

Investigational Drugs

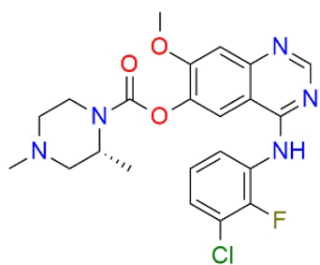
Investigational drugs are compounds that have been approved for testing in clinical trials. They have verified structure, manufacturing process, and quality control protocols as well as passed preliminary animal studies. Clinical trials will check if potential drugs work effectively and safely on humans. Compounds, successively passed all phases of clinical trials, can be submitted for FDA (or other pharmaceutical regulatory agency) approval to become a new drug.

The library of **Investigational Drugs** consists of 753 compounds that entered the first phase of clinical trials. All information about national clinical trial (NCT) numbers and annotated biological activity is also available.

Related terms: *epidermal growth factor receptor, MET proto-oncogene, receptor tyrosine kinase, AKT serine/threonine kinase, aurora kinase A, mitogen-activated protein kinase*



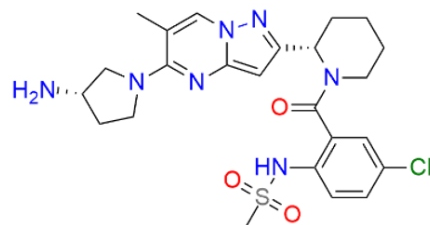
Highlights



EBC-157199

CAS: 1626387-80-1

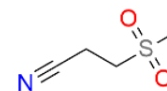
Zorifertinib is a potent, orally active, central nervous system-penetrant, EGFR inhibitor with IC₅₀= 0.5 nM



EBC-78038

CAS: 1353625-73-6

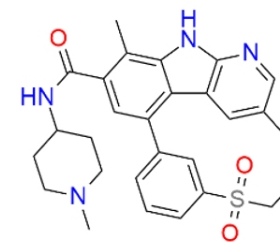
Presatovir (GS-5806) is a RSV fusion inhibitor.



EBC-06137

CAS: 54863-37-5

Dapansutrile inhibits the NLRP3 inflammasome. It has anti-inflammatory, analgesic activity.



EBC-11429

CAS: 934541-31-8

TAK-901 has antineoplastic activity. It is a multi-targeted aurora inhibitor (aurora A and B).

Library Composition

Name	Occurrence in the library, times
epidermal growth factor receptor	20
phosphatidylinositol-4,5-bisphosphate 3-kinase catalytic subunit delta	16
MET proto-oncogene, receptor tyrosine kinase	16
kinase insert domain receptor	15
phosphatidylinositol-4,5-bisphosphate 3-kinase catalytic subunit beta	12
phosphatidylinositol-4,5-bisphosphate 3-kinase catalytic subunit gamma	12
AKT serine/threonine kinase 1	11
aurora kinase A	11
mitogen-activated protein kinase 14	9
colony stimulating factor 1 receptor	9
fms related receptor tyrosine kinase 1	9

platelet derived growth factor receptor alpha		9
platelet derived growth factor receptor beta		9
phosphoinositide-3-kinase regulatory subunit 1		9
mitogen-activated protein kinase 1		9
aurora kinase B		9
Janus kinase 2		8
phosphatidylinositol 3-kinase catalytic subunit type 3		8
D2 receptor		8
fms related receptor tyrosine kinase 3		8
mitogen-activated protein kinase kinase 1		8
fms related receptor tyrosine kinase 4		8
Janus kinase 1		7
Janus kinase 3		7

B-Raf proto-oncogene, serine/threonine kinase		7
Raf-1 proto-oncogene, serine/threonine kinase		7
fibroblast growth factor receptor 1		7
histone deacetylase 2		6
histone deacetylase 3		6
histone deacetylase 1		6
ret proto-oncogene		6
Bruton tyrosine kinase		6
erb-b2 receptor tyrosine kinase 2		6
AXL receptor tyrosine kinase		6
mitogen-activated protein kinase kinase 2		6
D3 receptor		5
LCK proto-oncogene, Src family tyrosine kinase		5

Aryl hydrocarbon receptor		5
macrophage stimulating 1 receptor		5
fibroblast growth factor receptor 2		5
tyrosine kinase 2		4
histone deacetylase 6		4
polo like kinase 1		4
5-HT2A receptor		4
mitogen-activated protein kinase 3		4
sigma non-opioid intracellular receptor 1		4
neurotrophic receptor tyrosine kinase 1		4
discoidin domain receptor tyrosine kinase 1		4
CYP1A2		4
fibroblast growth factor receptor 4		4

mitogen-activated protein kinase 11		4
protein tyrosine kinase 2		4
CYP1A1		4
aurora kinase C		4
fibroblast growth factor receptor 3		4
AKT serine/threonine kinase 3		4
AKT serine/threonine kinase 2		4
carbonic anhydrase 2		4
neurotrophic receptor tyrosine kinase 2		4
neurotrophic receptor tyrosine kinase 3		4
cyclin dependent kinase 2		4
$\sigma 2$		3
Pim-1 proto-oncogene, serine/threonine kinase		3

H1 receptor	—	3
histone deacetylase 10	—	3
Estrogen receptor- β	—	3
EPH receptor B6	—	3
YES proto-oncogene 1, Src family tyrosine kinase	—	3
α 1A-adrenoceptor	—	3
α 1D-adrenoceptor	—	3
fyn related Src family tyrosine kinase	—	3
BMX non-receptor tyrosine kinase	—	3
TXK tyrosine kinase	—	3
CB1 receptor	—	3
heat shock protein 90 beta family member 1	—	3
MER proto-oncogene, tyrosine kinase	—	3

TEK receptor tyrosine kinase	—	3
GluN2D	—	3
CYP2E1	—	3
BLK proto-oncogene, Src family tyrosine kinase	—	3
IL2 inducible T cell kinase	—	3
Insulin receptor	—	3
Insulin-like growth factor I receptor	—	3
Mineralocorticoid receptor	—	3
casein kinase 2, alpha 1 polypeptide subunit	—	3
carbonic anhydrase 9	—	3
checkpoint kinase 1	—	3
ABCG2	—	3
glycogen synthase kinase 3 beta	—	3

phosphatidylinositol-4-phosphate 3-kinase catalytic subunit type 2 beta	—	3
amine oxidase copper containing 3	—	3
LYN proto-oncogene, Src family tyrosine kinase	—	3
CDC like kinase 2	—	3
cyclin dependent kinase 4	—	3
cyclin dependent kinase 6	—	3
carbonic anhydrase 1	—	3
NET	—	3
MMP3	•	2
MMP13	•	2
histone deacetylase 8	•	2
nemo like kinase	•	2
phosphoinositide-3-kinase regulatory subunit 3	•	2

Kv11.1	•	2
WEE2 oocyte meiosis inhibiting kinase	•	2
histone deacetylase 5	•	2
α 1B-adrenoceptor	•	2
topoisomerase (DNA) I mitochondrial	•	2
5-HT _{2C} receptor	•	2
signal transducer and activator of transcription 3	•	2
S1P1 receptor	•	2
TRPV1	•	2
Angiotensin-converting enzyme 2	•	2
EPH receptor A8	•	2
TYRO3 protein tyrosine kinase	•	2
ALK receptor tyrosine kinase	•	2

STE20 like kinase	•	2
serine/threonine kinase 10	•	2
mitogen-activated protein kinase kinase kinase 1	•	2
ribosomal protein S6 kinase A5	•	2
GluN2C	•	2
CDC like kinase 3	•	2
CYP11B1	•	2
serine/threonine kinase 35	•	2
pancreatic lipase	•	2
mitogen-activated protein kinase kinase kinase 3	•	2
FER tyrosine kinase	•	2
NRAS	•	2
CB2 receptor	•	2

Organic cation transporter 2	•	2
MDM2 proto-oncogene	•	2
EPH receptor A2	•	2
c-ros oncogene 1, receptor tyrosine kinase	•	2
discoidin domain receptor tyrosine kinase 2	•	2
FYN proto-oncogene, Src family tyrosine kinase	•	2
ZAK sterile alpha motif and leucine zipper containing kinase AZK	•	2
A1 receptor	•	2
Pregnane X receptor	•	2
protein kinase C alpha	•	2
protein kinase C delta	•	2
protein kinase C epsilon	•	2
protein kinase C eta	•	2

protein kinase C theta	•	2
DAT	•	2
SERT	•	2
activin A receptor type 1B	•	2
transforming growth factor beta receptor 1	•	2
cyclin dependent kinase 9	•	2
casein kinase 1 alpha 1	•	2
casein kinase 1 epsilon	•	2
mitogen-activated protein kinase kinase kinase 4	•	2
ABCB1	•	2
receptor interacting serine/threonine kinase 2	•	2
Organic anion transporter 1	•	1
MMP1	•	1

MMP9	•	1
ADAM9	•	1
ADAM10	•	1
ADAM17	•	1
histone deacetylase 11	•	1
mitogen-activated protein kinase 10	•	1
phosphodiesterase 4A	•	1
phosphodiesterase 4B	•	1
phosphodiesterase 4C	•	1
phosphodiesterase 4D	•	1
phosphodiesterase 10A	•	1
cyclin G associated kinase	•	1
mitogen-activated protein kinase kinase kinase 19	•	1

mitogen-activated protein kinase kinase kinase 4	•	1
NIMA related kinase 3	•	1
polo like kinase 2	•	1
polo like kinase 3	•	1
WEE1 G2 checkpoint kinase	•	1
NADPH oxidase 1	•	1
M1 receptor	•	1
V1A receptor	•	1
V2 receptor	•	1
Nav1.2	•	1
CFTR	•	1
CYP51A1	•	1
μ receptor	•	1

ribosomal protein S6 kinase A1	•	1
5-HT1A receptor	•	1
5-HT1B receptor	•	1
5-HT2B receptor	•	1
Kv1.1	•	1
Kv1.3	•	1
Kv1.4	•	1
Kv1.5	•	1
Kv1.6	•	1
UDP-glucose ceramide glucosyltransferase	•	1
carbonic anhydrase 13	•	1
LPA1 receptor	•	1
α2B-adrenoceptor	•	1

RyR1	•	1
kelch like ECH-associated protein 1	•	1
EPH receptor A3	•	1
EPH receptor A6	•	1
EPH receptor A7	•	1
tyrosine kinase with immunoglobulin like and EGF like domains 1	•	1
homeodomain interacting protein kinase 4	•	1
mitogen-activated protein kinase kinase 5	•	1
CCK1 receptor	•	1
activin A receptor type 1	•	1
bone morphogenetic protein receptor type IB	•	1
phosphatidylinositol 4-kinase beta	•	1
ribosomal protein S6 kinase B1	•	1

Cav2.2	•	1
mGlu5 receptor	•	1
Ecto-5'-Nucleotidase	•	1
CYP2A7	•	1
tubulin alpha 1a	•	1
PMCA4	•	1
tec protein tyrosine kinase	•	1
kallikrein related peptidase 7	•	1
MAPK activated protein kinase 2	•	1
serine/threonine kinase 17b	•	1
GPR119	•	1
TRPA1	•	1
CXCR1	•	1

CXCR2	•	1
proteasome 20S subunit beta 5	•	1
Monoacylglycerol lipase	•	1
GABAA receptor $\alpha 1$ subunit	•	1
GABAA receptor $\beta 2$ subunit	•	1
GABAA receptor δ subunit	•	1
erb-b2 receptor tyrosine kinase 3	•	1
Farnesoid X receptor	•	1
nuclear receptor coactivator 1	•	1
carbonic anhydrase 7	•	1
beta-secretase 1	•	1
acetylcholinesterase (Cartwright blood group)	•	1
megakaryocyte-associated tyrosine kinase	•	1

Endothelin-converting enzyme 1	•	1
nicotinic acetylcholine receptor $\alpha 4$ subunit	•	1
ATR serine/threonine kinase	•	1
A2B receptor	•	1
bromodomain containing 2	•	1
bromodomain testis associated	•	1
tyrosinase	•	1
p21 (RAC1) activated kinase 1	•	1
protein kinase, DNA-activated, catalytic subunit	•	1
histone deacetylase 4	•	1
histone H3 associated protein kinase	•	1
Pim-3 proto-oncogene, serine/threonine kinase	•	1
$\alpha\beta$ -Hydrolase 2	•	1

GlyT1	•	1
PROT	•	1
KNa1.1	•	1
Nav1.8	•	1
Neutral endopeptidase	•	1
EPH receptor A1	•	1
doublecortin like kinase 1	•	1
doublecortin like kinase 2	•	1
FES proto-oncogene, tyrosine kinase	•	1
protein tyrosine kinase 2 beta	•	1
serine/threonine kinase 4	•	1
protein kinase AMP-activated catalytic subunit alpha 1	•	1
protein kinase AMP-activated catalytic subunit alpha 2	•	1

protein kinase AMP-activated non-catalytic subunit beta 1	•	1
protein kinase AMP-activated non-catalytic subunit gamma 1	•	1
Acetyl-CoA carboxylase 1	•	1
Acetyl-CoA carboxylase 2	•	1
EPH receptor A5	•	1
EPH receptor B1	•	1
Inducible NOS	•	1
HPGD	•	1
5-HT3A	•	1
GPR84	•	1
D1 receptor	•	1
phosphoinositide-3-kinase regulatory subunit 2	•	1
poly(ADP-ribose) polymerase 1	•	1

TAAR3	•	1
src-related kinase lacking C-terminal regulatory tyrosine and N-terminal myristylation sites	•	1
Neuron-derived orphan receptor 1	•	1
erb-b2 receptor tyrosine kinase 4	•	1
muscle associated receptor tyrosine kinase	•	1
interleukin 1 receptor associated kinase 1	•	1
glycine receptor $\alpha 1$ subunit	•	1
A2A receptor	•	1
mitogen-activated protein kinase kinase kinase 2	•	1
NIMA related kinase 2	•	1
polo like kinase 4	•	1
serine/threonine kinase 3	•	1
tyrosine kinase non receptor 2	•	1

mitogen-activated protein kinase kinase kinase 5	•	1
Peroxisome proliferator-activated receptor- α	•	1
coagulation factor II, thrombin	•	1
kallikrein B1	•	1
plasminogen activator, tissue type	•	1
P2X7	•	1
Cav1.2	•	1
TRPM6	•	1
receptor interacting serine/threonine kinase 4	•	1
COX-1	•	1
COX-2	•	1
mitogen-activated protein kinase kinase kinase 8	•	1
citron rho-interacting serine/threonine kinase	•	1

receptor activator of NF-kappa B	•	1
carbonic anhydrase 4	•	1
carbonic anhydrase 12	•	1
activin A receptor type 2B	•	1
protein kinase A	•	1
TLR7	•	1
dual specificity tyrosine phosphorylation regulated kinase 1A	•	1
mitogen-activated protein kinase 15	•	1
protein kinase C beta	•	1
Protein kinase G (PKG) 2	•	1
ribosomal protein S6 kinase A3	•	1
ribosomal protein S6 kinase A6	•	1
α 2A-adrenoceptor	•	1

α 2C-adrenoceptor	•	1
D4 receptor	•	1
Y5 receptor	•	1
5-HT4 receptor	•	1
FFA1 receptor	•	1
κ receptor	•	1
casein kinase 1 delta	•	1
misshapen like kinase 1	•	1
mitogen-activated protein kinase 9	•	1
mitogen-activated protein kinase kinase kinase 2	•	1
glycogen synthase kinase 3 alpha	•	1
NLRP3	•	1
GlyT2	•	1

TAO kinase 3	•	1
Alanine/serine/cysteine transporter 2	•	1
Casein kinase 2	•	1
casein kinase 2, beta polypeptide subunit	•	1
KCa1.1	•	1
Monoamine oxidase A	•	1
Monoamine oxidase B	•	1
NK1 receptor	•	1
epoxide hydrolase 2	•	1
serine/threonine-protein kinase MST4	•	1
glutathione-disulfide reductase	•	1
protein kinase, cAMP-dependent, catalytic, alpha subunit	•	1
mitogen-activated protein kinase 4	•	1

MAPK interacting serine/threonine kinase 1	•	1
MAPK interacting serine/threonine kinase 2	•	1
Sodium/bile acid and sulphated solute cotransporter 2	•	1
β1-adrenoceptor	•	1
Aminopeptidase N	•	1
Arginyl aminopeptidase	•	1
Leucine aminopeptidase 3	•	1
CXCR4	•	1
B1 receptor	•	1
B2 receptor	•	1
TLR2	•	1
TLR4	•	1
Transforming growth factor β receptor	•	1

Rho associated coiled-coil containing protein kinase 2

•

1

lysine demethylase 1A

•

1

cyclin dependent kinase 1

•

1

cyclin dependent kinase 16

•

1

cyclin dependent kinase 17

•

1

cyclin dependent kinase 5

•

1

cyclin dependent kinase 7

•

1

C-terminal Src kinase

•

1

protein tyrosine kinase 6

•

1

SRC proto-oncogene, non-receptor tyrosine kinase

•

1

tribbles pseudokinase 3

•

1

Guanylyl cyclase-G

•

1