Supporting Information

Identification of triptophenolide from Tripterygium wilfordii as a

pan-antagonist of androgen receptor

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Material and Methodology

Cell lines

The LNCaP cell line was purchased from American Type Culture Collection (ATCC,CRL-1740), and cultured with RPMI-1640 supplemented with 10% Fetal Bovine Serum (GiBCO). The PC-3 cell line was kindly provided by Dr J.H.Wu (McGill University, Canada), and cultured with F-12K supplemented with 10% Fetal Bovine Serum.

MTT assay

LNCaP cells were seeded at a density of $4-5 \times 10^3$ cells per well in 96-well plate in complete RPMI-1640 growth medium, or PC-3 cells in complete F-12K growth medium at the same density. After overnight incubation, 1 µL DMSO (Sigma-Aldrich) or 1 µL test compounds were added to each well at the designated concentration. After 72 h incubation, 20 µL of MTT (Invitrogen) solution (5 mg/mL in PBS) were added per well and incubated for another 2 h. The MTT formazan formed by metabolically viable cells was dissolved in 100 µL isopropanol. The absorbance was measured at 570 nm wavelength on a plate reader (EnSpire 2300, PerkinElmer). Experiments were performed in triplicate. The value of DMSO group was defined as 100%.

Real time PCR assay

The LNCaP cells were seeded at a density of 3×10^5 cells per well in 6-well plate with phenol red-free RPMI-1640 medium containing 10% charcoal-stripped FBS for 24 h, and were then treated with 1nM of DHT 1 µL and 1 µL test compounds at the designated concentration for further 24 h. Cells were lysed with Trizol (Invitrogen), and separated with chloroform (Sigma-Aldrich). Isopropanol and 75% ethanol (Sigma-Aldrich) were used to extract mRNA. Then the *PSA* mRNA and *GAPDH* mRNA levels were tested with one step SYBR PrimeScript RT-PCR kit (TaKaRa) on the PCR instrument (Stratagene MX3000P, Agilent). $2^{-\Delta\Delta Ct}$ was used to quantified the *PSA* mRNA level relatively. All experiments were run in duplicate. The primer of PSA and GAPDH were listed as follows:

Segments	Primer sequences
DSV	up: 5'-GGT GAC CAA GTT CAT GCT GTG-3'
FSA	down: 5'-GTG TCC TTG ATC CAC TTC CG-3'
	up: 5'- GGT ATC GTG GAA GGA CTC ATG AC -3'
GAFDH	down: 5'- ATG CCA GTG AGC TTC CCG TTC AG -3'

Table S1.Primer sequences for real-time RT-PCR.

Extraction of Tripterygium wilfordii root.

The extracts of *Tripterygium wilfordii* root (100g) were heating reflux with ethanol in an oil bath for 2 h after being soaked overnight. Next, collected solution and added ethanol (1 L) to the residues for refluxing another two times. Then the collected solution was filtered and evaporated the

ethanol under low pressure.

Dual-Luciferase reporter assay

Plasmid F876L is full length cDNA of mutant AR that harbors F876L mutation. Plasmid T877A is full length cDNA of mutant AR that harbors T877A mutation. Plasmid W741C+T877A is full length cDNA of mutant AR that harbors W741C and T877A mutation. These plasmids F876L and W741C+T877A were kindly provided by Dr J.H. Wu (McGill University, Canada), and the plasmid T877A was constructed in house. During the reporter assays, 24 h before transfection, PC-3 cells were seeded at a density of 6-7×10⁴ cells per well in 24-well plate and subsequently co-transfected with 100 ng of PSA-luc, 20 ng of F876L (20 ng T877A or 50 ng W741C+T877A), and 1 ng of Renilla plasmids using Lipofectamine 2000 reagent (Invitrogen) following the manufacturer's protocol. 24 h after transfection, the medium was changed to phenol red-free RPMI-1640 supplemented with 10% charcoal-stripped FBS, containing 1nM of DHT 1 μ L and 1 μ L test compounds at the designated concentration. After further 24 h, the cells were lysed in 100 μ L per well passive lysis buffer, and 20 µL of the cell lysates was used for detection of the luciferase activity using Dual Luciferase Assay System (Promega) on a plate reader (Centro XS3 LB 960, Berthold). All experiments were run in triplicate.

Western blot

LNCaP cells were seeded at a density of 3×10^5 cells per well in 6-well plate. After overnight incubation, 1 µL DMSO (Sigma-Aldrich) or 1 µL triptophenolide were added to each well at the designated concentration. After another 24 h incubation, the cells were lysed with RIPA. Then the protein lysis buffer was treated with 10% SDS–PAGE for western blot analysis. The following antibodies were used for the detection of proteins: rabbit anti-AR (N-20, 1:500, Santa Cruz). Mouse anti-actin (1:5000, Abcam) was used as a loading control. Proteins were visualised using anti-mouse or anti-rabbit HRP-conjugated secondary antibodies (1:5000, Santa Cruz) and ECL-Plus (Millipore). The AR protein was semi-quantified through the ImageJ software.

Immunofluorescence

LNCaP cells were grown on the glass cell culture dish in phenol red-free RPMI-1640 medium containing 10% charcoal-stripped FBS for 24 h, and were then treated with DMSO, 1 nM DHT, 500 nM enzalutamide and 1 nM DHT, 5 µM triptophenolide and 1 nM DHT, respectively for further 24 h. Cells were fixed with 4% (vol/vol) paraformaldehyde and permeabilized with 0.2% Triton X-100. Then the cells were incubated with AR antibodies (N-20, 1:100, Santa Cruz). The secondary antibodies, goat anti-rabbit with FITC (Santa Cruz) at 1:100 were used. The counterstain DAPI was used to visualize cell nucleus. The images were detected under UltraVIEW vox spinning disc confocal scanning system (Perkin Elmer) on a Olympus IX81 microscope.

Ligand binding assay

The binding affinity of triptophenolide to AR-LBD protein *in vitro*, relative to dihydro-testosterone (3.6 nM Fluormone DHT Green at final concentration),

was evaluated by AR fluorescence polarization (FP) assay, using Polar-Screen AR competitor assay kit (P3018, Invitrogen) on a plate reader (VICTOR X5, PerkinElmer).

Molecular Modeling

The three-dimensional structures of triptopheolide and other antiandrogens were generated using the "Prepare Ligands" module implemented in Discovery Studio. Using crystal structures of AR-LBD/DHT (PDB entry: 1t65) and ERa-LBD/hydroxytamoxifen (PDB entry: 3ert) as templates, 50 structural models of antagonistic AR-LBD were generated using the "Protein Modeling" module in Discovery Studio. The structural model with lowest objective function was selected for further study. The selected model, like ERa-LBD/hydroxytamoxifen, has its H12 at the coactivator-binding groove. The binding mode for compounds towards the binding site of the homology model was generated through molecular docking using MOE (Molecular Operating Environment) version 2009.10. In general, the docking was performed through the 'DOCK' module in MOE using the Alpha-Triangle placement method. Refinement of the docked poses was carried out using the Forcefield refinement scheme and scored using both the affinity dG and London dG scoring system. The pose with the highest docking score was returned for further analysis.

NMR, MS, and HPLC analyses data

Table S2. Purity and Peak Attributions by HPLC analysis.

Compound	Molecular Formula	Purity (%)	Retention Time (min)	Method			
		99.73%		$CH_3CN/H_2O = 62/38$			
Triptophenolide	$C_{20}H_{24}O_3$		14.71	Flow rate: 0.8 mL/min			
	- 201 - 24 - 3			SinoChrom ODS-BP 5µm (30℃)			
		00.000/	10.01	CH3OH / CH3COOH = 90/10 20min, 90/10-100/0,30min			
Celastrol	$C_{29}H_{38}O_4$	99.80%	12.91	Flow rate: 0.8 mL/min			
				SinoChrom ODS-BP 5µm (40℃)			
				CH ₃ CN/H ₂ O = 30/70			
Triptolide	ConHouCo	99 78%	17 72	Flow rate: 1.0 mL/min			
mptende	020112400	00.1070		SinoChrom ODS-BP 5µm (40℃)			
				$CH_3CN/H_2O = 85/15$			
Wilforlide A	$C_{30}H_{46}O_3$	98.07%	18 50	Flow rate: 1.0 mL/min			
				SinoChrom ODS-BP 5µm (70℃)			
				$CH_3CN/H_2O = 42/58$			
Triptonide	$C_{20}H_{22}O_6$	98 67%	15.89	Flow rate: 1.0 mL/min			
	- 20 22 - 0			SinoChrom ODS-BP 5µm (40℃)			
				$CH_3CN/H_2O = 70/30$			
Demethylzeylasteral	$C_{29}H_{36}O_{6}$	99.63	12.00	Flow rate: 1.0 mL/min			
				SinoChrom ODS-BP 5µm (35℃)			

The NMR and MS spectroscopic data of compounds

All the ¹H NMR spectra of compounds were recorded on an Avance Bruker NMR spectrometer operating at 500 MHz on proton. Mass measurements were performed on a LC-MSD-TOF instrument from Agilent technologies in positive electrospray mode. Samples were automatically injected using a solvent containing 50 % acetonitrile and 50 % a solution of formic acid (0.1 %) in water at a flow rate of 0.5 mL/min. The electrospray voltage was set at 4000 V, the fragmentor at 200 V and the source temperature at 350 °C. Either protonated molecular ions [M + H]⁺ or sodium adducts [M + Na]⁺ were detected in the mass spectra except triptolide. For triptolide, the [M -H]⁻ was detected in the mass spectra.

Triptophenolide White powder, ¹H NMR (500 MHz, CDCl3): 7.14 (1H, d), 6.96 (1H, d), 4.73-4.77 (2H, m), 1.96-2.26 (5H, m), 1.54-1.55 (1H, m), 1.19-1.25 (1H, m), 1.12 (3H, s), 1.02 (3H, d, J = 5.0 Hz), 0.87 (3H, d, J = 5.0 Hz). TOF MS (ESI), $m/z [M + H]^+$: Calc'd, 313.180, found, 313.31.

Demethylzeylasteral Yellow powder, ¹H NMR (500 MHz, CDCl3): δ10.36 (1H, s), 7.34 (1H, s), 6.58 (1H, s), 7.04 (1H, d), 1.76-2.02 (2H, m), 1.74 (3H, s), 1.33 (3H, s), 1.30 (3H, s), 1.31-1.72 (13H, m), 1.10 (6H, s), 1.04 (3H, s), 1.03 (3H, s). TOF MS (ESI), m/z [M + H]⁺: Calc'd, 481.259, found, 481.37.

Triptonide White powder, ¹H NMR (500 MHz, CDCl3): δ4.67-4.69 (2H, m), 3.90 (1H, d), 3.37-3.52 (3H, m), 3.15-3.18 (1H, m), 2.66-2.94 (3H, m), 2.26-2.54 (3H, m), 1.58-2.03 (3H, m), 1.25 (6H, s), 1.02 (3H, s). TOF MS (ESI), m/z [M + H]⁺: Calc'd, 359.149, found, 359.20.

Celastrol Red powder, ¹H NMR (500 MHz, CDCl3): δ7.05 (1H, d), 6.49 (1H, s), 6.31 (1H, d), 5.30 (1H, s), 2.48 (1H, d), 2.21 (3H, s), 2.10-2.17 (4H, m), 1.43-1.77 (11H, m), 1.44 (3H, s), 1.42 (3H, s), 1.34 (3H, s), 1.28 (3H, s), 1.09 (1H, d), 0.55 (3H, s). TOF MS (ESI), m/z [M + H]⁺: Calc'd, 451.285., found 451.22.

Wilforlide A White powder, ¹H NMR (500 MHz, CDCl3): δ5.29 (1H, m), 4.15 (1H, d), 3.21 (1H, m), 2.24(1H, d), 2.10-2.16 (1H, m), 1.86-1.89 (5H, m), 1.37-1.62 (12H, m), 1.21 (3H, s), 1.08-1.16 (2H, m), 1.07 (3H, s), 0.99 (3H, s), 0.95 (3H, s), 0.94 (3H, s), 0.93 (3H, s), 0.87 (3H, s), 0.79(3H, s), 0.74(1H, d). TOF MS (ESI), m/z [M + Na]⁺: Calc'd, 477.334, found, 477.32.

Triptolide White powder, ¹H NMR (500 MHz, CDCl3): δ4.68-4.69 (2H, m), 3.90 (1H, d), 3,51(1H, d), 3.40 (1H, d), 3.37 (1H, d), 2.73(1H, d), 2.68-2.70 (1, m), 1.96-2.26 (5H, m), 1.54-1.55 (1H, m), 1.19-1.25 (1H, m), 1.12 (3H, s), 1.02 (3H, d), 0.87 (3H, d). TOF MS (ESI), m/z [M - H]⁻: Calc'd, 359.149, found, 359.30.



Figure S1. A) Growth inhibitory effects of *Tripterygium wilfordii* root extract in the PC-3 and LNCaP cells; B) Effect of Tripterygium *wilfordii* root extract on AR activity in LNCaP cells. Experiments were in triplicate. All results are shown as mean \pm s.d. *P < 0.05, **P < 0.01 vs DMSO group. ENZ: enzalutamide; DHT: dihydrotestosterone.



Figure S2. A) Effect of *Tripterygium wilfordii* components on AR activity in LNCaP cells; B) Effect of *Tripterygium wilfordii* components on F876L AR activity in PC-3 cells, Plasmids F876L ARs are transiently transfected in PC-3 cells. Experiments were in triplicate. All results are shown as mean \pm s.d. *P < 0.05, **P < 0.01, ***P < 0.001 vs DMSO group. ENZ: enzalutamide; DHT: dihydrotestosterone.



Figure S3. The results of the luciferase assay of the ARs activity (induced by 1nM DHT) for triptophenolide within the 0.1-25 μ M concentration range. Experiments were in triplicate. All results are shown as mean \pm s.d.





(nM)	PSA		GAPDH		2∆Ct	-2∆∆Ct	
	20.00		18.49				2 ⁻ △△ ^{Ct}
DHT 1	19.38	39.38	18.38	36.87	2.51		
	18.30		16.84				
TRI 50		18.30		16.84	2.92	-0.41	0.8675
	18.14		16.06				
TRI 500	18.22	36.36	15.95	32.01	4.35	-1.84	0.5285
	19.40		15.86				
TRI 5000	20.03	39.43	16.00	31.86	7.57	-5.06	0.1731
	22.43		19.04				
ENZ 500	22.08	44.51	19.12	38.16	6.35	-3.84	0.2643
(nM)	PSA		GAPDH		2∆Ct	-2∆∆Ct	
	18.26		15.81				2 ⁻ △△ ^{Ct}
DHT 1	17.95	36.21	16.06	31.87	4.34		
	16.81		14.70				
TRI 50	17.45	34.26	15.05	29.75	4.51	-0.17	0.9428
	16.17		13.23				
TRI 500	17.12	33.29	13.80	27.03	6.26	-1.92	0.5141
	17.26		13.09				
TRI 5000	18.50	35.76	12.38	25.47	10.29	-5.95	0.1272
	18.81		15.83				
ENZ 500	19.67	38.48	15.64	31.47	7.01	-2.67	0.3964

 Table S3. The measured value of RT-PCR assay.

Note: ENZ, enzalutamide; DHT, dihydrotestosterone; TRI, triptophenolide.



Figure S5. The predicted binding modes for triptophenolide, as well as three other anti-androgens (Enzalutamide, Bicalutamide, Hydroxyflutamide) in the HBP of AR. The proteins are in ribbon, and the compounds are in stick, carbon in orange.

Compoundo	Affinity	Affinity	Docking Score		
Compounds	(By our assay) ^a	(Reported)	(London dG in MOE)		
Enzalutamide (ENZ)	294 nM	21.4 nM ^{b 1}	-12.51 kcal/mol		
Triptophenolide (TRI)	467 nM	/	-11.80 kcal/mol		
Bicalutamide (BIC)	ND	~400 nM ^{c 2}	-11.14 kcal/mol		
Hydroxyflutamide (FLU)	ND	900 nM ^c	-10.92 kcal/mol		

Table S4. The ranking of docking score for TRI and other clinical used antiandrogens.

a. The AR fluorescence polarization (FP) assay for competitive binding with androgen in the HBP was performed with PolarScreen AR competitor assay kit (P3018, Invitrogen);

b. IC50 values calculated as the concentration of drug required to produce 50% displacement of ¹⁸F-FDHT from androgen receptors.

IC50 values calculated as the concentration of drug required to produce 50% displacement of [3H]5a-DHT from androgen receptors.

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2. Kolvenbag, G. J.; Furr, B. J.; Blackledge, G. R., Receptor affinity and potency of non-steroidal antiandrogens: translation of preclinical findings into clinical activity. *Prostate Cancer Prostatic Dis* **1998**, *1* (6), 307-314.

AR-WT			+DMSO					+DHT						
	DMSO	50nM	500nM	5µM	ENZ 500nM	DHT 1nM	50nM	500nM	5 µM	ENZ 500nM				
Firefly	437806	234496	195606	137058	153577	1268414	467924	330115	304562	85646				
	423953	181493	192320	160998	188547	1373083	606851	366412	189749	246161				
	370048	209273	199990	145512	184059	1053204	606200	280564	208620	213213				
	570040	207215	1))))0	145512	104057	1055204	000200	200004	200020	215215				
Panilla	15786654	11737190	11525730	13816524	13005126	21541002	15036007	14872618	17326644	12520020				
Remina	15/00004	12170027	11323739	15010524	12200746	21041002	13930007	140/2010	1/520044	12329020				
	13931298	151/092/	1128/018	15559505	13290746	21920002	1/409382	14239389	14005011	14945501				
	18413800	10005050	18443982	1/008218	10293320	22132830	10032897	14409275	213/9040	19554408				
n. (0.020	0.020	0.017	0.010	0.011	0.050	0.020	0.022	0.010	0.007				
Katio	0.028	0.020	0.017	0.010	0.011	0.059	0.029	0.022	0.018	0.007				
	0.027	0.014	0.017	0.010	0.014	0.063	0.035	0.026	0.013	0.016				
	0.020	0.013	0.011	0.008	0.011	0.048	0.036	0.019	0.010	0.011				
AR-F876L			+DMSO					+DHT						
	DMSO	50nM	500nM	5µM	ENZ 500nM	DHT lnM	50nM	500nM	5 µM	ENZ 500nM				
Firefly	94807	73369	70383	26467	200752	316179	166117	95698	76230	231012				
	33997	79790	63478	34373	258359	414901	82726	77397	55361	470195				
		49991	27249	39650	182548	360672			117374					
Renilla	23895368	23929450	24898810	20415726	24954884	24707778	16066675	17685344	27684260	26682684				
	20767712	34403048	28707996	25449322	27845868	29025548	12007366	16277931	28295732	28244670				
		25738538	14456291	29747364	14070836	24716170			41772876					
Ratio	0.004	0.003	0.003	0.001	0.008	0.013	0.01	0.005	0.003	0.009				
	0.002	0.002	0.002	0.001	0.009	0.014	0.007	0.005	0.002	0.017				
		0.002	0.002	0.001	0.013	0.015			0.003					
AR-T877A			+DN	ISO					+DF	ГТ				
AR-T877A	DMSO	50nM	+DN 500nM	ISO 5uM	ENZ 500nM	FLU 5uM	DHT InM	50nM	+DH 500nM	T 5 uM	ENZ 500nM	FLU 5uM		
AR-T877A Firefly	DMSO 428952	50nM 322032	+DM 500nM 277375	ISO 5μM 275211	ENZ 500nM 344769	FLU 5µM 814400	DHT 1nM 1028502	50nM 655795	+DH 500nM 419989	IT 5 μM 409347	ENZ 500nM 240729	FLU 5µM 722555		
AR-T877A Firefly	DMSO 428952 360761	50nM 322032 334240	+DN 500nM 277375 239487	ISO 5μM 275211 270561	ENZ 500nM 344769 246700	FLU 5µM 814400 831606	DHT 1nM 1028502 901511	50nM 655795 519040	+DH 500nM 419989 478534	T 5 μM 409347 424721	ENZ 500nM 240729 260446	FLU 5µM 722555 685107		
AR-T877A Firefly	DMSO 428952 360761 410678	50nM 322032 334240 272680	+DN 500nM 277375 239487 255339	5μM 275211 270561 261025	ENZ 500nM 344769 246700 281051	FLU 5µM 814400 831606 657728	DHT 1nM 1028502 901511 970449	50nM 655795 519040 606103	+DF 500nM 419989 478534 421398	T 5 μM 409347 424721 538090	ENZ 500nM 240729 260446 225613	FLU 5µM 722555 685107 817679		
AR-T877A Firefly	DMSO 428952 360761 410678	50nM 322032 334240 272680	+DM 500nM 277375 239487 255339	SO 5μM 275211 270561 261025	ENZ 500nM 344769 246700 281051	FLU 5µM 814400 831606 657728	DHT 1nM 1028502 901511 970449	50nM 655795 519040 606103	+DF 500nM 419989 478534 421398	T 5 μM 409347 424721 538090	ENZ 500nM 240729 260446 225613	FLU 5µM 722555 685107 817679		
AR-T877A Firefly Benila	DMSO 428952 360761 410678	50nM 322032 334240 272680	+DM 500nM 277375 239487 255339	5μM 275211 270561 261025	ENZ 500nM 344769 246700 281051	FLU 5µM 814400 831606 657728	DHT 1nM 1028502 901511 970449	50nM 655795 519040 606103	+DF 500nM 419989 478534 421398 9604481	IT 5 μM 409347 424721 538090 9243665	ENZ 500nM 240729 260446 225613 8560810	FLU 5μM 722555 685107 817679		
AR-T877A Firefly Renilla	DMSO 428952 360761 410678 12255771 1205337	50nM 322032 334240 272680 10734400 12329259	+DM 500nM 277375 239487 255339 10273148 9579480	5μM 275211 270561 261025 11008440 11763500	ENZ 500nM 344769 246700 281051 12769222 12335000	FLU 5µM 814400 831606 657728 12926976 14589570	DHT 1nM 1028502 901511 970449 13215038 13019214	50nM 655795 519040 606103 9398219 10262667	+DF 500nM 419989 478534 421398 9604481 10029756	IT 5 μM 409347 424721 538090 9243665 9356209	ENZ 500nM 240729 260446 225613 8560810 8494739	FLU 5μM 722555 685107 817679 11608609 12062849		
AR-T877A Firefly Renilla	DMSO 428952 360761 410678 12255771 12025367 12444788	50nM 322032 334240 272680 10734400 12379259	+DM 500nM 277375 239487 255339 10273148 9579480 9820731	ISO 5µM 275211 270561 261025 11008440 11763500 10976021	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458	FLU 5μM 814400 831606 657728 12926976 14589570 10062133	DHT 1nM 1028502 901511 970449 13215038 13019214	50nM 655795 519040 606103 9398219 10262667 10621003	+DF 500nM 419989 478534 421398 9604481 10029756 00702706	IT 5 μM 409347 424721 538090 9243665 9356209 11502877	ENZ 500nM 240729 260446 225613 8560810 8494739 9653470	FLU 5μM 722555 685107 817679 11608609 12062849 12048977		
AR-T877A Firefly Renilla	DMSO 428952 360761 410678 12255771 12025367 12444788	50nM 322032 334240 272680 10734400 12379259 9402759	+DM 500nM 277375 239487 255339 10273148 9579480 9820731	ISO 5μM 275211 270561 261025 11008440 11763500 10876021	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458	FLU 5µM 814400 831606 657728 12926976 14589570 10962133	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441	50nM 655795 519040 606103 9398219 10262667 10621903	+DF 500nM 419989 478534 421398 9604481 10029756 9979706	IT 5 μM 409347 424721 538090 9243665 9356209 11592867	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479	FLU 5μM 722555 685107 817679 11608609 12062849 12948827		
AR-T877A Firefly Renilla	DMSO 428952 360761 410678 12255771 12025367 1244788	50nM 322032 334240 272680 10734400 12379259 9402759	+DM 500nM 277375 239487 255339 10273148 9579480 9820731	ISO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458	FLU 5µM 814400 831606 657728 12926976 14589570 10962133	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441	50nM 655795 519040 606103 9398219 10262667 10621903	+DF 500nM 419989 478534 421398 9604481 10029756 9979706	T 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479	FLU 5µM 722555 685107 817679 11608609 12062849 12948827		
AR-T877A Firefly Renilla Ratio	DMSO 428952 360761 410678 12255771 12025367 1244788 0.035 0.035	50nM 322032 334240 272680 10734400 12379259 9402759 0.030	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025	SO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.025	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.027	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078	50nM 655795 519040 606103 9398219 10262667 10621903 0.07	+DF 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.048	IT 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028	FLU 5μM 722555 685107 817679 11608609 12062849 12948827 0.062 0.057		
AR-T877A Firefly Renilla Ratio	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.035 0.030	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.030	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.027 0.025 0.026	SO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.023 0.024	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.021	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060	DHT InM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051	+DF 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.048	TT 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.045	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026	FLU 5µM 722555 685107 817679 11608609 12062849 12948827 0.062 0.057 0.062		
AR-T877A Firefly Renilla Ratio	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.030 0.033	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026	SO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.023 0.024	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060	DHT InM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057	+DF 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.048 0.042	ΓΤ 5 μΜ 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.046 0.046	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026	FLU 5μM 722555 685107 817679 11608609 12062849 12948827 0.062 0.057 0.063		
AR-T877A Firefly Renilla Ratio	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.030 0.033	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026	SO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.023 0.024 +DMSO	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057	+DF 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.048 0.042	FT 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.046	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026	FLU 5µM 722555 685107 817679 11608609 12062849 12948827 0.062 0.057 0.063		
AR-T877A Firefly Renilla Ratio	DMSO 428952 360761 410678 12255771 12025367 1244788 0.035 0.030 0.033	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026 500nM	ISO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.023 0.024 +DMSO 5υM	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024 ENZ 500nM	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057	+DF 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.048 0.042 50pM	T 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.046 500pM	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026 +DHT 5.04	FLU 5µM 722555 685107 817679 11608609 12062849 12948827 0.062 0.057 0.063		DIC SuM
AR-T877A Firefly Renilla Ratio W741C+T877A	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.030 0.033 0.033 0.033	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026 	SO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.024 +DMSO 5μM 21/3255	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024 0.024 0.024	FLU 5μM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060 FLU 5μM 4090200	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078 0.069	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057 DHT 1nM 435599	+DF 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.042 50nM 50nM	IT 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.046 500nM	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026 +DHT 5 µM 1004001	FLU 5µM 722555 685107 817679 11608609 12062849 12948827 0.062 0.057 0.063 ENZ 500nM	FLU 5µM	ВІС 5µМ 7560/61
AR-T877A Firefly Renilla Ratio W741C+T877A Firefly	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.030 0.033 DMSO 2138134 DMSO 2138134	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029 50nM 2817716	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026 500nM 2310359 2780622	SO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.023 0.024 +DMSO 5μM 2112355	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024 ENZ 500nM 1658694 2265321	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060 FLU 5µM 4000300 (270721)	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078 0.069 0.078 BIC 5µM 5812393	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057 DHT 1nM 4355287	+DE 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.048 0.042 50nM 3575146	T 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.046 500nM 2915319 2652780	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026 +DHT 5 μM 1904401 2342521	FLU 5µM 722555 685107 817679 11608609 12062849 12948827 0.062 0.057 0.063 ENZ 500nM 2955609	FLU 5μM 4451263	ВІС 5µМ 7560061
AR-T877A Firefly Renilla Ratio W741C+T877A Firefly	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.030 0.033 DMSO 2138134 3078956 2(43740)	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029 50nM 2817716 3302883 2409840	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026 500nM 2310359 2789832 2789832	ISO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.023 0.024 +DMSO 5μM 2112355 2800530 2123201	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024 ENZ 500nM 1658694 2695231 2021700	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060 FLU 5µM 4000300 6370721 5565202	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078 ВІС 5µM 5812393 6282225 55(6)238	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057 DHT 1nM 4355287 4379252	+DE 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.048 0.042 50nM 3575146 4039858 2069840	ΓΓ 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.044 0.045 9215319 2652780 2020/00 2020/00	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026 +DHT 5 μM 1904401 3343571 2473140	FLU 5µM 722555 685107 817679 11608609 12062849 12948827 0.062 0.057 0.063 ENZ 500nM 2955609 2607253 207273	FLU 5µM 4451263 4193363	ВІС 5µМ 7560061 5841136
AR-T877A Firefly Renilla Ratio W741C+T877A Firefly	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.035 0.030 0.033 DMSO 2138134 3078956 2647249	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029 50nM 2817716 3302883 3699849	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026 500nM 2310359 2789832 2523806	SO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.023 0.024 +DMSO 5μIM 2112355 2800530 3183301	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024 0.024 ENZ 500nM 1658694 2695231 2231790	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060 FLU 5µM 4000300 6370721 5565393	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078 0.069 0.078 BIC 5µM 5812393 6282225 5669328	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057 0.051 0.057 0.051 0.057 0.051 0.057 0.051 0.057	+DF 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.048 0.042 50nM 3575146 4039858 3968949	FT 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.046 500nM 2915319 2652780 3070609	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026 +DHT 5 µM 1904401 3343571 2473140	FLU 5µM 722555 685107 817679 11608609 12062849 12948827 0.062 0.057 0.063 ENZ 500nM 2955609 2607253 2962324	FLU 5μM 4451263 4193363 4749484	ВІС 5µМ 7560061 5841136 4443835
AR-T877A Firefly Renilla Ratio W741C+T877A Firefly	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.030 0.033 0.033 DMSO 2138134 3078956 2647249 7702020	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029 0.029 50nM 2817716 3302883 3699849 7789/20	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026 	SO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.024 +DMSO 5μM 2112355 2800530 3183301 10094317	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024 0.027 0.024 0.024 0.024 0.024 0.027 0.024 0.024 0.027 0.024 0.024 0.027 0.024 0.027 0.024 0.027 0.024 0.027 0.024 0.027 0.024 0.027 0.024 0.027 0.024 0.027 0.024 0.024 0.027 0.024 0.024 0.024 0.027 0.024 0.025 0.024 0.024 0.024 0.024 0.024 0.024 0.025 0.024 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.063 0.063 0.060 FLU 5µM 4000300 6370721 5565393	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078 BIC 5µM 5812393 6282225 5669328	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057 DHT 1nM 4355287 4379252 4454328	+DF 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.048 0.042 50nM 3575146 4039858 3968949 24015000	ΓΓ 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.046 2915319 2652780 3070609 21120400 24120400	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026 +DHT 5 μM 1904401 3343571 2473140	FLU 5µM 722555 685107 817679 11608609 12062849 12948827 0.062 0.063 0.063 0.063 ENZ 500nM 2955609 2607253 2962324	FLU 5µM 4451263 4193363 4749484	BIC 5µM 7560061 \$841136 4443835
AR-T877A Firefly Renilla Ratio W741C+T877A Firefly Renilla	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.030 0.033 0.033 DMSO 2138134 3078956 2647249 7702930	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029 50nM 2817716 3302883 3699849 2788668	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026 500nM 2310359 2789832 2523806 10331952	SO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.023 0.024 +DMSO 5μM 2112355 2800530 3183301 10984217 4623002	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024 ENZ 500nM 1658694 2695231 2231790 10398809	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060 FLU 5µM 4000300 6370721 5565393 10030433	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078 0.069 0.078 BIC 5µM 5812393 6282225 5669328 14189078	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057 DHT 1nM 4355287 4379252 4454328 33313648	+DE 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.048 0.042 50nM 3575146 4039858 3968949 34918900	ΓΙ 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.044 0.046 500nM 2915319 2652780 3070609 37130400 37130400	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026 +DHT 5 μM 1904401 3343571 2473140 39156912	FLU 5µM 722555 685107 817679 11608609 12062849 12948827 0.062 0.057 0.063 ENZ 500nM 2955609 2607253 2962324 48642316	FLU 5µM 4451263 4193363 4749484 37374828 202015	ВІС 5µМ 7560061 5841136 4443835 40737272
AR-T877A Firefly Renilla Ratio W741C+T877A Firefly Renilla	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.030 0.033 DMSO 2138134 3078956 2647249 7702930 17754168	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029 50nM 2817716 3302883 3699849 7788668 26863862	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026 500nM 2310359 2789832 2523806 10331952 34823180	Sο 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.023 0.024 +DMSO 5μM 2112355 2800530 3183301 10984217 40632980	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024 ENZ 500nM 1658694 2695231 2231790 10398809 48728460	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060 FLU 5µM 4000300 6370721 5565393 10030433 45675928	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078 ВІС 5µМ 5812393 6282225 5669328 14189078 41275800	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057 DHT 1nM 4355287 4379252 4454328 33313648 30955056	+DE 500nM 419989 478534 421398 9604481 10029756 979706 0.044 0.048 0.042 50nM 3575146 4039858 3968949 34918900 35067296	ΓΙ 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.044 0.045 0.046 500nM 2915319 2652780 3070609 37130400 34692196 20900000	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026 +DHT 5 μM 1904401 3343571 2473140 39156912 48908448	FLU 5µM 722555 685107 817679 11608609 12062849 12948827 0.062 0.057 0.063 ENZ 500nM 2955609 2607253 2962324 48642316 46494284	FLU 5µM 4451263 4193363 4749484 37374828 36961584	BIC 5µM 7560061 5841136 4443835 40737272 40452848
AR-T877A Firefly Renilla Ratio W741C+T877A Firefly Renilla	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.030 0.033 0.033 DMSO 2138134 3078956 2647249 7702930 17754168 36575908	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029 50nM 2817716 3302883 3699849 7788668 26863862 37721212	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026 500nM 2310359 2789832 2523806 10331952 34823180 43759448	SO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.023 0.024 +DMSO 5μM 2112355 2800530 3183301 10984217 40632980 51324768	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024 ENZ 500nM 1658694 2695231 2231790 10398809 48728460 52935792	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060 FLU 5µM 4000300 6370721 5565393 10030433 45675928 45665016	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078 BIC 5µM 5812393 6282225 5669328 14189078 41275800 38166624	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057 DHT 1nM 4355287 4379252 4454328 33313648 30955056 37987812	+DF 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.048 0.042 50nM 3575146 4039858 3968949 34918900 35067296 30532860	ΓΓ 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.046 500nM 2915319 2652780 3070609 37130400 34692196 30748022	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026 +DHT 5 µM 1904401 3343571 2473140 39156912 48908448 42089316	FLU 5µM 722555 685107 817679 11608609 12062849 12948827 0.062 0.067 0.063 ENZ 500nM 2955609 2057253 29562324 48642316 46494284 47435712	FLU 5µM 4451263 4193363 4749484 37374828 36961584 42436236	BIC 5µM 7560061 5841136 4443835 40737272 40452848 37078712
AR-T877A Firefly Renilla Ratio W741C+T877A Firefly Renilla	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.030 0.033 0.035 0.030 0.033 0.035 0.030 0.033 0.035 0.030 0.033 0.035 0.030 0.033 0.035 0.030 0.033 0.035 0.030 0.033 0.035 0.030 0.033 0.035 0.030 0.033 0.035 0.030 0.033 0.035 0.030 0.033 0.033 0.033 0.035 0.035 0.030 0.033 0.035 0.035 0.030 0.035 0.035 0.030 0.035 0.035 0.030 0.035 0.035 0.030 0.033 0.035 0.030 0.035 0.030 0.033 0.035 0.030 0.035 0.030 0.035 0.030 0.035 0.030 0.035 0.030 0.035 0.030 0.035 0.035 0.030 0.035 0.030 0.035 0.035 0.030 0.035 0.030 0.035 0.035 0.030 0.035 0.035 0.030 0.035 0.035 0.030 0.035 0.035 0.030 0.035 0.037 0.035 0.030 0.035 0.037 0.035 0.037 0.030 0.030 0.030 0.030 0.037 0.0300 0.0300000000	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029 0.029 50nM 2817716 3302883 3699849 7788668 26863862 37721212	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026 0.026 0.026 0.026 0.026 0.026 0.026 0.025 0.0359 2789832 2523806 0.031955 0.0448 0.059 0.059 0.0448 0.059	SO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.023 0.024 +DMSO 5μM 2112355 2800530 3183301 10984217 40632980 51324768	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024 0.025 0.024 0.025 0.024 0.024 0.024 0.024 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.024 0.025 0.	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060 FLU 5µM 4000300 6370721 5565393 10030433 45675928 45665016	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078 0.069 0.078 BIC 5µM 5812393 6282225 5669328 14189078 41275800 38166624	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057 DHT 1nM 4355287 4379252 4454328 33313648 33955056	+DF 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.042 0.042 50nM 3575146 4039858 3968949 34918900 35067296 30532860 0.043	ΓΓ 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.046 2015319 2652780 3070609 37130400 34692196 30748022 2.072	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026 +DHT 5 µM 1904401 3343571 2473140 39156912 48908448 42089316	FLU 5µM 722555 685107 817679 11608609 12062849 12948827 0.062 0.063 0.063 0.063 0.063 ENZ 500nM 2955609 2607253 2962324 48642316 46494284 47435712	FLU 5µM 4451263 4193363 4749484 37374828 36961584 42436236	BIC 5µM 7560061 5841136 4443835 40737272 40452848 37078712
AR-T877A Firefly Renilla Ratio W741C+T877A Firefly Renilla Ratio	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.030 0.033 0.033 0.033 0.033 0.033 0.033 0.033 0.033 0.033 0.033 0.033 0.033 0.75508 2647249 7702930 17754168 36575908 0.083 0.083	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029 50nM 2817716 3302883 3699849 7788668 26863862 37721212	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026 500nM 2310359 2789832 2523806 10331952 34823180 43759448 0.069	SO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.023 0.024 +DMSO 5μM 2112355 2800530 3183301 10984217 40632980 51324768 0.065	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024 ENZ 500nM 1658694 2695231 2231790 10398809 48728460 52935792 0.048	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060 FLU 5µM 4000300 6370721 5565393 10030433 45675928 45665016 0.115	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078 0.069 0.078 812393 6282225 5669328 14189078 41275800 38166624 0.136	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057 0.057 0.057 0.057 0.057 0.057 0.057 0.057 0.057 0.057 0.057 0.057 0.057 0.051 0.057 0.057 0.057 0.057 0.051 0.057 0.057 0.051 0.0570000000000	+DE 500nM 419989 478534 421398 9604481 10029756 9979706 0.044 0.042 0.042 50nM 3575146 4039858 3968949 34918900 35067296 30532860 0.123	ΓΙ 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.044 0.045 0.046 3070609 37130400 34692196 30748022 0.079	ENZ 500nM 240729 260446 225613 8560810 8494739 8653479 0.028 0.031 0.026 +DHT 5 μM 1904401 3343571 2473140 39156912 448908448 42089316 0.049	FLU 5µM 722555 685107 817679 11608609 12062849 12948827 0.062 0.057 0.063 ENZ 500nM 2955609 2607253 2962324 48642316 46494284 47435712 0.061	FLU 5µM 4451263 4193363 4749484 37374828 36961584 42436236 0.119	ВІС 5µМ 7560061 5841136 4443835 40737272 40452848 37078712 0.132
AR-T877A Firefly Renilla Ratio W741C+T877A Firefly Renilla Ratio	DMSO 428952 360761 410678 12255771 12025367 12444788 0.035 0.030 0.033 0.033 0.033 0.033 0.033 0.033 0.033 0.035 0.030 0.033 0.7754168 36575908 0.083 0.078	50nM 322032 334240 272680 10734400 12379259 9402759 0.030 0.027 0.029 50nM 2817716 3302883 3699849 7788668 26863862 37721212 0.007 0.082	+DM 500nM 277375 239487 255339 10273148 9579480 9820731 0.027 0.025 0.026 500nM 2310359 2789832 2523806 10331952 34823180 43759448 0.069 0.080	ISO 5μM 275211 270561 261025 11008440 11763500 10876021 0.025 0.023 0.024 +DMSO 5μM 2112355 2800530 3183301 10984217 40632980 51324768 0.065 0.065	ENZ 500nM 344769 246700 281051 12769222 12335000 11710458 0.027 0.020 0.024 ENZ 500nM 1658694 2695231 2231790 10398809 48728460 52935792 0.048 0.055	FLU 5µM 814400 831606 657728 12926976 14589570 10962133 0.063 0.057 0.060 FLU 5µM 4000300 6370721 5565393 10030433 45675928 45665016 0.115 0.109	DHT 1nM 1028502 901511 970449 13215038 13019214 12426441 0.078 0.069 0.078 0.069 0.078 0.069 0.078 0.069 0.078 0.069 0.078 0.069 0.078 0.069 0.078 0.069 0.078 0.069 0.078 0.069 0.078 0.069 0.078 0.069 0.078 0.069 0.078 0.069 0.078 0.078 0.069 0.078 0.078 0.078 0.078 0.069 0.078 0.070000000000	50nM 655795 519040 606103 9398219 10262667 10621903 0.07 0.051 0.057 DHT 1nM 4355287 4379252 4454328 33313648 30955056 37987812 0.131 0.141	+DE 500nM 419989 478534 421398 9604481 10029756 9779706 0.044 0.048 0.042 50nM 3575146 4039858 3968949 34918900 35067296 30532860 0.123 0.115	ΓΙ 5 μM 409347 424721 538090 9243665 9356209 11592867 0.044 0.045 0.046 500nM 2915319 2652780 3070609 37130400 34692196 30748022 0.079 0.076	ENZ 500nM 240729 260446 225613 8560810 8494739 8655479 0.028 0.031 0.026 +DHT 5 μM 1904401 3343571 2473140 39156912 48908448 42089316 0.049 0.068	FLU 5µM 722555 685107 817679 11608609 12062849 129488277 0.062 0.057 0.063 ENZ 500nM 2955609 2607253 2962324 48642316 46494284 47435712 0.061 0.056	FLU 5µM 4451263 4193363 4749484 37374828 36961584 42436236 0.119 0.113	ВІС 5µМ 7560061 5841136 4443835 40737272 40452848 37078712 0.132 0.144

Figure S6. The measured value of dual-luciferase assay with triptophenolide treated. ENZ, enzalutamide; DHT, dihydrotestosterone; BIC, bicalutamide; FLU, flutamide.