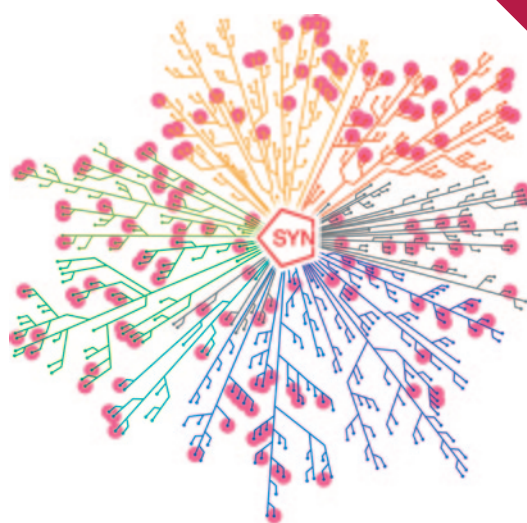


# Small Molecule Kinase Inhibitors

SYNkinase is a primary producer of over 200 research-use-only small molecule **Kinase Inhibitors**, for life science and drug discovery researchers.

## Key Features

- Includes Many Unique Small Molecules
- Versatile Research-relevant Targets
- High Quality & Highly Pure Compounds
- In-house Manufactured – Available in BULK!
- All Compounds Available in Catalog Sizes from 1–100 mg



## New from the Bench

### Potent MLK-3 & LRRK2 Inhibitor

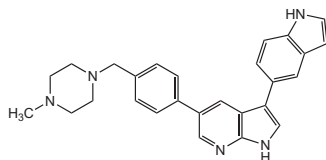
#### URMC-099

SYN-1211

**Formula:** C<sub>27</sub>H<sub>27</sub>N<sub>5</sub>

**MW:** 421.5

**CAS:** 1229582-33-5



Inhibition of MLK-3 is a strategy for the treatment of Parkinson's disease and HIV-1 associated neurocognitive disorders (HAND). URMC-099 is an orally bioavailable MLK-3 inhibitor with excellent brain exposure in mouse PK models and minimal interference with key human CYP450 enzymes or human ERG channels. It inhibits multiple kinase pathways including MLK-3 (14nM) and LRRK2 (11nM).

 Unique to SYNkinase

Visit [www.adipogen.com](http://www.adipogen.com) for a complete Overview on all Kinase Inhibitors!

### Unique SYNkinase Inhibitors

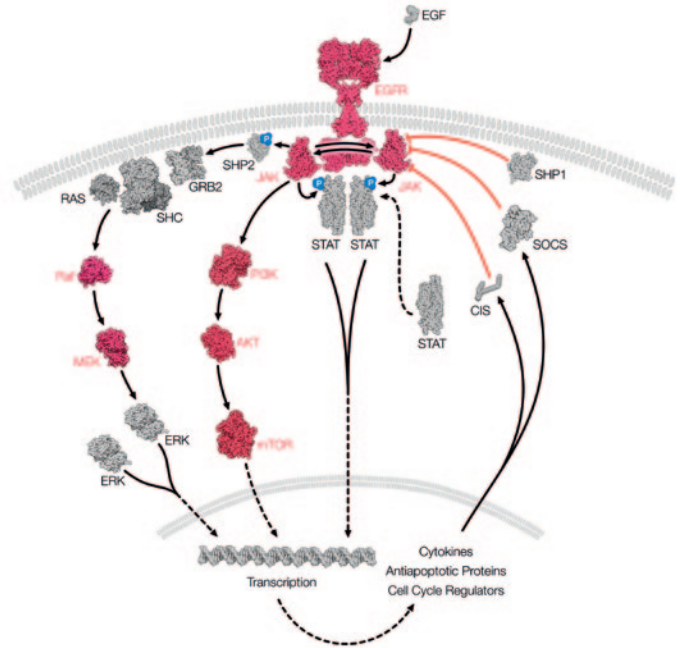
PRODUCT	PAGE
JAK/STAT Pathway Inhibitors	2
Growth Factor Inhibitors	2
PI3K/AKT/mTOR Pathway Inhibitors	3
MAPK Pathway Inhibitors	3
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COLLABORATING WITH

AdipoGen® 

# EGFR-JAK/STAT Signaling Pathway

JAK tyrosine kinases and STAT transcription factors constitute a signaling pathway, which is activated by cytokines, such as EGFR (see pathway) and consequently activates e.g. MAPK and mTOR pathways. By activating gene transcription it regulates essential biological responses, involved in the regulation of cell development, differentiation, immune cell proliferation, apoptosis and inflammation. Improper function of this pathway may contribute to hematopoietic malignancies and cancer.



## Potent Selective TYK2 Inhibitor

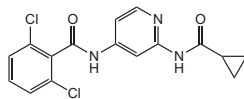
### **GDC-046**

SYN-1198

**Formula:** C<sub>16</sub>H<sub>13</sub>Cl<sub>2</sub>N<sub>3</sub>O<sub>2</sub>

**MW:** 350.2






**CAS:** 1258292-64-6









Potent selective TYK2 inhibitor (K<sub>i</sub>=4.8nm) versus JAK1 (K<sub>i</sub>=84nm) and JAK2 (K<sub>i</sub>=28nm). Lead compound with good kinase selectivity, physico-chemical properties and pharmacokinetic profile.




 **Unique to SYNkinase**






## JAK/STAT Pathway Inhibitors

PRODUCT NAME	TARGETS	PID
 <b>GLPG0634</b>	JAK1, JAK2	SYN-1158
 <b>Baricitinib . phosphate</b>	JAK1, JAK2	SYN-1117
<b>NVP-BSK805 . 2HCl</b>	JAK2	SYN-1136
 <b>CEP-33779</b>	JAK2	SYN-1156
<b>XL019</b>	JAK2	SYN-1191
 <b>TG-46</b>	JAK2, FLT3, RET, JAK3	SYN-1106
 <b>TG-89</b>	JAK2, FLT3, RET, JAK3	SYN-1107
<b>Merck-5</b>	JAK1, JAK2, JAK3, TYK2	SYN-1054

PRODUCT NAME	TARGETS	PID
 <b>Bayer-18</b>	TYK2	SYN-1130
 <b>RO495</b>	TYK2	SYN-1128
 <b>PRT-060318</b>	SYK	SYN-1204
<b>TAK-632</b>	pan-RAF	SYN-1203
 <b>GSK25</b>	RSK1, p70S6K	SYN-1124
 <b>PD-173955-Analog1</b>	c-Src kinase (CSK)	SYN-1062
 <b>PF-4618433</b>	PYK2	SYN-1163
<b>PF-431396</b>	FAK, PYK2	SYN-1063
<b>CX-6258</b>	Pim-1, Pim-2, Pim-3	SYN-1182

## Growth Factor Inhibitors

PRODUCT NAME	TARGETS	PID
 <b>AV-412</b>	EGFR, ErbB2 (HER2) kinases	SYN-1012
 <b>CP-724714</b>	ErbB2 (HER2) kinases	SYN-1033
 <b>AG13958</b>	VEGF	SYN-1004
<b>Tivozanib</b>	VEGFR-1, 2 & 3	SYN-1013
<b>Motesanib</b>	VEGFR-1, 2 & 3, PDGFR, c-Kit	SYN-1055
<b>Regorafenib</b>	VEGFR-1, 2 & 3, PDGFR, c-Kit, RET, Raf-1	SYN-1169

PRODUCT NAME	TARGETS	PID
<b>Pazopanib</b>	VEGFR, PDGFR, c-Kit	SYN-1058
<b>SU-5402</b>	VEGFR-2 (KDR), FGFR1	SYN-1084
 <b>JNJ-38158471</b>	VEGFR-2 (KDR)	SYN-1133
 <b>SAR-131675</b>	VEGFR-3	SYN-1165
 <b>Takeda-6d</b>	B-Raf, VEGFR-2 (KDR)	SYN-1168
 <b>AMG-25</b>	c-Kit	SYN-1125
 <b>AMG-Tie2-1</b>	Tie-2	SYN-1008



# PI3K/AKT/mTOR Signaling Pathway

The mTOR pathway is involved in many processes, including tumor formation, angiogenesis, autophagy, apoptosis, insulin resistance, adipogenesis and T-lymphocyte activation. Aberrant activation of the PI3K pathway has been widely implicated in many cancers and increased activity of this pathway is often associated with resistance to cancer therapies.

## Potent PI3K/mTOR Dual Kinase Inhibitor

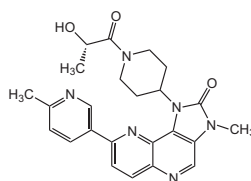
### PF-04979064

SYN-1194

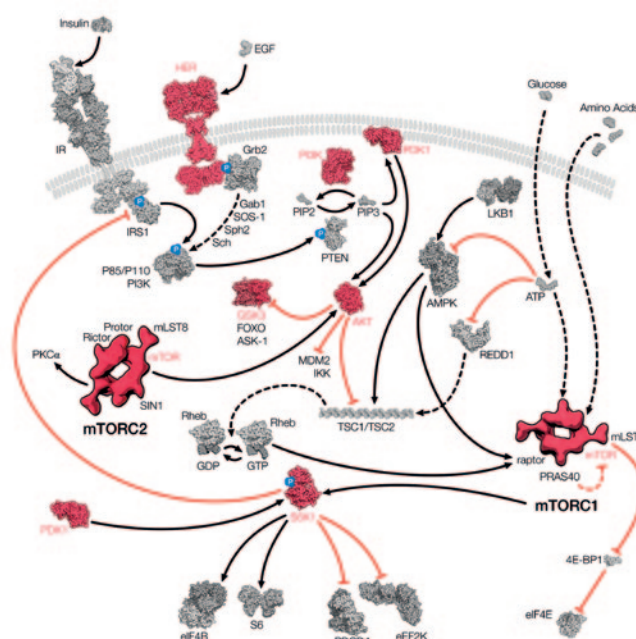
**Formula:** C<sub>24</sub>H<sub>26</sub>N<sub>6</sub>O<sub>3</sub>

**MW:** 446.5

**CAS:** 1258292-64-6



Potent and selective PI3K/mTOR dual kinase inhibitor. Shows potent K<sub>i</sub> values against PI3K $\alpha$  (K<sub>i</sub>=0.13nM human, 0.299nM mouse), PI3K $\gamma$  (K<sub>i</sub>=0.111nM) and PI3K $\delta$  (K<sub>i</sub>=0.122nM) in direct kinase assays. K<sub>i</sub> value for mTOR is 10X higher at 1.42nM.



## PI3K/AKT/mTOR Pathway Inhibitors

Unique to SYNkinase

PRODUCT NAME	TARGETS	PID
<b>PIK-75</b>	PI3K (p110 $\alpha$ isoform)	SYN-1067
<b>TASP0415914</b>	PI3K (p110 $\gamma$ isoform)	SYN-1208
<b>PIK-90</b>	PI3K (p110 $\alpha$ / $\delta$ / $\gamma$ isoform)	SYN-1068
<b>GDC-0032</b>	PI3K (p110 $\alpha$ / $\delta$ / $\gamma$ isoform)	SYN-1202
<b>GNE-490</b>	pan-PI3K	SYN-1114
<b>GNE-493</b>	pan-PI3K/mTOR	SYN-1115
<b>NIBR-17</b>	Class I PI3K	SYN-1145
<b>GNE-477</b>	Dual PI3K/mTOR	SYN-1148
<b>BGT226</b>	PI3K	SYN-1178A
<b>Akt-I-1</b>	Akt1	SYN-1005
<b>Akt-I-2 . HCl</b>	Akt1, Akt2	SYN-1006

PRODUCT NAME	TARGETS	PID
<b>Merck-22-6</b>	Akt1, Akt2	SYN-1118
<b>A-674563 . HCl</b>	Akt1, PKA, CDK2	SYN-1110
<b>AZD-26</b>	Akt	SYN-1160
<b>S6K-18</b>	S6K1	SYN-1132
<b>BLZ-945</b>	CSF-1R	SYN-1197
<b>JNJ-28312141</b>	CSF-1R, FLT3	SYN-1154
<b>KW-2449 . HCl</b>	FLT3	SYN-1205
<b>AST-487</b>	FLT3	SYN-1210
<b>AMG-51</b>	c-Met	SYN-1111
<b>SGX-523</b>	c-Met	SYN-1155
<b>AMG-1</b>	c-Met, RON	SYN-1143

## MAPK Pathway Inhibitors

PRODUCT NAME	TARGETS	PID
<b>CC-401 . HCl</b>	JNK (all 3 forms)	SYN-1028
<b>Bentamapimod</b>	JNK	SYN-1147
<b>SD-06</b>	p38 $\alpha$ MAPK	SYN-1078
<b>SD-169</b>	p38 $\alpha$ MAPK	SYN-1079
<b>RWJ-67657</b>	p38 $\alpha$ / $\beta$ MAPK	SYN-1072

PRODUCT NAME	TARGETS	PID
<b>SB242235</b>	p38 MAPK	SYN-1076
<b>R1487 . HCl</b>	p38 MAPK	SYN-1101
<b>S-99</b>	ASK1	SYN-1119
<b>AMG-47a</b>	Lck, KDR, SRC, p38 MAPK	SYN-1007
<b>CH4987655</b>	MEK	SYN-1188

Small Molecule Kinase Inhibitors



# Cell Cycle Regulation

The cell cycle is regulated by the interplay of many molecules. Key among these are cyclins which combine with cyclin dependent kinases (CDKs) to form activated kinases that phosphorylate targets leading to cell cycle regulation. A breakdown in the regulation of this cycle leads to uncontrolled cell division or propagation of damaged DNA which can contribute to genomic instability and tumorigenesis. Defects in many of the molecules, that regulate the cell cycle, have been implicated in cancer.

## ATP-competitive Potent CHK1 Inhibitor

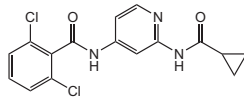
### SAR-020106

SYN-1189

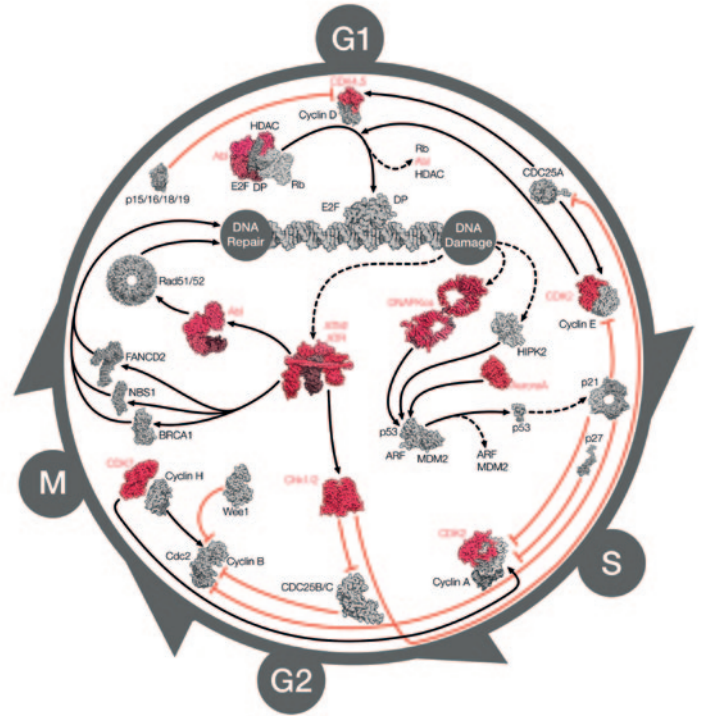
**Formula:** C<sub>19</sub>H<sub>19</sub>ClN<sub>6</sub>O

**MW:** 382.9





**CAS:** 1184843-57-9





ATP-competitive, potent and selective CHK1 inhibitor with an IC<sub>50</sub> of 13.3nM on the isolated human enzyme. Inhibits cytotoxic drug-induced autophosphorylation of CHK1 at S296 in a dose-dependent fashion both *in vitro* and *in vivo*.






## Cell Cycle Inhibitors


PRODUCT NAME	TARGETS	PID
<b>CX-4945</b>	CK2	SYN-1109
 <b>AT7519 . HCl</b>	CDKs	SYN-1010
 <b>PHA-690509</b>	CDK2	SYN-1097
 <b>BMS-387032 . HCl</b>	CDK2, CDK7, CDK9	SYN-1080
<b>Alvocidib</b>	CDK1, CDK2, CDK4, CDK6	SYN-1040
 <b>BS-194</b>	CDK1, CDK2, CDK5, CDK7,	SYN-1151

PRODUCT NAME	TARGETS	PID
<b>Purvalanol B</b>	Cdc2/cyclin B, CDK2/cyclin A,	SYN-1070
<b>SNS-314</b>	pan-Aurora Kinase	SYN-1081
 <b>PD-173955</b>	Dual Src/Bcr-Abl kinase	SYN-1061
 <b>BMS-3</b>	LIMK1, LIMK2	SYN-1023
<b>BMS-5</b>	LIMK1, LIMK2	SYN-1024

## Selected Other Inhibitors

PRODUCT NAME	TARGETS	PID
<b>SR3677</b>	ROCK-I, ROCK-II	SYN-1083
 <b>VTX-27</b>	PKCθ	SYN-1206
 <b>SP2509</b>	LSD1	SYN-1212

PRODUCT NAME	TARGETS	PID
<b>GCI1746</b>	BTK	SYN-1164
 <b>RN486 . TFA</b>	BTK	SYN-1184
<b>GSK2606414</b>	PERK	SYN-1201

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