

Patent Annotations:

PEPTIDE INHIBITORS OF HIV ENTRY

1. **Inhibition of virus anchorage by RGG domain of a cell surface-expressed protein, polynucleotide coding for said RGG domain, therapeutic uses thereof by inhibition of microorganism or protein ligand binding to the cell-surface-expressed protein, Hovanessian, A.V., Briand, J.-P., US20040002457A1 (2004).**

Commentary:

The invention provides therapeutic composition of peptides comprising of C-terminal RGG domain of nucleolin to the cell surface proteins. These compositions are used for the inhibition of virus binding to cell membranes and for the treatment of infections caused by microorganisms.

2. **Chemokine receptor antagonists, Chu, M., Terracciano, J., Patel, M.G., US6476062 (2002) and Chemokine receptor antagonists, Chu, M., MX2009660A (2004).**

Commentary:

Novel new octahydronaphthalene compounds derived from CCR-5 active complex as a product of fermentation of culture of *Chaetomium globosum* under controlled conditions are discussed in this invention. The role of CCR-5 antagonist compounds and new octahydronaphthalene compounds for the treatment of Human Deficiency Virus infections is discussed.

3. **HIV-derived HR1 peptides modified to form stable trimers, and their use in therapy to inhibit transmission of human immunodeficiency virus, Delmedico, M.K., Dwyer, J., US20040076637A1 (2004).**

Commentary:

The invention discusses synthetic peptides having one or more amino acid sequence or substitutions in a hydrophobic domain of the HR₁ region of HIV-gp41. These compositions are applied for the inhibition of HIV transmission to a target cell or to prevent HIV fusion to a target cell.

4. **Nucleic acids encoding DP-178 and other viral fusion inhibitor peptides useful for treating AIDS, Bolognesi, D.P., Matthews, T.J., Wild, C.T., US20040033235A1 (2004).**
5. **Methods and compositions for inhibition of membrane fusion-associated events, including HIV transmission, Jeffs, P., Lackey, J.W., Erickson, J.B., Lawless, M.K. Merutka, G., US6750008 (2004).**

Commentary:

The significance of anti-retroviral activity of peptides comprising DP178 (SEQ ID: 1) peptide and fragments, analogs and homologs of DP178 is discussed. These peptides are used for the inhibition of human and non-human retroviral HIV or RSV transmission to uninfected cells.

6. **Method for production of antivirals by use of HIV-derived HR₁ peptides, and trimers formed therefrom, Dwyer, J., Delmedico, M.K., US20040091855A1 (2004).**

Commentary:

The invention provides method for the detection of antiviral compounds inhibiting HIV transmission to target cell and also describes *in vitro* complex formation between a trimer and HR₂ peptide in the presence of antiviral compound.

7. **Synthetic peptide inhibitors of HIV transmission, Bolognesi, D.P., Matthews, T.J., Wild, C.T., Barney, S. O'L., Lambert, D.M., Petteway, S.R. Jr., EP0774971B1 (2005).**

Commentary:

Computer search-generated synthetic peptides constituting amino acids 638 to 673 of the HIV-1LAI gp41 protein, and fragments, analogs and homologs of DP-178 possess significant anti-retroviral activity. These peptides are claimed as inhibitors of HIV transmission to uninfected cells.

8. **Pharmaceutical being used for treating HIV infection, the composition and uses thereof, Zhou, G., Tian, W., US20040248789A1 (2004).**

Commentary:

The invention claims that the fusion inhibitor used for the treatment of HIV infection comprises peptide sequence, acetyl-hydrophobic group with macromolecule carrier group.

9. **Long acting biologically active conjugates, Silva, A., Erickson, J.E., Eissenstat, M., Afonina, E., Gulnik, S., WO04085505A2 (2004).**

Commentary:

Preparation and the use of covalent linked complexes of novel peptide exhibiting significant inhibitory activity of viruses belonging to human immunodeficiency virus (HIV), respiratory syncytial virus (RSV), human parainfluenza virus (HPV), measles virus (MeV), and simian immunodeficiency virus (SIV) are disclosed in this invention. These complexes also exhibit anti-fusiogenic properties.

HIV-1 INTEGRASE INHIBITORS

1. **HIV integrase inhibitors, Selnick, H.G., Hazuda, D.J., Egbertson, M., Guare, J.P., Wai, J.S., Young, S.D., Clark, D.L., Medina, J.C., WO9962513A1 (1999).**

Commentary:

The invention discusses to HIV integrase inhibitors that comprise heteroaryl dioxo-butyric acid derivatives. These derivatives are useful to cure AIDS and prevent human immunodeficiency virus infections. These compositions also

act as HIV integrase inhibitors and are also involved in the inhibition of HIV replication.

2. **HIV integrase inhibitors**, *Young, S.D., Egbertson, M., Payne, L.S., Wai, J.S., Fischer, T.E., Guare, J.P., Embrey, M.W., Tran, L., Zhuang, L., Vacca, J.P., Langford, M., Melamed, J., Clark, D.L., Medina, J.C., Jaen, J., WO9962520A1 (1999).*

Commentary:

The present invention reports on the use of substituted dioxo-butyric acid derivatives and their tautomers for the inhibition of infection caused by HIV, and discusses about the treatment of AIDS. These six-membered aromatic and heteroaromatic-dioxo-butyric acid derivatives are useful as inhibitors of HIV integrase and of HIV replication.

3. **HIV integrase inhibitors**, *Young, S.D., Wai, J.S., Embrey, M.W., Fisher, T.E., WO9962897A1 (1999).*

Commentary:

The invention describes usage of sulfur containing heteroaryl dioxo-butyric acid derivatives and their tautomers for the prevention of HIV infection and the cure of AIDS. These derivatives act as inhibitors of HIV replication and HIV integrase.

4. **Aromatic heterocycle compounds having HIV integrase inhibitors activities**, *Fujishita, T., Yoshinaga, T., Sato, A., WO0039086A1 (2000).*

Commentary:

The derivatives of di-heterocycl hydroxypropenone exhibit HIV integrase and HIV replication inhibiting activities. These aromatic heterocyclic compounds are HIV integrase inhibitors used for the treatment of AIDS as well as for the prevention of HIV.

5. **Quinoline derivatives, having in particular antiviral properties, preparation and biological application thereof**, *Mekouar, K., D'Angelo, J., Desmaele, D., Mouscadet, J.-F., Subra, F., Auclair, C., WO9845269A1 (1998).*

Commentary:

The antiviral diastereoisomeric and enantiomeric forms of quinoline derivatives are used as inhibitors of human immunodeficiency virus integrase. The method for their preparation is also discussed in this invention.

6. **4-Oxoquinoline compounds and utilization as HIV integrase inhibitors**, *Sato, M., Kawakami, H., Itoh, Y., Shinkai, H., Motomura, T., Aramaki, H., Matsuzaki, Y., Watanabe, W., Wamaki, S., WO04046115A1.*

Commentary:

This invention describes 4-oxoquinoline compounds as anti-HIV agents for the treatment of AIDS and HIV. Significant activity was noted when these compounds were used with a protease inhibitor or a reverse transcriptase. They were found to be less toxic in humans.

7. **Aza and polyaza-naphthalenyl carboxamides useful as HIV integrase inhibitors**, *Anthony, N.J., Gomez,*

R.P., Young, S.D., WO0230931A2 and WO0230931A3 (2002).

Commentary:

The invention claims the use of aza- and polyaza-naphthalenyl carboxamides for the treatment of AIDS and as inhibitors of HIV replication and HIV integrase. Some of the quinoline carboxamide and naphthyridine carboxamide derivatives are also involved in the prevention of infections related to HIV and AIDS.

CHIMERIC FLAVIVIRUS VACCINES AND ANTI-VIRAL

1. **Replication-defective dengue viruses that are replication-defective in mosquitoes for use as vaccines**, *Zeng, L., Markoff, L., US6685948 (2004).*

Commentary:

The method for the preparation of mutant replication-defective dengue is discussed. This virus, possessing a genome with a 3' - noncoding stem-loop structure substitution, is used as a vaccine for protecting against flavivirus infection or disease. This is replication-defective in mosquito and is involved in the transmission of flavivirus to humans.

2. **Chimeric flavivirus vaccines**, *Chambers, T.J., Monath, T.P., Guirakhoo, F., US6696281 (2004).*

Commentary:

The present invention deals with the vaccination methods comprising chimeric flavivirus used against infections induced by flavivirus. The preparation and the identification of chimeric flaviviruses i.e. chimeras of yellow fever virus and Japanese Encephalitis (JE), Dengue types 1-4 (DEN1-4), Murray Valley Encephalitis (MVE), St. Louis Encephalitis (SLE), West Nile (WN), Tick-borne Encephalitis (TBE), and Hepatitis C (HCV) viruses are discussed in detail.

3. **Antisense antiviral agent and method for treating ssRNA viral infection**, *Stein, D.A., Skilling, D.E., Iverson, P.L., Smith, A.W., US6828105 (2004).*

Commentary:

The antisense antiviral compounds and their preparation containing morpholino oligomer are discussed for treating ssRNA infection caused by RNA viruses belonging to picornavirus, calicivirus, togavirus or flavivirus families.

4. **Dihydroorotate dehydrogenase inhibitors for the treatment of viral-mediated diseases**, *Tan, Y.H., Driscoll, J.S., Mui, M.S., US6841561 (2005).*

Commentary:

Viruses of Flaviridae, Rhabdoviridae or Paramyxoviridae family causing rabies, hepatitis and yellow fever infections are treated by the enzyme dihydroorotate dehydrogenase inhibitors.

5. **Screening for west nile virus antiviral therapy**, *Shi, P.-Y., Lo, M., Tilgner, M., US20050058987A1 (2005).*

Commentary:

The recent invention describes process of preparing novel lineage I WNV reverse genetics systems. This system is used

as high-throughput cell-based screening assays for the detection of novel antinflaviviral compounds and vaccines. These compounds are effectively used for the prevention of infections by WNV, DENV and other emerging flaviviruses with pronounced immune response.

C5A AND G PROTEIN-COUPLED RECEPTORS

1. **Cyclic agonists and antagonists of C5a receptors and G protein-coupled receptors**, Fairlie, D., Taylor, S.M., Finch, A.M., Wong, A., US6821950 (2004).

Commentary:

The invention discusses the role of novel cyclic or acyclic peptide agonists and antagonists of both C5a and G protein receptors for the treatment of various inflammatory conditions.

2. **Compositions and methods for the diagnosis and treatment of sepsis**, Guo, R.-F., Reidemann, N.C., Ward, P.A., WO04043223A2 and WO04043223A3 (2004).

Commentary:

The invention reports on methods and compositions for the identification and treatment of sepsis. Prognosis of sepsis is determined by C5a expression level on the neutrophils in blood samples of patients suffering from sepsis. The C5aR expression level is correlated with the prognosis in sepsis of patients.

3. **Compositions and methods for the treatment of sepsis**, Ward, P.A., Huber-Lang, M., Sarma, V., US6866845 (2005).

Commentary:

The invention in particular discusses anti-C5a production methods and different compositions used for the identification of blood borne and toxin-mediated disorders. Their role in the cure of sepsis in human and animals is also discussed. Anti-C5a antibodies are generated with the help of C-terminal truncated C5a peptide.

ANTITUBERCULOSIS AGENTS

1. **Mycobacterial inhibitors**, Balganes, M., Ethiraj, K., Ganguly, B., Janaki-Raman, R., WO9965483A1 (1999).

Commentary:

The invention relates to the usage of pyrrolidine and piperidine derivatives as mycobacterial inhibitors for the cure of tuberculosis. Other diseases caused by pathogenic mycobacteria such as *Mycobacterium tuberculosis*, *M. bovis*, *M. avium* and *M. marinum*, are also treated with these compounds.

2. **Antimicrobial compounds**, Townsend, C.A., Dick, J.D., Pasternack, G.R., Kuhajda, F.P., Parrish, N.M., US6713654 (2004).

Commentary:

N-Decylsulfonyleacetamide, sulfone and sulfoxide derivatives are used as antimicrobial compounds for the treatment of *Mycobacterium* infections caused by *Mycobacterium*

tuberculosis and *Mycobacterium avium intracellulare* and *M. leprae*. These compounds prevent growth of mycobacterial cells, which are involved in the synthesis of substituted, -hydroxyl fatty acids i.e. corynemycolic acid, nocardic acid and mycolic acid.

3. **Halogenated anti-tuberculosis agents**, Kobarfard, F., Kauffman, J.M., US20030114531A1 (2003).

Commentary:

The fluorinated derivatives and analogs of thioacetazone and *para*-aminosalicylic acid exhibited antibiotic activity specifically for the inhibition of *Mycobacterium tuberculosis* infection. These antituberculosis agents are used alone or in combination with routine tuberculosis therapeutic agents.

4. **Para-guanidinosalicylate sodium hydrochloride**, Gembitskij, P.A., Efimov, K.M., Martynenko, S.V., RU2237658C1 (2003).

Commentary:

The invention claims significant antituberculosis activity and less toxicity of a new compound *para*-guanidinosalicylate sodium.

5. **Derivatives of rifamycin SV**, Nicola, M., Piero, S., US3342810 (1967).

Commentary:

The role and the preparation of antibiotic rifamycin SV i.e. formyl-rifamycin SV and their derivatives are discussed in this invention. These derivatives possess significant *in vitro* antibacterial activity against several microbes.

6. **3'-Hydroxybenzoxazinorifamycin derivative, process for preparing the same and antibacterial agent containing the same**, Yamne, T., Hashizume, T., Yamashida, K., Hosoe, K., Kuze, F., Watanabe, K., US4983602 (1991).

Commentary:

3'-Hydroxybenzoxazinorifamycin derivative possesses a very pronounced antibacterial activity against Gram-positive bacteria and acid-fast bacteria, and *Tubercle bacilli*.

7. **Rifamycin compounds**, Marsili, L., Rossetti, V., Pasqualucci, C., US4219478 (1980).

Commentary:

The reaction of 3-amino-4-deoxy-4-imino-rifamycin S or related compounds with a ketone yielded oxidized antibiotic 4-methylene-diamino derivatives of rifamycin S. These derivatives of rifamycin S showed strong antibacterial activity against *Mycobacterium tuberculosis*.

ANTIOXIDANT COMPOSITIONS

1. **Methods for identifying compounds that inhibit ubiquitin-mediated proteolysis of I κ B**, Ben-Neriah, Y., Alkalay-Snir, I., Hatzubai, A., Ada, H., Shushan, E.B., Davis, M., Yaron, A., US6905836 (2005).

Commentary:

Method for detecting inhibitor of ubiquitin-mediated proteolysis of phosphorylated I κ B is described. The

inhibitor also modulates the characteristic of γ -TrCP/E3RS involved in protein-protein association with hnRNP-U.

2. **Heterocyclo-alkylsulfonfyl pyrazoles as antiinflammatory/analgesic agents**, *Sakya, S.M., Rast, B., US6900230 (2005)*.

Commentary:

The invention provides the usage of various compositions of heterocyclo-alkylsulfonfyl pyrazoles as anti-inflammatory and analgesic agents. The activity was determined by human *in vitro* and human cell-based COX-1 assays.

3. **Antioxidant compositions**, *Zeng, F., Wang, Y., Wang, L., CN1524447A (2004)*.

Commentary:

The different dosage forms i.e. tablet, capsule, lozenge, pill, granule, or syrup containing γ -thioctacid, vitamin E and excipient are claimed for the treatment of cardiovascular disease, cerebrovascular disease, malignant tumor, senile dementia and other senility diseases. This antioxidant compositions eliminate free radicals and oxidation resistance.

4. **Cardiovascular promotion and maintenance composition**, *Gorsek, W.F., US6551629 (2003)*.

Commentary:

The present invention provides a promoter composition used for maintaining sound cardiovascular health and involved in the prevention of heart attacks, strokes and the onset of arteriosclerosis. The ingredients of this composition are pyridoxine, folic acid, vitamin B12, coenzyme Q10, citrus bioflavonoids, lycopene, standardized green tea extract, red wine extract and grape seed extract.

5. **Nutritive composition for cardiovascular health**, *Hsia, H.S., Fan, D., US6620440 (2003)*.

Commentary:

Nutritive supplement composition comprises two separate preparations: The lozenge A contains fish oil, garlic, capsaicin and rutin, while lozenge B comprises vitamin A, vitamin E, vitamin C, selenium and juice concentrate. These preparations are used for the maintenance of cardiovascular health and nutritional deficiencies related to normal mammalian diet.

6. **Use of γ -tocopherol and its oxidative metabolite LLU- in the treatment of disease**, *Wechter, W.J., US6908943 (2005)*.

Commentary:

A novel method describes composition of γ -tocopherol and its metabolites i.e. γ -tocopherol and racemic LLU-, (S)-LLU-, or other γ -tocopherol derivatives used for the treatment and prevention of high blood pressure, thromboembolic disease, atherosclerosis, cancer, natriuretic disease, the formation of neuropathological lesions, and a reduced immune system response.

7. **Biotherapeutics for mitigation of health disorders from *Terminalia arjuna***, *Patell-Villo, M., Vyas, D., WO05016361A1 (2005)*.

Commentary:

This invention claims the treatment of microbial infections, cardiovascular disorders, and diabetes mellitus with the extracts of the plant and metabolites isolated from *Terminalia arjuna*. DPPH free radical scavenging and reducing potential are also exhibited by the extracts of *T. arjuna*.

8. **Antioxidant combination composition and use thereof**, *De Simone, C., US6923960 (2005)*.

Commentary:

The present invention encompasses the therapeutic antioxidant composition claimed for the prevention of atherosclerosis. It constitutes L-carnitine inner salt, acetyl L-carnitine inner salt, alpha-lipoic acid, coenzyme, vitamin E and selenomethionine.

9. **Vitamin formulation for cardiovascular health**, *Boulos, A., Desai, J., Martin, N., Stillman, R., Udwin, M., US6914073 (2005)*.

Commentary:

The tablets comprising encapsulated vitamin E, precipitated silica, calcium silicate, microcrystalline cellulose and talc are used as nutritional supplement for the cardiovascular related disease such as atherosclerosis and stroke.

10. **(-)-Olivil as antioxidant which is obtained from a new natural source namely, *Stereospermum personatum***, *Rao, J.M., Tiwari A.K., Kumar, U.S., Yadav, J.S., Raghavan, K.V., US6592911 (2003)*.

Commentary:

The present invention discusses the isolation of antioxidant (-)-Olivil from *Stereospermum personatum* and its use as an antioxidant or free radical scavenger in combination with an additive.

11. **Antioxidant from natural source**, *Rao, J.M., Rao, R.J., Tiwari A.K., Yadav, J.S., Raghavan, K.V., US6781002 (2004)*.

Commentary:

The process of isolation of (-)-mesquitol from the stem bark of *Dichrostachys cinerea*. The compound (-)-mesquitol exhibited antioxidant and free-radical scavenger activity and is used for the prevention of coronary heart disease, cancer, diabetes, rheumatic disorder, hepatotoxicity and inflammatory conditions.

12. **Mitochondrially targeted compounds**, *Murphy, M.P., Smith, R., WO9926582A2 (1999)*.

Commentary:

The invention claims the treatment of oxidation stress with mitochondrially-targeted compounds possessing a lipophilic cationic group i.e. triphenylphosphonium along with an antioxidant moiety.

HIV ENTRY INHIBITORS

1. **Anti-CCR5 antibody**, *Olson, W.C., Maddon, P.J., WO03072766A1 (2003)*.

2. **Anti-CCR5 antibody**, *Olson, W.C., Maddon, P.J., Tsurushita, N., Hinton, P.R., Vasquez, M., US2003228306A1 (2003).*

Commentary:

Human immunodeficiency virus-1 infection is prevented by anti-CCR5 antibody comprising two light and two heavy chains containing expression product of a plasmid characterized as pVK: HuPRO140-VK, expression product of plasmid designated pVg1:HuPRO140 HG2-VH or pVg1: HuPR0140 (mutB+D+I)-VH or a fragment, which binds to CCR5 on the surface of a human cell.

ANTIFUNGAL THERAPY FOR SYSTEMIC MYCOSES

1. **Imidazo[1,2-a] pyridine derivative**, *Takemura, M., Takahashi, H., Kawakami, K., Takeshita, H., Kimura, Y., Watanabe, J., Sugimoto, Y., Kitamura, A., Nakajima, R., Kanai, K., Fujisawa, T., US20050113397A1 (2005).*

Commentary:

The invention describes the novel mechanism of 1,6-beta-glucan synthesis inhibition. This antifungal agent comprises imidazo(1,2-a)pyridine or their derivatives. These derivatives are used for the treatment or prevention of human and animal fungal infections.

2. **Antifungal agents of sordarin derivatives**, *Balkovec, J.M., Tse, B., US6864278 (2005).*

Commentary:

Antifungal agents comprising sordarin derivatives derived from C-11-hydroxysordarin are beneficial for the prevention of human and animal fungal infections, and also act as agricultural fungicides for the control of phytopathogenic fungi in crops.

3. **Methods of applying ionization radiation for therapy of infections**, *Dadachova, E., Casadevall, A., Nakouzi, A., US20040115203A1 (2004).*

Commentary:

The invention reports on the preparation of different compositions for the treatment of fungal, viral, bacterial or parasitic infections, multi-drug resistant infections, or infections for which there are no known remedies. The main ingredient of these compositions is radiolabeled antibody, which binds to the agent that causes the infection.

PEGYLATED INTERFERONS FOR THE TREATMENT OF CHRONIC HEPATITIS B

1. **Physiologically active pegylated interferon alpha conjugates, its use, method for its preparation and pharmaceutical composition**, *Bailon, P.S., Palleroni, A.V., SK0284458B6 (2005).*

Commentary:

The present invention relates to the preparation of a protein, PEG-IFNP alpha conjugate, possessing antiviral and antiproliferative activities.

2. **Ribavirin-pegylated interferon alpha induction HCV combination therapy**, *Stalgis, C.O., Albrecht, J.K., Glue, P.W., US6824768 (2004) and US20020119122A1 (2002).*

3. **Ribavirin-pegylated interferon alpha induction HCV combination therapy**, *Glue, P.W., Albrecht, J.K., WO0037110A2, WO0037110A3 and CA2354536AA (2000).*

4. **Ribavirin-pegylated interferon alpha HCV combination therapy**, *Albrecht, J.K., WO0232414A3 and US20020127203A1 (2002).*

Commentary:

The present invention deals with the administration of ribavirin and pegylated interferon alpha-2a or 2b in patients to cure chronic hepatitis-C infection i.e. HCV genotype 1, 2 or 3. This interferon injection reduces identifiable HCV-RNA using the combination therapy in two time periods.

5. **Polyethylene-protein conjugates**, *Hakini, J., Patricia, K., Rosen, P., US5595732 (1997).*

Commentary:

The treatment of immunomodulatory diseases i.e. neoplastic diseases or infectious diseases with the help of polyethylene glycol protein conjugates is described.

ANTI-INFECTIVE QUINONE DERIVATIVES

1. **Naphthoquinone derivatives and their use in the treatment and control of tuberculosis**, *Meyer, J.J.M., Lall, N., US6835755 (2004).*

Commentary:

The invention discloses different compositions of naphthoquinone derivatives used as therapeutics for tuberculosis caused by *Mycobacterium tuberculosis*. This invention is also effective against drug-resistant strains.

2. **Antichlamidial Agent**, *Kobayashi, M., Noguchi, M., JP2001010967A2 (2001).*

Commentary:

The antichlamidial agent is isolated from the alcoholic and ether extracts of bamboo. The antichlamidial agent is used for the prevention of pneumonia, ocular infection, urinary tract infection and sexually transmitted diseases.

3. **Anti-drug resistant strain agents and antichlamydia agents**, *Hirai, K., Nagata, K., Koyama, J., Kishimoto, T., US6395773 (2002).*

Commentary:

Various formulations of anti-drug resistant strain antibiotic agents and antichlamydia agents are discussed in this invention. These agents comprise furonaphthoquinone derivatives with different groups, which is useful in sexually transmitted diseases.

4. **Antibacterial compound against VRE and MRSA**, *Tada, M., Yo, S., Arakawa, Y., JP2003267910A2 (2003).*

Commentary:

The invention provides antimicrobial compounds against bacterial infections caused by VRE (vancomycin-resistant *Enterococcus*) and MRSA (methicillin-resistant *Staphylococcus aureus*).

5. **New anthraquinone derivatives**, Tanaka, K., Watanabe, M., Nagai, K., Nimura, N., Yamaguchi, A., JP2000239216A2 (2000).

Commentary:

Bianthraquinone derivatives show antibacterial activity against many bacteria and act as antibiotic.

6. **Anti-viral multiquinone compounds and regio-specific synthesis thereof**, Stagliano, K.W., Emadi, A., US20040087663A1 (2004).

Commentary:

The invention relates to the preparation of biquinone and trimeric quinone derivatives, which are used for the control of viral infections i.e. HIV infections. Various reactions involved in the synthesis of these derivatives are discussed.

7. **Inhibition of carbohydrates metabolism by quinine compounds**, Hecht, S.M., Locke, E., US6075057 (2000).

Commentary:

The enantiomers and derivatives of avarol are claimed to be potent inhibitors of α -glucosidase and α -mannosidase. These enantiomers and derivatives are used in anti-tumor chemotherapy. These inhibitors are also used in different assays and probes, and are effective in the cure of AIDS.

8. **Heterocyclic quinones as pharmaceutical agents**, Pirrung, M.C., Rudolph, J., US20040063774A2 (2004).

Commentary:

Synthesis of pyrrolylquinones and indolylquinones compounds describes to be useful for treating neurodegenerative diseases, proliferative disease and viral infection is discussed in this invention.

9. **Cysteine protease inhibitors**, Arad, D., Bollon, A.P., Young, D.G., Peek, A.S., Poland, B.W., Shaw, B., Vallurupalli, J., WO02076939A2 and WO02076939 A3 (2002).

Commentary:

The present invention discusses compounds possessing quinone and quinone analogs. These quinone and quinone analogs inhibit cysteine proteases, especially caspases and 3C-cysteine proteases and cysteine protease-like proteins. Their use for the treatment of viral infections or physiological disorders is also discussed.

10. **Benzonaphthacenequinone derivative**, Takeuchi, T., Kondo, S., Sakurai, K., Fukagawa, Y., Miya, A., JP2000001497A2 (2000).

Commentary:

The antimicrobial activity of the novel benzonaphthacenequinones and the synthesis of compounds by the reaction of specific organic compound with benzonaphthacenequinone are reported. The covalent bonding of benzonaphthacenequinones facilitates the transportation of drugs by increasing the solubility in water due to this structure.

11. **Combination of atovaquone with proguanil for the treatment of protozoal infections**, Gutteridge, W.E., Hutchison, D.B.A., Latter, V.S., Pudney, M., CZ0289692B6 (2002) and US5998449 (1999).

Commentary:

The invention claims that the synergistic combinations of *trans*-2-(4-(4-chlorophenyl) cyclohexyl)-3-hydroxy-1, 4-naphthoquinone (atovaquone) and 1-(4-chlorophenyl)-5-isopropylbiguanide hydrochloride (proguanil) are effective for the prevention of protozoal parasitic infections i.e. malaria and toxoplasmosis, and infections caused by *P. carinii* in mammals.

TUBERCULOSIS: PATENTED DRUG TARGETS

1. **EmbCAB operon of mycobacteria and mutants thereof**, Jacobs, Jr. W.R., Musser, J.M., Telenti, A., US6015890 (2000).

Commentary:

The invention provides information for the identification, sequences of normal and mutated embC, embA, and embB nucleic acids containing embCAB operon. The method for hybridization is also discussed. The proteins that encode embCAB operon are considered as target of action of *Mycobacterium tuberculosis*, *Mycobacterium smegmatis*, and *Mycobacterium leprae* for ethambutol. The mycobacterial sequences are used for the treatment of mycobacterial infection.

2. ***M. tuberculosis* RNA polymerase alpha subunit**, Healy, J.M., Bodorova, J., Lam, K.T., Lesoon, A.J., EP0956347A1 and EP0956347A4 (2002).

Commentary:

The present invention relates to the use of RNA polymerase inhibitors as anti-tuberculosis agents and process is used for the high throughput screening for RNA polymerase alpha sub-unit for the prevention of *Mycobacterium tuberculosis* infection.

3. **Reporter gene based method for the screening of anti-tuberculosis drugs by using essential and regulatory genes of mycobacteria as drug target**, Soni, V., Khandrika, L.P., Agrawal, P., US6645505 (2003).

Commentary:

The invention encompasses process of preparing recombinant *Saccharomyces cerevisiae* and screening of drugs for tuberculosis utilizing whiB-like genes i.e. whiB1, whiB2, whiB3, whiB4 present in *Mycobacterium tuberculosis* H37Rv, *M. bovis* bacille-Calmette guerin (BCG) and *M. leprae*.

4. **Mutants of mycobacteria and process thereof**, Tyagi, A.K., Singh, R., Rao, V., Ramanathan, V.D., Paramasivan, C.N., Narayanan, P.R., Singh, Y., WO2005005639A2 and WO2005005639A3 (2005).

Commentary:

The process of developing mutant strain from *Mycobacterium tuberculosis* or *Mycobacterium bovis* with modified tyrosine phosphatase gene mtpA and mtpB for the development of anti-tubercular drug is elaborated.

5. **A process for identifying a novel target for use for the development of therapeutic modalities and drugs effective against tuberculosis**, Tyagi, J.S., Kapur, V., EP1472339A1 (2004).

Commentary:

The novel target effective for tuberculosis treatment is identified by PCR which characterizes the presence of devR, KmR and sucrose resistance (SacB) gene sequences.

6. ***Mycobacterium tuberculosis* (MTB) targets for therapy**, Darwin, K.H., Nathan, C.F., US2004213776A1 (2004).

Commentary:

The invention pertains to the prevention of *Mycobacterium tuberculosis* infections by compounds that are used to inhibit proteasomal and protease activity and DNA repair enzyme activity, or flavin-like co-factor synthesis enzyme activity.

7. **Tuberculosis drug targets**, Av-Gay, Y., Drews, S.J., Cowley, S., WO03074728A2 (2003).

Commentary:

A new approach is used for the screening of compounds involved in the treatment of drugs for the cure of infections caused by *M. tuberculosis* by PknB, PknG, PknH, PknJ kinases.

8. **Isocitrate lyase enzyme from *Mycobacterium tuberculosis* and inhibitory agents to combat persistent infection**, Sacchetti, J.C., Mckinney, J.D. Russell, D.G. Jacobs, W.R., Sharma, V., Sharma, S., Hener Zu

Bentrup, K., WO0233118A2 and WO0233118A3 (2003).

Commentary:

The invention discloses new compositions, i.e. isocitrate lyase and malate synthase enzymes, used for the treatment of *M. tuberculosis* infections.

9. **SecA gene of *Mycobacterium tuberculosis* related methods and compositions**, Schmidt, M.G., Owens, M.U., King, H.C., Quinn, F.D., WO9626276A1 (1996).

Commentary:

The invention relates to preparation of nucleic acids encoding wild-type and mutant *M. tuberculosis* SecA proteins, and antibodies against these proteins used as vaccines, probes, primers and identification reagents for infections related to *M. tuberculosis*.

10. **New DNA molecules**, Balganes, M., Sharma, U., WO9638478A1 (1996).

11. **Stationary phase, stress response sigma factor from *Mycobacterium tuberculosis*, and regulation thereof**, Demajo, J., Young, D.B., Bishai, W.R., Zhang, Y., WO9735611A1 (1997).

Commentary:

It presents screening assays for groups which prevent the link between a sigma subunit and a core RNA polymerase and also provide encoding for the said subunits of *M. tuberculosis* RNA polymerase. This sigma subunit also characterizes cyclobutane pyrimidine dimers (CPDs).

12. **Determining the ability of a compound to inhibit the cyclopropanation of mycolic acids in pathogenic mycobacteria**, Barry, C.III, Yuan, Y., US5573915 (1996).

Commentary:

The drug design and screening test for the identification of anti-mycobacterial comprises compounds that prevent cyclopropanation of mycolic acids in pathogenic mycobacteria is discussed in the invention.