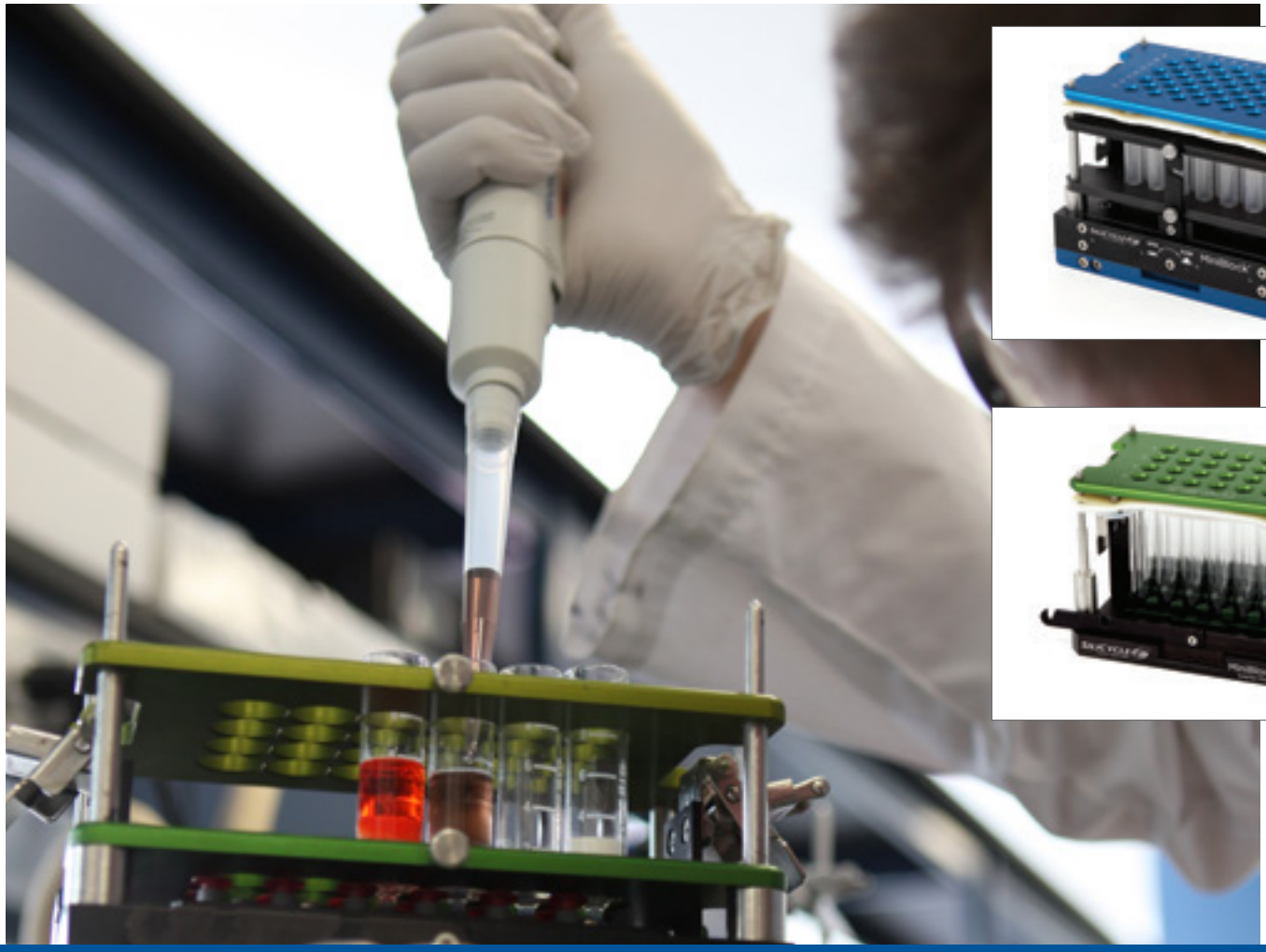
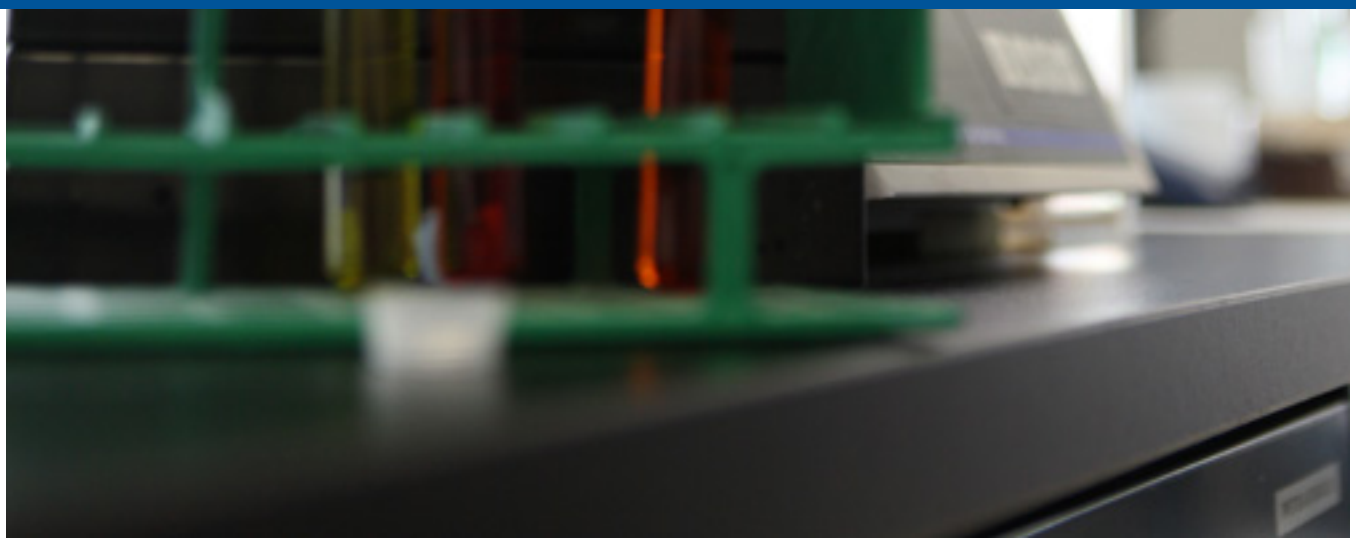


Parallel Synthesis

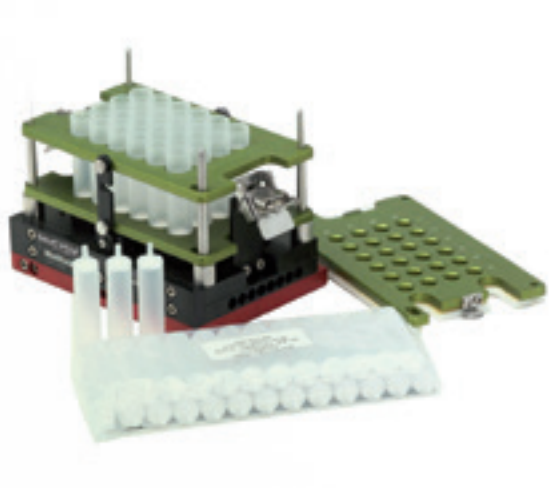
SiliCycle MiniBlock® Family Multifunctional Platforms



SiliCycle MiniBlock Parallel Synthetizer

SiliCycle MiniBlock: Multifunctional Synthesis & Purification Platform

- Designed for route scouting in peptide synthesis, scavenging studies, screening of reaction conditions, synthesis optimization, removal of excess reagents, side-products and catalysts
- Productivity enhancement: eliminates tedious work-up and purification issues
- Compatible with our full range of products, from synthesis through purification



Multifunctional Platform

SiliCycle MiniBlock is an easy to use reaction block designed to run multiple syntheses in parallel and screen for optimal conditions. It is the only compact parallel synthesizer that allows synthesis via solid or solution-phase, as well as filtration and purification to be carried out on the same platform.

Reactors

Patented reactor with built-in valve design. Available in 48, 24, 12 and 6-Positions arrays for reaction vessel volumes respectively of 4 mL, 10 mL, 20 mL and 40 mL.

Shaking and Washing Stations

High performance orbital shaker with integrated basins for wash and rinse capability. Customized and configured to provide vigorous vortex mixing for 1 (*Micro Shaker*) or 2 (*Compact Shaker*) reactors.

Parallel Synthesis & Purification

SiliCycle MiniBlock is ideal for parallel synthesis and post-reaction clean-up using Silia*Prep* MB pre-packed SPE cartridges, with either chromatographic phases or metal and organic scavengers. You just have to stack one reactor onto a second one to filter and purify your extracts.

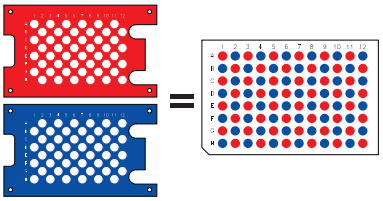
Typical Reactions Performed

The SiliCycle MiniBlock Family is widely used by chemists in all departments and sectors of activity. The flexibility of the design allows you to rapidly configure these compact parallel reactors to fit the needs of your chemistry, whether it requires inert conditions, refluxing or cooling, allowing complete freedom to choose a synthetic route:

- Peptide Synthesis
- Acylation & Alkylation
- Sulfonylation
- Reduction
- Reductive Amination
- Heterocycle Formation
- Enolate Formation
- S_NAr
- Suzuki Coupling
- Saponification
- Metallation
- Grignard Reaction
- Heck Reaction
- Stille Reaction
- Sonogashira

SiliCycle MiniBlock: Multifunctional Synthesis Platform

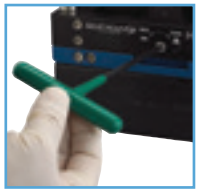
96 Format
Red and Blue 48-Positions MiniBlock combine to produce 96 compounds.



Inert Conditions
Continuous inert gas flow enables air / moisture sensitive reactions. Easily add reagents through the septum layer.

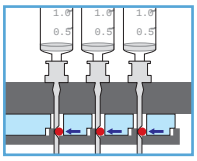
Agitation and Washing
Customized shaker allows precision vortex mixing of reactions. Built-in washing capability allows rapid washing of products while reaction blocks remain on the shaker.

Products Collection
Collect products from SiliCycle MiniBlock cleanly and efficiently with just the turn of a key.

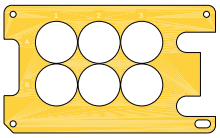


Two Colors Available
Reactor base can be chosen either red or blue.

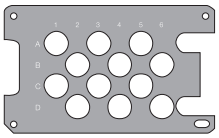
Unique Built-In Valve
Provides rapid bottom filtration - no need to invert or disassemble the reactor. Saves time and prevents cross-contamination.



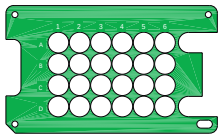
40 mL - 6 vessels



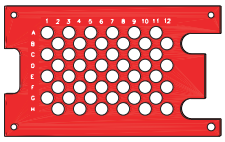
20 mL - 12 vessels



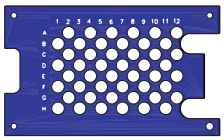
10 mL - 24 vessels



4 mL - 48 vessels



4 mL - 48 vessels



Configure Your SiliCycle MiniBlock to Suit Your Needs
SiliCycle MiniBlock can synthesize compounds in individual vessels from 4 mL to 40 mL, all delivered into racks with microplate footprints. This flexibility provides a smooth, seamless work-flow from synthesis to screening.

« SiliCycle MiniBlock's performance has been outstanding even under the most stringent reaction conditions. Many of these require completely inert and anhydrous conditions at temperatures as low as -70°C. »

Prof. Dieter Enders from Aachen University, Aachen, Germany

SiliCycle MiniBlock Micro Synthesis Sets

These Micro Synthesis Sets contain all components necessary for 6, 12, 24 or 48 parallel reactions. Reactor base can be chosen in either red or blue color.

Package Contents	
Quantity	**Description**
1	SiliCycle MiniBlock Reactor (*choose format, array and volume below*)
1	New Micro Shaking Station (*choose voltage below*)
1	Vacuum Collection Base
1	Tall Tube Extender
1	Micro Consumable Kit (*detailed below*)
1	Tool Kit (*4 keys & pliers*)

SiliCycle MiniBlock Micro Synthesis Sets Ordering Information		
Product Number 115V	**Product Number 230V**	**Description**
17120148	**17240148**	Micro Synthesis Set 48-Positions (*4 mL*): Red
17120248	**17240248**	Micro Synthesis Set 48-Positions (*4 mL*): Blue
17120124	**17240124**	Micro Synthesis Set 24-Positions (*10 mL*): Red
17120224	**17240224**	Micro Synthesis Set 24-Positions (*10 mL*): Blue
17120112	**17240112**	Micro Synthesis Set 12-Positions (*20 mL*): Red
17120212	**17240212**	Micro Synthesis Set 12-Positions (*20 mL*): Blue
17120106	**17240106**	Micro Synthesis Set 6-Positions (*40 mL*): Red
17120206	**17240206**	Micro Synthesis Set 6-Positions (*40 mL*): Blue

Micro Consumable Kit Contents						
Product Number	**MiniBlock Configuration**	**Reaction Tubes Qty / Box**	**Pinch Tubes Inserts Qty / Box**	**Multi-Layer Septa Qty / Box**	**Red Plugs Qty / Box**	**Compression Cords Qty / Box**
17000048	48-Positions	200 Polypropylene, 4 mL*	50	5	50	8
17000024	24-Positions	200 Polypropylene, 10 mL*				
17000012	12-Positions	12 Glass, 20 mL				
17000006	6-Positions	6 Glass, 40 mL				

* Glass reaction tubes can be ordered separately. See page 124.
Note: Collection racks and tubes / vials are sold separately. Contact us for details.

SiliCycle MiniBlock Compact Synthesis Sets

These Compact Synthesis Sets contain all components necessary for 6 to 96 parallel reactions. Reactor bases can be chosen as one of each color (*red and blue*) or both the same color.

Red / Blue combination is required for collection into a 96-Positions format.

Package Contents	
Quantity	**Description**
2	SiliCycle MiniBlock Reactor (*choose format, array and volume below*)
1	New Compact Shaking Station (*choose voltage below*)
1	Vacuum Collection Base
2	Tall Tube Extender
1	Counter Weight for Shaking Station
1	Air Push Assist Device
2	Micro Consumable Kit (*see previous page*)
2	Tool Kit (*4 keys & pliers*)

SiliCycle MiniBlock Compact Synthesis Sets Ordering Information		
Product Number 115V	**Product Number 230V**	**Description**
13820013	**13820095**	Compact Synthesis Set 48-Positions (*4 mL*): 1-Blue 1-Red
13820011	**13820094**	Compact Synthesis Set 48-Positions (*4 mL*): 2-Blue
13820012	**13820093**	Compact Synthesis Set 48-Positions (*4 mL*): 2-Red
13820048	**13200194**	Compact Synthesis Set 24-Positions (*10 mL*): 1-Blue 1-Red
13820049	**13200195**	Compact Synthesis Set 24-Positions (*10 mL*): 2-Blue
13200187	**13820053**	Compact Synthesis Set 24-Positions (10 *mL*): 2-Red
13820086	**13820083**	Compact Synthesis Set 12-Positions (20 *mL*): 1-Blue 1-Red
13820084	**13820082**	Compact Synthesis Set 12-Positions (*20 mL*): 2-Blue
13820085	**13820081**	Compact Synthesis Set 12-Positions (*20 mL*): 2-Red
13820092	**13820089**	Compact Synthesis Set 6-Positions (*40 mL*): 1-Blue 1-Red
13820090	**13820088**	Compact Synthesis Set 6-Positions (*40 mL*): 2-Blue
13820091	**13820087**	Compact Synthesis Set 6-Positions (*40 mL*): 2-Red

Note: Collection racks and tubes / vials are sold separately. Contact us for details.

Accessories & Consumables Available for your SiliCycle MiniBlock

Accessories & Consumables Ordering Information	
Product Number	**Description**
Heat Transfer Blocks* Heating / cooling block, allowing uniform cooling to -40°C and heating to 120°C (*requires glass reaction tubes*).	
13742005	Reflux Layer (*Heat Transfer Block*): 48-Positions (*Black*)
13742059	Reflux Layer (*Heat Transfer Block*): 24-Positions (*Green*)
13742060	Reflux Layer (*Heat Transfer Block*): 12-Positions (*Silver*)
13742061	Reflux Layer (*Heat Transfer Block*): 6-Positions (*Gold*)
Insulation Wrap* Ensures maximal uniformity between reactors when working under extreme temperatures.	
13200240	Insulation Wrap for SiliCycle MiniBlock
Inerting / Purging Manifolds (*48-Positions*)* Internal septum prevents loss by evaporation and facilitates reagent addition or reaction sampling. Inert atmosphere is maintained at all times. Can be operated in continuous purging or static pressure modes.	
13742183	Inerting / Purging Manifold: 48-Positions (*Blue*)
13742182	Inerting / Purging Manifold: 48-Positions (*Red*)
Purging / Evaporating Manifolds* Provides superior performance for maintaining inert atmosphere while providing a cost effective option for evaporating solvents.	
13200950	Purging / Evaporating Manifold: 24-Positions
13200951	Purging / Evaporating Manifold: 12-Positions
13200957	Purging / Evaporating Manifold: 6-Positions
Positive Pressure Manifolds Provides positive pressure to all reaction tubes to assist draining.	
13742018	Positive Pressure Manifold: 48-Positions (*Blue*)
13742019	Positive Pressure Manifold: 48-Positions (*Red*)
13742109	Positive Pressure Manifold: 24-Positions (*Blue*)
13742110	Positive Pressure Manifold: 24-Positions (*Red*)
Transfer Adapters Allows the transfer of products from one reactor to another.	
16004569	Blue Transfer Adapter
16004567	Red Transfer Adapter
Reaction Vessels Polypropylene or borosilicate glass reaction vessels with frit.	
13521028	48-Positions Polypropylene Reaction Vessel, 4 mL, 50 / Box
13521118	24-Positions Polypropylene Reaction Vessel, 10 mL, 25 / Box
13521058	48-Positions Borosilicate Glass Reaction Vessel, 4 mL, 50 / Box
13521062	24-Positions Borosilicate Glass Reaction Vessel, 10 mL, 25 / Box
13521067	12-Positions Borosilicate Glass Reaction Vessel, 20 mL, 12 / Box
13521071	6-Positions Borosilicate Glass Reaction Vessel, 40 mL, 6 / Box

* These accessories are the same for SiliCycle MiniBlock and SiliCycle MiniBlock XT.

SPE Development Kits for SiliCycle MiniBlock

To allow you to purify your samples directly after synthesis on SiliCycle MiniBlock, we offer SPE cartridges of 500 mg / 4 mL and 1 g / 10 mL, in every phase available from SiliCycle.

The table below presents our SPE development kits, to help you choose the right media.

SPE Development Kits Details		
Product Number	**Description**	**Phases**
KSPMB-K2000-045P	Silia*Prep* MB, Silica-Based Chromatography Development Kit, 500 mg (*4 mL*), 8 cartridges of each phase.	Silica, C18, Cyano, Diol, Diatomaceous Earth
KSPMB-K2000-100S	Silia*Prep* MB, Silica-Based Chromatography Development Kit, 1,000 mg (*10 mL*), 4 cartridges of each phase.	
KSPMB-K2001-045P	Silia*Prep* MB, Silica-Based Ion Exchange Development Kit, 500 mg (*4 mL*), 8 cartridges of each phase.	SCX, SCX-2, WCX, SAX, SAX-2, WAX
KSPMB-K2001-100S	Silia*Prep* MB, Silica-Based Ion Exchange Development Kit, 1,000 mg (*10 mL*), 4 cartridges of each phase.	
KSPMB-K2002-045P	Silia*Prep* MB, Silica-Based Metal Scavenging Development Kit, 500 mg (*4 mL*), 6 cartridges of each phase.	Thiol, DMT, Thiourea, Triamine, TAAcOH, TAAcONa, Imidazole, DEAM
KSPMB-K2002-100S	Silia*Prep* MB, Silica-Based Metal Scavenging Development Kit, 1,000 mg (*10 mL*), 3 cartridges of each phase.	
KSPMB-K2003-045G	Silia*Prep* MB, Polymeric Development Kit, 200 mg (*4 mL*), 6 cartridges of each phase.	HLB, DVB, SCX, WCX, SAX, WAX
KSPMB-K2003-100P	Silia*Prep* MB, Polymeric Development Kit, 500 mg (*10 mL*), 3 cartridges of each phase.	

Note: other sorbent weights can be offered on a custom basis, contact us for more information.

« SiliCycle MiniBlocks are so widely accepted by our medicinal chemists that 70 % of all current programs now use high-throughput chemistry. »

Dr. Harold Weller from Bristol-Myers Squibb, Princeton, NJ, USA

SiliCycle MiniBlock XT: For Reflux Capability and Faster Synthesis

SiliCycle MiniBlock XT is an easy to use reaction block designed for synthesis and screening reactions. Applications include synthesis of small organic molecules, optimization of critical process parameters and screening for optimal reaction conditions.

SiliCycle MiniBlock XT Reactors

Reactors are available in 48, 24, 12 and 6-Positions arrays for reaction vessel volumes respectively of 11.5 mL, 18 mL, 55 mL and 110 mL.

Shaking and Heating

Shaking and heating are provided by a standard hotplate stirrer, requiring minimal hood space.

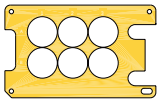
Inert Conditions
Continuous inert gas flow enables air / moisture sensitive reactions. Easily add reagents through the septum layer.

Efficient Reflux
A single reflux jacket cools all vessels. No need for individual condensers. Fittings are provided for quick and easy connection to your cooling liquid.

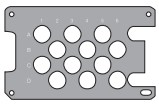
Easy Reaction Setup
All vessels are sealed with a single septum layer and allow easy access to reactions.

Configure Your SiliCycle MiniBlock XT to Suit Your Needs
Easily configure the SiliCycle MiniBlock XT to choose the scale and number of experiments based on your project requirements.

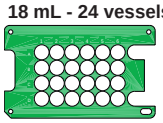
110 mL - 6 vessels



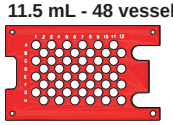
55 mL - 12 vessels



18 mL - 24 vessels



11.5 mL - 48 vessels



Heating and Cooling
Temperature uniformity and reproducibility is within 1°C at 80°C when using the insulation wrap.

Modular Racks
Readily interchangeable reaction vessel racks enable simple conversion between 6, 12, 24 and 48-Positions arrays. The 24 and 48-Positions vessel racks are compatible with parallel centrifugal evaporators.

SiliCycle MiniBlock XT Basic Kit

Affordable reaction block, designed for synthesis and screening with precision heating and refluxing (*temperature range: -70°C[a] to 160°C[b]*). Available in 6, 12, 24 and 48-Positions arrays. All needed consumables are included, except the hotplate stirrer*. Fully upgradable for reflux and inerting capabilities.

[a] Requires Low Temperature Bath
[b] Requires Heat Dispersion Adapter

Package Contents: 1 x XT Basic Reactor Frame
1 x Vessel Rack
1 x Vessel Rack Removal Tool
1 x Top Plate
5 x Multi-Layer Septa for Top Plate (*pre-scored*)

SiliCycle MiniBlock XT Basic Kit Ordering Information

Product Number	Description	Reaction Vessels		Magnetic Stir Bars	
		Qty	Volume	Qty	Shape
13742234	XT Basic Kit, 48-Positions	100	11.5 mL	50	Egg
13742233	XT Basic Kit, 24-Positions		18 mL	30	Cross
13742232	XT Basic Kit, 12-Positions		55 mL	15	
13742231	XT Basic Kit, 6-Positions	24	110 mL	8	

SiliCycle MiniBlock XT Complete Kit

Basic Kit with reflux and inerting capabilities. Available in 6, 12, 24 and 48-Positions arrays. All needed consumables are included, except the hotplate stirrer.*

Package Contents: 1 x XT Basic Reactor Frame
1 x Vessel Rack
1 x Vessel Rack Removal Tool
1 x Top Plate
5 x Multi-Layer Septa for Top Plate (*pre-scored*)
1 x Reflux Layer
1 x Inerting Manifold
5 x Sealing Gaskets
5 x Inner / Piercing Septa

SiliCycle MiniBlock XT Complete Kit Ordering Information

Product Number	Description	Reaction Vessels		Magnetic Stir Bars	
		Qty	Volume	Qty	Shape
13200991	XT Complete Kit, 48-Positions	100	11.5 mL	50	Egg
13742125	XT Complete Kit, 24-Positions		18 mL	30	Cross
13742124	XT Complete Kit, 12-Positions		55 mL	15	
13742123	XT Complete Kit, 6-Positions	24	110 mL	8	

* Hotplate stirrer available separately, product number 13511161 (*115V*) or 13511164 (*230V*)

SiliCycle MiniBlock XT Set, Including Hotplate Stirrer

A complete synthesis system (*Complete Kit with hotplate stirrer*) for 6, 12, 24 or 48 parallel solution-phase reactions. Compact unit enables reflux and controlled temperature synthesis (*temperature range: -70°C[a] to 160°C[b]*). All needed consumables are included.

[a] Requires Low Temperature Bath
[b] Requires Heat Dispersion Adapter

Package Contents	
Quantity	**Description**
1	Hotplate Stirrer, 115V or 230V
1	Heat Dispersion Adapter for Hotplate Stirrer
1	XT Basic Reactor Frame
1	Vessel Rack
1	Vessel Rack Removal Tool
1	Inerting Manifold
1	Reflux Layer
5	Sealing Gaskets
5	Inner / Piercing Septa
1	Top Plate
5	Multi-Layer Septa for Top Plate (*pre-scored*)

SiliCycle MiniBlock XT Set Ordering Information						
Product Number 115V	**Product Number 230V**	**Description**	**Reaction Vessels**		**Magnetic Stir Bars**	
			Qty	**Volume**	**Qty**	**Shape**
16004645	**16004646**	XT Set, 48-Positions	100	11.5 mL	50	Egg
13742118	**13742122**	XT Set, 24-Positions		18 mL	30	Cross
13742108	**13742121**	XT Set, 12-Positions		55 mL	15	
13742107	**13742119**	XT Set, 6-Positions	24	110 mL	8	

Accessories & Consumables Available for your SiliCycle MiniBlock XT

Accessories & Consumables Ordering Information	
Product Number	**Description**
Reflux Layers* Heating / cooling block, allowing uniform cooling to -40°C and heating to 120°C (*requires glass reaction tubes*).	
13742005	Reflux Layer (*Heat Transfer Block*): 48-Positions (*Black*)
13742059	Reflux Layer (*Heat Transfer Block*): 24-Positions (*Green*)
13742060	Reflux Layer (*Heat Transfer Block*): 12-Positions (*Silver*)
13742061	Reflux Layer (*Heat Transfer Block*): 6-Positions (*Gold*)
Heat Dispersion Adapter Focuses heat from the hotplate stirrer to the XT reactor for uniform temperature distribution to all reaction vessels.	
13742106	Heat Dispersion Adapter for SiliCycle MiniBlock XT
Insulation Wrap* Ensures maximal uniformity between reactors when working under extreme temperatures.	
13200240	Insulation Wrap for SiliCycle MiniBlock XT
XT Low Temperature Bath Insulated tray for sub-ambient temperature control of XT reactor. Maintains dry ice / acetone temperature for approximately 4 h without refilling. Can be placed on top of magnetic stirrer for mixing reaction components.	
13742180	XT Low Temperature Bath for SiliCycle MiniBlock XT
Inerting / Purging Manifolds (*48-Positions*)* Internal septum prevents loss by evaporation and facilitates reagent addition or reaction sampling. Inert atmosphere is maintained at all times. Can be operated in continuous purging or static pressure modes.	
13742183	Inerting / Purging Manifold: 48-Positions (*Blue*)
13742182	Inerting / Purging Manifold: 48-Positions (*Red*)
Purging / Evaporating Manifolds* Provides superior performance for maintaining inert atmosphere while providing a cost effective option for evaporating solvents.	
13200950	Purging / Evaporating Manifold: 24-Positions
13200951	Purging / Evaporating Manifold: 12-Positions
13200957	Purging / Evaporating Manifold: 6-Positions
Vessel Racks Readily interchangeable racks in automation friendly microtiter plate formats. Windows allow to see the reactions.	
13260544	Vessel Rack: 48-Positions
13260545	Vessel Rack: 24-Positions
13260546	Vessel Rack: 12-Positions
13260547	Vessel Rack: 6-Positions
13742151	Vessel Rack Removal Tool: press the button and lock into the rack for removal from frame (*make sure the rack cooled down before removal*)
Reusable Reaction Vessels Borosilicate glass reaction vessels.	
16004001	48-Positions Reaction Vessel, 11.5 x 110 mm, 11.5 mL, 100 / Box
13742149	24-Positions Reaction Vessel, 17 x 110 mm, 18 mL, 100 / Box
13742148	12-Positions Reaction Vessel, 24 x 150 mm, 55 mL, 100 / Box
13742146	6-Positions Reaction Vessel, 34 x 150 mm, 110 mL, 24 / Box

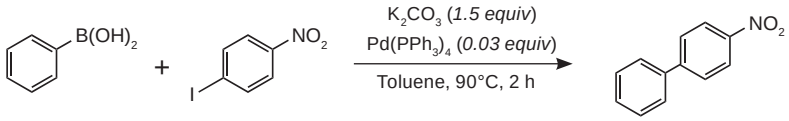
* These accessories are the same for SiliCycle MiniBlock and SiliCycle MiniBlock XT.

Applications Developed Using SiliCycle MiniBlock Family

Metal Scavenging Screening Using Silica-Supported Silia*MetS* Metal Scavengers

SiliCycle MiniBlock is ideal for optimizing post-reaction removal of metal residues. It enables quick screening of metal scavenging conditions using Silia*MetS* Metal Scavengers. The influence of solvent, temperature, reaction time, number of equivalent and nature of the metal scavenger can be quickly and efficiently evaluated in parallel.

Post-Suzuki-Miyaura Coupling Scavenging



4 x 9 Scavengers = 36 Conditions Evaluated at the Same Time, on a Single Station (*in %*)				
Metal Scavengers	**Scavenging Efficiency after 4 hours**			
	1 equiv - 22°C	**4 equiv - 22°C**	**1 equiv - 80°C**	**4 equiv - 80°C**
Silia*MetS* DMT	89	91	69	**99**
Silia*MetS* Diamine	66	78	65	**99**
Silia*Bond* Amine	39	42	56	93
Silia*MetS* Imidazole	53	56	60	97
Silia*MetS* TAAcOH	25	24	33	35
Silia*MetS* TAAcONa	34	34	56	72
Silia*MetS* Thiol	38	42	51	79
Silia*MetS* Thiourea	60	64	63	82
Silia*MetS* Triamine	53	57	56	**99**

Residual Pd content after work-up: 147 ppm.

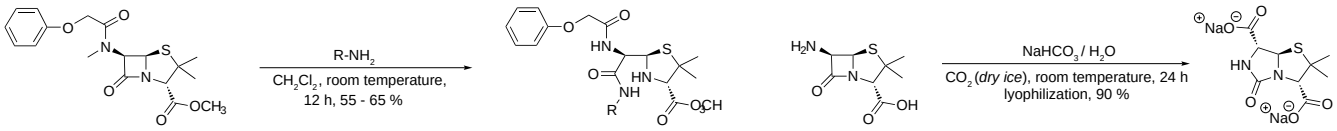
Download the poster here: www.silicycle.com/media/pdf/Poster_MiniBlock_Pittcon_2015_web.pdf

Synthesis of New Penicillin Derivatives as Drug-Like Molecules

Two efficient parallel synthetic methods were developed on **SiliCycle MiniBlock** and **SiliCycle MiniBlock XT** to quickly generate a number of new penicillin derivatives.

The first one is based on the β-lactam ring opening of penicillin V methyl ester to form thiazolidine amides. Various primary amines are used to attack the carbonyl group (*aliphatic, aromatic and heterocyclic*).

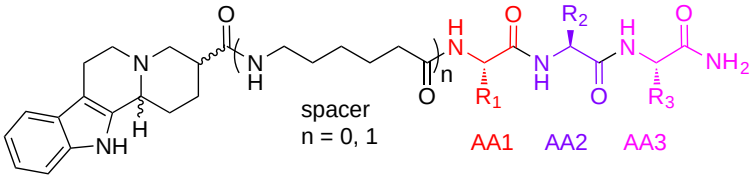
The second one consists in a β-lactam ring rearrangement of 6-aminopenicillanic acid into 8-hydroxypenillic acid, followed firstly by an esterification (*using various aliphatic and aromatic halides*) and secondly by an alkylation at the N-position (*using two aromatic bromides*).



Authors: Liu (*University of Kansas*) & co-workers
Publication: *Chinese Chemical Letters*, **2015**, *26*, 113-117

A Solid-Phase Combinatorial Synthesis of Indoloquinolizidine-Peptides

It was proven that the identification of bioactive compounds can effectively be achieved via solid-phase synthesis of combinatorial libraries. This publication validates the application of indoloquinolizidine-peptides combinatorial library to fine-tune the pharmacological profiles of multiple ligands at D1 and D2 dopamine receptors. Various peptides around the indoloquinolizidine core were explored and a library of 80 new indoloquinolizidine-tripeptides was made. The library synthesis was done with a **SiliCycle MiniBlock** and 80 final indoloquinolizidine-peptides were isolated in very high purities (> *90 %*) after simple solid-phase extraction on a SCX cartridge.



Authors: Royo (*University of Barcelona*) & co-workers
Publication: *European Journal of Medicinal Chemistry*, **2015**, *97*, 173-180

Rapid Analogue Library Synthesis for Drug Discovery

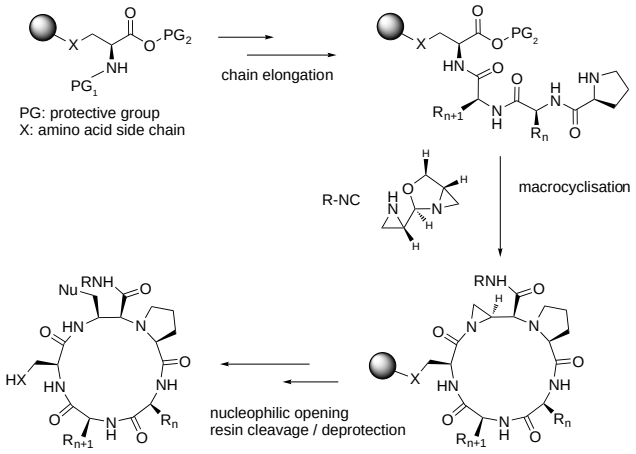
Solution-phase and solid-phase parallel synthesis of combinatorial libraries are valuable tools in drug discovery, for both rapidity of execution and ease of increasing molecular complexity.

In this paper, the Bristol-Myers Squibb Pharmaceutical Research Institute verified the impact on productivity of a centralized library synthesis service, to support lead optimization programs. They managed to reduce the library synthesis cycle time from eight weeks to two, by reducing most waste times. For example, they used **SiliCycle MiniBlock** and **SiliCycle MiniBlock XT** for rapid parallel synthesis. Various reactions were carried out with these equipments: synthesis of amides, ureas, sulfonamides, carbamates, alkylations, displacement reactions and Suzuki reactions.

Authors: Weller (*Bristol-Myers Squibb Pharmaceutical Research Institute*) & co-workers
Publication: *Journal of Combinatorial Chemistry*, **2006**, *8*, 664-669

Solid-Phase Parallel Synthesis of Functionalised Cyclic Peptidomimetics

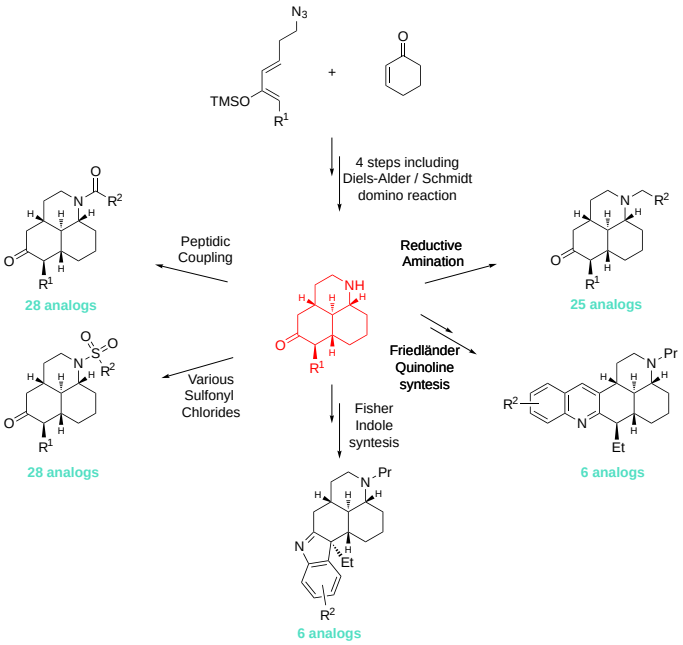
A **SiliCycle MiniBlock XT 24-Positions** was used to generate a library of several hundred macrocyclic peptidomimetics. The synthesis is entirely implemented on solid-phase, to minimize transfers and speed-up the process. This synthesis allows a single chemist to obtain 48 macrocycles in 2 weeks (*before purification and lyophilisation*), with great diversity in terms of ring size (*9 to 18-membered rings*) and amino acids contained in the ring (*nature and stereochemistry*).



Authors: Marsault (*Sherbrooke University*) & co-workers
Publication: *Chem. Eur. J.*, **2015**, *21*, 9249-9255

Diels-Alder Reactions of Azide-Containing Silyloxydienes

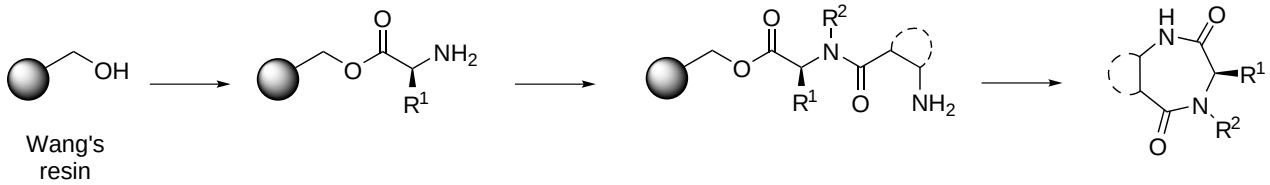
An elegant and divergent synthesis scheme was designed to prepare a series of analogs of potentially bioactive compounds. A 6,6,6-tricyclic amine building block was prepared through a Diels-Alder cycloaddition / Schmidt rearrangement domino sequence. Taking advantage of the **MiniBlock XT** unique capabilities in parallel synthesis, this scaffold was derivatized into 5 classes of analogs, for a total of 95 novel compounds. These structures will be screened against the Sigma-1 and Sigma-2 receptors to determine their biological activities.



Authors: Aubé (*UNC Eshelman School of Pharmacy*) & co-workers
Publication: *Tetrahedron*, **2016**, *72*, 3766-3774

Solid-Phase Synthesis via a Cyclization / Release Strategy

A series of analogues based on a 6,7-cycloalkane-fused 1,4-diazepane-2,5-dione scaffold was swiftly prepared, following a solid-phase synthethic approach using a **SiliCycle MiniBlock parallel reactor**. With Wang's resin as support, α- and alicyclic β-amino acid building blocks where synthesized, incorporating several functionnalities. Submitting these intermediates to a cyclization / release strategy, the target cyclic α,β-dipeptides were obtained in good yields and crude purities. This approach allowed the efficient synthesis of 26 analogues of a model library of homodiketopiperazines.



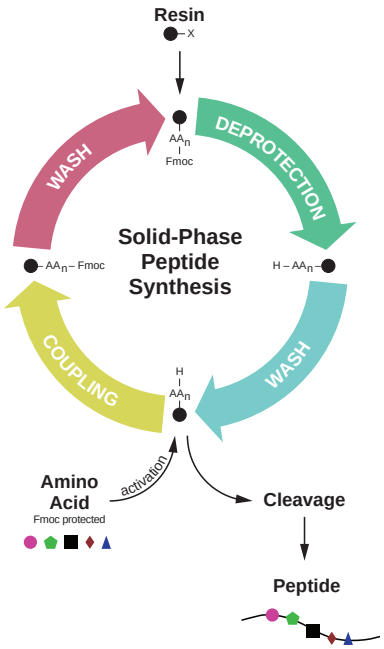
Authors: Van der Eycken (*Ghent University*) & co-workers
Publication: *Tetrahedron*, **2016**, *72*, 148-160

« If parallel synthesis is part of your chemistry plan, then the SiliCycle MiniBlock should be at the top of your list of hardware platform options. Designed for chemists by chemists, MiniBlock offers true parallel processing as opposed to actually being a collection of batch reactions. All chemical operations, including recovery from the reaction vessel, filtration and solid-phase extraction are carried out simultaneously without ever having to handle individual reactions. »

Dr. Conrad Santini from Baylor College of Medicine, Houston, TX, USA

Experimental Protocol for Peptide Synthesis

SiliCycle MiniBlock can be your ally in solid-phase peptide synthesis, saving a lot of precious time during all washing and filtration steps. You will find below the recommended protocol to follow as a starting point. Optimization steps can be undertaken, depending on your peptide sequence.



1. Put your starting resin in the SiliCycle MiniBlock reaction vessels (*in this example, we consider an amino-protected starting resin*).
2. Add 20 % piperidine (*in DMF*) to remove the Fmoc protecting group on the amino side, then shake for 5 min.
3. Filter the crude by opening the bottom valve of your MiniBlock (*do not forget to close it again after*).
4. Repeat steps 2 & 3 to ensure complete Fmoc removal.
5. Wash the resin 3 times with DMF to remove all remaining Fmoc and piperidine traces (*filter through your MiniBlock between each wash*).
6. Weigh the next N-protected amino acid you want to add on the chain, dissolve it in DMF and add it to the reaction vessels.
7. Add base to the reaction vessels to deprotonate the terminal -COOH of your new amino acid (*for example triethylamine*).
8. Add coupling agent, dissolved in DMF, to the reaction vessels (*for example EDC, DCC or DIC*).
9. Shake for 30 minutes to 12 hours, depending on the peptide length and steric properties of the new amino acid. You can repeat this step and even heat up the reactor to ensure the complete coupling.
10. Wash the resin 6 times with DMF to remove all remaining base, coupling agent and excess amino acid.
11. Repeat steps 2 to 10 to add your next amino acid, until your peptide sequence is completed.
12. OPTIONAL: After coupling your last amino acid, cleave the final Fmoc group by adding 20 % piperidine in DMF. If you want an Fmoc-protected peptide at the N-terminal position, skip this step.
13. Wash the resin 6 times with DMF and then 6 times with DCM to remove impurities (*such as Fmoc-cleavage residue*), let dry under nitrogen for 10 minutes.
14. Add cleavage solution to the reaction vessels (*for example TFA*) and shake at room temperature for 2 hours.
15. Collect the cleavage solution into adequate tubes. You can use the vacuum collection base to be sure to collect all the cleavage solution. You can repeat steps 14 & 15 to ensure a complete cleavage.
16. Wash the resin 2 times with the cleavage solution and once with DCM (*combine all cleavage and washing fractions*).
17. Evaporate TFA or precipitate your peptide in ACN.
18. Purify your peptide by Flash Chromatography or Preparative HPLC (*you can use one of our pre-packed SiliaSep C18 flash cartridges for example*).

« We have been using the SiliCycle MiniBlock for peptides cleavage, not only it is convenient to set up and fast in delivery, it also allows us to tailor our cleavage protocol for different peptides so higher yields can be achieved. »

Yi Gong from C3-Jian, Marina Del Rey, CA, USA