

EXPERIMENTAL RESULTS - BIOCHEMICAL ASSAYS

Cat. #	TARGET	BATCH*	SPP.	n=	CONC.	†% INHIBITION					IC ₅₀	K _i	n _H	R
						%	-100	-50	0	50				
118050	CYP450, 1A2	232511	hum	2	10 µM	5								
◆ 118070	CYP450, 2C19	232613	hum	2	10 µM	55								
118060	CYP450, 2C9	232612	hum	2	10 µM	2								
118080	CYP450, 2D6	232614	hum	2	10 µM	16								
118090	CYP450, 3A4	232615	hum	2	10 µM	22								
140010	Monoamine Oxidase MAO-A	232466	hum	2	10 µM	6								
140120	Monoamine Oxidase MAO-B	232467	hum	2	10 µM	5								
164700	Peptidase, BACE1 (β-Secretase)	232778	hum	2	10 µM	-9								
200510	Adenosine A ₁	232649	hum	2	10 µM	0								
200610	Adenosine A _{2A}	232650	hum	2	10 µM	-3								
200720	Adenosine A ₃	232555	hum	2	10 µM	6								
◆ 203100	Adrenergic α _{1A}	232528	rat	2	10 µM	96								
◆ 203200	Adrenergic α _{1B}	232529	rat	2	10 µM	100								
◆ 203400	Adrenergic α _{1D}	232530	hum	2	10 µM	95								
◆ 203620	Adrenergic α _{2A}	232534	hum	2	10 µM	97								
204010	Adrenergic β ₁	232625	hum	2	10 µM	-7								
204110	Adrenergic β ₂	232626	hum	2	10 µM	14								
212510	Bradykinin B ₁	232432	hum	2	10 µM	-9								
212610	Bradykinin B ₂	232430	hum	2	10 µM	-2								
◆ 214510	Calcium Channel L-Type, Benzothiazepine	232556	rat	2	10 µM	52								
214600	Calcium Channel L-Type, Dihydropyridine	232557	rat	2	10 µM	-12								
216000	Calcium Channel N-Type	232558	rat	2	10 µM	0								
◆ 219500	Dopamine D ₁	232544	hum	2	10 µM	70								
◆ 219700	Dopamine D _{2S}	232546	hum	2	10 µM	83								
◆ 219800	Dopamine D ₃	232547	hum	2	10 µM	73								
◆ 219900	Dopamine D _{4,2}	232548	hum	2	10 µM	52								
225510	Epidermal Growth Factor (EGF)	232449	hum	2	10 µM	1								
226300	G Protein-Coupled Receptor GPR103	232731	hum	2	10 µM	4								
226600	GABA _A , Flunitrazepam, Central	232692	rat	2	10 µM	18								
226500	GABA _A , Muscimol, Central	232691	rat	2	10 µM	6								
228610	GABA _{B1A}	232480	hum	2	10 µM	-10								

* Batch: Represents compounds tested concurrently in the same assay(s). ‡ Partially soluble in *in vitro* test solvent.

◆ Denotes item meeting criteria for significance

† Results with ≥ 50% stimulation or inhibition are highlighted.

R=Additional Comments

gp=guinea pig; ham=hamster; hum=human

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232020	Glucocorticoid	232623	hum	2	10 µM	3								
232700	Glutamate, Kainate	232436	rat	2	10 µM	7								
232810	Glutamate, NMDA, Agonism	232437	rat	2	10 µM	10								
232910	Glutamate, NMDA, Glycine	232656	rat	2	10 µM	11								
233000	Glutamate, NMDA, Phencyclidine	232657	rat	2	10 µM	-5								
◆ 239610	Histamine H ₁	232563	hum	2	10 µM	101								
◆ 239710	Histamine H ₂	232599	hum	2	10 µM	96								
239810	Histamine H ₃	232701	hum	2	10 µM	-4								
◆ 241000	Imidazoline I ₂ , Central	232443	rat	2	10 µM	89								
251600	Melatonin MT ₁	232495	hum	2	10 µM	3								
252610	Muscarinic M ₁	232550	hum	2	10 µM	9								
252710	Muscarinic M ₂	232551	hum	2	10 µM	8								
252810	Muscarinic M ₃	232552	hum	2	10 µM	7								
257010	Neuropeptide Y Y ₁	233075	hum	2	10 µM	4								
257110	Neuropeptide Y Y ₂	232773	hum	2	10 µM	-5								
258590	Nicotinic Acetylcholine	232702	hum	2	10 µM	3								
258700	Nicotinic Acetylcholine α1, Bungarotoxin	232444	hum	2	10 µM	1								
260110	Opiate δ (OP1, DOP)	232688	hum	2	10 µM	0								
260210	Opiate κ (OP2, KOP)	232559	hum	2	10 µM	16								
260410	Opiate μ (OP3, MOP)	232560	hum	2	10 µM	10								
264500	Phorbol Ester	232774	mouse	2	10 µM	13								
265600	Potassium Channel [K _{ATP}]	232732	ham	2	10 µM	-3								
265900	Potassium Channel hERG	232455	hum	2	10 µM	45								
268410	Prostanoid EP ₄	232629	hum	2	10 µM	1								
268700	Purinergic P _{2X}	232453	rabbit	2	10 µM	5								
268810	Purinergic P _{2Y}	232454	rat	2	10 µM	6								
270000	Rolipram	232776	rat	2	10 µM	3								
◆ 271000	Serotonin (5-Hydroxytryptamine) 5-HT ₁ , Non-Selective	232636	rat	2	10 µM	63								
271110	Serotonin (5-Hydroxytryptamine) 5-HT _{1A}	232637	hum	2	10 µM	22								

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271200	Serotonin (5-Hydroxytryptamine) 5-HT _{1B}	232638	rat	2	10 µM	48								
◆ 271600	Serotonin (5-Hydroxytryptamine) 5-HT ₂ , Non-Selective	232639	rat	2	10 µM	83								
◆ 271700	Serotonin (5-Hydroxytryptamine) 5-HT _{2B}	232641	hum	2	10 µM	74								
◆ 271800	Serotonin (5-Hydroxytryptamine) 5-HT _{2C}	232642	hum	2	10 µM	95								
271910	Serotonin (5-Hydroxytryptamine) 5-HT ₃	232643	hum	2	10 µM	-7								
272000	Serotonin (5-Hydroxytryptamine) 5-HT ₄	232644	gp	2	10 µM	17								
◆ 272100	Serotonin (5-Hydroxytryptamine) 5-HT _{5A}	232645	hum	2	10 µM	99								
◆ 272200	Serotonin (5-Hydroxytryptamine) 5-HT ₆	232646	hum	2	10 µM	101								
278110	Sigma σ ₁	232456	hum	2	10 µM	28								
278200	Sigma σ ₂	232457	rat	2	10 µM	22								
279510	Sodium Channel, Site 2	232447	rat	2	10 µM	37								
255510	Tachykinin NK ₁	232458	hum	2	10 µM	20								
220320	Transporter, Dopamine (DAT)	232532	hum	2	10 µM	13								
226400	Transporter, GABA	232433	rat	2	10 µM	-26								
204410	Transporter, Norepinephrine (NET)	232531	hum	2	10 µM	20								
274030	Transporter, Serotonin (5-Hydroxytryptamine) (SERT)	232533	hum	2	10 µM	-7								

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