Supporting Information for

Development and Scale-Up of an Optimized Route to the Pyridazin-3-one Histamine H3 Receptor Antagonist CEP-32215

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Catalyst Screening For Suzuki Coupling of Boronic Acid 24 and Acid Chloride 21

Entry	Catalyst	Base	Solvent (vol)	Temp (^oC)	Time (h)	24 (A%)	25 (A%)
1	$Pd(PPh_3)_2Cl_2$	Cs_2CO_3	Toluene (10)	80	2.5	10	48
2	$Pd(PPh_3)_2Cl_2/PPh_3$	Cs_2CO_3	Toluene (10)	80	2.5	29	29
3	$Pd(o\text{-}Tol)_3P)_2Cl_2$	Cs_2CO_3	Toluene (10)	80	2.5	0	35
4	$Pd(dppf)_2Cl_2$	Cs_2CO_3	Toluene (10)	80	2.5	51	13
5	$Pd(OAc)_2$/BINAP	Cs_2CO_3	Toluene (10)	80	2.5	37	23
6	$Pd(PPh_3)_2Cl_2$	K_3PO_4	Toluene (10)	80	2.5	77	6
7	$Pd(PPh_3)_2Cl_2$	KOAC	Toluene (10)	80	2.5	30	22
8	$Pd(PPh_3)_2Cl_2$	K_2CO_3	Toluene (10)	80	2.5	78	None
9	$Pd(PPh_3)_2Cl_2$	Et_3N	Toluene (10)	80	2.5	100	None
10	$Pd(PPh_3)_2Cl_2$	Cs_2CO_3	Dioxane (10)	80	2	2	26
11	$Pd(PPh_3)_2Cl_2$	Cs_2CO_3	2-Me-THF (10)	80	2	4	28
12	$Pd(PPh_3)_2Cl_2$	Cs_2CO_3	MIBK (10)	80	2	28	14
13	$Pd(PPh_3)_2Cl_2$	Cs_2CO_3	Tol/H_2O (10/1)	80	2	0	53
14	$Pd(PPh_3)_2Cl_2$	Cs_2CO_3	Tol/H_2O (10/1)	60	2	0	61
15	$Pd(PPh_3)_2Cl_2$	K_2CO_3	Tol/H_2O (10/1)	60	2	3	51
16	$Pd(PPh_3)_2Cl_2$	Cs_2CO_3	Tol/H_2O (10/1)	45	1	0	60
17	$Pd(PPh_3)_4$	Cs_2CO_3	Tol/H_2O (10/1)	45	1	0	53
18	$Pd(PPh_3)_2Cl_2$	Cs_2CO_3	Tol/H_2O (10/1)	45	1	2	60
19	$Pd(PPh_3)_2Cl_2$	Cs_2CO_3	Tol/H_2O (10/1)	25	1	2	53
20[2]	$Pd(PPh_3)_2Cl_2$	Cs_2CO_3	Tol/H_2O (10/1)	45	1	0	69
21[3]	$Pd(PPh_3)_2Cl_2$	Cs_2CO_3	Tol/H_2O (10/1)	45	1	0	83
22[4]	$Pd(PPh_3)_2Cl_2$	Cs_2CO_3	Tol/H_2O (10/1)	45	1	4	84

[1] Unless specified, the reaction has been carried out with 0.15 mmol of boronic acid (**6**), 1.1 equiv. of acid chloride (**10**), 1.5 equiv. of base, and 4 mol% catalyst in a sealed tube. [2] The reaction was carried out at 2 mmol scale, the catalyst loading was 2 mol%. [3] The reaction was carried out at 0.3 mmol scale, the catalyst loading was 1 mol%. [4] The reaction was carried out at 0.3 mmol scale, the catalyst loading was 0.5 mol%.