

ECNP Medicines chest – October 2011

Background

ECNP would like to assist clinical researchers obtain access to pharmacological tools important for the pursuit of their studies. Frequently, many potentially useful drugs are not exploited in clinical research for a variety of reasons: for example, they are poorly documented by companies in the event that their development is discontinued. In addition, clinical researchers are frequently unaware which agents are potentially available for research purposes, how to acquire such drugs, and the conditions under which they can be exploited.

We hope to help overcome such problems by acting as an intermediary between companies and researchers, and already several pharmaceutical companies have agreed to participate in this endeavour.

Below is a list of drugs that have already been identified as useful research compounds, but this is not exhaustive and ECNP would be pleased to hear of any others that you feel would be worthwhile adding to the list.

Note: if there are errors (particularly if there are better alternatives to the drugs listed) and omissions please let us know via email to d.nutt@imperial.ac.uk

Amines				
Drug name	Target	Source	Original Clinical Indications	Possible studies
5HT				
MDL100907	5HT2 receptor antagonist	(Merrell) sanofi-aventis	Tried in schizophrenia	Role of 5HT2 receptors in sleep - psychosis- psychedelics
Deramiclane	5HT1A receptor antagonist	AZ	Tried in depression and anxiety	5HT in mood and cognition

MSD 212 ?Roche also	5HT3 agonist	MSD	? Anxiety eating	Appetite, Anxiety
Fenfluramine	5HT release	?	Appetite suppression	Measuring 5HT release capacity
mCPP	5HT2C agonist	None	5HT probe	Eating anxiety
WAY-100635	5-HT1A receptor antagonist	Wyeth	5-HT probe	Mood disorders
Dopamine				
SCH 23390	D1 antagonist	Schering plough		Cognition, Schizophrenia, Addiction
GSK598809	DRD3 antagonist	GSK		Addiction
Noradrenaline				
Fluparoxan	a2 antagonist	Glaxo	? Depression	Cognition Schizophrenia
RX811059	a2 antagonist	Pierre Fabre	? Parkinson's disease	Cognition Schizophrenia
Acetylcholine				
Nicotinic	a7 agonist	EVP 6124	Alzheimer's disease	Cognition - ADHD - schizophrenia
	a7 agonist	SSR180701	Schizophrenia	As above
Mixed				
Various ratios	DAT, NET, SERT, etc	DOV	Depression	Affective disorders/Cognition

Amino-acids				
Drug name	Target	Source	Original Clinical Indications	Possible studies
GABA-A				
a5IA	a5 inverse agonist	MSD	AAMI	Downs syndrome
L828417 SL651498	a2/3 selective benzo receptor agonists	MSD Sanofi-Aventis	GAD	Emotion Sleep
Gaboxadol	a4d selective agonist	Lundbeck	Sleep	Thalamic-cortical function Alcoholism
	a3 selective agonist	Dove	No trials	Sleep, Anxiety
XBD173	Neurosteroid receptor agonist	Novartis/DSP	Anxiety	Anxiety, Addiction, Neuroinflammation
GABA-B				
Phaclofen	GABA-B antagonist	Novartis	Depression	Cognition, Mood, Addiction
Glutamate				
Bitopertin	GLYt1 blocker	?	Schizophrenia Negative symptoms	Any NMDA related functions
Org 25935	GLYt1 blocker	Organon/MSD	Schizophrenia Negative symptoms	Alcohol dependence Facilitation of CBT

Peptides				
Drug name	Target	Source	Original Clinical Indications	Possible studies
Orexin				
Almorexant	ORX1 antagonist	Actelion	Sleep	Addiction, Cognition
GSK	ORX1 antagonist	GSK	Sleep	Addiction , Cognition
SubP/NK				
Vofipitant	NK1 antagonist	GSK	Not tested	Anxiety, Addiction, Pain
Many others	NK1 antagonists	MSD – Novartis -GSK		
Opioid				
Kappa	Agonist	Upjohn		Addiction, Mood
Mu inverse agonist		GSK – in trials still	Obesity	addiction
Cannabinoid				
Rimonabant	Cb1 antagonist	Sanofi	Obesity	Addiction, mood
Org 28611	CB1 agonist	MSD	Pain	Addiction
Org 28312	CB1&2 agonist	MSD	Pain	Addiction
Org 50189	CB1 antagonist	MSD	Pain	Addiction, Affect
Vasopressin				
Org 52186	V1b antagonist	Organon/MSD	Anxiety stopped in P1	Affective disorders
Glucocorticoid				
Various	GR antagonist	Organon/MSD	GAD	Stress and neurodegeneration
Estrogen				
Various	ERa, ERb, Mixed	Organon/MSD	Women's health	Cognition +

Enzymes				
FAAH				
URB597	FAAH Inhibitor	Kadmus/Organon/MSD	Pain	Addiction, Parkinson's disease, Cognition

Ion Channels				
AMPA				
Farampator	AMPAkine	Organon/MSD	Schizophrenia	Cognition
Org 26576	2 nd Generation AMPA modulator	Organon/MSD	Schizophrenia	Cognition
Patented	3 rd Generation AMPA modulator	Organon/MSD	FIH completed	Cognition
Ih Channel				
Patented	HCN1	Organon/MSD	Pain	Sleep