



The Structural Genomics Consortium is a public-private partnership that accelerates the discovery of new medicines through open access research.

Contact us:

frankfurt@thesgc.org

www.thesgc.org
www.sgc-frankfurt.de



@thesgcfrankfurt



The SGC Frankfurt



Buchmann Institute
for Molecular Life Sciences



THE UNIVERSITY
of NORTH CAROLINA
at CHAPEL HILL

Donated chemical probes were developed by pharmaceutical companies or leading academics and donated to the research community to stimulate research to explore target biology and its role in disease .

abbvie



Learn more about DCPs and request the set free of charge:



Donated chemical probes meet the following criteria:

- ✓ **Potency** (IC50 or KD) < 100 nM
- ✓ **Selectivity** within target family >30-fold
- ✓ **Extensive off-targets profiling outside target family**
- ✓ **Cellular on-target activity** < 1 µM (IC50 or EC50)
- ✓ 100-fold less potent **control compound**
- ✓ **No PAINS elements**

Target areas covered by the DCP set:

- ✓ Epigenetics
- ✓ GPCRs
- ✓ Ion Channels
- ✓ Kinases
- ✓ Other Enzymes
- ✓ Other Targets

Target	Probe	Cellular potency [nM]	Cellular usage recommendation [µM]
BRD2, BRD3, BRD4, BRDT (BD1)	GSK778	pIC ₅₀ = 7.3	≤ 1
BRD2, BRD3, BRD4, BRDT (BD1)	GSK789	IC ₅₀ = 125	≤ 10
BRD2, BRD3, BRD4, BRDT (BD2)	GSK046	pIC ₅₀ = 7.5	≤ 10
BRD2, BRD3, BRD4, BRDT (BD2)	GSK620	pIC ₅₀ = 7.2	≤ 10
BRD2, BRD3, BRD4, BRDT (BD2)	GSK973	pIC ₅₀ = 7.3	≤ 10
BRD7, BRD9	VZ185	DC ₅₀ = 4.5 / 1.76 (degrader)	0.3 (≤ 1)
EP300, CREBBP	A-485	IC ₅₀ = 150	0.8
KAT6A, KAT6B	WM-1119	IC ₅₀ = 250	1-10
SMARCA2/4	FHT-2344	IC ₅₀ (SMARCA2/4) = 30.2/ 29.8	≤ 1
ADRA1D	(R)-9s	IC ₃₀ = 15	< 1
ADRA2B	BAY-6096	IC ₅₀ = 14	0.1
AVPR1A, AVPR2	BAY 1753011	IC ₅₀ = 3.6/ 1.7	0.1
BDKRB1	BI-113823	IC ₅₀ = 7	0.1
CCR1	BI 639667	IC ₅₀ = 2.4	0.10
CCR1	BAY-3153	-	≤ 0.10
CHRM1	MSD-M1PAM	Inflection point = 136	< 1
CNR1	MRL-650	IC ₅₀ < 10	< 1
DRD4	ABT-724	2.2 < EC ₅₀ < 12.4	0.001 – 10
DRD4	UCSF924	0.2 < EC ₅₀ < 4.2	1
EDNRA	ABT-546	IC ₅₀ = 0.59	< 0.10
EDNRB	A-192621	IC ₅₀ = 0.8	< 0.30
F2R	BAY-386	IC ₅₀ = 10	< 0.10
FFAR1	BI-2081	EC ₅₀ = 3-5	~ 0.2
FFAR1	TP-051	EC ₅₀ = 25	≤ 1
GNRHR	BAY-784	IC ₅₀ = 21	< 1
GPR52	TP-024	EC ₅₀ = 93	1
GPR58	Ogerin	pEC ₅₀ 6.83	< 1
HRH4	JNJ-39758979	pA ₂ = 7.9	≤ 10
KISS1R	KISS1-305	IC ₅₀ = 8.94	0.001 – 1
LHCGR	BAY-899	IC ₅₀ = 185	1
MRGPRX2	(R)-ZINC-3573	EC ₅₀ = 740	< 1
NPY1R	BIBO3304	IC ₅₀ = 0.38 (SK-M-NC cells)	0.1
P2RY14	PPTN	-	~ 0.10
PTAFR	WEB2086	IC ₅₀ = 170	0.3
PTGDR2	CRTH2 antagonist	IC ₅₀ = 3	0.1 – 1
PTGER2	PF-04418948	Kg = 5.4	< 0.30
PTGFR	BAY-6672	IC ₅₀ = 11	≤ 0.50
CFTR	A-1596586	EC ₅₀ = 28	< 1
Epithelial sodium channel	BI-8668	81 % inhibition	1
GRIN2A	TP-050	EC ₅₀ = 510	
P2RX4	BAY-1797	IC ₅₀ = 274	0.1 – 1
SLC9A1	BI-9627	IC ₅₀ = 31	< 5
TRPA1	A-079	IC ₅₀ = 51	1
TRPA1	BAY-390	IC ₅₀ = 82	0.10

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TRPM8	PF-05105679	IC ₅₀ = 103	1
ACVR1B, TGFB1	TP-008	IC ₅₀ = 526 / 24	1 – 10
AKT1/2	Borussertib	IC ₅₀ (AKT1/2) = 21 / 68	1
AKT1/2	BAY1125976	IC ₅₀ (AKT1/2) = 2.84 / 10.7	< 1
BUB1	BAY 1816032	IC ₅₀ = 29	0.3
CDK7	JNJ-3738	IC ₅₀ = 58	≤ 1
CIT	C3TD879	IC ₅₀ = 51	≤ 2
CLK1/2/3/4	T3-CLK	IC ₅₀ (CLK1/2/4) = 3.49/ 16.6 / 1.98	< 0.1
CSNK1D, CSNK1E	JNJ-6204	IC ₅₀ (CSNK1D) = 72	≤ 1
DDR1/2, MAPK11, MAPK14	SR-302	IC ₅₀ (DDR1/2) = 23/18; IC ₅₀ (MAPK11/14) = 196/ 125	0.10
IKKB	BI 605906	EC ₅₀ = 700 – 900	≤ 5
IRAK4	GNE-2256	IC ₅₀ = 3.3	1
LRRK2	MLI-2	IC ₅₀ = 3.5; EC ₅₀ = 1.22	< 1
MAPK14	FS-694	IC ₅₀ = 14.9	0.10 (< 1 µM)
MAPK14	Skepinone-L	IC ₅₀ = 13.6	1
MAPK14	SR-318	IC ₅₀ (MAPK14/11) = 3.7/ 10	< 0.10
MAPK1/3	ERKi	IC ₅₀ (MAPK1/3) = 280-850/ 6690	1
MAPK7	BAY-885	IC ₅₀ = 115	1
MET	BAY-474	IC ₅₀ = 2.9	0.001 – 1
PIP4K2A	BAY-091	EC ₅₀ = 1100	1-10
PRKAA1, RPS6KA1	BAY-3827	IC ₅₀ (PRKAA1/RPS6KA1) = 1.4 / 9.1	0.15
PTK2, PTK2B	PF-04554878	IC ₅₀ = 3	0.10
RIPK1	TP-030-1	IC ₅₀ = 18	0.10
RIPK1	TP-030-2	IC ₅₀ = 1.3	0.10
ROCK1/2	BAY-549	IC ₅₀ = 65	< 0.100
SGK3	SGK3-PROTAC1	65% degradation	0.3 (≤ 3)
SYK	MRL-SYKi	IC ₅₀ < 100 (cell. assay); IC ₅₀ < 300 (whole blood)	0.10
TBK1, IKBKE	BAY-985	IC ₅₀ (TBK1/IKBKE) = 312 / 1725	< 1
TIE1, TEK, DDR1/2	BAY-826	IC ₅₀ (TIE1/TEK/DDR1/DDR2) = 2.7 / 0.7 / 3 / 4.5	< 0.50
ADAMTS7/12	BAY-9835	Inh. at 100 nM (analogue)	0.1
ALOX5AP	BI 665915	IC ₅₀ = 45	0.030 – 0.500
BCAT1/2	BAY-069	IC ₅₀ (BCAT1/2) = 358 / 874	1
CMA1	BI-1942	IC ₅₀ = 198	1
CTSS	BI-1915	IC ₅₀ = 2.8	1
CYP11B2	MSD-CYP11B2	IC ₅₀ = 2.3	0.025 – 0.100
Complex I	BAY-179	IC ₅₀ = 33	0.10-1
DHODH	IPP/CNRS-A017	EC ₅₀ = 2.5	< 0.10
ELANE	BAY-678	Ki = 15	1-10
ELANE	BI-5524	IC ₅₀ = 1.2	0.1
ENPP2	BI-2545	IC ₅₀ = 29	1
EPHX2	BI-1935	IC ₅₀ < 1	< 0.001
FAAH	PF-04457845	Complete inhibition	0.2 – 1
FASN	BI 99179	IC ₅₀ = 180	1 – 10
FKBP5	SAFit2	IC ₅₀ = 195.5	≤ 5

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FNTB	ABT-100	IC ₅₀ = 0.73	0.01 – 1000
GLS	A-446	IC ₅₀ = 11	0.10
γ secretase complex	GSM1	IC ₅₀ < 100	< 1
γ secretase complex	MRK-560	> 1 (cellular potency)	< 0.100
HCV NS3	BI-1230	EC ₅₀ = 4.6 (Genotype 1a) EC ₅₀ < 1.8 (Genotype 1b)	< 0.10
HCV NS5B	BI 207127	EC ₅₀ = 23 (Genotype 1a) EC ₅₀ = 11 (Genotype 1b)	< 0.10
HIV NNRT	BI-2540	EC ₅₀ = 2.6	< 0.10
HSD17B13	BI-3231	IC ₅₀ = 11	1
MALT1	NVS-MALT1	IC ₅₀ = 3.4	1
METAP2	TP-004	Accumulation of NMet14-3-3γ = 15	0.2 – 1
METAP2	BAY-277	DC ₅₀ = 0.2 (degrader)	0.1
MGAT2	TP-020	IC ₅₀ = 160	1 – 10
MGLL	JNJ-42226314	IC ₅₀ = 1.13	≤ 10
MIF	BTZO-1	MEC2.0/1.5 = 820/ 16	0.8 – 1
MMP12	BAY-7598	-	< 10
MMP13	T-26c	IC ₅₀ < 100	0.1
MMP13	BI-4394	IC ₅₀ = 31	0.1
NUDT1	BAY-707	EC ₅₀ = 7.6	0.001 – 1
OGA	JNJ-65355394	IC ₅₀ = 3.9	≤ 10
OGA	TP-040	EC ₅₀ = 450	≤ 10
PDE2A	JNJ-54082730	ED ₅₀ = 5.3 mg/kg	
PDE9A	BAY-7081	EC ₅₀ = 995	1
PDE10A	THPP-1	IC ₅₀ = 49	~ 0.10
PDE10A	JNJ-42396302	2.3-fold increase in luciferase activity at 1 µM	≤ 10
SLC2A1	BAY-876	IC ₅₀ = 3.2	0.1 – 75
SMOX	JNJ-9350	IC ₅₀ = 1200 (CETSA)	≤ 10
SOS1	BAY-293	IC ₅₀ < 1000	0.02 – 1.1
UCHL1	8RK64	ABPP assay: Full inhibition at 3 µM	≤ 3
UGCG	TP-060	EC ₅₀ = 7.6	≤ 1
VNN1	PFI-653	IC ₅₀ = 9	≤ 1
BCL2	A-1211212	EC ₅₀ = 6	< 5
BCL2L1	A-1155463	IC ₅₀ = 0.55	< 5
BCL6	BI-3802	IC ₅₀ = 43 (degrader)	≤ 1
BCL6	BI-3812	IC ₅₀ = 40	≤ 1
BCL6	CCT369260	DC ₅₀ = 49 (degrader)	≤ 1
BCL6	TP-021	IC ₅₀ = 720	1-10
ITGAL	BI-1950	3 < IC ₅₀ < 120	0.10
MCL1	JNJ-4355	AC ₅₀ = 12	≤ 10
NR3C1	BI 653048	IC ₅₀ = 23	1
RORC	JNJ-54119936	IC ₅₀ = 30	≤ 1
RXRA,RXRB,RXRG	JP3000	EC ₅₀ = 5/1.4/4	0.1 - 1
SLC16A3	MSC-4381	IC ₅₀ = 1	≤ 10

For ordering probes and controls please visit:
<https://www.thesgc.org/frankfurt-requests>