interior telephone and radio circuitry-containing chamber, cavity or compartment 28 which houses and contains telephone and intercom circuitry including a transmitting circuitry and receiving circuitry to power the portable cordless shower telephone. Chamber 28 can also include radio circuitry 29. The telephone and radio circuitry can be mounted on circuit boards or comprise microchips. The battery chamber can be spaced apart from the telephone circuitry-containing chamber.

The telephone housing can comprise two or more separable parts separated by a parting line for access into the interior of the handset. The telephone housing can include a back section 32 providing a back and a front section 34 providing a front. The back 32 of the telephone housing has a generally planar or flat surface 36 so that the back of the shower telephone it can be mounted flush against the bathroom wall, shower door or sliding shower panel.

The back 32 (FIG. 2) of the housing can have a connector 38 to hook, removable attach, securely fasten, or detachably connect the shower speaker telephone to convenient portions of the shower/bath unit, such as: a shower wall, bathroom wall, shower door, sliding shower panel, towel rack, bracket, holder, or shower head pipe. The connector can be: Velcro-type fasteners 40; two-way sticky tape 42; a stationary, moveable or pivot able hook 44; a picture frame-like wire 46 comprising stainless steel wire, aluminum wire, a flexible plastic line, plastic impregnated rope, or rubber bands, held by threaded hooks; screws, hollow bolt fasteners or other fasteners 48, or electrometric suction cups 50. The hook can also be attached to the top 52 of the housing.

The front of the telephone housing can have a wave-shaped portion 54 providing a wavy S-shaped section or sinusoidal section with a rounded convex top area 56 and a curved concave intermediate area 58 to enhance accoustical transmission and reception of voice and radio waves. The apex of the rounded top 56 can provide an undercut rounded groove, ridge or channel 60 with apertures and a low-battery indicator 26 (FIG. 1). The top or intermediate area of the wave-shaped portion can have a rectangular telephone-display window 64 to indicate and display the telephone number being called/dialed or the caller identification (ID) of an incoming call. The window can comprise a liquid crystal display (LCD) or light emitting diodes (LED). The window can also include a digital clock 66 or an analog clock. The window or another window 68 can also display a radio station or channel for a shower speaker telephone equipped with a radio 70, such as a AM radio, FM radio or AM/FM radio.

The telephone housing has a speakerphone 72 comprising an amplified speaker 74 and an amplified microphone 76. The intermediate and left lower portion of the front of the housing has speakerphone holes or apertures 78 communicating with the speakerphone. The amplified speaker receives telephone signals and amplifies an incoming caller's voice to a sufficient audible level to be heard by the user in a shower at a distance spaced away from the speaker. The speaker receives the senders's (caller's) voice from a receiving diaphragm or other vibrating means which converts current and voltage in audible tones. The receiving diaphragm can cover the speaker and can be positioned between the speaker the the speakerphone apertures. The amplified microphone detects and receives the user's voice in the shower at a distance spaced away from the microphone and transmitting outgoing telephone signals. The microphone transmits the user's voice to a transmitting diaphragm or other vibrating means which convert acoustical vibrations (words) into electrical impulses, i.e. voltage and current. The transmitting diaphragm can cover the microphone and can be positioned between the microphone and the speakerphone apertures. The receiving and transmitting diaphragms preferably comprise a water-impervious flexible membrane which prevents water from entering the speakerphone and shorting the internal telephone circuitry. The speaker and receiving diaphragm are connected to the receiving-circuit within the interior of the telephone housing to receive telephone signals from other telephones via the base unit and to unscramble and convert telephone signals into recognizable audible tones for the listener's ear. The microphone and transmitting diaphragm can be connected to a transmitting-circuit within the interior of the telephone housing to transmit telephone signals and to scramble and convert the user's voice/talking into telephone signals for transmission to the receiving telephone via the base unit.

The front of the telephone housing can have: a receive on-off button 80 (on-off switch), a speakerphone talk-activation button or bar 82, and a 911 emergency button 84. The emergency button when depressed or activated will transmit and connect with an emergency operator in case the user

falls and hurts themselves in a shower or is otherwise in need of emergency assistance. This is particularly useful for elderly persons.

The illustrative shower telephone can be operable on one channel but can also be used on multichannels. While the illustrative telephone housing can be operated to receive only incoming calls, it can be also be equipped with finger-engageable, depressible, resilient keys 86 providing a telephone housing-key pad positioned in the intermediate and/or lower portion of the front of the telephone housing. The keys can be elliptical, rounded, circular, or rectangular. The keys can include: alpha numeric buttons 88, a volume control button 90, a clear/stop/end button 91, a redial button 92, a hold button 93, a conference call button, and/or automatic dialing buttons for user-programmed stored telephone numbers. The keys can also include radio controls 94 or knobs, such as: an AM selection button 96, an FM selection button 98, a scan button 100, preset channel-selecting buttons 102, bass control button, treble control button, etc. The keys can further include clock adjustment controls or knobs, such as an hour adjustment button 104 and a minute adjustment button 106. The keys can also include an intercom button 108 when the speaker is connected to an intercom 110.

An annular peripheral elastomeric rib providing a gasket 111 (FIG. 2) is positioned snugly between the front and back sections of the telephone housing. The elastomeric rib peripherally and longitudinally surrounds the handset. The rib comprises an elastomer which is impervious to water, liquid, and solids to prevent water, soap, shampoo, hair conditioners and dirt from entering into the interior of the handset and shorting the handset telephone and radio circuitry. The rib can be positioned in proximity to or include an elastomeric battery pack-seal 112 (FIG. 3) positioned about the handset-battery chamber compartment 18 and a battery-access door 20. The telephone housing's battery-seal comprises an elastomer which is impervious to water, liquid, and solids to prevent water, soap, shampoo, hair conditioners and dirt from entering into the interior of the battery chamber and shorting the batteries in the telephone housing. The elastomeric rib and seal cooperates with the telephone housing to provide a protective shower-impervious assembly.

A handset telephone antenna 124 (FIGS. 1 and 2) can extend upwardly and outwardly from the top of the telephone housing and is connected to the telephone circuitry in the cordless shower telephone. The telephone antenna is tuned to receive and transmit telephone signals. More specifically, the handset antenna of the cordless telephone receives telephone signals from the antenna of the base unit along frequency modulated (FM) channels. The handset antenna can also transmit telephone signals along a frequency modulated band to the antenna of the base unit. The telephone antenna can be made of copper or other conductive metal. The handset antenna can comprise an: omnidirectional antenna, a telescoping antenna, a retractable antenna, a collapsible antenna, a foldable antenna, a pivotable antenna, or a flexible antenna, such as a flexible rubber antenna. Preferably, the antenna is coated or encapsulated with a water-impermeable elastomer so as to have an exterior water-impervious surface. The handset antenna can also comprise an AM and/or FM radio antenna. In some circumstances, it may be desirable that a separate AM and/or FM radio antenna extend upwardly from the top of the telephone housing in addition to the telephone antenna.

The elastomeric rib, seal, and coating for the handset antenna, can be made of rubber or rubber-like plastic, such as: neoprene, silicone, polyurethane, polychloroprene, nitrile rubber, butyl rubber, polysulfide, cis-1,4-polyisoprene, ethylene-propylene terpolymers (EPDM rubber).

Preferably, the speaker membrane and microphone membrane are made of polyester film, such as manufactured by E. I. DuPont de Nemours & Co. and sold under the trade name Mylar. In some circumstances, it may be desirable to use other materials for the speaker membrane and microphone membrane, such as: polyvinyl acetate, polyvinyl alcohol, polyvinyl butyral, polyvinyl chloride, cellulose acetate, cellulose acetate butyrate, cellulose propionate, nylon, cellulose nitrate, ethyl cellulose, cellophane, fluorethenes, polyethylene, polyester, polystyrene, vinyl acetate, vinylidene chloride, polyamides, or methyl methacrylate.

As shown in FIGS. 1-3, the telephone housing has end walls 126 and side walls 128. The end walls include a top wall 52 providing a top, a bottom wall providing a bottom 130, a left side wall 132 and right side wall 134. The intersection of the bottom wall and side walls can provide rounded corners 138. The edges 140 of the front section overlap and extend over the end walls and side walls.

The telephone housing comprises a plastic which is impervious to water, liquid, and solids to prevent water, soap, shampoo, hair conditioners and dirt from entering into the interior of the telephone housing and shorting the shower telephone's circuitry and batteries. In the preferred embodiment, the telephone housing comprising the is made of acrylonitrile butadiene styrene (ABS). In some circumstances, it may be desirable that the telephone housing be made of other materials, such as: polyvinyl chloride (PVC), polyurethane, polyethylene, polyethylene oxide, polycarbonate, polyethylene, polypropylene, olefins (polyolefins), polyamides, nylon, polyamide-imides, polyimide sulfones, styrenes including styrene/acrylonitrile (SAN), styrene/butadiene (SB), styrene/maleic anhydride, vinyls including polyvinyl acetal, polyvinyl acetate (PVAC), polyvinyl alcohol (PVAL), polyvinyl butyryl (PVB), polyvinyl carbazole (PVK), polyvinylpyrrolidone (PVP), polyvinyl chloride acetate (PVCA), polyvinyl fluoride (PVF), polyvinylidene chloride (PVDC), polyoxymethylene, ethylene/ethyl acrylate (EEA), acrylonitrile/styrene/acrylate (ASA), acetal (polyformaldehyde), acetate, ethylene/vinyl acetate (EVA), butyrate, acrylic (polymethyl methacrylate), acrylonitrile/methyl/methacrylate (AMMA), cellulose including cellulose nitrate (CN), cellulose propionate (CP), ethyl cellulose (EC), cellulose acetate (CA), cellulose acetate butyrate (CAB), cellulose acetate propionate (CAP), cellulose formaldehyde (CF), cellulose triacetate (CTA), polyethylene terephthalate (PET), fluoropolymers including chlorinated polyethylene (CPE), chlorinated polyvinyl chloride (CPVC), ionomers, polyarylate, polyaryltetraphthalate (PAT), polyarylether (PAE), polyarylamid (polyaramide), polyarylsulfone, polyphthalamide, polyarylsulfone, polybutylene, polyester, ethylene, polyurethane, polyurethane, polymethylpentane, polyphenylene sulfide, polyphthalamide, or polysulfone, or combinations or blends of two or more of the preceding. The handset housing can also be coated or made with polytetrafluorethylene (PTFE) or other hydrophobic water-impermeable, liquid-impervious materials.

The shower telephone system has a base unit 150 (FIGS. 4 and 5) providing a base. The shower telephone base unit 150 has a base housing 152 with pivotable lid, door or top 154 for access into an interior battery-charging chamber or compartment 156. The lid can have a finger-gripable lip 158, handle, or latch to facilitate opening of the lid. The battery-charging chamber contains a battery charger 159 to recharge batteries from the battery pack in the handset or to charge an extra set of batteries for the cordless handset. The battery charger is connected to a power cord 161 with an outlet plug that plugs into the electrical socket of the user's house, office, etc. The base housing also has a battery charging LED indicator 160. The base housing can be made of the same plastic as the handset housing.

The base unit's housing also has an interior telephone circuitry-containing chamber or compartment 162 which houses and contains telephone circuitry 164 including a transmitting circuitry and receiving circuitry. The base unit's telephone circuitry can be mounted on a circuit board or comprise a microchip. The telephone circuitry-containing chamber can be spaced apart from the battery charging chamber. The bottom 166 of the housing of the base unit can be supported by a pedestal or stand 168. The back 170 or side 172 or 174 of the base housing can have a cord-receiving opening which provides a port or socket to receive a plug, adapter, and/or connector, attached to a telephone cord 176. The other end of the telephone cord has a base-engaging plug, adapter, and/or connector which malignly engages and fits into a port or socket of a telephone-line socket or jack to hardwire and connect the base unit to the telephone line of the user's home, office, etc. The base unit transmits and receives telephone signals to and from other telephones via the telephone line.

A base antenna 178 can extend upwardly from the top, back or sides of the base housing. The base antenna is tuned to receive and transmit telephone signals from the base unit to the cordless shower telephone. More specifically, the base antenna transmit telephone signals it receives from other telephones to the handset antenna of the cordless shower speaker telephone along frequency modulated (FM) channels. The base antenna receives telephone signals along a frequency modulated band from the handset antenna of the cordless handset for transmission through the telephone line. The base antenna can be made of copper or other conductive metal. The base antenna can comprise an: omni directional antenna, a foldable antenna, a telescoping antenna, a retractable antenna, a collapsible antenna, a pivot able antenna, or a flexible antenna.

The telephone circuitry of the base unit provides a transceiver which comprises a control and logic unit. The transceiver can contain an amplifier, demodulator, and circuitry for tuning to telephone signals received by said base unit's telephone antenna, as well as carrier oscillators, amplifiers, and circuitry to transmit telephone signals through the base antenna to the cordless shower speaker telephone and through the telephone line to other telephones.

In some circumstances, it may be desirable that the base unit be equipped with finger-engage able, depressible, resilient base keys 180 providing a base key pad. The keys can be rectangular or circular. The keys can include: alpha numeric buttons, a clear/stop/end button, a redial button, a hold button, and/or automatic dialing buttons for user-programmed stored telephone numbers. The base unit can also have a speaker 182 mounted along the base housing for hands-free telephone discussions from the base unit.

In some circumstance, it may be desirable that the base unit comprise a cradle that is shaped complementary to the mouthpiece, bottom portion or front of the shower speaker telephone. The cradle can cradle, receive and support the shower speaker telephone vertically or horizontally when the shower speaker telephone is not in the shower stall or bath area.

Among the many advantages of the shower speaker telephone system are: (1) outstanding performance in showers and baths; (2) superb quality; (3) safe; (4) easy to use; (5) convenient; (6) comfortable; (7) attractive; (8) economical; (9) dependable; (10) efficient; and (11) effective.

Cordless telephones utilize radio transmission between a portable cordless handset and a base unit which is connected (hard wired) to a telephone line to provide direct-dial telephone service to phones to others in homes, offices, vehicles and other locations. Conventional base units provide a stand and

cradle to store and charge the handset when the handset is not in use. Some base units hold the handset in a vertical position. Other base units hold the handset face down in a horizontal position. The purpose of the base unit is to transmit the telephone calls over the telephone line and to cradle and charge the handset when the handset is not being used. Some base units have a light to indicate when it is charging the batteries in the handset.

A conventional cordless handset has alpha numeric push-buttons for dialing a telephone number in a manner similar to a stationary telephone. The handset may also have a flash button or base-to-handset paging button to indicate an incoming telephone call. The incoming telephone call is received and heard by the user when the flash, paging or receive button on the handset is depressed. Some handsets are automatically connected to the base without depressing a flash, paging or receive button. Typically, there are no alpha numeric push-buttons on the base unit since dialing is done from the handset.

Both the cordless handset and its base unit have an antenna. Since there are no telephone lines which connect the cordless handset to its base unit, both speech and signaling are transmitted by radio waves between the antenna of the handset and the antenna of the base unit. The cordless telephone transmits at a low power. The base unit transmits at a higher power.

Radio transmission can be accomplished between the cordless telephone and the base unit through the use of special tones rather than applying a voltage level or detecting a current as is done in overhead telephone lines. The tones will ring the cordless telephone to indicate an incoming call or will indicate a busy signal. The user of a cordless handset hears a normal dial tone when beginning to make an outgoing call and can continue dialing, i.e. pressing the alpha/numeric buttons, in the same manner as a stationary telephone with overhead telephone lines.

The cordless telephone handset can tune to frequency modulated (FM) channels assigned to the cordless telephones, such as in the 800-900 MHz range. Some cordless telephones can operate from 25-150 feet away from their base units. Other cordless telephones can operate as much as 300-600 feet away from their base unit.

Cordless telephone handsets can operate on a single channel or multiple channels, e.g. 7-100 channels. Each cordless telephone handset can have a small integrated circuit or chip providing a numeric assignment module (NAM). The NAM chip is programmed usually by the telephone dealer or installer to contain the information that uniquely identifies the cordless telephone with its base unit. The information programmed in the NAM chip includes the telephone number and serial number of the cordless telephone.

An antenna is a length of wire that radiates or captures radio signals. Without an antenna, the cordless handset would be virtually useless since the telephone would have no means to transmit and receive signals to and from its base unit. Because of the microwave frequencies utilized in cellular telephones, it is possible to make the cordless telephone antennas quite small. Cordless telephone antennas come in many shapes and sizes. Generally the antenna radiates an omni directional signal, i.e. one that radiates outwardly in all directions from the antenna.

Conventional cordless telephones must be used in dry places inside a house, office, etc. They can also

be used outside on a sunny or dry day, provided they are within close range of its base unit. Rain, moisture or water will short out cordless telephones and may present an electrical hazard and serious danger to the user that may cause electrical shock or even electrocution to the user. Manufacturers, suppliers and distributors of cordless telephone usually warn their customers in an accompanying instruction booklet not to submerge the cordless telephone or use the cordless telephone in showers, bathtubs, rain, or excess moisture or humidity.

FIG. 1

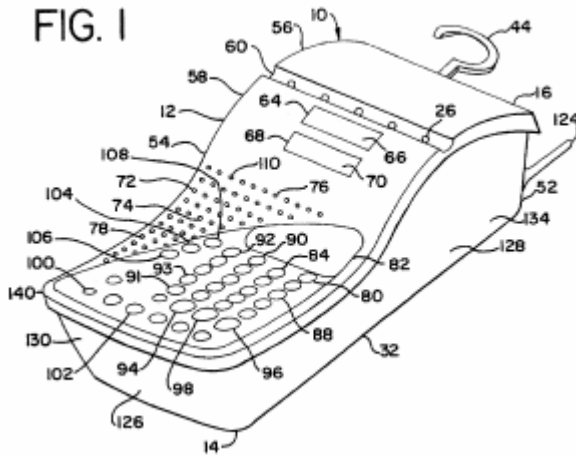


FIG. 2

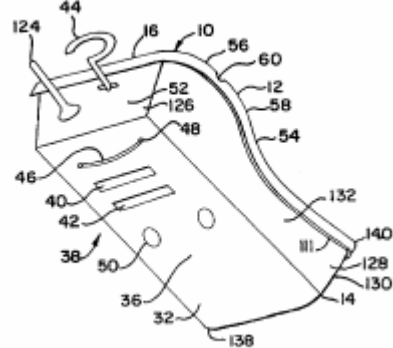


FIG. 3

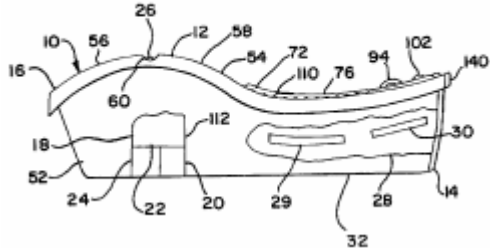


FIG. 4

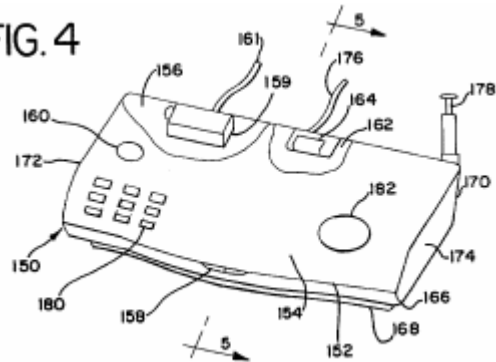
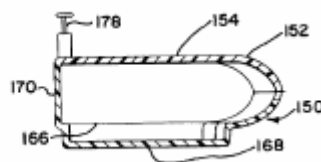


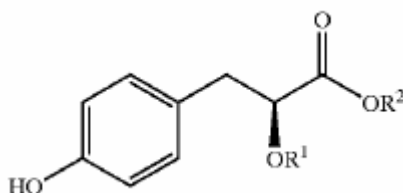
FIG. 5



B)

A research laboratory has approached you with the following data – draft a patent application to be filed with the USPTO.

The researcher group believes they have developed an improved process for the preparation of 3-aryl-2-hydroxy propanoic acid derivatives of the formula (1) useful as an intermediate for the preparation of many pharmaceutically active compounds. They also believe that the process is advantageous as - an efficient synthesis for the production of compounds of formula (I) with high chiral and chemical purity; the overall yield of the process has been improved; and pyrophoric and exotic reagents like NaH are replaced with simple, inexpensive chemicals such as diethylsulphate and potassium carbonate.



Formula (1)

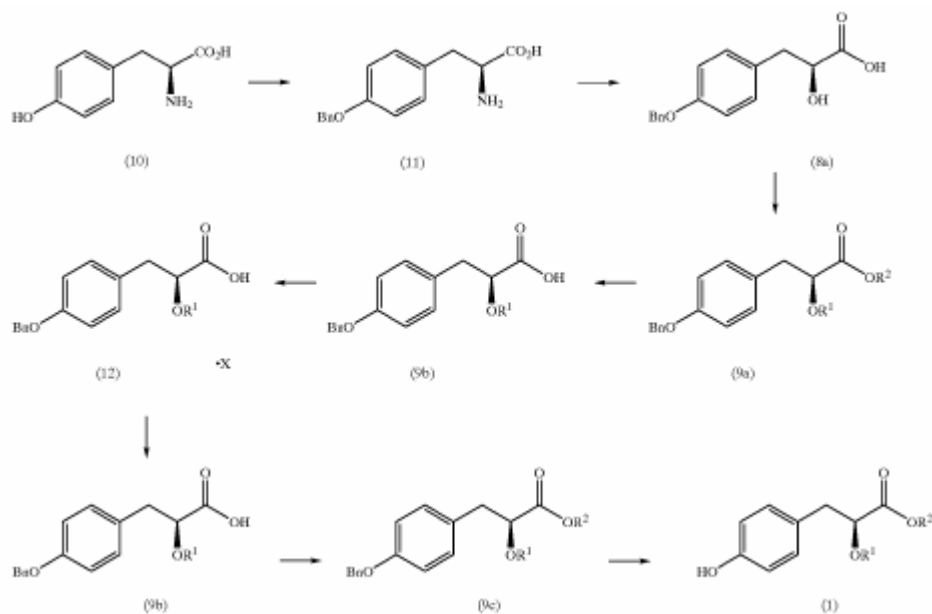
R¹ represents hydrogen atom or (C₁ –C₆) alkyl group and R² represents (C₁ –C₆) alkyl group.

The improved process for the preparation 3-aryl-2-hydroxy propanoic acid derivatives of the formula (1) is as follows:

- (i). selectively benzylating L-tyrosine of the formula (10) using benzyl chloride, CuSO₄ and sodium hydroxide in a solvent to yield a compound of the formula (11),
- (ii) diazotising the compound of the formula (11) in the presence of an acidic reagent and an organic solvent to produce compound of the formula (8a),
- (iii). simultaneous etherification and esterification of compound of formula (8a) using alkylating agent in the presence of a base and a solvent to obtain crude compound of formula (9a) with ee>90% wherein R¹ represents hydrogen or lower alkyl group and R² represents lower alkyl group,
- (iv). hydrolysing the crude compound of formula (9a) obtained in step (iii) above in polar solvents using aqueous alkali to produce compound of formula (9b) acid wherein R¹ represents hydrogen or lower alkyl group,
- (v). treating the compound of formula (9b) acid where R¹ represents hydrogen and lower alkyl group with chiral base in the presence of solvent to produce chemical and chiral pure (ee>99%) salt of formula (12) where R¹ represents hydrogen or lower alkyl group and X represents chiral bases such as R(+)-.alpha.-methylbenzylamine, S(+) phenylglycinol, cinchonidine, ephedrine, N-octylglucaramine, N-methylglucaramine and the like,
- (vi). if desired, recrystallising compound of formula (12) obtained above in a solvent to produce highly pure compound of formula (12) where R¹ represents hydrogen or lower alkyl group,
- (vii). converting the compound of formula (12) defined above using a solvent and an acid to pure compound of formula (9b) where R¹ represents hydrogen or lower alkyl group,
- (viii). esterifying the pure compound of formula (9b) defined above using alkylating agent in the presence of potassium carbonate, hydrochloric acid, sulfuric acid, amberlite or amberlist to

produce pure compound of formula (9c) where R^1 represents hydrogen or lower alkyl group and R^2 represents lower alkyl group and

(ix). debenzylating the compound of formula (9c) using aqueous alcohol in the presence of metal catalysts to yield pure compound of formula, (1) where R^1 represents hydrogen or lower alkyl group and R^2 represents lower alkyl group.



The following experimental data is also available with the researcher group:

Step (i): Preparation of (S)-2-amino-3-(4-benzyloxyphenyl)propionic acid of the formula (11)

To a solution of L-tyrosine of the formula (10) (250 g) in 2N NaOH solution (552 ml), copper sulphate solution (172 g of CuSO_4 in 600 ml of water) was added and heated at 60°C for 2 h. The reaction mixture was cooled to room temperature and methanol (2.5 L) and 2N NaOH (83 ml) was added and then benzyl chloride (15 ml) was added drop wise. The reaction mass was allowed to warm to room temperature. The precipitate was filtered and washed to give the title compound as white to off-white solid (260 g, 70%).

Step (ii): Preparation of S(-)-2-hydroxy-3-(4-benzyloxyphenyl)propionic acid of the formula (8a)

To a stirred solution of (S)-2-amino-3-(4-benzyloxyphenyl)propionic acid of the formula (11) (300 g) obtained according to the procedure described in step (i) above, in acetone (1.8 L) and dilute H_2SO_4 (75 ml in 1.2 L of H_2O) at 0°C , a solution of NaNO_2 (210 g in 400 ml H_2O) was added slowly between 0°C to 15°C . After complete addition of NaNO_2 the reaction mixture was maintained below 25°C . for a period of 3 h. The progress of the reaction was monitored by TLC. After completion of the reaction, the reaction mixture was extracted with ethyl acetate. The organic extracts were concentrated and the residue was purified by washing with diisopropyl ether to give the title compound of the formula (8a) as off white to yellowish solid (159 g, 52.8%).

Step (iii): Preparation of crude S(-) ethyl 2-ethoxy-3-(4-benzyloxyphenyl) propanoate of the formula (9a).

A mixture of S(-) 2-hydroxy-3-(4-benzyloxyphenyl)propionic acid of the formula (8a) (50 g), potassium carbonate (152 g), diethyl sulfate (113 g), and toluene (750 ml) was taken in a round bottom flask and refluxed for 24 to 36 h. The completion of the reaction was monitored by TLC. After completion of the reaction, water (500 ml) was added and stirred to dissolve inorganic salts. Organic layer was concentrated to give crude ethyl 2-ethoxy-3-(4-benzyloxyphenyl) propanoate of the formula (9a) (56 g, 93%).

The other compounds of formula (9a) are also prepared using the solvents given below following the procedure as described above:

S. No.	R ¹ and R ²	Reagent	Solvent	Yield
1	Methyl	DMS	toluene/K ₂ CO ₃	86%
2	Methyl	DMS	DMF	76%
3	Methyl	NaH/CH ₃ I	DMF	90%
4	Ethyl	DES	DMF	76%
5	Ethyl	NaH/C ₂ H ₅ I	DMF	97%

Step (iv): Preparation of S(-) 2-ethoxy-3-(4-benzyloxyphenyl)propanoic acid the formula (9b)

To a solution of crude S(-) ethyl 2-ethoxy-3-(4-benzyloxyphenyl)propanoate (180 g) of the formula (9a) obtained in step (iii), in methanol (900 ml) cooled to 10-20 °C, sodium hydroxide solution (900 ml) was added slowly. The reaction temperature was raised to 25-30 °C. and stirred for 4-6 h. Completion of the reaction was monitored by TLC. After completion of the reaction, water (900 ml) was added and extracted with toluene (2.times.900 ml) to remove impurities. Aqueous layer was removed and pH was adjusted to 2 and extracted with toluene (2.times.900 ml). Combined organic layer was washed with water and concentrated to afford the title compound of the formula (9b) (139 g, 84%).

Step (v): Preparation of (S)-2-ethoxy-3-(4-benzyloxyphenyl)propanoic acid .alpha.-methyl benzyl amino salt of the formula (12)

To S(-) 2-ethoxy-3-(4-benzyloxyphenyl)propanoic acid (141 g) the formula (9b) dissolved in ethylacetate (1.4 L), R(+)-.alpha.-methylbenzylamine (57 g) was added slowly and stirred for 3-4 h. The precipitated white solid was filtered (recrystallised from ethylacetate 1.5 L if required, to the desired purity) to yield pure (S)-2-ethoxy-3-(4-benzyloxyphenyl)propanoic acid .alpha.-methyl benzyl amino salt of the formula (12) (125 g, 63%).

The other compounds of formula (12) are also prepared from S(-) 2-ethoxy-3-(4-benzyloxyphenyl)propanoic acid or S(-) 2-methoxy-3-(4-benzyloxyphenyl)propanoic acid using the chiral bases and solvents given below following the procedure as described above:

S. No.	9(b) (18) R ¹	Chiral base	Solvent	Yield
1	Ethyl	R(+)- α -methylbenzylamine	Acetone	77%
2	Ethyl	R(+)- α -methylbenzylamine	Isopropyl alcohol	74%
3	Ethyl	R(+)- α -methylbenzylamine	acetonitrile	85%
4	Ethyl	R(+)- α -methylbenzylamine	n-butyl acetate	81.5%
5	Ethyl	R(+)- α -methylbenzylamine	Methyl isobutyl ketone	74%
6	Ethyl	phenyl glycinol	Ethyl acetate	70%
7	Ethyl	phenyl glycinol	Acetone	56%
8	Ethyl	phenyl glycinol	Isopropyl alcohol	60%
9	Ethyl	phenyl glycinol	acetonitrile	62%
10	Ethyl	phenyl glycinol	n-butyl acetate	68%
11	Ethyl	phenyl glycinol	Methyl isobutyl ketone	59%
12	Methyl	R(+)- α -methylbenzylamine	Acetone	75%
13	Methyl	R(+)- α -methylbenzylamine	Isopropyl alcohol	72%
14	Methyl	R(+)- α -methylbenzylamine	Ethyl acetate	60%
15	Methyl	phenyl glycinol	Acetone	55%
16	Methyl	phenyl glycinol	Isopropyl alcohol	62%
17	Methyl	phenyl glycinol	Ethyl acetate	66%

Step (vi): Preparation of (S)-methyl 2-ethoxy-3-(4-benzyloxyphenyl)propanoate of the formula (9c)

A mixture of (S)-2-ethoxy-3-(4-benzyloxyphenyl)propanoic acid .alpha.-methyl benzyl amino salt of the formula (12) or (S)-2-ethoxy-3-(4-benzyloxyphenyl) propanoic acid phenyl glycinol salt of the formula (18), (6.7 g) water (70 ml) and toluene (35 ml) was taken in a reaction flask and stirred for 5-10 min. The reaction mass was cooled to 10-15 °C. and 25% cold sulfuric acid was added slowly to adjust pH of the reaction mass to 2. Aqueous and organic layers were separated. Aqueous layer was extracted with toluene (35 ml). The combined toluene layers were washed with water (20 ml) and evaporated to yield (S) 2-ethoxy-3-(4-benzyloxyphenyl)propanoic acid the formula (9b) (4 g).

The pure compound of formula (9b) obtained above was dissolved in methanol (35 ml), sulfuric acid (0.4 ml) was added and stirred at refluxing temperature for 12-24 h. Completion of the reaction was monitored by TLC. After completion of the reaction, the reaction mixture was cooled to room temperature, diluted with water (35 ml) and extracted with toluene (2.times.35 ml). The combined organic layer were washed with 0.5% sodium hydroxide solution (30 ml) and water (50 ml) and concentrated to afford pure tile compound of the formula (9c) (3.5 g, 83%).

The other compounds of formula (9c) from compounds of formula (9b) are also prepared using the solvents given below following the procedure as described above:

S. No.	R ¹	R ²	Solvent	Yield
1	Ethyl	Ethyl	Ethanol/H ₂ SO ₄	81%
2	Ethyl	Ethyl	DES/K ₂ CO ₃	80%
3	Ethyl	Methyl	DMS/K ₂ CO ₃	78%
4	Ethyl	Isopropyl	Isopropyl alcohol/H ₂ SO ₄	84%
5	Methyl	Ethyl	Ethanol/H ₂ SO ₄	80%
6	Methyl	Ethyl	DES/K ₂ CO ₃	76%
7	Methyl	Methyl	DMS/K ₂ CO ₃	74%
8	Methyl	Isopropyl	Isopropyl alcohol/H ₂ SO ₄	82%
9	Methyl	Methyl	Methanol/H ₂ SO ₄	85%

Step (vii): Preparation of (S)-methyl 2-ethoxy-3-(4-hydroxyphenyl)propanoate of the formula (1)

A mixture of (S)-methyl 2-ethoxy-3-(4-benzyloxyphenyl)propanoate of the formula (9c) (56 g) in aqueous methanol (300 ml) and slurry of 5% palladium carbon (6 g in 60 ml water) was taken in hydrogenation flask and hydrogenated on Parr shake flask at 60 psi pressure for 6-8 h at room temperature. Completion of the reaction was monitored by TLC. After completion of the reaction, the catalyst was filtered and the filtrate was evaporated to yield the compound of the formula (1) (39 g, 96%).

The other compounds of formula (1) are also prepared using the solvents given below following the procedure as described above:

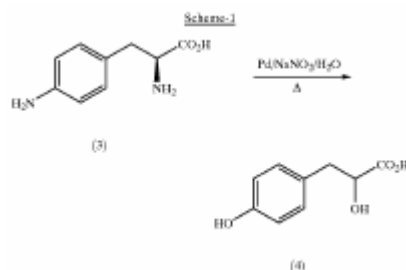
S. No.	R ¹	R ²	Solvent	Yield
1	Ethyl	Isopropyl	Aqueous methanol	87%
2	Ethyl	Isopropyl	Aqueous ethanol	85%
3	Ethyl	Isopropyl	Aqueous isopropyl alcohol	92%
4	Ethyl	Isopropyl	Aqueous acetic acid	80%
5	Ethyl	Ethyl	Aqueous methanol	96%
6	Ethyl	Ethyl	Aqueous ethanol	82%
7	Ethyl	Ethyl	Aqueous isopropyl alcohol	89%
8	Ethyl	Ethyl	Aqueous acetic acid	86%
9	Methyl	Isopropyl	Aqueous methanol	92%
10	Methyl	Isopropyl	Aqueous ethanol	84%
11	Methyl	Isopropyl	Aqueous isopropyl alcohol	90%
12	Methyl	Isopropyl	Aqueous acetic acid	88%

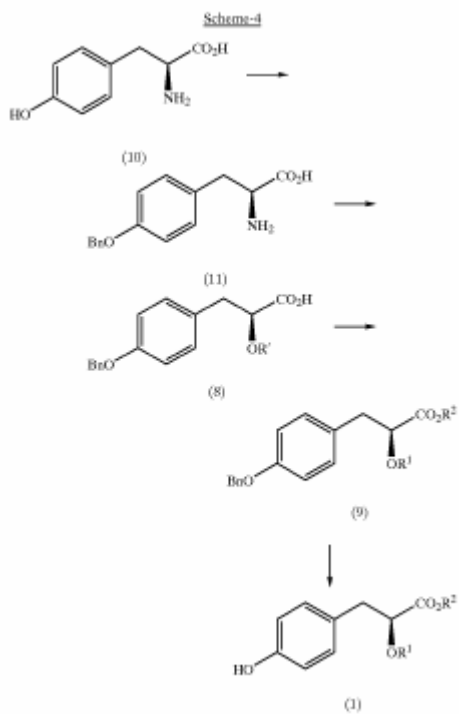
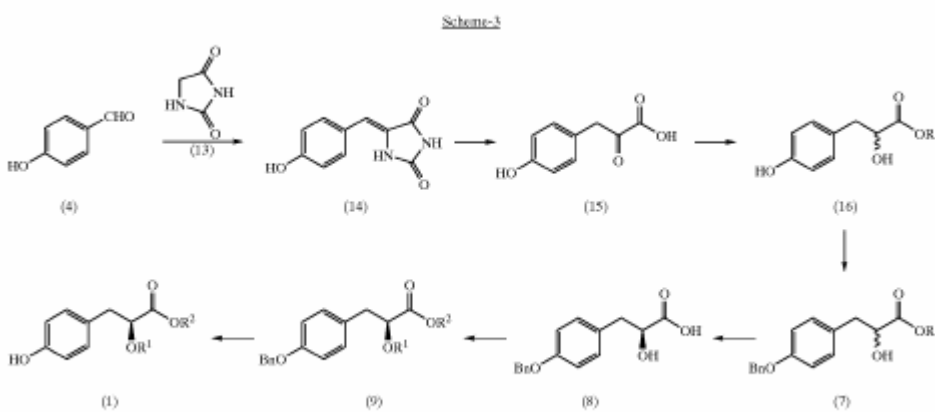
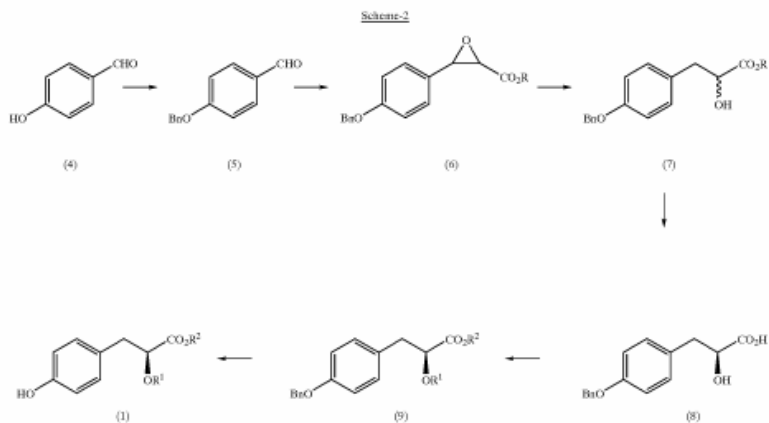
The process for the preparation of 3-aryl-2-hydroxy propanoic acid, its derivatives and analogs exhibiting various pharmacological activities has been described in U.S. Pat. Nos. 5,232,945, 5,306,726, WO 91/11999, DE 1,948,373, DE. 2,033,959, DE 2,014,479, DE 1,668,938, WO 91/19702, WO 92/0252, WO 96/04260, WO 96/0426, WO 95/17394. In addition, these compounds are considered to be useful for treating certain eating disorders, in particular the regulation of appetite and food intake in subjects suffering from disorders associated with eating such as anorexia nervosa and disorders associated with overeating such as obesity and anorexia bulimia.

3-Aryl-2-hydroxy propanoic acid derivatives are also used as sweetening agent (Gries et.al. EP 55,689 (1982)), also in photosensitive materials (Komamura et.al. JP 6022850) and also in liquid crystals (Grey et.al. WO 88/02390).

It is also a part of sesquiterpene lactone glycoside isolated from *Crepis tectorium* (Kisiel Wanda et.al. Phytochemistry, 2403, 28 (9) (1989)). It is also part of Aeruginorins 102A and B, a new class of Thrombin inhibitors from the Cyanobacterium *Microcystis vindis*.

3-Aryl-2-hydroxy propionic acid is prepared by several methods reported in the literature as shown below:





P II (A)

FIG. 1

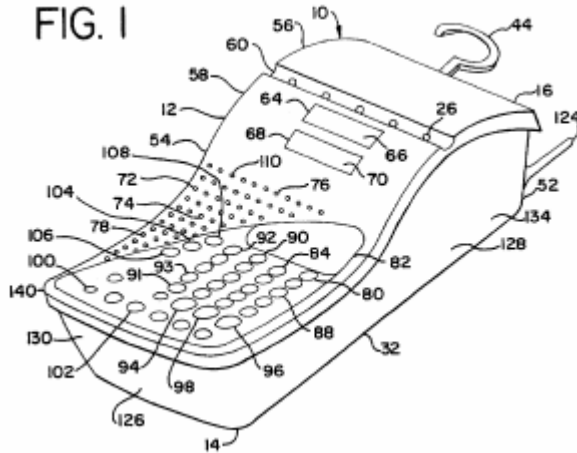


FIG. 2

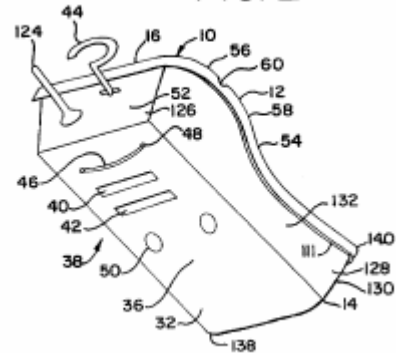


FIG. 3

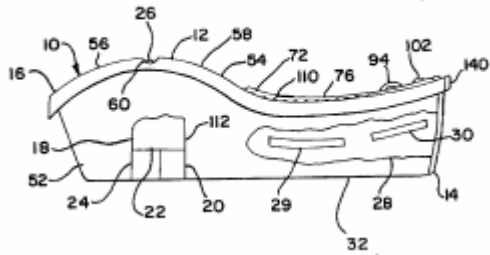


FIG. 4

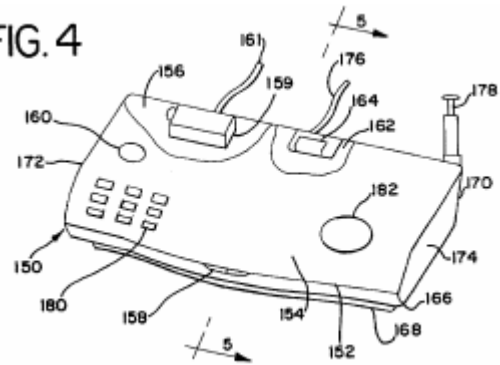


FIG. 5

