



Open innovation at GSK

The Open Lab Model

VI Annual Conference of Biomedical Technology Platforms

“Open Innovation “ models in the Pharmaceutical Industry

Madrid 2013



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Sharing our intellectual property

Tres Cantos

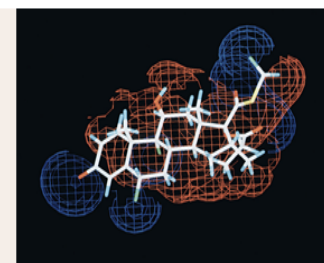
Stevenage Science Park

Innovation and investment

GSK McLaren partnership

Open innovation

Open innovation is an important driver of R&D, and we are committed to working collaboratively with other organisations to discover and develop new medicines and vaccines. Find out how we share our intellectual property and how we work with partners at our labs in Tres Cantos and Stevenage to fight the world's global health priorities.



Discover more

[Tres Cantos](#)

Our Open Lab at Tres Cantos Medicines Development Campus concentrates on global health priorities like malaria and tuberculosis.

[Sharing our intellectual property](#)

Find out how we share our intellectual property to accelerate the development of new medicines

[Stevenage Science Park](#)

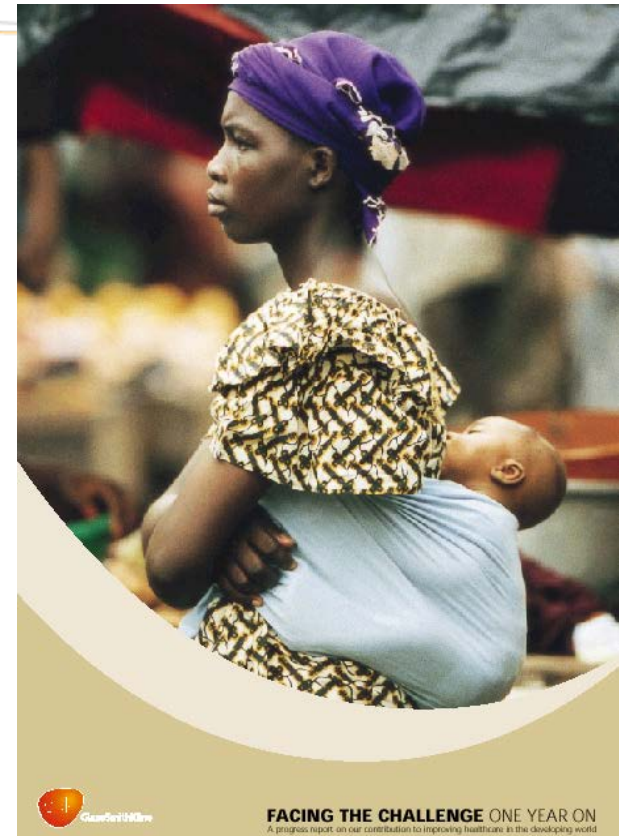
Stevenage Bioscience Catalyst campus is a major hub for early-stage biotech companies, providing them with access to our expertise and facilities.

More from around the site

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GSK Diseases of the Developing World

- Focused on R&D in malaria, TB and kinetoplastids
- **Collaborative with key funding partnerships**
- Projects prioritised by unmet medical need - not commercial benefit
- Approx. 120 scientists in Tres Cantos
- Full access to GSK resources



Medicines for Malaria Venture



Open Innovation for Neglected Tropical Diseases

- Comprises three main strands:
 - Being more flexible with our IP and know-how - Knowledge Pool
 - Opening up access to GSK compounds and data
 - Enabling access to GSK resources - open laboratory



TCAMS: thousands of novel antimalarial starting points

www.ebi.ac.uk/chemblIntd

ChEMBL-NTD Compound Search Results: 174 Hits

Mini Report Cards 2 Please select

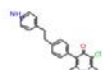
Sources Synonyms Mol Weight ALogP PSA #Ro5 #HB 3D7 (2uM) #HB D02 (2uM) #HB 3D7 PFLDH (2uM) pKCS6 3D7 #HB HEPG2 (10uM) IF1 Chemical Cluster NR Graph Frame Cluster Annotations

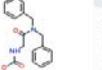
Assay	Min Value	Adjust Range	Max Value
Inhibition of P. falciparum strain 3D7 (at 2uM)	80		100
Inhibition of P. falciparum Dd2 (at 2uM)	50		100
Inhibition of P. falciparum strain 3D7 LDH Reporter Assay (at 2uM)	0		10
50% determination of P. falciparum 3D7 growth	6.9		9
Inhibition of human HepG2 cell line (at 10uM)	0		10
Inhibition Frequency Index (IFI)	0		44

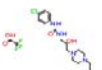
Predicted Target: All

☐ Available in ChEMBL Database ☐ Available Commercially

Start Search Reset Form

	MW	352.86
	ALogP	3.91
	PSA	55.12
	HBA	3

	MW	354.44
	ALogP	3.38
	PSA	58.64
	HBA	3

	MW	354.87
	ALogP	2.07
	PSA	67.84
	HBA	4
	HBD	3
	#Ro5.50s	0

www.collaborativedrug.com



ART

<http://pubchem.ncbi.nlm.nih.gov/>

1 Thousands of chemical starting points for antimalarial lead identification

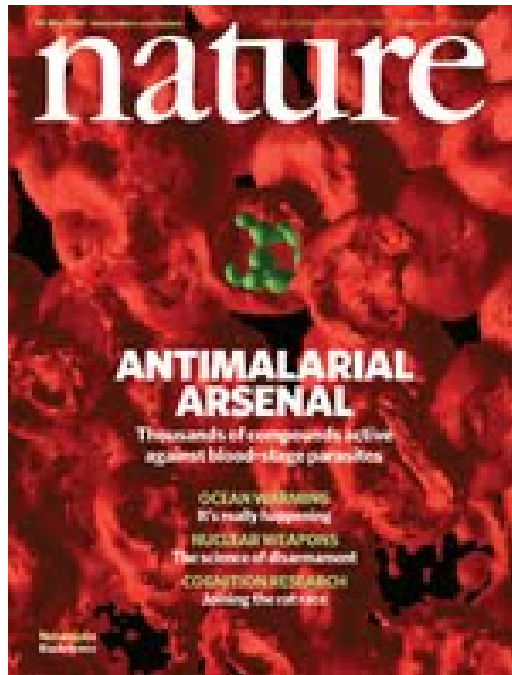
Francisco-Javier Gamol¹, Laura M. Sanz¹, Jaime Vidal¹, Cristina de Cozar¹, Emilio Alvarez¹, Jose-Luis Lave¹, Dana E. Vanderwall², Darren V. S. Green³, Vinod Kumar⁴, Samiul Hasan⁴, James R. Brown⁴, Catherine E. Pe⁴, Lon R. Cardon⁶ & Jose F. Garcia-Bustos¹

Malaria is a devastating infection caused by protozoa of the genus *Plasmodium*. Drug resistance is widespread, no chemical class of antimalarials has been introduced into clinical practice since 1996 and there is a recent rise of p strains with reduced sensitivity to the newest drugs. We screened nearly 2 million compounds in GlaxoSmithKline's chemical library for inhibitors of *P. falciparum*, of which 13,533 were confirmed to inhibit parasite growth by at least 80% at 2 μ M concentration. More than 8,000 also showed potent activity against the multidrug resistant strain Dd2. Most (82%) compounds originate from internal company projects and are new to the malaria community. Analyses using historic assay data suggest several novel mechanisms of antimalarial action, such as inhibition of protein kinases and host-pathogen interaction related targets. Chemical structures and associated data are hereby made public to encourage additional drug lead identification efforts and further research into this disease.

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Walter+Eliza Hall
Institute of Medical Research



University of Dundee



ferrer



JOHNS HOPKINS
M E D I C I N E



MONASH
University



Griffith
UNIVERSITY

Tres Cantos Open Lab Foundation



✓ Contact us

WHAT WE DO

BE INSPIRED

MAKE YOUR MARK



DRIVING DRUG DISCOVERY

Our mission - Accelerating the discovery of treatments for diseases of the developing world.

EMBRACING COLLABORATION

Our projects - Increasing the value of knowledge by sharing information and ideas.

SHAPING THE FUTURE

What we look for - You could help transform the development of new medicines.

Submit a project

Become a partner

'Open Lab' established

www.openlabfoundation.org

GSK's Open Innovation strategy for Neglected Tropical Diseases

Based upon two pillars:

1) The Open Laboratory-Enabling access to:

- Resources: £5 M + £5 M (TCOLF) + GSK lab space for scientists from home institutions
- Compounds and data
- IP (Knowledge Pool)

2) Proactively seek **Collaborative Work** with Academia, Biotech and other Pharma



+

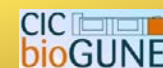
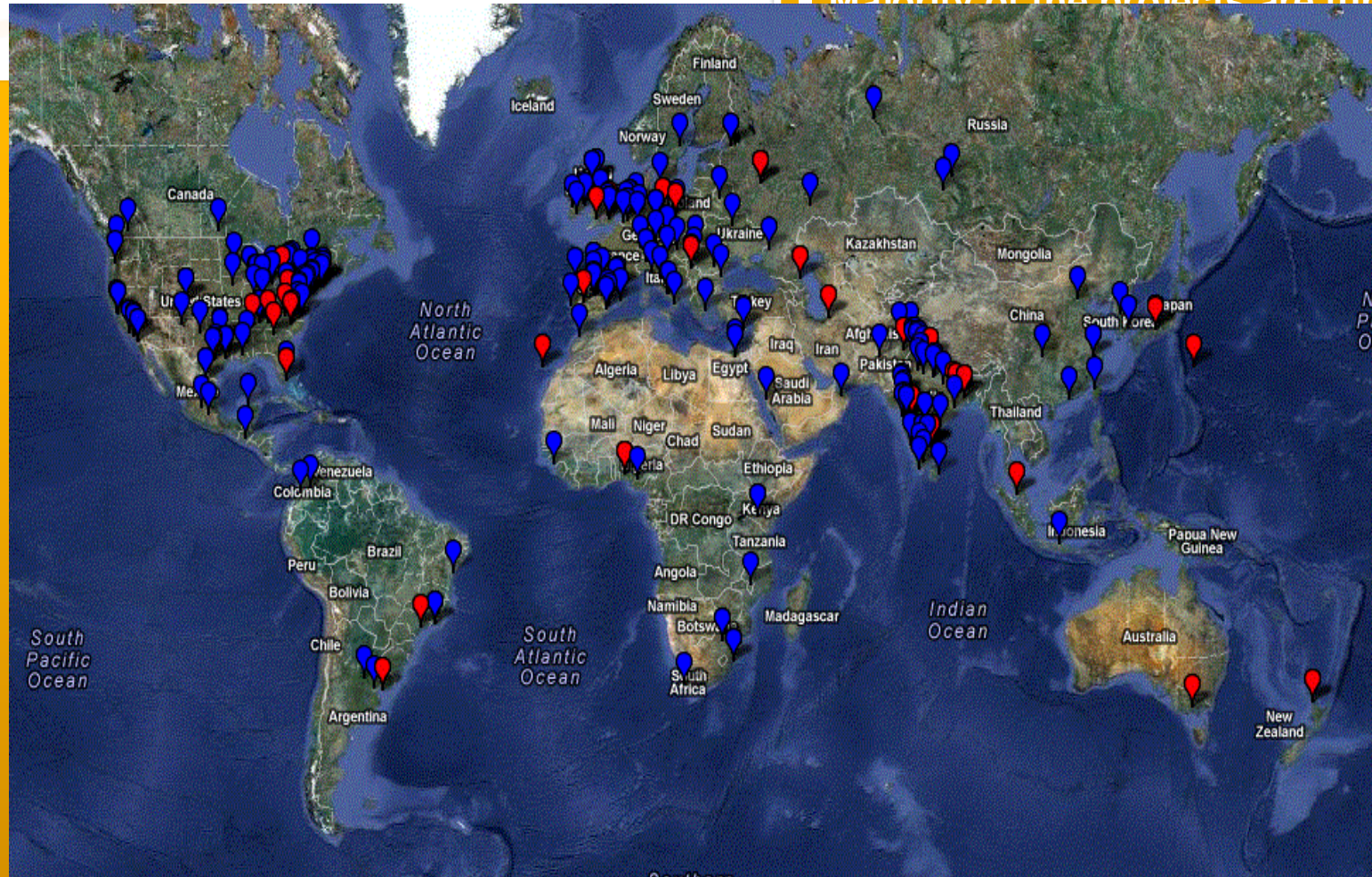


General Principles of the Tres Cantos Open Lab

- An opportunity for external scientists to work alongside GSK drug discovery scientists in Tres Cantos
- Incoming projects will be aligned with interests in Malaria ,Tb or other neglected diseases.
- We are looking for validated targets and phenotypic screens that address disease area priorities:
 - Access to novel chemical diversity
 - Assay amenable to medium-to-high throughput screening
 - An open approach to intellectual property

Open lab portfolio: today

- > 100 proposals received
- Target is to have around 10 high quality active projects at steady state
- Majority of the projects are funded by foundation



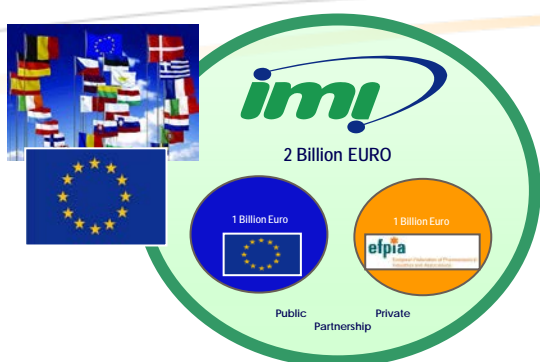
Open lab portfolio: today

<u>Tools</u>	<u>Hit ID</u>	<u>Lead ID</u>	<u>Lead Op</u>
	Durham University		
iThemba PHARMACEUTICALS	Imperial College London	Northeastern University CSIC Center for Research in Sustainable Chemicals	
CIC bioGUNE	OMNIA MOLECULAR RIGHT FIRST PASS	NEW YORK UNIVERSITY	
CRESIB BARCELONA Hospital Clinic - Universitat de Barcelona	Cornell University	Seattle BioMed	SAPIENZA UNIVERSITÀ DI ROMA
Inbiomed Investigación en medicina personalizada	UF UNIVERSITY of FLORIDA	Swiss TPH	UNIVERSITY OF LIVERPOOL
Cornell University	University of Glasgow	McGill	HELSINGIN YLIOPISTO HELSINGFORS UNIVERSITET UNIVERSITY OF HELSINKI
LONDON SCHOOL of HYGIENE & TROPICAL MEDICINE	UBC THE UNIVERSITY OF BRITISH COLUMBIA	UNIVERSITY OF MINNESOTA Driven to Discover™	THE UNIVERSITY OF GEORGIA
			Institut Pasteur Korea



Some other examples of Open Innovation fostered by GSK

Pre-competitive consortiums in Drug Discovery



Innovative
Medicine Initiative



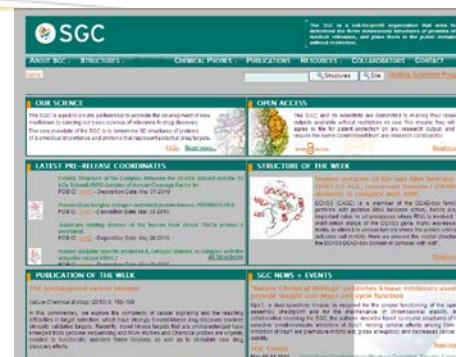
GlaxoSmithKline



NIH CHEMICAL GENOMICS CENTER



Chemical
Probes for
Epigenetic

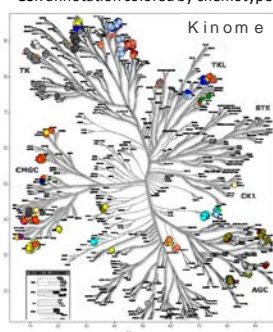


Structural Genomic
Consortium

GSK Published Kinase Inhibitor Set (PKIS)

- Set design
 - 367 inhibitors published by GSK
 - >20 chemotypes
 - Limited annotation across <50 kinases
- Availability
 - Available to any academic investigator with structures and selectivity data
 - Investigators required to deposit data in the public domain (www.sarfar.org/kinasesarfar) is the suggested site)
- Contacts
 - david.h.drewry@gsk.com
 - william.j.zuercher@gsk.com

GSK annotation colored by chemotype



and....

Discovery Partnership with Academia

A collaborative approach from GSK

“everyone playing to their strengths”

**Deep biology and disease
understanding of
Academia**



**Drug discovery
expertise of GSK**

Build integrated partnerships that can translate innovative research into medicines that benefit patients

What can GSK offer in Drug Discovery?

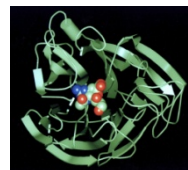
Large scale protein production



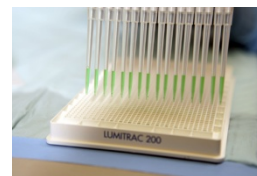
HTS capacity
2 million compound set



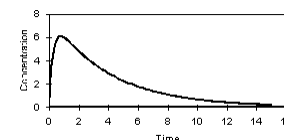
Medicinal chemistry
and computational molecular design



Selectivity screening



PK-PD modelling



Target Feasibility

Assay Development

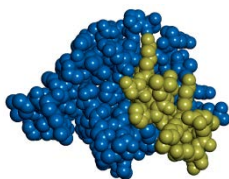
Lead Identification

Early Lead Optimisation

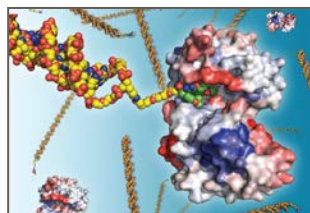
Late Lead Optimisation



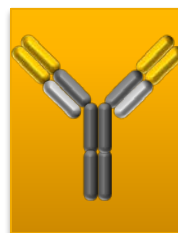
Flexible, high tech assay platforms



Epitope Mapping



Encoded Library technology
>10 billion compounds



Affinity Optimisation



Synthetic & analytical chemistry



Preclinical development
(safety assessment,
pharmacy, chemical
development, DMPK)

What are we looking for?

Clear Therapeutic hypothesis

A coherent and supportable hypothesis that modulation of target will produce a physiological effect which will be of therapeutic benefit to particular patients

Target defined

Specific drug target identified, and some understanding of type of pharmacology desired

(Exclusive) enabling expertise

Academic partner has know-how and/or expertise essential to progressing the target which is not (readily) found elsewhere

Tractability

A path to identification of a drug molecule can be defined.
Target knowledge suggests that a drug-like molecule can be generated

Requirement for GSK contribution

GSK has capabilities and expertise which will help progress the project to the next milestone

For more information contact
dpac@gsk.com

Some topics for today's discussion

- External partnership
 - the fear of partnership with pharma!
 - partnership or acquisition?
- Creating “in house” expertise or partnering with external experts (owning or sharing IP!)
 - Realisms in Academia of Technology Transfer Offices : true value of assets (Targets, Lead, Candidates, Technology)
- Models to foster innovation
 - industry sponsored centres
 - development of tools to facilitate interactions
- The role of governments and funding agencies