

# **SCREEN-WELL® COMPOUND LIBRARIES**

## Toxicity

Cardiotoxicity Hepatotoxicity Hematopoietic Toxicity Myotoxicity Nephrotoxicity

## **Drug Repurposing**

FDA Approved Drugs

## Pathway Targeting

Autophagy Epigenetics Wnt Pathway

## Inhibitors and Standards

Cancer Inhibitors ICCB Known Bioactives Kinase Inhibitors Ion Channel Ligands Protease Inhibitors Phosphatase Inhibitors REDOX

## **Receptor De-Orphaning**

Neurotransmitters Bioactive Lipids Orphan Ligands Endocannabinoids Fatty Acids Nuclear Receptor Ligands

## **Natural Products**

Natural Products Library

## **FOCUSED COLLECTIONS OF UNIQUE COMPOUND LIBRARIES**

## SCREEN-WELL® Compound Libraries Complement Our Wide Range of Small Molecules

Enzo Life Sciences has a long and successful track record in identifying, synthesizing and commercializing known bioactives for use as research tool compounds and in assembling relevant sets of focused compounds for screening.

Our long-standing, flagship SCREEN-WELL Compound Library product family offers an easy, ready-to-use alternative for compound screening. Each library includes:

- · A unique collection of small molecules including inhibitors, activators and/or inducers
- A complete documentation set that highlights activity descriptions, plate positions, physical information and a structural database (SD) file
- · The ability to re-supply individual bulk compounds, custom libraries, or hard-to-source compounds

#### **Extensive Offering**

- A unique offering of focused compound libraries comprised of FDA-approved compounds, natural products, compounds for receptor de-orphaning, chemical genomics, and pathway targeting
- Current catalog of over 2,500 stand-alone small molecules including natural products, enzyme inhibitors, receptor ligands, drugs, lipids & fatty acids, etc.

#### **Proven and Consistent**

- Each SCREEN-WELL Library collection incorporates years of scientific expertise resulting in libraries that contain the right compounds in the right combination
- · Synthetic chemistry capability with a staff of organic chemists experienced in diverse synthetic methods and techniques

#### Novel

Libraries are composed of relevant small molecules, frequently including proprietary Enzo compounds

#### **Easy and Cost-efficient**

- · All of our libraries come in a ready-to-screen format in biocompatible solvents, no reconstitution needed
- · Ability to rapidly and inexpensively source compounds through a supplier network built over a 40 year history

#### Flexible

- · Individual compounds available for re-supply even if not listed on our website
- Ability to supply bulk quantities and custom compounds in the mg to g scale

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## **RECEPTOR DE-ORPHANING**

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## NATURAL PRODUCTS

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## **PROFILE ORGAN-SPECIFIC TOXICITY**

## SCREEN-WELL® Toxicity Libraries

Targeted SCREEN-WELL libraries from Enzo Life Sciences for cardiotoxicity, hepatotoxicity, hematopoietic toxicity, nephrotoxicity and myotoxicity contain compounds with defined and diverse organ-associated toxicity profiles. A variety of structurally and mechanistically different compound classes are included, as well as non-toxic controls. Compounds are dissolved in DMSO at 10 mM and aliquoted into deep-well plates at 100 or 500 µL per well. The libraries are useful for predictive toxicology screening, including high-content protocols.

- · Better understand mechanisms of toxicity with your small molecule or candidate-drug of interest
- · Compare your compound with known references for investigative toxicity
- Optimize formulation efficacy and safety

### Cardiotoxicity

#### **Major Toxicity Categories Include:**

Arrhythmia	Cardiomyocyte Apoptosis	Carditis	Fibrosis & Carditis
Hypotension/Hypertension	Ion Channel Blockage	Mitochondrial Toxicity	Non-cardiotoxic Controls
Thrombosis			
Product Name	Compounds	Product #	Size
SCREEN-WELL Cardiotoxicity Library	/ 130	BML-2850	100 μL, 500 μL

### Hepatotoxicity

#### Major Toxicity Categories Include:

Cholestatic Effects	CYP450 Inactivation	Elevation	of Liver Enzymes Ma	llory Body Formation
Mitochondrial Toxicity	Non-hepatotoxic Cor	ntrols Steatosis	Тох	tic Metabolites
Product Name	Co	ompounds	Product #	Size
SCREEN-WELL Hepatotoxicity Librar	y 23	8	BML-2851	100 μL, 500 μL

### **Hematopoietic Toxicity**

#### **Major Toxicity Categories Include:**

Anemia Hematopoietically Non-toxic Co	ntrols Leukopenia	Myelosuppression Neutroper	ia Thrombocytopenia
Product Name	Compounds	Product #	Size
SCREEN-WELL Hematopoietic Library	115	BML-2852	100 μL, 500 μL

### **Myotoxicity**

#### **Major Toxicity Categories Include:**

Atrophy	Colchicine-induced Myopathy	Myalgia	Necrosis	Rhabdomyolysis	Thrombocytopenia
Product Name	;	Compounds	Product #		Size
SCREEN-WELL	Myotoxicity Library	60	ENZ-LIB101		100 µL

### Nephrotoxicity

### Major Toxicity Categories Include:

Acute Renal Failure	Chronic Kidney Disease	Proximal and Distal Tubulopathy	Non-nephrotoxic Controls
Product Name	Compounds	Product #	Size
SCREEN-WELL Nephrotoxicity Lib	rary 86	ENZ-LIB100	100 µL

## DRUG REPURPOSING

In addition to classic methods of drug discovery, drug repositioning or repurposing can be an important supplemental pathway for the discovery of new therapies.

## FDA APPROVED DRUGS

The SCREEN-WELL® FDA Approved Drug Library contains 770 drug compounds carefully selected to maximize chemical and pharmacological diversity. The library contains clinically-relevant pharmacophores for SAR or toxicity studies and provides an ideal starting point for drug repurposing or repositioning programs.

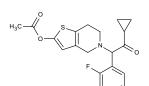
- Revised to provide over 100 more compounds, including recent FDA approved drugs •
- Most complete option for drug repurposing screens
- Contains diverse compounds approved for cardiovascular, neuropsychological, immunological, oncological and other uses
- 100% known bioactivity and greatest degree of drug-likeness available ٠
- Comprehensive documentation includes compound list and SD files with indication and mechanism of action

#### Library Includes:

Adrenergics	Anticholines	terases	Antineoplastics	COX	-2 Inhibitors
Analgesics	Anticoagular	its	Antiparasitics	Diur	etics
Anthelmintics	Anticonvulsa	nts	Antiplatelet	Erec	tile Dysfunction
Antiinflammatories	Antidepressa	ints	Antiprotozoals	Estr	ogens
Antiacnes	Antidiabetics	;	Antipsychotics	Gluc	ocorticoids
Antiarrhythmics	Antifungals		Antiulceratives	L0 I	nhibitors
Antiarthritics	Antihistamin	ergics	Antivirals	Mus	cle Relaxants
Antiasthmatics	Antihistamin	es	Atihyperlipidemics	Vaso	oconstrictors
Antibacterials	Antihyperten	sives	Bronchodilators	Vaso	odilators
Anticholinergics	Antiinfectant	S	Chelating Agents	Vita	nins
Anticholinergics	Antimalarials	3	Cholinergics		
Product Name		Compounds	Product #	Size	Format
SCREEN-WELL FDA Approved Drug	g Library V2	775	BML-2843	100 µL	10 mM DMS0*

## FDA APPROVED FEATURED COMPOUNDS

#### **Prasugrel**

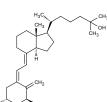


- High Purity >98%
- FDA Approved 2009
- Inhibits ADP receptors by irreversibly acting on the P2Y12 receptor on platelets.
- Anti-thrombotic

### Clobazam

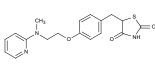
- High Purity >98%
  - FDA Approved 2011
- Binds at a distinct binding site associated with a CI<sup>-</sup> ionopore at the GABAA receptor increasing the duration of time for which the Cl<sup>-</sup> ionopore is open
- Post-synaptic inhibitory effect of GABA in the thalamus is prolonged
- Anticonvulsant

### $1\alpha$ ,25-Dihydroxyvitamin D3



- High Purity Compound ≥99% (HPLC) Active hormonal form of
- vitamin D Antihypocalcemic Agent,
- Antihypoparathyroid Agent

#### Rosiglitazone



- High purity  $\geq 97\%$
- Potent insulin sensitizing agent Peroxisome proliferatoractivated receptor  $\gamma$  (PPAR- $\gamma$ )
- agonist
- Hepatotoxic
- Antidiabetic

\*Compounds are predominantly at a concentration of 10 mM unless otherwise stated. Select compounds dissolved in nuclease-free water.

## **PATHWAY TARGETING**

One approach in drug discovery is to target a signaling pathway known to be involved in a disease, rather than aiming at a single target. Enzo Life Sciences offers a growing line of Pathway Targeting libraries to help with this approach.

## **AUTOPHAGY**

The SCREEN-WELL<sup>®</sup> Autophagy Library contains 94 compounds with defined autophagy-inducing or -inhibiting activity. Compounds are dissolved in DMSO at 10 mM or 1 mM and aliquoted into deep-well plates at 100 or 500 µL per well. A variety of structurally and mechanistically different compound classes are included. The library is a useful tool for studying the roles of pro- and anti-autophagic molecules in cells as well as for use in *in vitro* applications.

#### **Targets Include:**

Calcium Channels Heat Shock	cAMP mTOR/PI3K	Cytoskeleton Proteasome	Epigenetics Select Kinases	ER Stress and more
Product Name		Compounds	Product #	Size
SCREEN-WELL Autophagy Library		94	BML-2837	100 μL, 500 μL

## **EPIGENETICS**

The SCREEN-WELL Epigenetics Library contains 43 compounds with defined epigenetics-related activity. Compounds are dissolved in DMSO at 10mM and aliquoted into deep-well plates at 100 or 500 µL per well. A variety of structurally and mechanistically different compound classes are included. The library is a useful tool for chemical genomics, assay development, and other pharmacological applications.

#### **Targets Include:**

DNA Methyltransferases HATs	HDACs	Histone Methyltransfe	erases Lysine Den	nethylases SIRTs
Product Name	Comp	oounds I	Product #	Size
SCREEN-WELL Epigenetics Library	43	E	BML-2836	100 μL, 500 μL

## WNT PATHWAY

The SCREEN-WELL Wnt Pathway Library contains 71 compounds with defined activity on the Wnt pathway. A variety of structurally and mechanistically different compound classes are included. The library is a useful tool for chemical genomics, assay development, and other pharmacological applications.

#### **Targets Include:**

Adenylate Cyclase GSK3ß	Axin LRP5/6	ß-catenin Porcupine	COX2 sFRP1	Dishevelled TCF	DKK Wnt5a
Product Name		Compounds	Product	t #	Size
SCREEN-WELL Wnt Pa	thway Library	71	BML-28	38	100 μL, 500 μL

## **INHIBITORS AND STANDARDS**

Chemical genomics uses target-specific chemical ligands to modulate and understand the cellular or physiological function of all proteins. Small molecule modulation of cell function offers the advantages of temporal and spatial control not easily achieved with traditional molecular genetics approaches and can provide a first step toward the development of new therapeutic agents. While synthesis of new chemical entities is one aspect of chemical genomics, the development of sophisticated screens employing proteomics and noninvasive imaging techniques has allowed new functions to be ascribed to well-characterized small molecules.

## **CANCER INHIBITORS**

The SCREEN-WELL<sup>®</sup> Cancer Library is a collection of 275 compounds that can be used for cancer inhibitor screening and assay development. The library contains small molecules affecting mTOR, aurora kinases, tyrosine kinases, PI3K, and HDAC, as well as many structurally and mechanistically different compound classes. This library is an essential tool for cancer inhibitor screening and drug development.

### Targets Include:

mTOR	Aurora Kinases	Tyrosine Kinases	РІЗК	HDAC
Product Name		Compounds	Product #	Size
SCREEN-WELL Cano	cer Library	275	ENZ-LIB102	100 µL

## **ICCB KNOWN BIOACTIVES**

The SCREEN-WELL ICCB Known Bioactives Library of biologically active small organic molecules was developed in cooperation with the Harvard Institute of Chemistry and Cell Biology (ICCB; now the Broad Institute Chemical Biology Program (BCBP) and ICCB-Longwood).

#### Library Includes:

Actin & Tubulin Modulators GPCR Ligands		ne Regulation Agents I Channel Blockers	
Kinase Inhibitors	Lij	id Biosynthesis Inhibitors	
Nuclear Receptor Ligands	Ph	osphodiesterase Inhibitors	
Protease Inhibitors	Se	cond Messenger Modulators	
Product Name	Compounds	Product #	Size
SCREEN-WELL ICCB Known Bioactives Library	472	BML-2840	100 μL

## **KINASE INHIBITORS**

The SCREEN-WELL Kinase Inhibitor Library contains 80 known kinase inhibitors of well-defined activity. The library is ideal for chemical genomics, assay development, or as a reference set for secondary screening.

#### **Targets Include:**

ВТК	CaM Kinase II	(	CDK
CKI & II	EGFR	(	GSK
IKK	Insulin Receptor		JAK
JNK	MAPK	I	MEK
MLCK	PI 3-Kinase	I	PDGFR
РКА	PKC	I	RAF
SAPK	Src-Family	١	/EGFR
Product Name	Compounds	Product #	Size
SCREEN-WELL Kinase Inhibitor Library	80	BML-2832	100 µL, 500 µL

## **INHIBITORS AND STANDARDS**

## **ION CHANNEL LIGANDS**

The SCREEN-WELL<sup>®</sup> Ion Channel Ligand Library contains 70 ion channel blockers and openers for use in characterizing and identifying ion channels in individual cells or tissue.

#### **Targets Include:**

Calcium Channels	Chloride Channels	Potassium Channels	Sodium Channels
Product Name	Compounds	Product #	Size
SCREEN-WELL Ion Channel Ligand	Library 70	BML-2805	100 μL, 500 μL

## **PROTEASE INHIBITORS**

The SCREEN-WELL Protease Inhibitor Library contains 53 known protease inhibitors of well-defined activity. The library includes inhibitors for a broad range of important proteases and is a convenient and cost-effective way to purchase a panel of protease inhibitors for chemical genomics, assay development, and other applications.

#### **Targets Include:**

ACE	Aminopeptidase B		Calpains
Caspsases	Cathepsins		DPPIV
Furin	Granzyme B		Kallikrein
MMPs	Neutrophil Elastase		Proteasome
γ-Secretase	Thrombin		TPPII
Product Name	Compounds	Product #	Size
SCREEN-WELL Protease Inhibitor Library	53	BML-2833	100 μL, 500 μL

## **PHOSPHATASE INHIBITORS**

The SCREEN-WELL Phosphatase Inhibitor Library contains 33 known phosphatase inhibitors of well-defined activity. The library is ideal for chemical genomics, assay development and as a reference set for secondary screening.

#### **Targets Include:**

Calcineurin (PP2B)	CD45		CDC25	
JSP-1	PP1		PP2A	
PRL-1	PRL-3		PTEN	
Product Name	Compounds	Product #		Size
SCREEN-WELL Phosphatase Inhibitor Library	33	BML-2834		100 μL, 500 μL

## **REDOX**

The SCREEN-WELL REDOX Library contains 84 compounds with defined pro-oxidant or antioxidant activity. The library is a useful tool for studying the roles of pro- and antioxidant molecules in cells as well as for use in *in vitro* applications.

#### Library Includes:

Glutathione Peroxidase Mimetics	Hydroperoxides		Lazaroids	
Metal Chelators	Polyphenolics		Radical Scavengers	
Sod Mimetics	Thiols		Thiol Traps	
Product Name	Compounds	Product #	Size	
SCREEN-WELL REDOX Library	84	BML-2835	100 μL, 500 μL	

## **RECEPTOR DE-ORPHANING**

The Enzo Life Sciences SCREEN-WELL<sup>®</sup> Libraries are ideal tools for receptor de-orphaning approaches. The SCREEN-WELL Libraries for receptor de-orphaning include plates of bioactive lipids, endocannabinoids, fatty acids, neurotransmitters, nuclear receptor ligands and orphan ligands, and compounds with defined or speculative biological activity whose binding partner has not been identified. Each compound is dissolved in a biocompatible solvent and aliquoted to a 96-well plate. This format, together with the focus of each library on a defined group of ligands, makes the SCREEN-WELL Libraries convenient and cost-effective collections of related compounds suitable for performing individual assays or high-throughput screening.

## **NEUROTRANSMITTERS**

The SCREEN-WELL Neurotransmitter Library contains 661 CNS receptor ligands, including endogenous neurotransmitters, agonists, antagonists and drugs in a 96-well format. The library is ideal for screening orphan G protein-coupled receptors, target validation, secondary screening, assay development, and for other pharmacological applications. The library contains 13 classes of ligands in 10 deep-well plates. Plates are available individually or as a complete set.

#### Library Includes:

Adrenergics Histaminergics (& Melatonin Ligands) Purinergics	Cholinergics Ionotropic Glutama Serotonergics		Dopaminergics Metabotropic Glutamatergics	GABAergics Opioids (& Sigma Ligands)
Product Name		Compounds	Product #	Size
SCREEN-WELL Neurotransmitter Librar	y (10-plate set)	661	BML-2810	100 μL, 500 μL
SCREEN-WELL Adrenergics		83	BML-2811	100 μL, 500 μL
SCREEN-WELL Dopaminergics		80	BML-2812	100 μL, 500 μL
SCREEN-WELL Serotonergics		79	BML-2813	100 μL, 500 μL
SCREEN-WELL Opioids (& Sigma Ligan	ds)	74	BML-2814	100 μL, 500 μL
SCREEN-WELL Cholinergics		67	BML-2815	100 μL, 500 μL
SCREEN-WELL Histaminergics (& Melat	tonin Ligands)	41	BML-2816	100 μL, 500 μL
SCREEN-WELL Ionotropic Glutamatergi	CS	60	BML-2817	100 μL, 500 μL
SCREEN-WELL Metabotropic Glutamatergics		49	BML-2818	100 μL, 500 μL
SCREEN-WELL GABAergics		56	BML-2819	100 μL, 500 μL
SCREEN-WELL Purinergics (& Adenosin	es)	72	BML-2820	100 μL, 500 μL

## **BIOACTIVE LIPIDS**

The SCREEN-WELL Bioactive Lipid Library contains 190 bioactive lipids aliquoted to 3 x 96-well plates. This library is ideal for screening or identifying orphan G protein-coupled receptors (GPCR's) and nuclear receptors. It can also useful for assay development, secondary screening and other pharmacological applications.

#### Library Includes:

Agonists & Antagonists		Cannabinoids	
Farnesyl/Geranylgeranyl Derivatives		HETEs, deHETEs and Hepoxilins	
Leukotrienes and Lipoxins		LPA & Phosphatidic Acids	
Octadecanoids		PAFs	
Polyunsaturated Fatty Acids		Prostaglandins & Thromboxanes	
Retinoids and Vitamin D Metabolites		Sphingolipids	
Product	Compounds	Product #	Size
SCREEN-WELL Bioactive Lipid Library	190	BML-2800	100 μL, 500 μL

## **RECEPTOR DE-ORPHANING**

## **ORPHAN LIGANDS**

The SCREEN-WELL® Orphan Ligand Library contains 83 compounds with defined, putative, potential or speculative activity whose protein binding partners have not been identified. The library is a rich source of potential ligands for receptor de-orphaning.

#### **Library Includes:**

D-Amino Acid Derivatives	Endo-Alkaloi	ids Endo	genous $\beta$ -carbolines	Neurotransmitter Metabolites
icotine Congeners Novel Actives		s Urina	ry Metabolites	
Product Name	C	compounds	Product #	Size
SCREEN-WELL Orphan Ligand Lib	rary 83	3	BML-2825	500 μL

## **ENDOCANNABINOIDS**

The SCREEN-WELL Endocannabinoid Library contains 58 compounds with defined, putative, potential or speculative activity at cannabinoid (CB) receptors and TRPV channels. The library is an array of 10 different fatty acids and 6 different polar head groups, and is a source of known and novel compounds for receptor de-orphaning, assay development and as a reference set for secondary screening.

#### **Library Includes:**

Acyl-dopamines Acyl-GABAs		Amides	Ethanolamides	Lipo-amino Acids	
Product Name		Compounds	Product #	Size	
SCREEN-WELL Endocannabinoid Library		58	BML-2801	100 μL, 500 μL	

## **FATTY ACIDS**

The SCREEN-WELL Fatty Acid Library contains 65 fatty acids of varying saturation and chain length. Many free fatty acids and their metabolites serve as precursors to important signaling systems (e.g. prostaglandins), act directly as receptor ligands or enzyme inhibitors, and have pre- or anti-atherosclerotic and anti-hypertensive properties through mechanisms that are still being characterized. Therefore, a diverse set of fatty acids can be a rich source of compounds for receptor de-orphaning, inhibitor screening, and high content screening. This set contains both fatty acids with defined activities and fatty acids with putative biological activities.

#### Library Includes:

Arachidonic Acid	C10-24 Chain Length	E and Z Isomers	Linolenic Acid	Unsaturated 8	Saturated Fatty Acids	$\gamma\text{-Linolenic Acid}$	
Product Name		Compounds	Р	Product #	Size		
SCREEN-WELL Fatty Acid Library		65		ML-2803	100 μL, 5	100 μL, 500 μL	

## **NUCLEAR RECEPTOR LIGANDS**

The SCREEN-WELL Nuclear Receptor Ligand Library contains 74 compounds with defined, putative and potential activity at nuclear receptors. Receptor agonists and antagonists are included. The library is an ideal tool for receptor de-orphaning, assay development and other pharmacological applications.

#### **Targets Include:**

AHR	CAR	ER	FXR	LXR	PPAR	PXR	RAR	RXR	VDR
Product Name		Com	Compounds Product #		Product #	Size			
SCREEN-WELL Receptor Ligand Library		ry 74	74		BML-2802		100 µL,	100 μL, 500 μL	

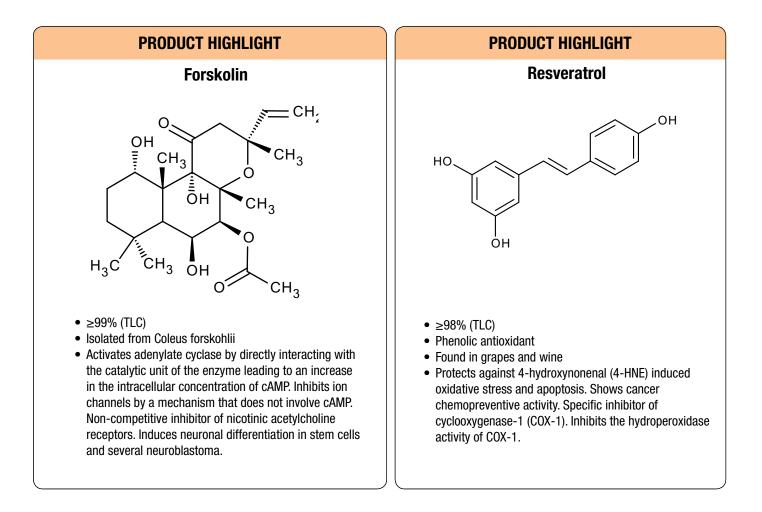
# **NATURAL PRODUCTS**

Natural products are an unsurpassed source of chemical diversity and are an ideal starting point for any screening program in the search for pharmacologically active small molecules. The Enzo Natural Products Library is a collection of over 500 compounds of known structure in a ready-to-screen format, featuring:

- More than 500 compounds supplied in DMS0 at 2.0 mg/mL
- Available in 100  $\mu L$  and 500  $\mu L$  sizes
- Individual compounds or custom subsets also available

#### Library Includes:

Alkaloids	Coumarins	FI	avones	Isoflavones
Macrolides Peptolides		S	ynthetic Derivatives	Terpenoids
Product Name		Compounds	Product #	Size
SCREEN-WELL Natural Products Library		502	BML-2865	100 μL, 500 μL





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