



Mission

Therapeutic Systems Research Laboratories (TSRL), Inc. is a privately owned oral drug delivery specialty firm focused on R&D efforts to enhance the oral absorption of drugs. We have developed a variety of patented technologies for improving oral absorption of drug candidates, including prodrug and carrier technologies as well as time and rate controlled delivery systems. By combining customized problem-solving strategies with individually tailored delivery solutions, TSRL can help clients develop valuable oral therapeutic product strategies.

Highlights

- Management team with extensive research and drug development experience in academia, large pharma and biotech.
- Internationally recognized experts in the field of solubility, transport phenomena, prodrugs, and oral drug absorption.
- Co-authors of regulatory guidance documents for the Biopharmaceutics Classification System (BCS).
- Multiple patented oral drug delivery technologies provide an increased opportunity for success.
- Promising product pipeline with oral candidates for treatment of infectious diseases, osteoporosis and inflammatory bowel disease.
- Result-oriented, disciplined project execution

Overview

TSRL's researchers are experts in oral drug delivery and our senior scientists have on average more than 15 years experience in drug development. We work with our clients as an extension of their product development teams, providing preclinical study data to elucidate the underlying mechanism of absorption limitations and offer customized solutions to drug candidates challenged by poor oral absorption.

In addition, TSRL is an established provider of study data needed in support of FDA Biowaiver applications according to the Biopharmaceutics Classification System (BCS), which is an international drug regulation that allows for waiving of clinical bioequivalence (BE) trials for drugs in certain BCS classes. This can translate into substantial development cost savings for both NDA and, in particular, ANDA filings.

We have partnered with a number of other service organizations (Velesco Pharmaceutical Services, Research Essential Services, MITR) to round out our preclinical services and provide our clients with seamless preclinical development and formulation scale-up services that ensure rapid and smooth execution of IND-enabling studies and initiation of clinical development.

Technology / Licensing

Oral Influenza Therapeutics

Many current and emerging drug therapies suffer from poor oral bioavailability. This results in either the development of a less desirable, non-oral route of administration, complicated oral administration regimens, or the complete discontinuation of product development. TSRL, Inc. is developing novel antiviral analogues of proven therapeutics with initial focus on influenza. Our two lead candidates (TSR-026 and TSR-462) are orally available influenza therapeutics with high potency against oseltamivir-resistant strains. These therapeutics can be deployed for treatment and prevention of seasonal and pandemic flu outbreaks. TSRL will partner their influenza therapeutics with a larger company for clinical development and continue to focus on generating additional pre-clinical product opportunities around its transporter targeted drug design.

Management Team

Gordon L. Amidon, PhD
Chairman and CSO

John M. Hilfinger, PhD
President

Elke Lipka, PhD, MBA
VP Business Development

Dawn Reyna
Director of Laboratory Services

Funding History

19 Phase I SBIR grants, six of which were funded through the Phase 2 level, one R21 grant, one Cooperative (U01) Grant and a Michigan 21st Century Job Fund grant.

Facilities

7000 sq.ft. integrated research facility combining *in vitro* drug product research, tissue culture research, *in vivo* preclinical ADME/BCS testing and state-of-the-art analytical capabilities to provide our partners with highest quality data and expert consulting on oral drug delivery issues.

Contact Info

TSRL, Inc.
540 Avis Drive, Suite A
Ann Arbor, MI 48108
Phone 734-663-4233
1-800-518-8886
Fax 734-663-3607
www.tsrlinc.com

BAC Technology (Absorption Enhancer)

The bile acid carrier (BAC) technology is an absorption enhancing oral drug delivery platform applicable to a broad variety of therapeutic agents. Many current and emerging drug therapies suffer from poor oral bioavailability. This frequently results in undesired side effects and complicated dosing regimens, which limit patient compliance. Our lead candidate, BT-256, is an oral alendronate BAC formulation designed to avoid the complicated dosing regimen and side effects that characterize the approved alendronate product, Fosamax. Fosamax is prescribed for the treatment of osteoporosis. BT-256 is expected to significantly boost Fosamax's poor patient compliance of less than 25% after two years of treatment. This low patient compliance severely limits the potential clinical benefit and results in significant health care costs from complication of the disease such as fractures. We are pursuing a 505(b)2 regulatory filing strategy, which results in a significantly shortened development plan and could get BT-256 to market within 3-5 years.

Non-absorbable therapeutics for targeted treatment of Inflammatory Bowel Disease (IBD)

Inflammatory bowel disease (IBD) is a devastating condition that is currently treatable only with drugs exhibiting significant undesired effects, most notably immunosuppression. Exploration of the therapeutic drug class of sartans, well known hypertension medicines with excellent safety profiles, for treatment of IBD represents an effective strategy for bringing a novel, safe and efficacious treatment option to patients. Chemical modifications lead to novel inhibitors of pathways and targets in the renin-angiotensin system (RAS) with reduced membrane permeation characteristics, yet retained potency. Furthermore, an innovative biopharmaceutical delivery approach for these candidates has been derived, with high potential for specific targeted delivery of RAS antagonists alone or in combination with other therapeutic agents to inflammatory lesions in the gut.

Services

API/Drug Product/CMC

- Solubility and dissolution testing

In vitro / in vivo ADME

- Permeability determinations
 - Mechanistic absorption studies (rat in-situ perfusion and Caco-2/MDCK transport)
 - BCS biowaivers (rat in-situ perfusion)
- Preclinical PK/ADME studies