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Allosteric Modulation of 'Reproductive' GPCRs : a case for the GnRH and LH receptors

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Allosteric Modulation of ‘Reproductive’ GPCRs

A Case for the Human GnRH and LH Receptors

Allosteric Modulation of ‘Reproductive’ GPCRs

A Case for the Human GnRH and LH Receptors

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LIST OF ABBREVIATIONS

7-TM	Seven-transmembrane
AID	Assay identifier number in PubChem data repository
AMP	Adenosine-5'-monophosphate
ATP	adenosine 5'-triphosphate
δ	Cooperativity factor of two allosteric modulators
B_{\max}	Maximal specific radioligand binding
BSA	Bovine serum albumin
cAMP	Cyclic adenosine-5'-monophosphate
CHO	Chinese hamster ovary
CID	Compound identifier number in PubChem data repository
DCM	Dichloromethane
DMEM	Dulbecco's Modified Eagle's Medium
DMF	Dimethylformamide
DMSO	Dimethylsulfoxide
EDAC	N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride
EDTA	Ethylene diamine tetraacetic acid
EC ₅₀	Half-maximal effective concentration (potency)
E_{\max}	Maximal effect (efficacy)
EtOAc	Ethyl acetate
FD-1	5-(3,5,5,8,8-Pentamethyl-5,6,7,8-tetrahydro-naphthalen-2-yloxy)-furan-2-carboxylic acid (2,4,6-trimethoxy-pyrimidin-5-yl)-amide
FSH	Follicle-stimulating hormone
GnRH	Gonadotropin-releasing hormone
GPCR(s)	G protein-coupled receptor(s)
GTP	Guanosine-5'-triphosphate
hCG	Human chorionic gonadotropin
HMA	5-(N,N-hexamethylene)amiloride
HMW	High molecular weight
HOBt	1-hydroxybenzotriazole
IC ₅₀	Half-maximal inhibitory concentration (affinity);

K_D	Equilibrium dissociation constant
K_i	Equilibrium inhibition constant (absolute affinity)
K_M	Substrate concentration at half maximal reaction rate
K_{off}	Dissociation rate
K_{on}	Association rate
LMW	Low molecular weight
logD	Logarithm of octanol-water distribution coefficient
LUF5419	4-Chloro-N-(4-pyridin-2-yl-thiazol-2-yl)-benzamide
LUF5771	Cyclopentyl-carbamic acid [1,1';3',1'']terphenyl-5'-yl ester
MIBA	5-(N-methyl-N-isobutyl)amiloride
NFAT-luc	Nuclear Factor Activated T-cell luciferase reporter gene
Org 43553	5-Amino-2-methylsulfanyl-4-[3-(2-morpholin-4-yl-acetylamino)-phenyl]-thieno[2,3-d]pyrimidine-6-carboxylic acid tert-butylamide
PBS	Phosphate-buffered saline
$(Ph_3P)_4Pd$	Tetrakis(triphenylphosphine)palladium
rec-hCG	Recombinant human chorionic gonadotropin
recLH	Recombinant luteinizing hormone
RMSD	Root mean square deviation
SAR	Structure-activity relationship
SEM	Standard error of the mean
TLC	Thin-layer chromatography
TSH	Thyroid-stimulating hormone
V_{max}	Maximal reaction rate