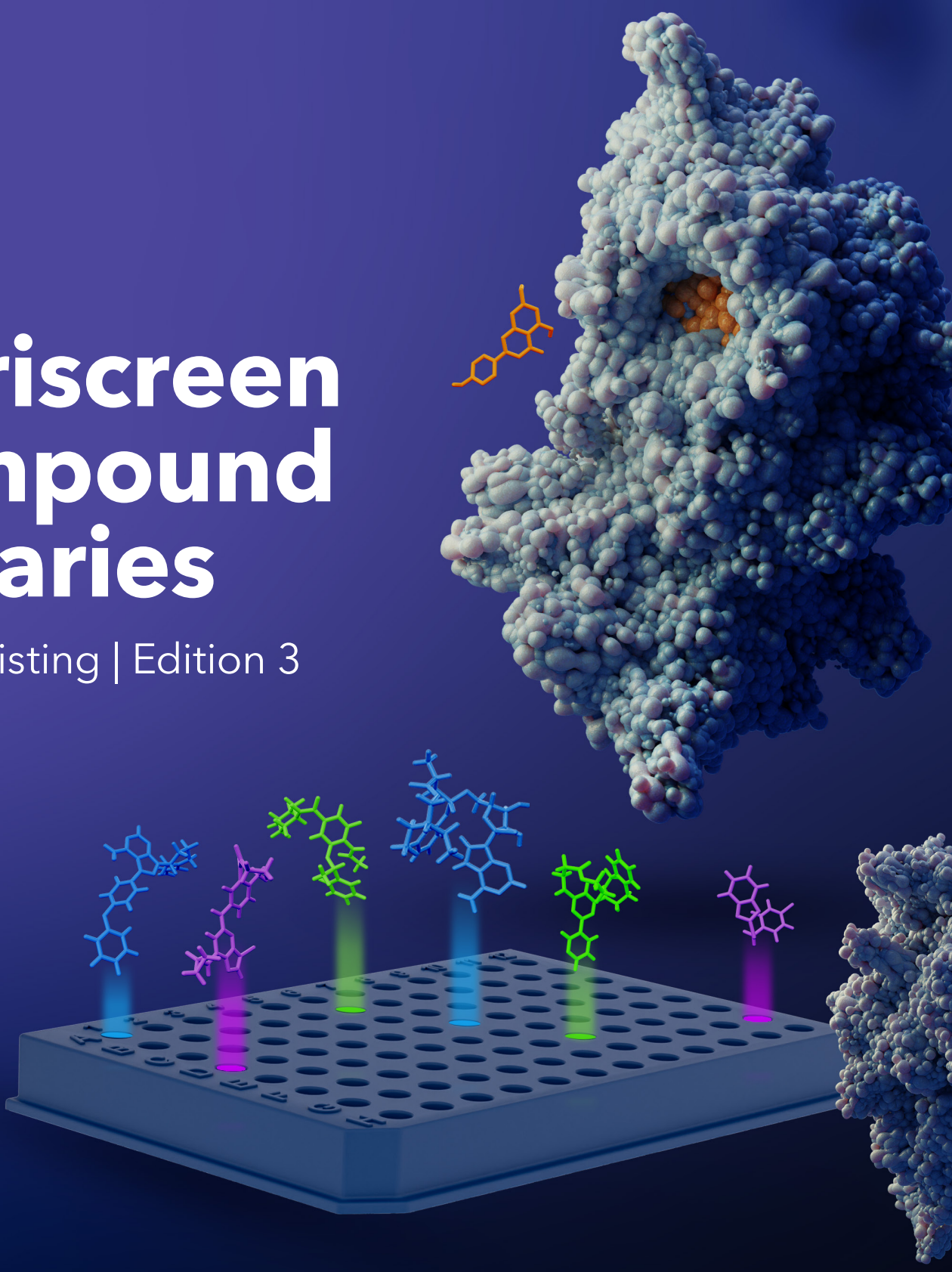
Tocriscreen Compound Libraries

Product Listing | Edition 3



bio-techne® | TOCRIS™

Tocriscreen 2.0 Compound Library

The Tocriscreen™ 2.0 compound library is composed of 1280 bioactive compounds, providing you with the latest best-in-class chemical tools for your research.

- Unique collection of the latest and best-in-class chemical probes
- Covers a diverse range of pharmacological targets and research areas
- Fully annotated compound library
- Guaranteed Tocris quality
- Proven activity, solubility, purity and stability under long-term storage in DMSO
- Can be customized to meet your exact requirements

	2.0 Max (Cat. No. 7150)	2.0 Mini (Cat. No. 7151)	2.0 Micro (Cat. No. 7152)
No. of Compounds	1280	1280	1280
Volume	250 µL	50 µL	15 µL
Solution Format	10 mM DMSO	10 mM DMSO	10 mM DMSO
Seal	SepraSeal Cap	SepraSeal Cap	Peelable foil seal
Storage Format	96-well racks with Matrix™ storage tubes	96-well racks with Matrix™ storage tubes	96-well, v-bottom microplates
Storage Temperature	-20°C	-20°C	-20°C
Stability (for at least)	6 months	6 months	6 months, prior to opening

Matrix™ is a trademark of Thermo Fisher Scientific.

Key Product Features

Fully Annotated

The library is fully annotated, with comprehensive chemical and biological documentation available, including compound list, SD files and references for product actions.

Unique Collection

The Tocriscreen 2.0 library contains ~ 20% of products unique to Tocris and has a low overlap with other commercially available compound libraries.

Tocriscreen 2.0 Micro is supplied in 96-well, v-bottom microplates

Tocriscreen 2.0 Max and Mini are supplied in latch racks with SepraSeal caps

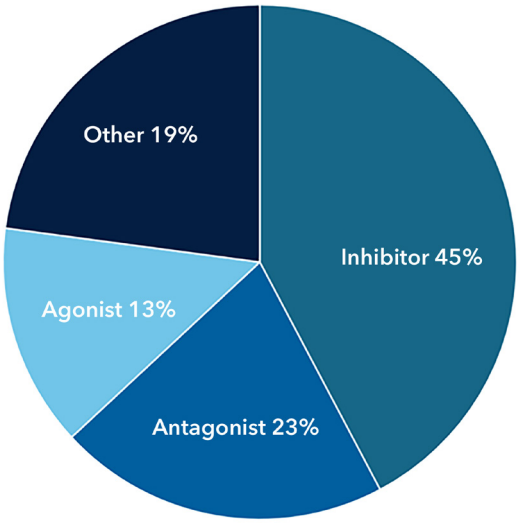
	No. Compounds	Tocriscreen 2.0 Overlap
LOPAC	1280	15%
Prestwick	1280	10%
Selleck Chemicals	3861	32%

Tocriscreen 2.0 Compound Library

The 1280 compounds within the Tocriscreen 2.0 library encompass the most popular research areas including cancer, immunology, neuroscience and stem cells. These products cover over 400 pharmacological targets, such as 7-TM receptors (G protein-coupled receptors), enzymes and ion channels.

Major Target Classes Covered by Tocriscreen 2.0

Primary Actions Covered by Tocriscreen 2.0

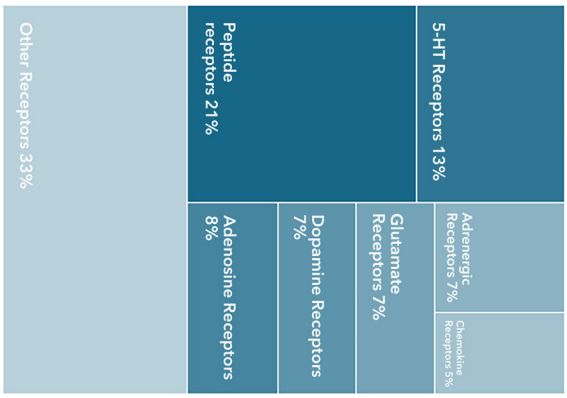


For a complete overview, please visit | tocris.com/tocriscreen

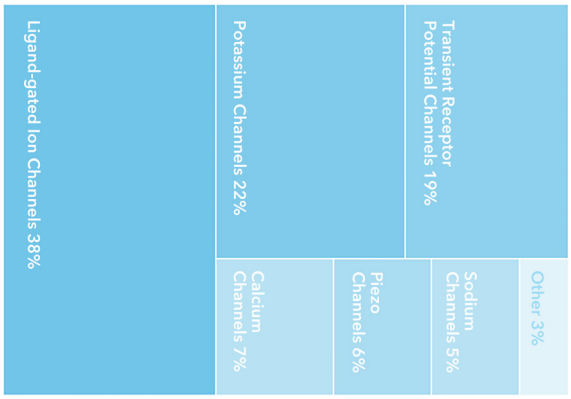
Compounds within the Tocriscreen 2.0 library cover a diverse range of targets, including cancer-related targets such as kinases and epigenetic enzymes, neuroscience-related targets such as neurotransmitter receptors and transporters, and immunology-related targets such as chemokine receptors and signaling pathways.

The classifications presented in the following figures can be used to generate tailored libraries through the Tocriscreen PRO custom compound library service (see page 9).

Major Primary Targets within 7-TM Receptors Class



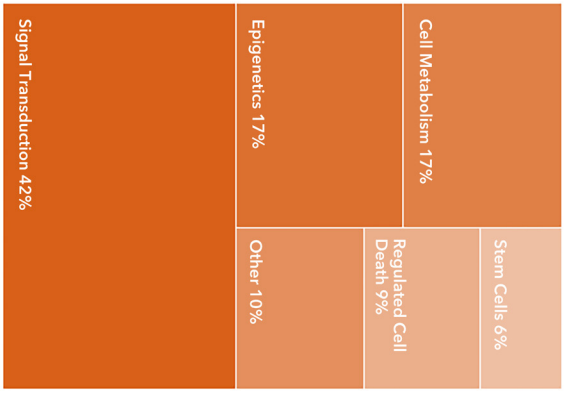
Major Primary Targets within Ion Channels Class



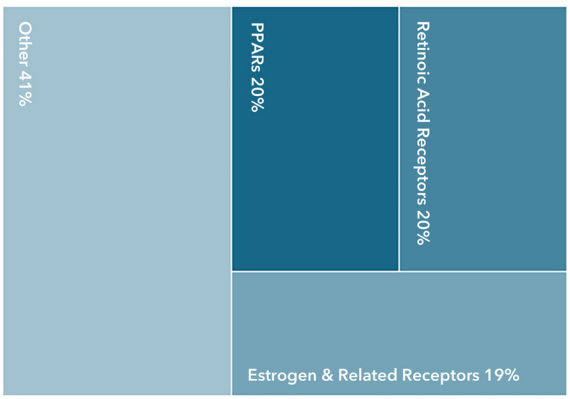
Major Primary Targets within Enzyme Class

Major Primary Targets within Kinases Class

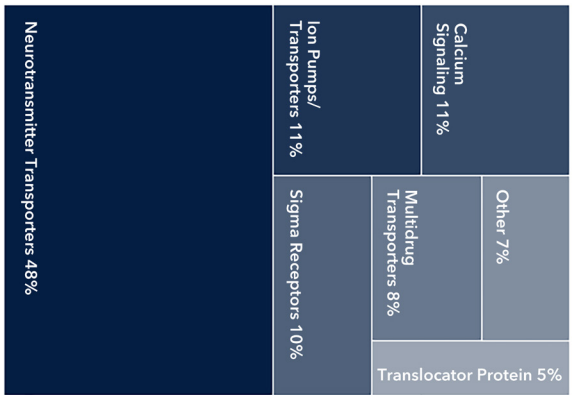
Major Primary Targets within Cell Biology Class



Major Primary Targets within Nuclear Receptors Class



Major Primary Targets within Transporters & Other Pharmacology Class



PPARs; Peroxisome proliferator-activated receptors. **RTK**; Receptor Tyrosine Kinases. **RSTK**; Receptor Serine/Threonine Kinases.

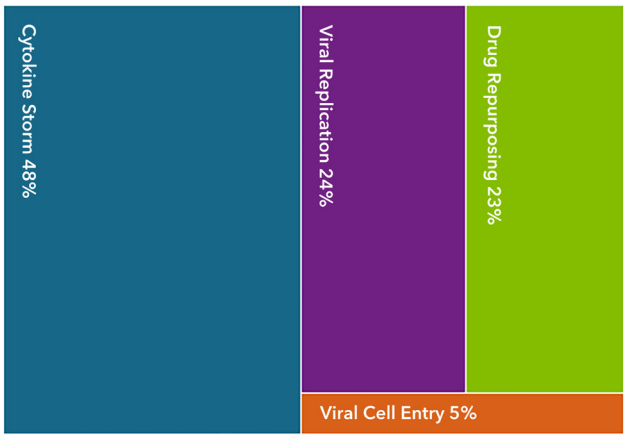
Focused Tocriscreen Libraries

Focused Tocriscreen libraries are collections of biologically active compounds that target your research area of interest.

- Latest best-in-class chemical probes
- Fully annotated
- Guaranteed Tocris quality
- Proven solubility, biological activity and stability
- Consistent resupply

	Cat. No.	No. Compounds	Vol	Format
TOCRISCREEN™ Antiviral	7350	240	100 µL	10mM DMSO
TOCRISCREEN™ Epigenetics	7578	160	100 µL	10mM DMSO
TOCRISCREEN™ Kinase Inhibitors	7844	210	100 µL	10mM DMSO
TOCRISCREEN™ Stem Cells	7340	120	100 µL	10mM DMSO

Research Areas Covered by Tocriscreen Antiviral Library



Tocriscreen Antiviral Library

The Tocriscreen Antiviral Library contains 240 compounds for investigating the entire viral life cycle. This includes broad spectrum antivirals, as well as compounds for the study of viral replication and viral cell entry. Established therapeutics, linked to the treatment of viral infections in the scientific literature have also been included for drug repurposing studies.

Tocriscreen Epigenetics 3.0

The Tocriscreen Epigenetics 3.0 contains 160 compounds that target enzymes involved in epigenetic processes, including epigenetic writers, readers and erasers, as well as compounds that target transcriptional modulators.

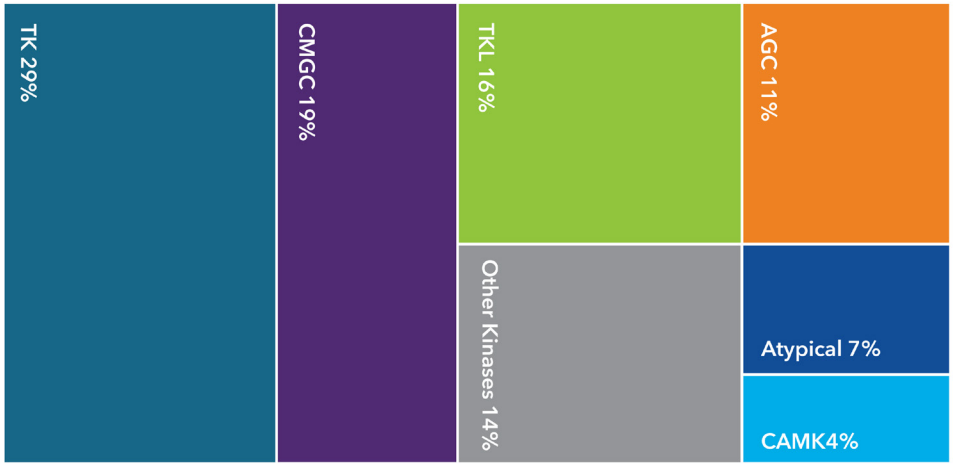
Target Types Covered by Tocriscreen Epigenetics 3.0

For a complete overview, please visit | tocris.com/tocriscreen

Tocriscreen Kinase Inhibitor 3.0

The Tocriscreen Kinase Inhibitor 3.0 library contains 210 compounds targeting over 60 Kinases. This includes compounds targeting receptor serine/threonine kinases (RSTKs), receptor tyrosine kinases (RTKs) and non-receptor linked kinases, and covers an extensive range of established targets such as VEGFR, Akt and TGF-β receptors, as well as more novel targets, such as LIMK, NUAK1 and DYRK.

Major IUPHAR Subfamilies covered by Tocriscreen Kinase Inhibitor 3.0



Also contains inhibitors against novel targets including:

MSK1 CKA1/2

PAK1 NUAK1

In addition to dark kinome targets:

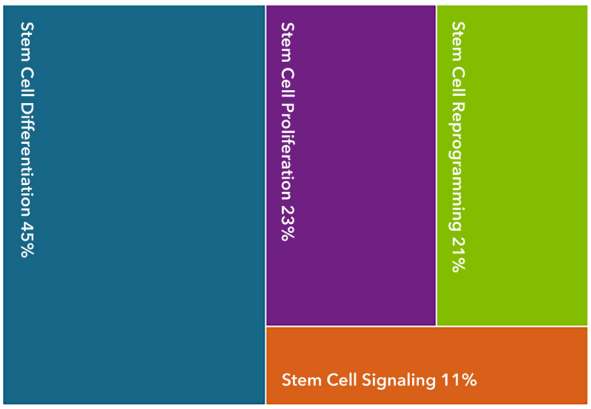
MKNK1 STK3

DYRK1B PAK3/6

Tocriscreen Stem Cell Library

The Tocriscreen Stem Cell Library consists of 120 products for stem cell research. This library includes compounds targeting key pathways such as Wnt signaling, Notch signaling and TGF-β signaling. Compounds included can be used for, and to investigate, stem cell differentiation, proliferation and reprogramming.

Research Areas Covered by Tocriscreen Stem Cell Library



Tocriscreen FDA-Approved Drugs

Drug re-purposing is a key strategy in drug discovery. Leveraging the fully evaluated efficacy and safety data of approved drugs can accelerate your drug discovery process. The Tocriscreen FDA-approved Drug Library is specifically designed for *in vitro* and *in vivo* drug re-purposing/repositioning studies, and provides 190 compounds that are equivalent to the active components of drug formulations approved by the FDA.

	Cat. No.	No. Compounds	Vol	Format
TOCRISCREEN™ FDA-Approved Drugs	7200	190	100 µL	10 mM DMSO

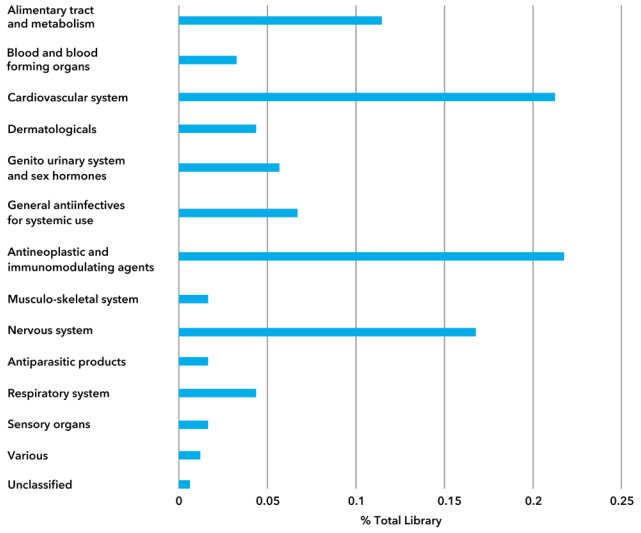
Fully Annotated

- Fully annotated – biological activity and known targets are specified
- Extensive compound details available in Excel and SD file format

Diverse Range

- Compounds included cover a diverse range of pharmaceutical targets and therapeutic areas
- Includes both established therapeutics, e.g. Tamoxifen (Cat. No. 0999) and Paclitaxel (Cat. No. 1097), and more recently approved drugs such as Darunavir (Cat. No. 6710) and Sorafenib (Cat. No. 6814)

Library Content by Therapeutic Classification*



*Data derived using the WHO Anatomical Therapeutic Chemical Classification System code (ATC code)

% of Compounds Compliant with Lipinksi's and Veber's Rules

Physiochemical Properties	% Compounds
<5 Hydrogen-bond donors	96
<10 Hydrogen-bond acceptors	93
clogP <5	85
Molecular Weight <500 kDa	78
<10 Rotatable bonds	81
Polar Surface Area <140 Å^2	90

Lipinski *et al* (2001). Experimental and computational approaches to estimate solubility and permeability in drug discovery and development settings. Advanced Drug Discovery Reviews. 46, 3. PMID 11259830;

Veber *et al* (2002). Molecular properties that influence the oral bioavailability of drug candidates. J. Med. Chem. 45, 2615. PMID 12036371.

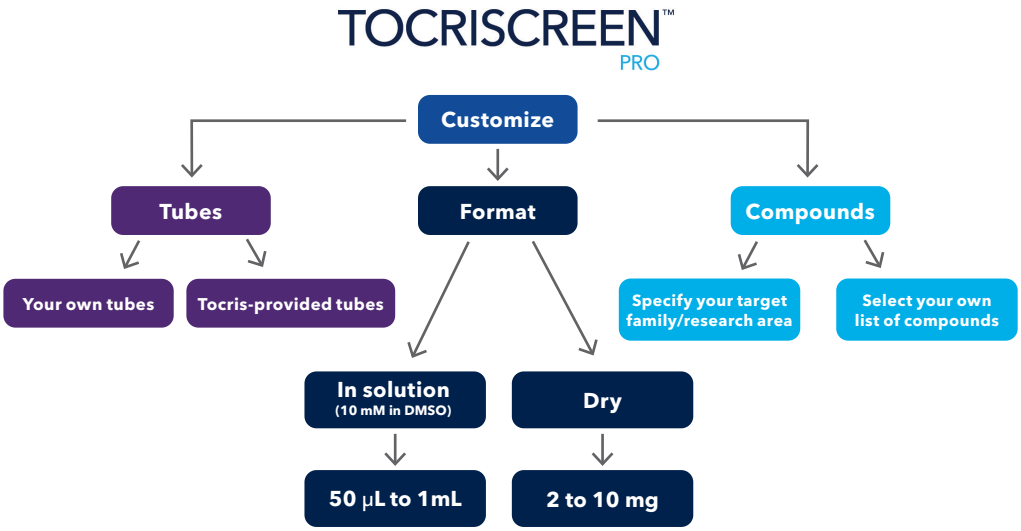
Tocriscreen Pro Service

Option to Customize

The Tocriscreen PRO service allows you to design a completely custom compound library to meet your exact requirements. With this cherry-picking service you can choose from around 3000 products from the Tocris catalog (minimum order of 80 wet or 30 dry compounds) as well as customize the format of your selected compounds (dry powder or in solution).

Diverse Range

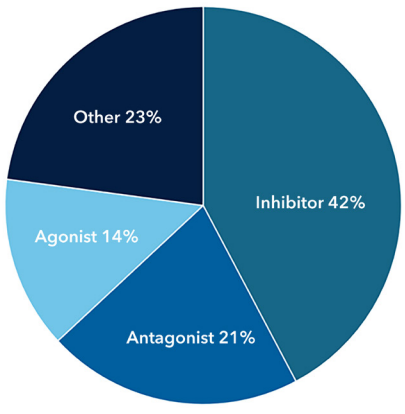
The bioactive compounds available through the Tocriscreen PRO service cover a wide range of targets, such as GPCRs, ion channels, enzymes, kinases, nuclear receptors and transporters, and all major research areas and product actions. Included are commonly used research standards, novel research tools and pharmacologically-active ingredients of FDA-approved therapies.



Compounds available through the Tocriscreen PRO can be grouped and filtered by research area, target class, and their primary action at the target protein. This enables you to create a completely bespoke library to suit your research needs.

Major Research Areas Covered by Tocriscreen PRO

Primary Actions Covered by Tocriscreen PRO



Applications of Tocriscreen Compound Libraries

Compound libraries can be used in a wide array of experiments, in all areas of research, for drug re-profiling, receptor de-orphaning, target validation, tool compound identification and assay development. Below you will find some examples of how other researchers have used Tocriscreen compound libraries.

Assay Development

The blood brain barrier (BBB) stops conventional chemotherapy agents from reaching brain tumors, which means that brain cancers such as gliomas are hard to treat. Traditional methods for testing the BBB permeability of a compound via high throughput screening is limited to radio- or fluorescently-labeled compounds passing through a monolayer cell culture. In their paper Sherman and Rossi outlined the development of a 3D BBB plus glioma model, which allows for investigation of a compounds BBB permeability alongside its tumor cytotoxicity. They validated this model by screening compounds from the Tocriscreen Kinase Inhibitor Library for their toxicity and compared this to their activity in a standard tumor cell model to identify brain permeable hits.

1. Sherman & Rossi (2019) A novel three-dimensional glioma blood-brain barrier model for high-throughput testing of tumoricidal capability. Front.Oncol. 9, 351. PMID: 31131260

Drug Repurposing

Fujita *et al* screened compounds from the Tocriscreen Mini Library for their effect on CCL17 activity in the HaCaT human epidermal keratinocyte cell line. CCL17 production was induced by TNF-α and/or IFN-γ. Of the compounds that were identified to suppress CCL17 activity, GW 9508 (Cat. No. 2649) showed the highest potency, indicating a new action for this compound, which has previously been shown to be a free fatty acid receptor 1 (FFA1/GPR40) agonist.

2. Fujita *et al* (2011) A GPR40 agonist GW9508 suppresses CCL5, CCL17, and CXCL10 induction in keratinocytes and attenuates cutaneous immune inflammation. J.Invest.Dermatol. 131,1660. PMID: 21593768

Identifing Tool Compounds

CRISPR-Cas9 technology has emerged as a powerful tool for sequence-specific gene knockout through non-homologous end joining. However, the experimental process required is inefficient for the precise editing of genome sequences. In this paper, Yu *et al* screened compounds from the Tocriscreen Plus Library to identify small molecules that can enhance the efficiency of CRISPR-Cas9 mediated homology-directed repair, and identified a range of compounds in diverse cell types.

3. Yu *et al* (2015) Small molecules enhance CRISPR genome editing in pluripotent stem cells. Cell Stem Cell. 16, 142. PMID: 25658371

Target Validation

Sartori *et al* showed that expression of BIN1, a commonly identified risk factor gene for Alzheimer's disease, modulates its interaction with Tau, possibly through signaling pathways that regulate Tau phosphorylation. To investigate these signaling pathways further, they developed a high throughput screening approach using primary hippocampal neuron cultures. They tested compounds from the Tocriscreen Mini Library, with subsequent cellular assays for validation, and identified two compounds that modulated the BIN1-Tau interaction in neurons. The BIN1-Tau interaction has previously been shown to be inhibited by Tau phosphorylation, and both compounds target regulators of phosphorylation, one via calcineurin and one via the MEK-dependent signaling pathways.

4. Sartori *et al* (2019) BIN1 recovers tauopathy-induced long-term memory deficits in mice and interacts with Tau through Thr348 phosphorylation. Acta.Neuropathol. 138, 631. PMID: 31065832.

Overview of the Tocriscreen Range

	Cat. No.	No. Compounds	Vol	Formation	Seal	Container
TOCRISCREEN™ Max	7150	1280	250 µL	10 mM DMSO	SepraSeal Cap	96-well rack - Matrix™ storage tubes
TOCRISCREEN™ Mini	7151		50 µL		SepraSeal Cap	96-well rack - Matrix™ storage tubes
TOCRISCREEN™ Micro	7152		15 µL		Peelable foil seal	96-well rack - v-bottom microplate
TOCRISCREEN™ Antiviral	7350	240	100 µL		SepraSeal Cap	96-well rack - Matrix™ storage tubes
TOCRISCREEN™ Epigenetics	7578	160	100 µL		SepraSeal Cap	96-well rack - Matrix™ storage tubes
TOCRISCREEN™ FDA-Approved Drugs	7200	190	100 µL		SepraSeal Cap	96-well rack - Matrix™ storage tubes
TOCRISCREEN™ Kinase Inhibitors	7844	210	100 µL		SepraSeal Cap	96-well rack - Matrix™ storage tubes
TOCRISCREEN™ Stem Cells	7340	120	100 µL		SepraSeal Cap	96-well rack - Matrix™ storage tubes
TOCRISCREEN™ PRO	N/A	>3000	50 µL - 1ml	Customizable	Customizable	Customizable

Matrix™ is a trademark of Thermo Fisher Scientific

Fully annotated, with comprehensive documentation available including compound list, SD files and references

Consistent resupply of individual products for further validation

Stability guaranteed for 6 months when stored at -20 °C

Guaranteed Tocris quality

Where Science Intersects Innovation™

Bio-Techne® | R&D Systems™ Novus Biologicals™ Tocris Bioscience™ ProteinSimple™ ACD™ ExosomeDx™ Asuragen®

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