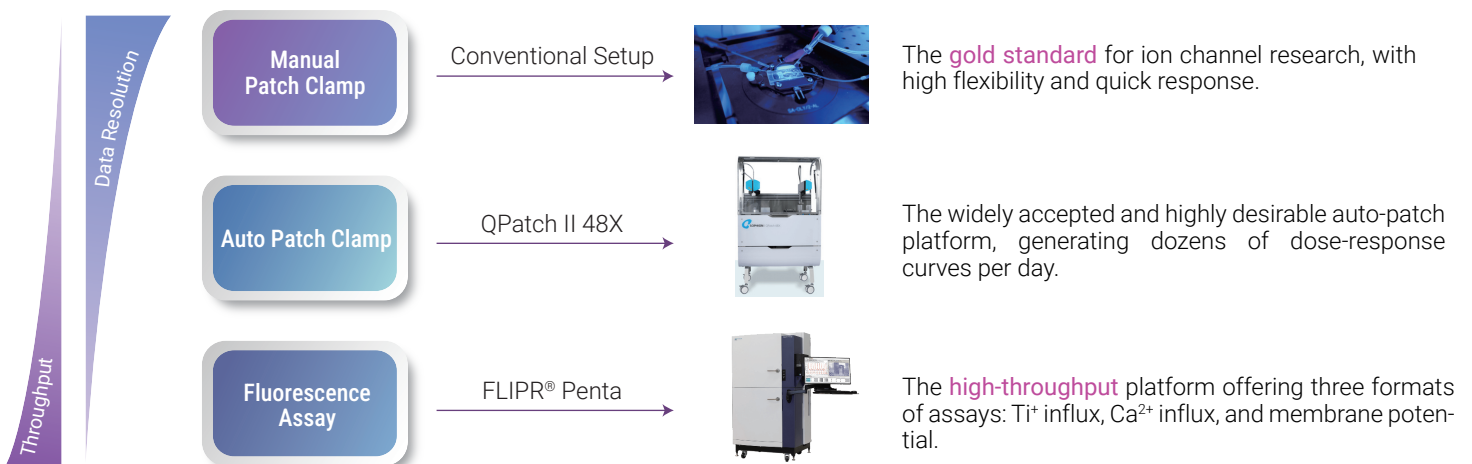


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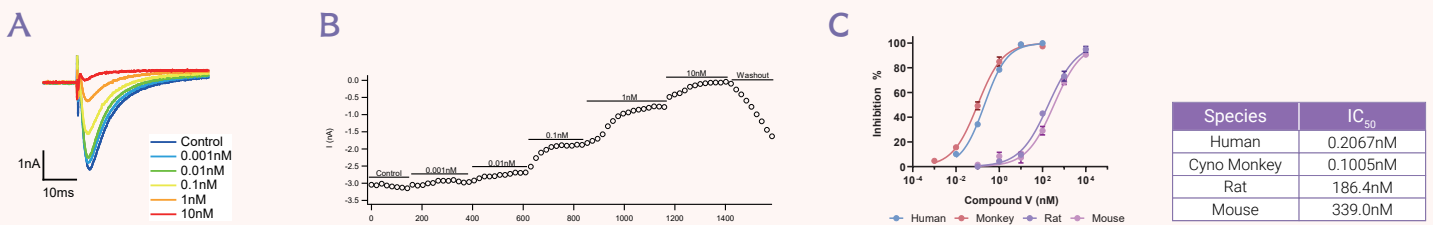
Ion Channel Screening



Key Features of Manual Patch Clamp Services

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- ◇ **35+** scientists with **5+** years of experience
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- ◇ **17** rigs of manual patch clamp
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Example Data



Species-Selective Inhibition of Nav1.8 Channels by VX-548

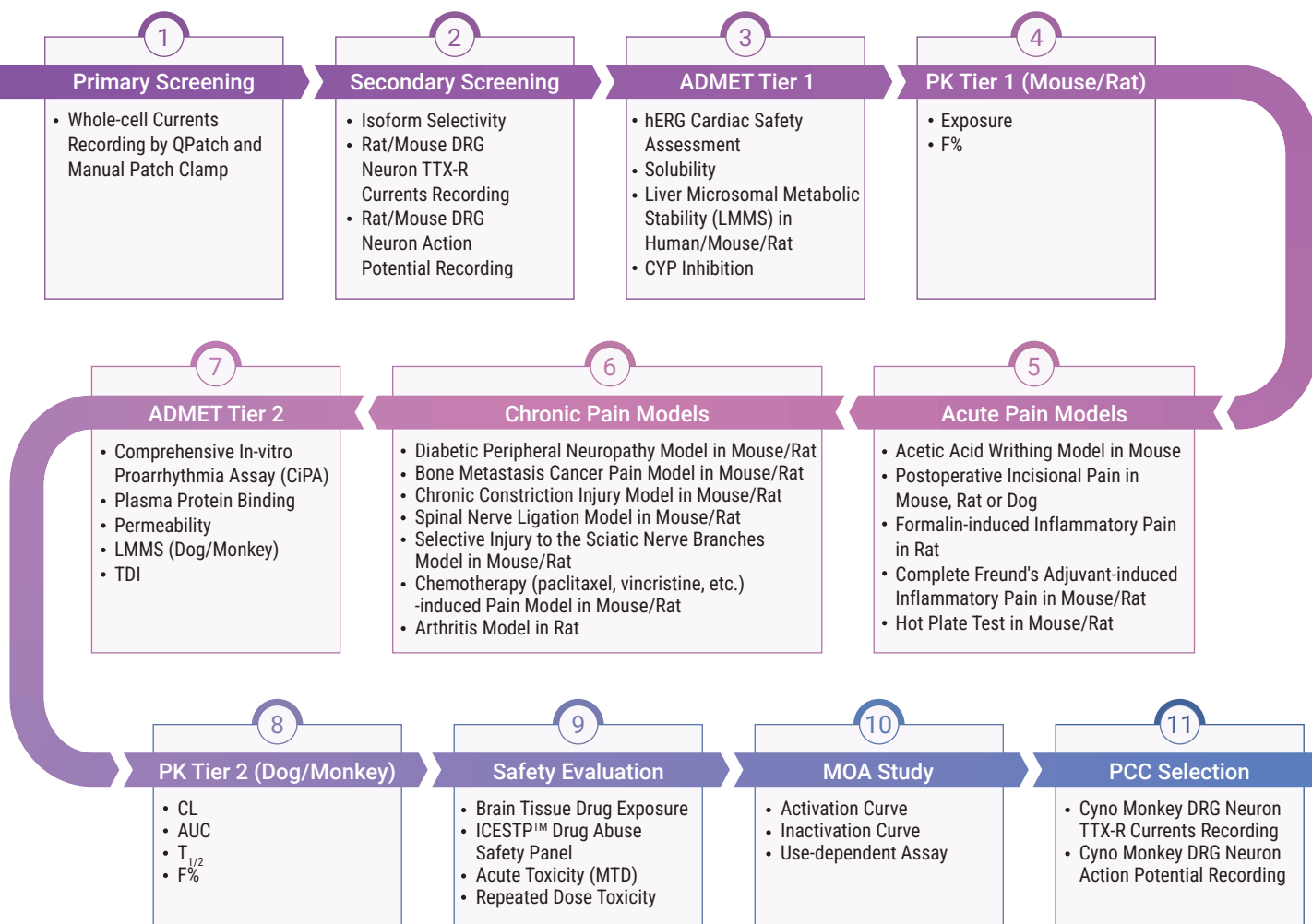
- (A) Representative traces of TTX-resistant (TTX-R) whole-cell currents recorded from cyno monkey DRG neurons by a 50 ms step of -10 mV from the holding potential of -80 mV, before (Control) and after the application of various concentrations of VX-548, as indicated.
- (B) The time-dependent changes in peak currents in (A) upon exposure to the indicated concentrations of VX-548.
- (C) Concentration-response relationship of VX-548 on TTX-R currents in human Nav1.8-CHO stable cell line, as well as in DRG neurons isolated from cynomolgus, rat, and mouse.

Ion Channel Assay List

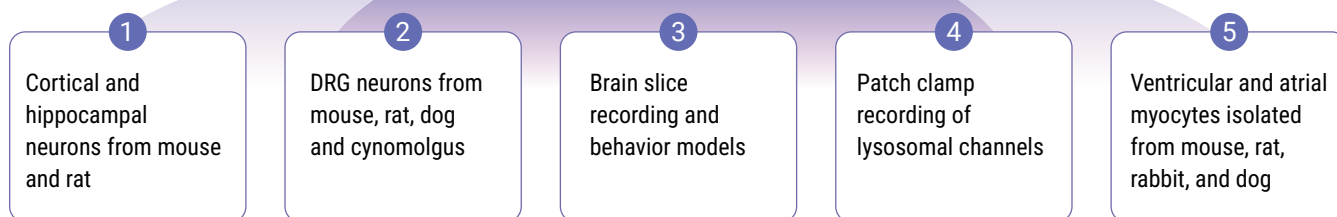
Ion Channel Family	Subtype	Reference Agonist/Activator	Reference Antagonist/Inhibitor
Sodium Channel	Nav1.1	--	TTX
	Nav1.2	--	TTX
	Nav1.3	--	TTX
	Nav1.4	--	TTX
	Nav1.5	--	TTX / Lidocaine
	Nav1.6	--	TTX
	Nav1.7	--	TTX / PF-05089771
	rNav1.7	--	TTX
	Nav1.8	--	A-803467 / VX-548 / PF-01247324
	rNav1.8	--	A-803467 / VX-548 / PF-01247324
Potassium Channel	INa-late	ATXII	Ranolazine
	hERG (IKr, Kv11.1)	--	Cisapride / E-4031 / Terfenadine
	IKs (KCNQ1/KCNE1)	--	Chromanol 293B
	Kv1.1	--	4-AP
	Kv1.2	--	4-AP
	Kv1.3	--	4-AP / PAP-1 / Psora-4 / Margatoxin
	rKv1.3	--	PAP-1
	mKv1.3	--	PAP-1
	dogKv1.3	--	PAP-1
	Kv1.4	--	4-AP/ Psora-4
	Kv1.5	--	4-AP/ Psora-4
	Kv1.6	--	4-AP
	Kv2.1	--	TEA-CI
	Kv3.1	--	TEA-CI
	Kv3.2	--	TEA-CI
	Kv3.4	--	TEA-CI
	Kv4.2/KChIP2.2	--	4-AP
	Kv4.3	--	4-AP
	KCNQ2 (Kv7.2)	Retigabine	--
	KCNQ3 (Kv7.3)	Retigabine	--
	KCNQ4 (Kv7.4)	Retigabine / XE1101	--
	KCNQ5 (Kv7.5)	Retigabine / XE1101	--
	KCNQ2/3 (Kv7.2/Kv7.3)	Retigabine / XE1101	--
	rKCNQ2/3 (Kv7.2/Kv7.3)	Retigabine / XE1101	--
	mKCNQ2/3 (Kv7.2/Kv7.3)	Retigabine / XE1101	--
	KCNQ2/4 (Kv7.2/Kv7.4)	Retigabine	--
	KCNQ3/5 (Kv7.3/Kv7.5)	Retigabine	--
	KCa1.1 (BK α 1 β 1)	--	TEA-CI
	KCa1.1 (BK α 1 β 4)	--	TEA-CI
	KCa2.1 (SK1)	--	Apamin
	KCa2.2 (SK2)	--	Apamin
	KCa2.3 (SK3)	--	Apamin
KCa3.1 (IK1)	--	Senicapoc / TRAM-34	
Kir2.1	--	BaCl ₂	
Kir3.1/3.4 (IKAch)	--	BaCl ₂	
Kir3.2	--	BaCl ₂	
Kir4.1	--	BaCl ₂	
Kir6.2/SUR2A (KATP)	Pinacidil	Glibenclamide	
Kir6.2/SUR1 (KATP)	Pinacidil	Glibenclamide	
TREK1 (K2P2.1)	--	Quinidine	
TASK2 (KCNK5)	--	Quinidine	
Calcium Channel	Cav1.2	--	Nifedipine / Verapamil
	Cav1.3	--	--
	Cav2.1	--	CdCl ₂
	Cav2.2	--	CdCl ₂ / Cav2.2 blocker 1
	Cav3.2	--	NiCl ₂
TRP Channel	TRPA1	Cinnamaldehyde / AITC	Ruthenium Red / A-967079
	rTRPA1	AITC	A-967079
	TRPV1	Capsaicine	Capsazepine
	TRPV3	2-APB	Forsythoside B

Ion Channel Family	Subtype	Reference Agonist/Activator	Reference Antagonist/Inhibitor
TRP Channel	TRPV4	GSK1016790A	GSK2193874
	TRPC4	Rosiglitazone	ML204
	TRPC5-WT	Rosiglitazone	ML204 / GFB887
	TRPC5-T478C	Rosiglitazone	ML204
	TRPC6	OAG / Carbachol	SAR7334
	TRPM3	CIM-0216	Isosakuranrtin
	TRPM4/SUR1	A23187	9-Phenanthrol
	TRPM8	Menthol	2-APB
	TRPML1-ΔNC	ML-SA1	ML-SI3
	TRPML1 ^{L15/16A.L577/578A}	--	--
Chloride Channel	CFTR	Forskolin	CFTR(inh)-172
	TMEM16A (ANO1)	--	T16A(inh)-A01
	TMEM16B (ANO2)	--	--
ASIC (Acid-Sensing Ion Channel)	ASIC1a	pH=6.0	Amiloride / Benzamil
	ASIC1b	pH=5.0	Amiloride / Benzamil
	ASIC3a	pH=5.0	Amiloride / Benzamil
HCN Channel	HCN1	--	Ivabradine
	HCN2	--	Ivabradine
	HCN4	--	Ivabradine
Lysosomal Two-Pore Channel	TPC2	TPC2-A1-N	YM-201636
P2X Receptor	P2X1	ATP / BZ-ATP	NF449
	P2X2	ATP / BZ-ATP	PPADS
	P2X3	αβ-methylene ATP	AF219 / BLU-5937 / S-600918
	rP2X3	αβ-methylene ATP	AF219 / BLU-5937
	gpP2X3	αβ-methylene ATP	AF219 / BLU-5937
	rP2X2/3	αβ-methylene ATP	AF219
	P2X2/3	αβ-methylene ATP	AF219
	gpP2X2/3	αβ-methylene ATP	AF219
	P2X4	ATP / BZ-ATP	BX430
	P2X5	BZ-ATP	PPADS
	P2X7	ATP / BZ-ATP	AZ10606120
mP2X7	--	--	
GABA _A Receptor	GABA _A (α1β2γ2)	GABA / Diazepam / Etomidate / Propofol	Bicuculline / PTX
	GABA _A (α1β3γ2)	GABA	Bicuculline / PTX
	GABA _A (α2β2γ2)	GABA / Diazepam	Bicuculline / PTX
	GABA _A (α2β3γ2)	GABA	Bicuculline / PTX
	GABA _A (α3β2γ2)	GABA / Diazepam	Bicuculline / PTX
	GABA _A (α3β3γ2)	GABA	Bicuculline / PTX
	GABA _A (α4β3γ2)	GABA	Bicuculline / PTX
	GABA _A (α4β3δ)	GABA / Zuranolone (SAGE-217) /Brexanolone (SAGE-547)	Bicuculline / PTX
	GABA _A (α5β3γ2)	GABA	Bicuculline / PTX / α5IA
	GABA _A (α5β2γ2)	GABA / Diazepam	Bicuculline / PTX
	GABA _A (α6β2γ2)	GABA	Bicuculline / PTX
	GABA _A (α6β3γ2)	GABA	Bicuculline / PTX
GABAA (α6β3δ)	GABA / Brexanolone (SAGE-547)	Bicuculline / PTX	
NMDA Receptor	NR1/NR2A	L-Glu+Gly / NMDA+Gly	D-AP5 / Memantine
	rNR1/NR2A	L-Glu+Gly / NMDA+Gly	D-AP5 / Memantine
	NR1/NR2B	L-Glu+Gly / NMDA+Gly	D-AP5 / Memantine
	rNR1/NR2B	L-Glu+Gly / NMDA+Gly	Ifenprodil
	NR1/NR2C	L-Glu+Gly / NMDA+Gly	D-AP5 / Memantine
	NR1/NR2D	L-Glu+Gly / NMDA+Gly	D-AP5 / Memantine
AMPA Receptor	GluA1-TARPγ2	--	--
	GluA1-TARPγ8	L-Glu	NBQX
Glycine Receptor	GlyR α1β	Glycine	Strychnine
nACh Receptor	nAChR α1β1δε	Acetylcholine (ACh)	Adiphenine
	nAChR α3β4	Acetylcholine (ACh)	MLA
	nAChR α4β2	Acetylcholine (ACh)	DHβE
	nAChR α7/RIC3	Acetylcholine (ACh)	MLA
5-HT3 Receptor	5-HT3A	Serotonin (5-HT)	Ondansetron

Comprehensive Study Workflow for Nav1.8 Modulator Development



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