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1,3,2-Diazaphospholidine (*N*-Heterocyclic Phosphine)-Mediated Carbon−Phosphorus Bond-Forming, One-Pot Tandem Reaction: A Route to α-Amino Phosphonates

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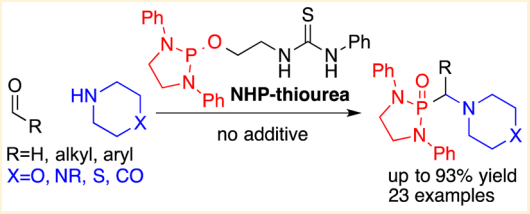
Supporting Information

ABSTRACT: A novel 1,3,2-diazaphospholidine (*N*-heterocyclic phosphine)−thiourea-mediated phospha-Mannich/intramolecular nucleophilic substitution reaction has been developed for the construction of an N−C−P bond unit. This transformation enabled a rapid access to cyclic tertiary α-amino phosphonates in one-pot procedure under additive-free mild reaction conditions. This study revealed the critical role of thiourea moiety of the *N*-heterocyclic phosphine−thiourea in the sequential intramolecular nucleophilic substitution reaction of the phosphonylation.



INTRODUCTION

Tertiary α-amino phosphonic acids and their derivatives such as morpholino,[1] piperazinyl,[1b,2] or thiomorpholinomethyl phosphonates[3] are an important class of amino phosphonate compounds. They have received considerable interest from a number of areas, ranging from medicinal chemistry to materials sciences. Morpholinomethyl bisphosphonic acid (Figure 1a) has shown antimalarial activity,[1a] and morpholinoarylmethyl phosphonate (Figure 1b) has been realized as an effective agonist of endothelial target for acetylcholine (ETA).[1b] Piperazinylmethyl phosphonate derivatives (Figure 1c−f) have proven to be potent active pharmaceutical ingredients such as agonists of ETA,[1b] antibacterial agents,[2a] calcium antagonists,[2b] and serotonin receptors.[4] These significant biological activities of α-amino phosphonates are associated with the structural analogues of the corresponding amino acids and mimics of the transition state of peptide hydrolysis.[5] In addition, thiomorpholinomethyl phosphonic acid (Figure 1g) is known as an effective corrosion inhibitor for carbon steel in seawater.[3]

Since the pioneering early work by Kabachnik and Fields in 1952,[6] the multicomponent reaction involving amine, aldehyde, and dialkyl phosphonate has emerged as a straightforward protocol toward α-amino phosphonic acid esters. This transformation proceeds via an in situ imine formation, followed by phospha-Mannich reaction (Pudovik reaction)[7] between phosphite nucleophile and imine electrophile, constructing an N−C−P motif. This method offers important advantages such as a simple one-pot process and a rapid increase of molecular complexity using readily available starting materials. Recently, with the surging interest in the application of cyclic tertiary α-amino phosphonate derivatives to medicinal and materials chemistry (Figure 1), a considerable emphasis has been placed on the reaction system that utilizes cyclic secondary amines. The phospha-Mannich reaction employing primary amine has been well-exploited.[8] However, cyclic secondary amine-involved reactions are scarcely developed.[9] Dialkyl phosphonates stable toward hydrolysis and oxidation due to the lack of lone pair electrons have been extensively used for this phosphonylation to form a C−P bond.[10] However, they are less reactive phosphorus species. On the other hand, trialkyl phosphites are highly reactive nucleophiles, but they are susceptible to spontaneous aerobic oxidation to form inactive phosphates.[10a,b] Thus, strategies for generating highly nucleophilic phosphite species in situ using dialkyl phosphonates for phospha-Mannich reaction have been developed over the past decades. The dialkyl phosphonates are activated by Lewis acids,[11] molecular sieves,[12] or magnetic nanoparticles[13] to generate the nucleophilic dialkyl phosphites, which then rapidly react with iminium intermediates to ultimately construct the tertiary α-amino phosphonates (Scheme 1a). Brønsted acid-catalyzed reaction with dialkyl phosphonates[14] (Scheme 1a) and Lewis acid-mediated transformation involving trialkyl phosphites[15] (Scheme 1b) are important alternative routes for the synthesis of tertiary α-amino phosphonates. Despite the great efforts devoted to the synthesis of biologically significant cyclic tertiary α-amino phosphonates, there are limitations such as the use of toxic metals, low product yields with especially cyclic secondary amines, and harsh reaction conditions (elevated temperatures and basic conditions). Consequently, the development of a general and direct method of phosphonylation for accessing various tertiary α-amino phosphonates under metal-free mild reaction conditions is highly desirable in synthetic organic chemistry. Given the lack of air- and moisture-stable phosphite reagents as well as the development of metal-free conditions for the phosphonylation reaction, we have been interested in exploring novel

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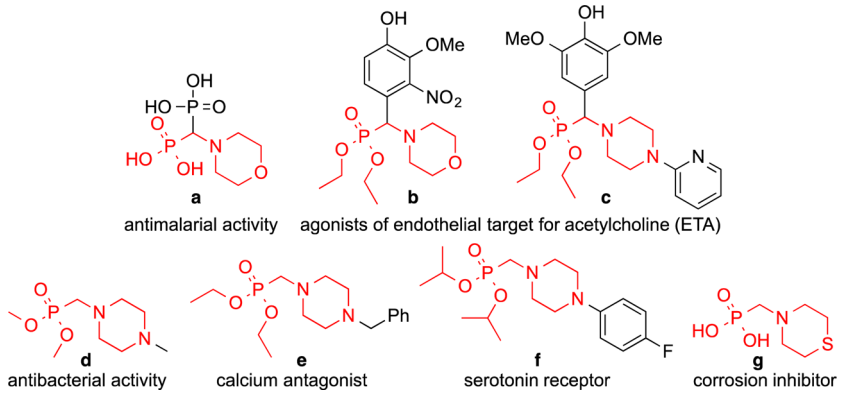
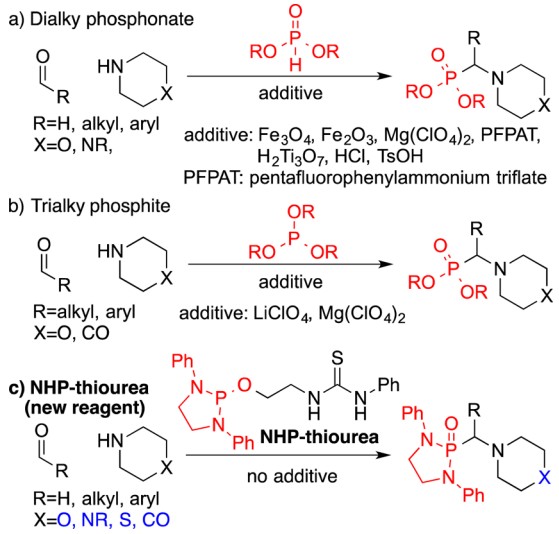


Figure 1. Biologically active and functioning tertiary α-amino phosphonic acids and esters.

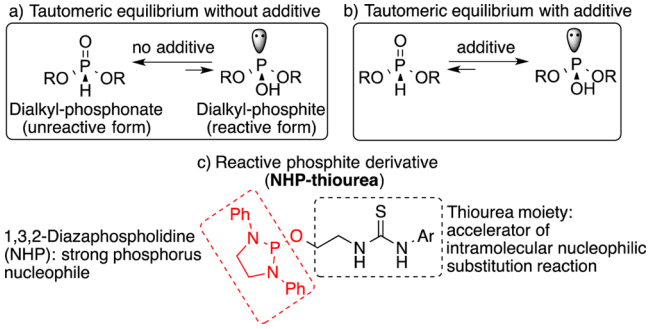
Scheme 1. Different Types of Phosphonylation Reagents for Cyclic Tertiary α-Amino Phosphonates



nucleophilic phosphite reagents. Herein, we report 1,3,2-diazaphospholidine (*N*-heterocyclic phosphine)-promoted phospha-Mannich/intramolecular nucleophilic substitution reaction for the synthesis of tertiary α-amino phosphonates employing cyclic secondary amines (Scheme 1c).

A highly reactive phosphorus nucleophile is the key to achieving mild and efficient synthesis of α-amino phosphonates employing amines and aldehydes. To generate the reactive phosphite species in situ, additives are typically required to facilitate phosphonate−phosphite tautomerization because non-nucleophilic phosphonates are the predominant form under neutral conditions (Scheme 2a, b).[10e,16] Hence, our investigation began with the design and synthesis of highly nucleophilic phosphite derivatives (Scheme 2c). Our recent study on a previously unknown *N*-heterocyclic phosphine (NHP)−thiourea has demonstrated a strong nucleophilicity toward allene electrophiles, affording vinyldiazaphosphonates via phospha-Michael/intramolecular nucleophilic displacement under mild reaction conditions.[17] On the basis of this novel chemical reactivity of the NHP−thiourea, we hypothesized that an effective phosphonylation reagent for tertiary α-amino phosphonates would contain: (a) a 1,3,2-diazaphospholidine (*N*-heterocyclic phosphine) as a strong phosphorus nucleophile in favor of phospha-Mannich process and (b) a thiourea moiety

Scheme 2. Tautomeric Equilibria of H-phosphonates and Novel Phosphonylation Reagent (NHP−Thiourea)

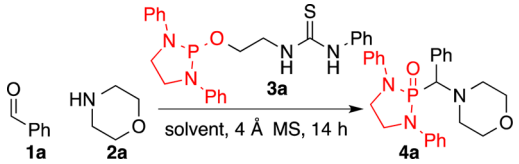


to accelerate the intramolecular nucleophilic displacement for the phosphonylation in the absence of additives.

RESULTS AND DISCUSSION

To test our hypothesis, we explored a one-pot multicomponent reaction among benzaldehyde **1a**, morpholine **2a**, and NHP−thiourea **3a** without base or metal additives (Table 1). An initial reaction in tetrahydrofuran (THF) provided a moderate yield of the α-amino phosphonates (entry 1, 48%). Sequential screening of polar solvents such as CH_3CN and EtOH

Table 1. Optimization of Reaction Conditions[a]



entry	solvent	t (°C)	product/yield (%)[b]
1	THF	66	**4a**/48
2	CH_3CN	69	**4a**/69
3	EtOH	82	**4a**/83
4	toluene	110	**4a**/69
5	xylene	140	**4a**/41
6	$CHCl_3$	65	**4a**/84
7	1,2-DCE	85	**4a**/90
8[c]	1,2-DCE	85	**4a**/75

[a]Reaction conditions: **1a** (0.2 mmol), **2a** (0.2 mmol), **3a** (0.1 mmol), 4 Å MS, and solvent (0.43 mL) for 14 h. [b]Isolated yield (%). [c]Reaction run with **1a** (0.1 mmol), **2a** (0.1 mmol), and **3a** (0.1 mmol), 4 Å MS, and solvent (0.43 mL) for 14 h.

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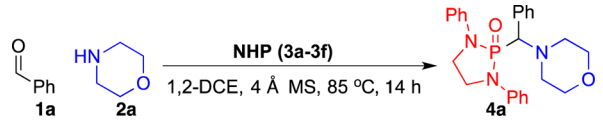
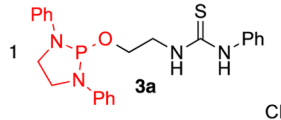
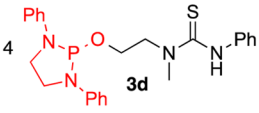
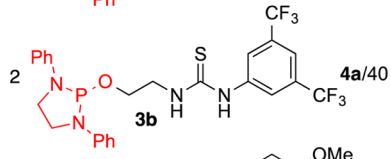
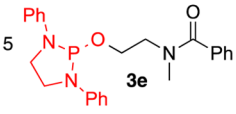
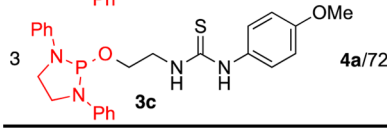
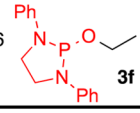
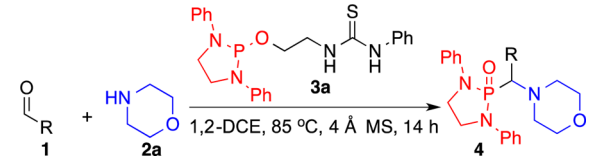
Table 2. Screening of NHPs[a]

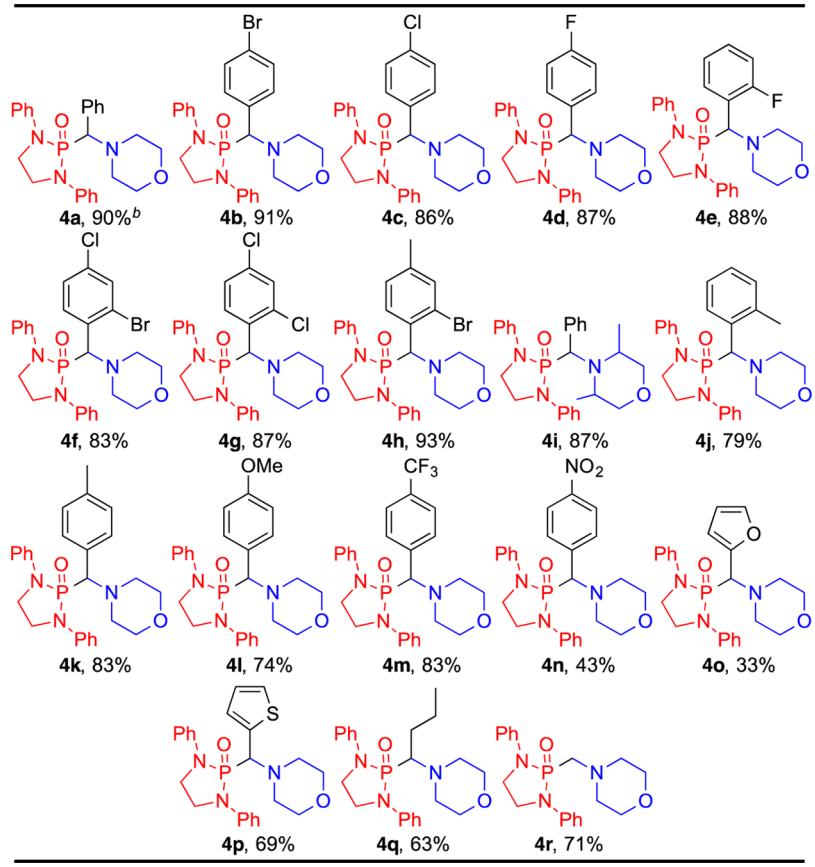


entry	NHP	product/yield (%)[b]	entry	NHP	product/yield (%)[b]
1	 3a	4a/90	4	 3d	4a/48
2	 3b	4a/40	5	 3e	4a/31
3	 3c	4a/72	6	 3f	4a/31

[a]Reaction conditions: **1a** (0.2 mmol), **2a** (0.2 mmol), **3a** (0.1 mmol), 4 Å MS, and solvent (0.43 mL) for 14 h. [b]Isolated yield (%).

Table 3. Aldehyde Scope of One-Pot Synthesis of α-Amino Phosphonate[a]





[a]Reaction conditions: **1a** (0.2 mmol), **2a** (0.2 mmol), **3a** (0.1 mmol), 4 Å MS, and solvent (0.43 mL) for 14 h. [b]Isolated yield (%).

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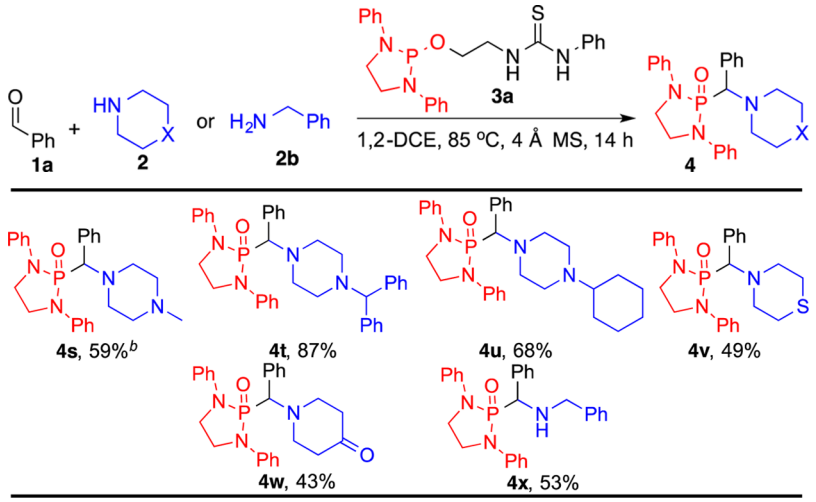
Table 4. Variation of the Cyclic Secondary Amines and Primary Amine in NHP−Thiourea-Mediated One-Pot Tandem Reaction[a]



[a]Reaction conditions: **1a** (0.2 mmol), **2** (0.2 mmol), **3a** (0.1 mmol), 4 Å MS, and solvent (0.43 mL) for 14 h. [b]Isolated yield (%).

generated the desired product in high yields at elevated temperatures (entries 2 and 3, 69−83%). Further increase in reaction temperature using high boiling point solvents such as toluene and xylene (entries 4 and 5) was found to cause significant decomposition of 1,3,2-diazaphospholidine (*N*-heterocyclic phosphine) to ethylenedianiline, resulting in lowered yields (41−69%). Then, we turned our attention to the halogenated solvents such as $CHCl_3$ and 1,2-dichloroethane (1,2-DCE) (entries 6 and 7). Gratifyingly, exploring the chlorinated solvents provided an optimum solvent of 1,2-DCE for this transformation, yielding the desired product in 90% (entry 7).

We next explored the effect of the thiourea moiety on this transformation (Table 2). We first screened different thiourea moieties. The phenyl thiourea provided α-amino phosphonate **4a** in excellent yield (entry 1, 90%). Replacement of the parent phenyl thiourea moiety with 3,5-bis(trifluoromethyl)phenyl thiourea led to a significant reduction in the yield of **4a** (entry 2, 40%). The 4-methoxyphenyl thiourea also did not show any better performance than the parent thiourea (entry 3, 72%). Further variation of the parent thiourea moiety with a methyl substituent on the nitrogen atom significantly lowered their reactivity (entry 4), presumably hampering the intramolecular nucleophilic substitution reaction sequence. We attribute these low-yielding reactions (entries 4−6) to the fact that the intermolecular substitution reaction is slower than the intramolecular nucleophilic displacement, which is experimentally supported by a comparison of reactions (entry 1, 90%, vs entry 6, 31%); the NHP−ethanol-mediated reaction, which provided a significantly reduced yield (entry 6, 31%), proved the thiourea moiety as an important accelerator for this intramolecular substitution reaction. Lastly, it should be noted that the use of triethyl phosphite $P(OEt)_3$ gave a relatively lower yield of 79% under the standard reaction conditions, although it has been widely applied in the additive-mediated synthesis of α-amino phosphonates.

With the optimized reaction conditions established, we explored the scope of the reaction in terms of aldehyde substrates (Table 3). A wide range of aldehydes with different substituents underwent clean reactions to afford cyclic tertiary α-amino phosphonates in moderate to excellent yields (33−93% yields). *Ortho*- and/or *para*-halogenated benzaldehydes were transformed into the corresponding products (**4b**−**4h**) in high to excellent yields, attesting to a high steric tolerance. In particular, a sterically hindered 3,5-dimethylmorpholine was well-tolerated under the reaction conditions and afforded the desired product in high yield (**4i**, 87%). We also explored the electronic effects of the aldehyde electrophiles. Attachment of electron-donating groups to aldehydes (**4j**−**4l**) had a negligible influence on this reaction; however, a sharp decrease in product yields was observed when the electron-deficient group was present in the aldehyde such as nitrobenzaldehyde (**4n**, 43%), presumably due to the instability of the in situ generated transient iminium intermediates. In addition, heteroaromatic aldehydes provided the target compounds in moderate to high yields (**4o**, 33%, and **4p**, 69%). Finally, the aliphatic aldehydes such as butyraldehyde and formaldehyde were found to undergo effective transformation (**4q**, 63%, and **4r**, 71%).

We next investigated the scope of cyclic secondary amines and primary amine that would be tolerated in this transformation (Table 4). We found that piperazine derivatives with various substituents at the nitrogen atom were similarly tolerated in this protocol (**4s**−**4u**). Similarly, thiopiperazine, a sulfur analogue of piperazine, has proven effective under the standard reaction conditions (**4v**). In addition, 4-piperidinone turned out to be a viable amine for this transformation (**4w**), which showed a high tolerance to a wide range of cyclic secondary amines found in numerous biologically active molecules (Figure 1). Lastly, this protocol proved to be a viable method for primary amine, providing the desired product in 53% yield (**4x**).

On the basis of the experiment results and previous report,[17] a proposed reaction sequence is illustrated in Scheme 3. The treatment of aldehyde **1a** with amine **2a** generated a transient iminium intermediate **I**, which rapidly underwent phospha-Mannich reaction with the NHP−thiourea **3a** to generate a diazaphosphonium intermediate **II**. A sequential deprotonation/intramolecular nucleophilic substitution reaction ultimately furnished the α-amino phosphonate **4a** and the thiazolidine byproduct **III** (isolated in 66% yield, and the corresponding spectral data matched those reported in the

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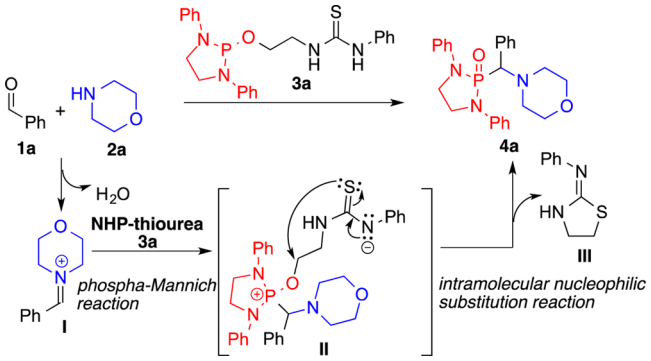
Scheme 3. Plausible Reaction Sequence



literature),[18] which contributed critically to the mechanism analysis.

CONCLUSION

In conclusion, we have developed a novel 1,3,2-diazaphospholidine (*N*-heterocyclic phosphine)-mediated phospha-Mannich/intramolecular nucleophilic substitution reaction as a general method for making α-amino phosphonates. This transformation provides rapid access to cyclic tertiary α-amino phosphonates, having the advantages of moderate to excellent yields for various substrates (33−93%) and metal-free mild reaction conditions. This method would be a useful alternative to the classical metal-mediated synthesis of tertiary α-amino phosphonates, which is typically a challenging and low-yielding reaction. Moreover, this study, for the first time, demonstrated the critical role of thiourea moiety as an important accelerator of the sequential intramolecular nucleophilic substitution process in the phosphonylation such as the Kabachnik and Fields reaction. Further application of this method for the synthesis of active pharmaceutical ingredients and biologically significant compounds is under investigation.

EXPERIMENTAL SECTION

General Information. All reactions were carried out under an argon atmosphere in oven-dried glassware with magnetic stirring bar. Dry solvents (THF, toluene, and dichloromethane (DCM)) were obtained by solvent purification system under argon. All commercially available reagents were used as received without further purification. Purification of reaction products was carried out by flash column chromatography using silica gel 60 (230−400 mesh). Analytical thin-layer chromatography was performed on 0.25 mm aluminum-backed silica gel 60-F plates. Visualization was accompanied by UV light and $KMnO_4$ solution. Concentration under reduced pressure refers to the removal of volatiles using a rotary evaporator attached to a dry diaphragm pump (10−15 mmHg) followed by pumping to a constant weight with an oil pump (<300 mTorr). Infrared (IR) spectra were recorded on an IR spectrometer with KBr wafers or a film on KBr plate. High-resolution mass spectra (HRMS) were recorded on LCMS-IT-TOF mass spectrometer using ESI (electrospray ionization), MALDI (matrix-assisted laser desorption ionization), or APCI (atmospheric pressure chemical ionization). ^{1}H NMR spectra were recorded in $CDCl_3$ on 400 MHz NMR spectrometer. The ^{1}H chemical shifts are referenced to residual solvent signals at δ7.26 ($CHCl_3$) or δ0.00 (tetramethylsilane (TMS)). ^{1}H NMR coupling constants (J) are reported in Hertz (Hz), and multiplicities are indicated as follows: s (singlet), bs (broad singlet), d (doublet), t (triplet), m (multiplet), dd (doublet of doublet), dt (doublet of triplet). ^{13}C NMR spectra were proton decoupled and recorded in $CDCl_3$ on 100.5 MHz NMR spectrometer. The ^{13}C chemical shifts are referenced to solvent signals at δ77.16 ($CDCl_3$). ^{31}P NMR spectra were proton decoupled and recorded in $CDCl_3$ on 162 MHz NMR spectrometer. ^{31}P chemical shifts are reported relative to 85% H_3PO_4 (0.00 ppm) as an external standard.

*General procedure for the synthesis of NHP−thiourea (**GP-1**).* To a solution of the appropriate NHP−Cl (1.0 equiv) in DCM or toluene (25 mL) were added the corresponding hydroxy compound (1.0 equiv) and triethylamine (1.2 equiv) at 0 °C. After 2 h stirring at room temperature, the solvent was removed under vacuum. The obtained crude product was purified by chromatography over silica gel, eluting with 15−20% EtOAc/hexanes to give the corresponding NHP−thiourea as a colorless solid.

*4-((1,3-Diphenyl-1,3,2-diazaphospholidin-2-yl)oxy)-N-phenylbutanethioamide (**3a**).* 2-Chloro-1,3-diphenyl-1,3,2-diazaphospholidine[19] (1.00 g, 3.62 mmol), 1-(2-hydroxyethyl)-3-phenylthiourea[20] (0.711 g, 3.62 mmol), and triethylamine (0.438 g, 4.34 mmol) in dry DCM (25 mL) were subjected to the reaction conditions described in **GP-1**. Colorless crystalline solid **3a** (1.13 g, 2.58 mmol, 71%). mp: 112−113 °C. IR (KBr, cm^{-1}): 3394, 3182, 3020, 2866, 1597, 1496, 1276, 1030; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.73 (bs, 1H), 7.37 (app t, J = 7.2, Hz, 2H), 7.30−7.23 (m, 5H), 7.10−7.07 (m, 4H), 7.04 (d, J = 7.5 Hz, 2H), 6.91 (app t, J = 7.3, Hz, 2H), 6.26 (bs, 1H), 3.88−3.84 (m, 2H), 3.82−3.75 (m, 2H), 3.73−3.71 (m, 2H), 3.68−3.65 (m, 2H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 180.4, 144.7 (d, J = 17.9 Hz), 136.0, 130.0, 129.4, 127.0, 124.9, 120.3, 115.3 (d, J = 14.2 Hz), 61.8, 47.4 (d, J = 9.7 Hz), 45.9; ^{31}P NMR (162 MHz, $CDCl_3$): δ 104.30 ppm; HRMS (APCI) calcd for $C_{23}H_{25}N_4OPS$ [M+Cl]$^-$: 471.1181; found: 471.1187.

*1-(3,5-Bis(trifluoromethyl)phenyl)-3-(2-((1,3-diphenyl-1,3,2-diazaphospholidin-2-yl)oxy)ethyl)thiourea (**3b**).* 2-Chloro-1,3-diphenyl-1,3,2-diazaphospholidine[19] (0.506 g, 1.80 mmol), 1-(3,5-bis(trifluoromethyl)phenyl)-3-(2-hydroxyethyl)thiourea[21] (0.661 g, 1.80 mmol), and triethylamine (0.219 g, 2.19 mmol) in dry DCM (15 mL) were subjected to the reaction conditions described in **GP-1**. Colorless crystalline solid **3b** (0.346 g, 0.604 mmol, 34%). mp: 118−121 °C. IR (KBr, cm^{-1}): 3340, 3217, 3041, 2805, 1597, 1469, 1276, 1026; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.73 (bs, 2H), 7.63 (s, 1H), 7.30 (t, J = 8.5 Hz, 4H), 7.16 (d, J = 7.2 Hz, 4H), 6.93 (app t, J = 7.3 Hz, 2H), 6.72 (bs, 1H), 6.08 (bs, 1H), 3.95−3.92 (m, 2H), 3.84−3.78 (m, 4H), 3.66 (bs, 2H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 180.9, 144.6 (d, J = 17.9 Hz), 139.5, 132.3 (q, J = 34.4 Hz), 129.7, 124.3, 123.5, 120.5, 118.6, 116.2 (d, J = 14.2 Hz), 62.2, 47.3 (d, J = 9.7 Hz), 45.8; ^{31}P NMR (162 MHz, $CDCl_3$): δ 104.86 ppm; HRMS (APCI): found monoisotopic [M$^+$] values corresponding to one particular part of the compound; calcd for $C_{11}H_9F_6N_2S$ [M$^+$] (1-(3,5-bis(trifluoromethyl)-phenyl)-3-ethylthiourea): 315.0391; found 315.0376.

*1-(2-((1,3-Diphenyl-1,3,2-diazaphospholidin-2-yl)oxy)ethyl)-3-(4-methoxyphenyl)thiourea (**3c**).* 2-Chloro-1,3-diphenyl-1,3,2-diazaphospholidine[19] (0.305 g, 1.08 mmol), 1-(2-hydroxyethyl)-3-(4-methoxyphenyl)thiourea[22] (0.245 g, 1.08 mmol), and triethylamine (0.131 g, 1.29 mmol) in dry DCM (10 mL) were subjected to the reaction conditions described in **GP-1**. Colorless solid **3c** (0.201 g, 0.431 mmol, 40%). mp: 81−83 °C. IR (KBr, cm^{-1}): 3379, 3194, 3036, 2866, 1597, 1508, 1276, 1030; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.30−7.26 (m, 4H), 7.11−7.08 (m, 4H), 6.96−6.87 (m, 6H), 6.03 (bs, 1H), 3.90−3.86 (m, 2H), 3.84 (s, 3H), 3.81−3.76 (m, 2H), 3.74−3.64 (m, 4H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 180.9, 158.8, 144.7 (d, J = 17.9 Hz), 129.4, 129.0, 127.4, 120.3, 115.4, 115.2 (d, J = 9.7 Hz), 61.9, 55.5, 47.5 (d, J = 9.7 Hz), 45.9; ^{31}P NMR (162 MHz, $CDCl_3$): δ 104.07 ppm; HRMS (MALDI) for $C_{24}H_{27}N_4O_2PS$ [M + H]$^+$: 467.1671; found: 467.1677.

*1-(2-((1,3-Diphenyl-1,3,2-diazaphospholidin-2-yl)oxy)ethyl)-1-methyl-3-phenylthiourea (**3d**).* 2-Chloro-1,3-diphenyl-1,3,2-diazaphospholidine[19] (1.00 g, 3.62 mmol), 1-(2-hydroxyethyl)-1-methyl-3-phenylthiourea[23] (0.758 g, 3.62 mmol), and triethylamine (0.438 g, 4.34 mmol) in dry DCM (25 mL) were subjected to the reaction conditions described in **GP-1**. Colorless solid **3d** (0.460 g, 1.02 mmol, 29%). mp: 119−121 °C. IR (KBr, cm^{-1}): 3302, 3032, 2870, 1597, 1492, 1273, 1026; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.93 (bs, 1H), 7.32−7.25 (m, 8H), 7.13 (d, J = 7.8 Hz, 2H), 6.93 (app t, J = 7.3 Hz, 2H), 3.92−3.82 (m, 4H), 3.78 (quint, J = 3.7 Hz, 2H), 3.73 (bs, 2H), 3.04 (s, 3H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 182.9, 144.5 (d, J =

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17.2 Hz), 139.9, 129.5, 128.6, 125.0, 124.5, 120.6, 115.4 (d, J = 14.2 Hz), 61.9, 54.4, 47.5 (d, J = 9.7 Hz), 39.9; ^{31}P NMR (162 MHz, $CDCl_3$): δ 105.70 ppm; HRMS (MALDI) for $C_{24}H_{27}N_4OPS$ $[M + H]^+$: 451.1721; found: 451.1727.

*N-(2-((1,3-Diphenyl-1,3,2-diazaphospholidin-2-yl)oxy)ethyl)-N-methylbenzamide (**3e**).* 2-Chloro-1,3-diphenyl-1,3,2-diazaphospholidine[19] (0.500 g, 1.80 mmol), *N*-(2-hydroxyethyl)-*N*-methylbenzamide[24] (0.320 g, 1.80 mmol), and triethylamine (0.219 g, 2.19 mmol) in dry DCM (15 mL) were subjected to the reaction conditions described in **GP-1**. Colorless solid **3e** (0.280 g, 0.668 mmol, 37%). mp: 133−136 °C. IR (KBr, cm^{-1}): 3406, 3051, 2854, 1712, 1600, 1504, 1257, 1026; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.35−7.27 (m, 8H), 7.19−7.02 (m, 5H), 6.93 (tt, J = 7.4, 0.9 Hz, 2H), 3.94−3.77 (m, 6H), 3.54 (bs, 2H), 2.87−2.85 (m, 3H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 171.4, 145.2 (d, J = 17.2 Hz), 136.3, 129.4, 129.2, 128.2, 126.7, 120.6, 115.2 (d, J = 14.2 Hz), 62.3, 48.8, 47.5 (d, J = 9.7 Hz), 39.6; ^{31}P NMR (162 MHz, $CDCl_3$): δ 102.60 ppm; HRMS (ESI): found monoisotopic $[M^+]$ values corresponding to one particular part of the compound; calcd for $C_{10}H_{12}NO$ $[M^+]$ (*N*-ethyl-*N*-methyl benzamide fragment): 162.0919; found 162.0923.

*2-Ethoxy-1,3-diphenyl-1,3,2-diazaphospholidine (**3f**).* 2-Chloro-1,3-diphenyl-1,3,2-diazaphospholidine[19] (0.600 g, 2.16 mmol), ethanol (0.110 g, 2.39 mmol), and triethylamine (0.261 g, 0.258 mmol) in dry DCM (10 mL) were subjected to the reaction conditions described in **GP-1**. White solid **3f** (0.208 g, 0.727 mmol, 34%). mp: 88−89 °C. IR (KBr, cm^{-1}): 1595, 1500, 1273, 1026; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.30 (t, J = 8.4 Hz, 4H), 7.17−7.15 (m, 4H), 6.92 (t, J = 7.3 Hz, 2H), 3.89−3.77 (m, 4H), 3.64 (quint, J = 7.0 Hz, 2H), 1.05 (t, J = 6.9 Hz, 3H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 145.2 (d, J = 17.2 Hz), 129.3, 119.9 (d, J = 1.5 Hz), 115.3 (d, J = 14.2 Hz), 59.2, 47.3 (d, J = 9.7 Hz), 16.6 (d, J = 2.9 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 103.26 ppm; HRMS (APCI) calcd for $C_{16}H_{19}N_2OP$ $[M + H]^+$: 287.1308; found: 287.1301

*General procedure for the synthesis of aminophosphonates (**GP-2**).* To a solution of NHP−thiourea (0.1 mmol, 1.0 equiv) and aldehyde (0.2 mmol, 2.0 equiv) in 1,2-dichloroethane (0.43 mL) was added secondary amine (0.2 mmol, 2.0 equiv) followed by 4 Å molecular sieves (50 mg), and the mixture was stirred at 85 °C. After stirring for 14 h, the volatile was removed under vacuum and the crude product was purified by flash column chromatography over silica gel, eluting with 25−35% EtOAc/hexanes to yield the corresponding aminophosphonate as solids.

*2-(Morpholino(phenyl)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4a**).* Colorless solid **4a** (39.1 mg, 0.090 mmol, 90%). R_f = 0.4 (hexanes/EtOAc = 1:1). mp: 209−210 °C. IR (neat, cm^{-1}): 3059, 2962, 2852, 1599, 1498, 1273, 1129, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.54 (d, J = 8.6 Hz, 2H), 7.36 (q, J = 7.4 Hz, 4H), 7.25−7.16 (m, 5H), 7.07 (q, J = 7.6 Hz, 2H), 6.90 (d, J = 7.4 Hz, 2H), 4.07 (d, J = 9.2 Hz, 1H), 3.69−3.59 (m, 5H), 3.27 (dq, J = 8.6, 2.5 Hz, 1H), 3.03−2.95 (m, 3H), 2.49−2.44 (m, 2H), 2.19 (dq, J = 8.4, 2.1 Hz, 1H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.1 (dd, J = 38.1, 7.5 Hz), 134.3 (d, J = 5.9 Hz), 130.3 (d, J = 7.5 Hz), 129.4 (d, J = 30.7 Hz), 128.3 (d, J = 3.0 Hz), 128.1 (d, J = 2.2 Hz), 122.3 (d, J = 47.6 Hz), 117.8 (dd, J = 198.9, 3.7 Hz), 72.1 (d, J = 129.0 Hz), 67.4, 53.9 (d, J = 8.2 Hz), 43.4 (dd, J = 57.6, 6.7 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 25.03 ppm; HRMS (ESI) calcd for $C_{25}H_{28}N_3O_2P$ $[M + Na]^+$: 456.1811; found: 456.1810.

*2-((4-Bromophenyl)(morpholino)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4b**).* Pale brown solid **4b** (46.4 mg, 0.091 mmol, 91%). R_f = 0.4 (hexanes/EtOAc = 1:1). mp: 169−172 °C. IR (neat, cm^{-1}): 3057, 2958, 2852, 1599, 1504, 1269, 1163, 1008; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.50 (d, J = 8.0 Hz, 2H), 7.38−7.31 (m, 6H), 7.22 (d, J = 8.0 Hz, 2H), 7.08 (q, J = 7.8 Hz, 2H), 6.79 (d, J = 8.2 Hz, 2H), 4.04 (d, J = 9.9 Hz, 1H), 3.72−3.57 (m, 5H), 3.34 (q, J = 11.1, 2.5 Hz, 1H), 3.11−2.99 (m, 3H), 2.44−2.32 (m, 3H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 141.8 (dd, J = 41.4, 7.5 Hz), 133.4 (d, J = 5.4 Hz), 131.8 (d, J = 6.7 Hz), 131.2 (d, J = 2.2 Hz), 129.4 (d, J = 32.2 Hz), 122.6 (d, J = 46.4 Hz), 122.3 (d, J = 4.5 Hz), 117.9 (dd, J = 206.4, 3.7 Hz), 71.4 (d, J = 128.6 Hz), 67.2, 53.8 (d, J = 7.5 Hz), 43.6 (dd, J = 46.4, 6.7 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 25.64 ppm; HRMS (ESI) calcd for $C_{25}H_{27}BrN_3O_2P$ $[M + Na]^+$: 534.0916; found: 534.0925.

*2-((4-Chlorophenyl)(morpholino)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4c**).* Off-white solid **4c** (40.2 mg, 0.086 mmol, 86%). R_f = 0.4 (hexanes/EtOAc = 1:1). mp: 185−186 °C. IR (neat, cm^{-1}): 3057, 2958, 2852, 1599, 1494, 1269, 1116, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.51 (app d, J = 8.6 Hz, 2H), 7.39−7.33 (m, 4H), 7.23 (d, J = 8.2 Hz, 2H), 7.16 (d, J = 8.4 Hz, 2H), 7.09 (q, J = 7.4 Hz, 2H), 6.87−6.83 (m, 2H), 4.05 (d, J = 9.9 Hz, 1H), 3.73−3.57 (m, 5H), 3.35 (dq, J = 9.0, 2.3 Hz, 1H), 3.12−2.94 (m, 3H), 2.46−2.40 (m, 2H), 2.35 (dq, J = 8.4, 2.7 Hz, 1H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 141.8 (dd, J = 41.9, 7.5 Hz), 134.1 (d, J = 3.7 Hz), 132.9 (d, J = 5.2 Hz), 131.5 (d, J = 6.2 Hz), 129.4 (d, J = 31.7 Hz), 128.3 (d, J = 2.2 Hz), 122.4 (d, J = 47.1 Hz), 117.9 (dd, J = 207.1, 3.7 Hz), 71.4 (d, J = 128.6 Hz), 67.3, 53.9 (d, J = 8.2 Hz), 43.7 (dd, J = 47.9, 7.5 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 25.80 ppm; HRMS (ESI) calcd for $C_{25}H_{27}ClN_3O_2P$ $[M + Na]^+$: 490.1422; found: 490.1424.

*2-((4-Fluorophenyl)(morpholino)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4d**).* Colorless solid **4d** (39.1 mg, 0.087 mmol, 87%). R_f = 0.3 (hexanes/EtOAc = 1:1). mp: 194−196 °C. IR (neat, cm^{-1}): 3059, 2976, 2854, 1600, 1504, 1273, 1114, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.51 (d, J = 8.0 Hz, 2H), 7.38−7.33 (m, 4H), 7.22 (d, J = 8.0 Hz, 2H), 7.08 (q, J = 7.2 Hz, 2H), 6.88 (d, J = 7.2 Hz, 4H), 4.05 (d, J = 9.8 Hz, 1H), 3.72−3.58 (m, 5H), 3.33 (q, J = 8.6 Hz, 1H), 3.11−2.98 (m, 3H), 2.46−2.30 (m, 3H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 162.5 (dd, J = 248.3, 3.0 Hz), 142.4 (dd, J = 42.6, 7.5 Hz), 131.8 (t, J = 7.5 Hz), 130.1 (t, J = 3.0 Hz), 129.3 (d, J = 30.7 Hz), 122.5 (d, J = 44.9 Hz), 117.9 (dd, J = 203.4, 3.7 Hz), 115.1 (dd, J = 21.7, 2.2 Hz), 71.2 (d, J = 129.4 Hz), 67.3, 53.8 (d, J = 8.2 Hz), 43.6 (dd, J = 51.6, 7.5 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 26.33 ppm (d, J = 4.9 Hz); HRMS (ESI) calcd for $C_{25}H_{27}FN_3O_2P$ $[M + Na]^+$: 474.1717; found: 474.1718.

*2-((2-Fluorophenyl)(morpholino)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4e**).* Colorless solid **4e** (39.8 mg, 0.088 mmol, 88%). R_f = 0.5 (hexanes/EtOAc = 1:1). mp: 178−179 °C. IR (neat, cm^{-1}): 3055, 2966, 2854, 1600, 1494, 1269, 1114, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.37−7.23 (m, 9H), 7.19−7.13 (m, 1H), 7.09−7.05 (m, 1H), 7.00 (t, J = 7.2 Hz, 1H), 6.94−6.87 (m, 2H), 4.57 (d, J = 16.0 Hz, 1H), 3.71 (quint, J = 8.0 Hz, 1H), 3.58−3.41 (m, 6H), 3.15−3.08 (m, 1H), 2.62−2.53 (m, 4H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 161.3 (dd, J = 247.6, 9.7 Hz), 142.4 (dd, J = 68.8, 7.5 Hz), 132.4 (dd, J = 4.5, 3.0 Hz), 129.8 (dd, J = 8.2, 2.2 Hz), 129.2 (d, J = 17.9 Hz), 123.5 (dd, J = 3.7, 2.2 Hz), 122.7 (d, J = 10.5 Hz), 120.0 (d, J = 14.9 Hz), 118.5 (dd, J = 84.5, 3.7 Hz), 115.4 (d, J = 23.2 Hz), 67.2, 62.5 (d, J = 136.9 Hz), 52.8 (d, J = 7.5 Hz), 44.1 (dd, J = 17.2, 7.5 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 25.14 ppm (d, J = 7.1 Hz); HRMS (ESI) calcd for $C_{25}H_{27}FN_3O_2P$ $[M + Na]^+$: 474.1717; found: 474.1720.

*2-((2-Bromo-4-chlorophenyl)(morpholino)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4f**).* Off-white solid **4f** (45.4 mg, 0.083 mmol, 83%). R_f = 0.5 (hexanes/EtOAc = 1:1). mp: 176−178 °C. IR (neat, cm^{-1}): 3061, 2962, 2854, 1599, 1504, 1267, 1116, 1035; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.43−7.31 (m, 6H), 7.22 (d, J = 7.8 Hz, 2H), 7.16 (t, J = 8.6 Hz, 2H), 7.07 (t, J = 6.3 Hz, 1H), 7.02−6.93 (m, 2H), 4.80 (d, J = 18.2 Hz, 1H), 3.72−3.62 (m, 3H), 3.48−3.41 (m, 5H), 2.69−2.56 (m, 4H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.4 (dd, J = 108.4, 6.7 Hz), 134.6 (d, J = 2.2 Hz), 133.5 (d, J = 3.7 Hz), 132.5, 130.6 (d, J = 3.7 Hz), 129.2 (d, J = 29.9 Hz), 127.2 (d, J = 11.9 Hz), 126.9 (d, J = 2.2 Hz), 122.9, 118.9 (dd, J = 40.4, 3.7 Hz), 68.5 (d, J = 135.4 Hz), 67.1, 52.2 (d, J = 7.5 Hz), 44.2 (dd, J = 17.2, 9.0 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 23.68 ppm; HRMS (ESI) calcd for $C_{25}H_{26}BrClN_3O_2P$ $[M + Na]^+$: 568.0527; found: 568.0534.

*2-((2,4-Dichlorophenyl)(morpholino)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4g**).* Colorless solid **4g** (43.8 mg, 0.087 mmol, 87%). R_f = 0.4 (hexanes/EtOAc = 1:1). mp: 168−170 °C. IR (neat, cm^{-1}): 3072, 2968, 2852, 1599, 1502, 1269, 1114, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.42−7.31 (m, 5H), 7.26−7.16 (m, 5H), 7.07 (t, J = 7.2 Hz, 1H), 7.00−6.95 (m, 2H), 4.78 (d, J = 17.8, Hz, 1H), 3.72−3.58 (m, 3H), 3.47−3.38 (m, 5H), 2.66−2.57 (m, 4H); ^{13}C

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NMR (100.5 MHz, $CDCl_3$): δ 142.1 (dd, *J* = 101.7, 6.7 Hz), 136.4 (d, *J* = 11.9 Hz), 134.5 (d, *J* = 3.0 Hz), 133.5 (d, *J* = 4.5 Hz), 129.4, 129.2 (d, *J* = 28.4 Hz), 129.0 (d, *J* = 3.0 Hz), 126.4 (d, *J* = 1.5 Hz), 122.9 (d, *J* = 6.0 Hz), 118.9 (dd, *J* = 52.4, 3.7 Hz), 67.1, 65.7 (d, *J* = 136.1 Hz), 52.4 (d, *J* = 8.2 Hz), 44.2 (dd, *J* = 13.5, 8.2 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 23.80 ppm; HRMS (ESI) calcd for $C_{25}H_{26}Cl_2N_3O_2P$ [M + Na]$^+$: 524.1032; found: 524.1043.

*2-((2-Bromo-4-methylphenyl)(morpholino)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4h**).* Colorless solid **4h** (48.9 mg, 0.093 mmol, 93%). R_f = 0.5 (hexanes/EtOAc = 1:1). mp: 169−171 °C. IR (neat, cm^{-1}): 3059, 2957, 2854, 1599, 1494, 1269, 1116, 1037; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.39 (d, *J* = 7.8 Hz, 2H), 7.34−7.25 (m, 5H), 7.21−7.16 (m, 3H), 7.05 (t, *J* = 8.2 Hz, 1H), 6.94 (t, *J* = 7.2 Hz, 1H), 6.83 (d, *J* = 8.0 Hz, 1H), 4.85 (d, *J* = 18.1 Hz, 1H), 3.71−3.54 (m, 3H), 3.48 (t, *J* = 8.8, Hz, 4H), 3.47−3.27 (m, 1H), 2.65 (bs, 4H), 2.20 (s, 3H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.3 (dd, *J* = 95.7, 6.7 Hz), 139.8 (d, *J* = 2.2 Hz), 133.5, 132.5 (d, *J* = 4.5 Hz), 129.1 (d, *J* = 32.9 Hz), 128.8 (d, *J* = 3.0 Hz), 127.5 (d, *J* = 2.0 Hz), 126.9 (d, *J* = 11.9 Hz), 122.5 (d, *J* = 13.5 Hz), 118.5 9 (dd, *J* = 50.1, 3.7 Hz), 69.2 (d, *J* = 216.0 Hz), 67.2, 52.4 (d, *J* = 8.9 Hz), 44.0 (dd, *J* = 21.7, 8.2 Hz), 20.7; ^{31}P NMR (162 MHz, $CDCl_3$): δ 25.00 ppm; HRMS (ESI) calcd for $C_{26}H_{29}BrN_3O_2P$ [M + Na]$^+$: 548.1073; found: 548.1070.

*2-((3,5-Dimethylmorpholino)(phenyl)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4i**).* Off-white solid **4i** (40.4 mg, 0.087 mmol, 87%). R_f = 0.5 (hexanes/EtOAc = 1:1). mp: 188−189 °C. IR (neat, cm^{-1}): 3061, 2972, 2874, 1599, 1494, 1269, 1126, 1035; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.52 (d, *J* = 8.6 Hz, 2H), 7.34 (t, *J* = 8.2 Hz, 4H), 7.25−7.16 (m, 5H), 7.06 (q, *J* = 7.2 Hz, 2H), 6.91−6.89 (m, 2H), 4.09−4.05 (m, 2H), 3.70−3.62 (m, 2H), 3.56−3.50 (m, 1H), 3.29 (dq, *J* = 8.8, 2.7 Hz, 1H), 3.07 (dq, *J* = 8.4, 2.7 Hz, 1H), 2.50 (d, *J* = 11.3 Hz, 1H), 2.24 (dq, *J* = 8.4, 2.5 Hz, 1H), 2.00 (t, *J* = 10.7 Hz, 1H), 1.39 (t, *J* = 10.7 Hz, 1H), 1.18 (d, *J* = 6.3 Hz, 3H), 0.93 (t, *J* = 6.3 Hz, 3H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.1 (dd, *J* = 39.6, 7.5 Hz), 134.3 (d, *J* = 4.5 Hz), 130.3 (d, *J* = 7.5 Hz), 129.3 (d, *J* = 29.2 Hz), 128.2 (d, *J* = 3.0 Hz), 128.1 (d, *J* = 2.2 Hz), 122.4 (d, *J* = 52.3 Hz), 117.9 (dd, *J* = 216.9, 3.7 Hz), 71.9 (d, *J* = 6.7 Hz), 71.8 (d, *J* = 128.6 Hz), 60.1 (d, *J* = 2.2 Hz), 58.2 (d, *J* = 13.5 Hz), 43.6 (dd, *J* = 74.8, 6.7 Hz), 19.3 (d, *J* = 14.9 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 26.66 ppm; HRMS (ESI) calcd for $C_{27}H_{32}N_3O_2P$ [M + Na]$^+$: 484.2124; found: 484.2126.

*2-(Morpholino(o-tolyl)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4j**).* Off-white solid **4j** (35.3 mg, 0.079 mmol, 79%). R_f = 0.3 (hexanes/EtOAc = 1:1). mp: 199−201 °C. IR (neat, cm^{-1}): 3057, 2957, 2850, 1599, 1494, 1271, 1116, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.57 (d, *J* = 8.2 Hz, 2H), 7.39−7.28 (m, 5H), 7.19 (d, *J* = 8.2 Hz, 2H), 7.14−7.01 (m, 5H), 4.44 (d, *J* = 10.6 Hz, 1H), 3.75−3.55 (m, 5H), 3.34−3.01 (m, 4H), 2.48−2.44 (m, 2H), 2.31 (q, *J* = 8.2 Hz, 1H), 1.59 (s, 3H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.3 (dd, *J* = 58.3, 7.5 Hz), 138.4 (d, *J* = 8.9 Hz), 132.0 (d, *J* = 3.7 Hz), 130.8 (d, *J* = 1.5 Hz), 130.7, 128.7 (d, *J* = 36.6 Hz), 127.8 (d, *J* = 2.2 Hz), 125.3 (d, *J* = 3.0 Hz), 122.3 (d, *J* = 36.6 Hz), 117.8 (dd, *J* = 205.7, 3.7 Hz), 67.4, 53.7 (d, *J* = 8.9 Hz), 43.9 (d, *J* = 7.5 Hz), 43.2 (d, *J* = 6.7 Hz), 19.6; ^{31}P NMR (162 MHz, $CDCl_3$): δ 27.72 ppm; HRMS (ESI) calcd for $C_{26}H_{30}N_3O_2P$ [M + Na]$^+$: 470.1968; found: 470.1973.

*2-(Morpholino(p-tolyl)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4k**).* Off-white solid **4k** (37.2 mg, 0.083 mmol, 83%). R_f = 0.3 (hexanes/EtOAc = 1:1). mp: 189−190 °C. IR (neat, cm^{-1}): 3055, 2957, 2850, 1599, 1496, 1271, 1116, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.54 (d, *J* = 8.0 Hz, 2H), 7.36 (q, *J* = 7.4 Hz, 4H), 7.22 (d, *J* = 8.0 Hz, 2H), 7.08 (q, *J* = 7.2 Hz, 2H), 6.98 (d, *J* = 7.8 Hz, 2H), 6.78 (d, *J* = 6.3 Hz, 2H), 4.03 (d, *J* = 9.2 Hz, 1H), 3.69−3.60 (m, 5H), 3.27 (q, *J* = 10.8 Hz, 1H), 3.05−2.96 (m, 3H), 2.48−2.42 (m, 2H), 2.29 (s, 3H), 2.21 (q, *J* = 7.2 Hz, 1H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.1 (dd, *J* = 38.1, 7.5 Hz), 138.1 (d, *J* = 3.7 Hz), 131.1 (d, *J* = 5.2 Hz), 130.2 (d, *J* = 7.5 Hz), 129.3 (d, *J* = 29.9 Hz), 128.8 (d, *J* = 3.0 Hz), 122.2 (d, *J* = 31.4 Hz), 117.7 (dd, *J* = 178.0, 4.5 Hz), 72.2 (d, *J* = 129.4 Hz), 67.4, 53.9 (d, *J* = 8.2 Hz), 43.4 (dd, *J* = 41.4, 6.7 Hz), 21.3; ^{31}P NMR (162 MHz, $CDCl_3$): δ 27.14 ppm; HRMS (ESI) calcd for $C_{26}H_{30}N_3O_2P$ [M + Na]$^+$: 470.1968; found: 470.1964.

*2-((4-Methoxyphenyl)(morpholino)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4l**).* Off-white solid **4l** (34.1 mg, 0.074 mmol, 74%). R_f = 0.3 (hexanes/EtOAc = 1:1). mp: 178−180 °C. IR (neat, cm^{-1}): 3059, 2960, 2854, 1600, 1504, 1271, 1116, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.54 (d, *J* = 7.8 Hz, 2H), 7.39−7.33 (m, 4H), 7.22 (d, *J* = 8.4 Hz, 2H), 7.08 (q, *J* = 7.2 Hz, 2H), 6.83−6.79 (m, 2H), 6.71 (d, *J* = 2.7 Hz, 2H), 4.02 (d, *J* = 8.8 Hz, 1H), 3.77 (s, 3H), 3.73−3.61 (m, 5H), 3.31 (dq, *J* = 8.6, 2.5 Hz, 1H), 3.09−3.02 (m, 3H), 2.47−2.42 (m, 2H), 2.30 (dq, *J* = 8.6, 2.5 Hz, 1H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 159.5 (d, *J* = 2.2 Hz), 142.2 (dd, *J* = 40.4, 7.5 Hz), 131.5 (d, *J* = 6.7 Hz), 129.3 (d, *J* = 29.9 Hz), 126.2 (d, *J* = 5.2 Hz), 122.3 (d, *J* = 32.9 Hz), 117.8 (dd, *J* = 180.3, 4.5 Hz), 113.5 (d, *J* = 2.2 Hz), 71.3 (d, *J* = 130.1 Hz), 67.4, 55.4, 53.8 (d, *J* = 8.2 Hz), 43.6 (dd, *J* = 37.4, 6.7 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 27.40 ppm; HRMS (ESI) calcd for $C_{26}H_{30}N_3O_3P$ [M + Na]$^+$: 486.1917; found: 486.1925.

*2-Morpholino(4-(trifluoromethyl)phenyl)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4m**).* Colorless solid **4m** (41.7 mg, 0.083 mmol, 83%). R_f = 0.4 (hexanes/EtOAc = 1:1). mp: 202−204 °C. IR (neat, cm^{-1}): 3059, 2960, 2858, 1599, 1504, 1269, 1166, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.50−7.43 (m, 4H), 7.39−7.34 (m, 4H), 7.24 (d, *J* = 8.2 Hz, 2H), 7.12−7.06 (m, 4H), 4.15 (d, *J* = 10.9, Hz, 1H), 3.72−3.57 (m, 5H), 3.36 (dq, *J* = 8.8, 3.1 Hz, 1H), 1H), 3.12−2.94 (m, 3H), 2.47−2.42 (m, 2H), 2.36 (dq, *J* = 8.4, 3.1 Hz, 1H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 141.8 (dd, *J* = 45.6, 7.5 Hz), 138.6 (d, *J* = 4.5 Hz), 130.6 (d, *J* = 6.7 Hz), 130.3 (d, *J* = 3.0 Hz), 129.5 (d, *J* = 34.4 Hz), 125.0−124.9 (m), 124.0 (d, *J* = 270.9 Hz), 122.8 (d, *J* = 55.3 Hz), 118.1 (dd, *J* = 219, 4.5 Hz), 71.8 (d, *J* = 128.6 Hz), 67.2, 53.8 (d, *J* = 8.2 Hz), 43.9 (dd, *J* = 56.8, 7.5 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 24.86 ppm (d, *J* = 1.9 Hz); HRMS (ESI) calcd for $C_{26}H_{27}F_3N_3O_2P$ [M + Na]$^+$: 524.1685; found: 524.1702.

*2-(Morpholino(4-nitrophenyl)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4n**).* Off-white solid **4n** (20.1 mg, 0.043 mmol, 43%). R_f = 0.3 (hexanes/EtOAc = 1:1). mp: 172−174 °C. IR (neat, cm^{-1}): 3060, 2957, 2853, 1598, 1519, 1347, 1269, 1115, 1034; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.05 (app d, *J* = 8.0 Hz, 2H), 7.49−7.46 (m, 2H), 7.38 (q, *J* = 7.0 Hz, 4H), 7.25 (d, *J* = 7.1 Hz, 2H), 7.16−7.09 (m, 4H), 4.22 (d, *J* = 11.5 Hz, 1H), 3.71 (dq, *J* = 8.0, 3.3 Hz, 1H), 3.65−3.54 (m, 4H), 3.41 (dq, *J* = 8.8, 3.3 Hz, 1H), 3.18−3.10 (m, 1H), 2.93 (bs, 2H), 2.51−2.42 (m, 3H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 147.7, 142.0 (dd, *J* = 16.5, 4.5 Hz), 141.4 (d, *J* = 7.5 Hz), 131.1 (d, *J* = 6.7 Hz), 129.5 (d, *J* = 36.6 Hz), 123.4 (d, *J* = 29.9 Hz), 123.0 (d, *J* = 32.2 Hz), 118.3 (dd, *J* = 240.1, 3.7 Hz), 71.7 (d, *J* = 127.2 Hz), 67.1, 53.8 (d, *J* = 8.9 Hz), 44.2 (dd, *J* = 62.8, 7.5 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 23.71 ppm; HRMS (ESI) calcd for $C_{25}H_{27}N_4O_4P$ [M + Na]$^+$: 501.1662; found: 501.1664.

*2-(Furan-2-yl(morpholino)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4o**).* Pale brown solid **4o** (14.1 mg, 0.033 mmol, 33%). R_f = 0.3 (hexanes/EtOAc = 1:1). mp: 180−183 °C. IR (neat, cm^{-1}): 3059, 2958, 2854, 1599, 1496, 1273, 1112, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.44−7.41 (m, 2H), 7.37−7.30 (m, 6H), 7.24−7.23 (m, 1H), 7.09−7.03 (m, 2H), 6.24 (q, *J* = 1.4 Hz, 1H), 6.06 (q, *J* = 1.4 Hz, 1H), 4.23 (d, $^2J_{P-H}$ = 20.5 Hz, 1H), 3.82−3.66 (m, 3H), 3.56−3.47 (m, 5H), 2.57−2.52 (m, 2H), 2.34−2.29 (m, 2H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 147.6 (d, *J* = 2.2 Hz), 142.7 (d, *J* = 2.2 Hz), 142.2 (dd, *J* = 7.5 Hz), 129.3 (d, *J* = 3.0 Hz), 122.7 (d, *J* = 8.9 Hz), 118.5 (dd, *J* = 13.5, 4.5 Hz), 122.9, 111.9 (d, *J* = 7.5 Hz), 110.6 (d, *J* = 135.4 Hz), 67.3, 63.3 (d, *J* = 139.1 Hz), 52.4 (d, *J* = 6.7 Hz), 44.1 (d, *J* = 7.5 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 24.29 ppm; HRMS (ESI) calcd for $C_{23}H_{26}N_3O_3P$ [M + Na]$^+$: 446.1604; found: 446.1603.

*2-(Morpholino(thiophen-2-yl)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4p**).* Colorless solid **4p** (30.3 mg, 0.069 mmol, 69%). R_f = 0.3 (hexanes/EtOAc = 1:1). mp: 207−209 °C. IR (neat, cm^{-1}): 3059, 2918, 2848, 1599, 1496, 1273, 1112, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.50 (d, *J* = 7.6 Hz, 2H), 7.36−7.26 (m, 6H), 7.19 (d, *J* = 5.1 Hz, 1H), 7.07 (t, *J* = 7.2 Hz, 2H), 6.86 (d, *J* = 4.5 Hz, 1H), 6.58 (bs, 1H), 4.38 (d, *J* = 15.1 Hz, 1H), 3.79 (m, 1H), 3.59−3.43 (m, 6H), 2.91−2.84 (m, 1H), 2.70 (bs, 2H), 2.54−2.49 (m, 2H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.0 (dd, *J* = 62.1, 7.5 Hz),

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135.3 (d, J = 1.5 Hz), 129.3 (d, J = 26.2 Hz), 128.9 (d, J = 8.2 Hz), 126.6 (d, J = 2.2 Hz), 126.2 (d, J = 3.0 Hz), 122.8 (d, J = 57.6 Hz), 118.7 (dd, J = 223.6, 3.6 Hz), 67.2, 66.1 (d, J = 136.1 Hz), 52.9 (d, J = 7.5 Hz), 44.4 (dd, J = 94.2, 6.7 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 24.71 ppm; HRMS (ESI) calcd for $C_{23}H_{26}N_3O_2PS$ $[M + Na]^+$: 462.1376; found: 462.1378.

*2-(1-Morpholinobutyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4q**).* Off-white solid **4q** (25.0 mg, 0.063 mmol, 63%). R_f = 0.4 (hexanes/EtOAc = 1:1). mp: 165−167 °C. IR (neat, cm^{-1}): 3059, 2957, 2870, 1599, 1502, 1271, 1116, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.34−7.29 (m, 8H), 7.03−7.00 (m, 2H), 4.10−4.03 (m, 1H), 3.84−3.80 (m, 3H), 3.53−3.46 (m, 4H), 3.25−2.17 (m, 1H), 2.54−2.52 (m, 2H), 2.19−2.17 (m, 2H), 2.02−1.93 (m, 1H), 1.85−1.71 (m, 1H), 1.56−1.26 (m, 2H), 0.88 (t, J = 6.1 Hz, 3H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.8 (dd, J = 34.4, 8.2 Hz), 129.5 (d, J = 3.0 Hz), 122.1 (d, J = 12.7 Hz), 117.0 (dd, J = 29.9, 4.5 Hz), 67.7, 63.6 (d, J = 129.0 Hz), 51.0, 44.3 (dd, J = 83.8, 5.9 Hz), 28.9 (d, J = 5.9 Hz), 23.2 (d, J = 17.2 Hz), 13.9 (d, J = 1.5 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 32.52 ppm; HRMS (ESI) calcd for $C_{22}H_{30}N_3O_2P$ $[M + Na]^+$: 422.1968; found: 422.1975.

*2-(Morpholinomethyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4r**).* Off-white solid **4r** (25.5 mg, 0.071 mmol, 71%). R_f = 0.2 (hexanes/EtOAc = 1:1). mp: 170−172 °C. IR (neat, cm^{-1}): 3061, 2957, 2850, 1599, 1494, 1271, 1116, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.36−7.27 (m, 8H), 7.03 (app t, J = 5.9 Hz, 2H), 3.92−3.86 (m, 4H), 3.48−3.46 (m, 4H), 3.12 (d, J = 8.0 Hz, 2H), 2.18−2.16 (m, 4H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.0 (d, J = 8.2 Hz), 129.7, 122.1, 116.5 (d, J = 4.5 Hz), 67.3, 54.9 (d, J = 8.2 Hz), 54.2 (d, J = 137.6 Hz), 44.0 (d, J = 6.0 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 29.86 ppm; HRMS (ESI) calcd for $C_{19}H_{24}N_3O_2P$ $[M + Na]^+$: 380.1498; found: 380.1492.

*2-((4-Methylpiperazin-1-yl)(phenyl)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4s**).* Off-white solid **4s** (25.9 mg, 0.059 mmol, 59%). R_f = 0.2 (hexanes/EtOAc = 1:1). mp: 175−177 °C. IR (neat, cm^{-1}): 3059, 2933, 2839, 1599, 1494, 1269, 1126, 1035; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.52−7.49 (m, 2H), 7.38−7.33 (m, 4H), 7.24−7.16 (m, 5H), 7.10−7.05 (m, 2H), 6.90−6.88 (m, 2H), 4.10 (d, J = 9.8 Hz, 1H), 3.65 (dq, J = 8.2, 2.7 Hz, 1H), 3.29 (dq, J = 8.6, 2.7 Hz, 1H), 3.01 (dq, J = 8.4, 2.7 Hz, 1H), 2.58−2.56 (m, 7H), 2.35 (s, 3H), 2.23 (dq, J = 8.4, 2.5 Hz, 2H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.1 (dd, J = 24.7, 7.5 Hz), 134.4 (d, J = 4.5 Hz), 130.2 (d, J = 6.7 Hz), 129.4 (d, J = 34.4 Hz), 128.4 (d, J = 3.0 Hz), 128.1 (d, J = 2.2 Hz), 122.3 (d, J = 27.7 Hz), 117.8 (dd, J = 185.5, 4.5 Hz), 72.0 (d, J = 129.4 Hz), 55.2, 52.1, 45.3, 43.4 (dd, J = 57.8, 6.7 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 25.11 ppm; HRMS (ESI) calcd for $C_{26}H_{31}N_4OP$ $[M + Na]^+$: 469.2128; found: 469.2126.

*2-((4-Benzhydrylpiperazin-1-yl)(phenyl)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4t**).* Colorless solid **4t** (52.1 mg, 0.052 mmol, 87%). R_f = 0.6 (hexanes/EtOAc = 1:1). mp: 176−178 °C. IR (neat, cm^{-1}): 3059, 2962, 2808, 1599, 1494, 1269, 1128, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.47 (d, J = 7.8 Hz, 2H), 7.38 (d, J = 7.8 Hz, 2H), 7.37−7.29 (m, 6H), 7.24−7.02 (m, 13H), 6.87 (d, J = 6.3 Hz, 2H), 4.14 (s, 1H), 4.10 (d, J = 11.3 Hz, 1H), 3.64 (dq, J = 7.8, 2.9 Hz, 1H), 3.28 (dq, J = 8.6, 2.7 Hz, 1H), 3.07 (dq, J = 8.7, 3.0 Hz, 1H), 2.51−2.31 (m, 9H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.8 (d, J = 3.7 Hz), 142.2 (dd, J = 53.1, 7.5 Hz), 134.4 (d, J = 3.7 Hz), 130.4 (d, J = 7.5 Hz), 129.3 (d, J = 23.2 Hz), 128.5 (d, J = 6.7 Hz), 128.1 (d, J = 3.0 Hz), 128.0, 127.9 (d, J = 3.0 Hz, 1H), 122.1 (d, J = 19.5 Hz), 117.8 (dd, J = 137.6, 3.7 Hz), 76.2, 71.8 (d, J = 129.4 Hz), 53.3, 52.3, 43.5 (dd, J = 24.7, 6.7 Hz); ^{31}P NMR (162 MHz, $CDCl_3$): δ 27.21 ppm; HRMS (ESI) calcd for $C_{38}H_{39}N_4OP$ $[M + Na]^+$: 621.2754; found: 621.2763.

*2-((4-Cyclohexylpiperazin-1-yl)(phenyl)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4u**).* Off-white solid **4u** (35.2 mg, 0.068 mmol, 68%). R_f = 0.1 (EtOAc). mp: 180−182 °C. IR (neat, cm^{-1}): 3059, 2931, 2856, 1599, 1494, 1269, 1124, 1035; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.48 (d, J = 8.4 Hz, 2H), 7.37−7.31 (m, 4H), 7.25−7.16 (m, 5H), 7.08 (t, J = 7.4 Hz, 2H), 6.86 (d, J = 7.6 Hz, 2H), 4.16 (d, J = 9.4 Hz, 1H), 3.62 (dq, J = 7.8, 2.7 Hz, 1H), 3.30 (dq, J = 8.6, 2.7 Hz, 1H), 3.03−2.66 (m, 8H), 2.27 (dq, J = 8.4, 2.5 Hz, 1H), 2.05 (d, J = 10.4 Hz, 2H), 1.85 (d, J = 12.9 Hz, 2H), 1.67 (d, J = 12.7 Hz, 2H), 1.39−1.08 (m, 6H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.0 (dd, J = 11.9, 8.2 Hz), 133.8 (d, J = 5.2 Hz), 130.1 (d, J = 6.7 Hz), 129.4 (d, J = 48.6 Hz), 128.5 (d, J = 3.0 Hz), 128.2 (d, J = 2.2 Hz), 122.4 (d, J = 11.9 Hz), 117.7 (dd, J = 189.9, 3.7 Hz), 70.9 (d, J = 130.2 Hz), 64.7, 50.8, 49.0, 43.5 (dd, J = 72.5, 6.7 Hz), 27.6, 25.4, 25.3; ^{31}P NMR (162 MHz, $CDCl_3$): δ 26.29 ppm; HRMS (ESI) calcd for $C_{31}H_{39}N_4OP$ $[M + H]^+$: 515.2934; found: 515.2951.

*1,3-Diphenyl-2-(phenyl(thiomorpholino)methyl)-1,3,2-diazaphospholidine-2-oxide (**4v**).* Off-white solid **4v** (22.1 mg, 0.049 mmol, 49%). R_f = 0.5 (hexanes/EtOAc = 1:1). mp: 191−193 °C. IR (neat, cm^{-1}): 3057, 2951, 2883, 1599, 1494, 1271, 1114, 1033; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.45 (d, J = 7.8 Hz, 2H), 7.35 (q, J = 6.4 Hz, 4H), 7.28−7.16 (m, 5H), 7.08 (qt, J = 7.4, 1.2 Hz, 2H), 6.93 (d, J = 6.8 Hz, 2H), 4.18 (d, J = 7.3 Hz, 1H), 3.70−3.63 (m, 1H), 3.38 (dq, J = 8.2, 2.7 Hz, 1H), 3.23 (dq, J = 8.6, 2.7 Hz, 1H), 3.08−3.03 (m, 2H), 2.86−2.80 (m, 2H), 2.61−2.51 (m, 5H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.0 (dd, J = 43.4, 7.5 Hz), 133.7 (d, J = 2.2 Hz), 130.3 (d, J = 7.5 Hz), 129.2 (d, J = 23.2 Hz), 128.1 (d, J = 3.0 Hz), 127.9 (d, J = 1.5 Hz), 122.4 (d, J = 38.1 Hz), 118.1 (dd, J = 158.6, 3.7 Hz), 72.1 (d, J = 130.1 Hz), 54.6 (d, J = 8.2 Hz), 43.7 (dd, J = 73.3, 6.7 Hz), 27.9; ^{31}P NMR (162 MHz, $CDCl_3$): δ 26.51 ppm; HRMS (ESI) calcd for $C_{25}H_{28}N_3OPS$ $[M + Na]^+$: 472.1583; found: 472.1589.

*1-((2-Oxido-1,3-diphenyl-1,3,2-diazaphospholidin-2-yl)(phenyl)-methyl)piperidin-4-one (**4w**).* Off-white solid **4w** (19.3 mg, 0.043 mmol, 43%). R_f = 0.3 (hexanes/EtOAc = 1:1). mp: 151−154 °C. IR (neat, cm^{-1}): 3059, 2970, 2887, 1716, 1599, 1504, 1271, 1118, 1035; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.50 (d, J = 8.4 Hz, 2H), 7.37−7.15 (m, 9H), 7.10−6.96 (m, 4H), 4.23 (d, J = 11.3 Hz, 1H), 3.66 (dq, J = 8.0, 3.1 Hz, 1H), 3.34 (dq, J = 8.8, 3.2 Hz, 1H), 3.22 (quint, J = 5.6 Hz, 2H), 3.15 (dq, J = 8.4, 4.7 Hz, 1H), 2.86 (quint, J = 7.0 Hz, 2H), 2.42−2.24 (m, 5H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 209.2, 142.1 (dd, J = 27.7, 7.5 Hz), 134.7 (d, J = 3.7 Hz), 130.0 (d, J = 6.7 Hz), 129.3 (d, J = 27.7 Hz), 128.5 (d, J = 2.2 Hz), 128.3 (d, J = 2.2 Hz), 122.5 (d, J = 59.8 Hz), 118.1 (dd, J = 214.7, 5.3 Hz), 70.8 (d, J = 129.4 Hz), 52.6 (d, J = 8.2 Hz), 43.8 (dd, J = 106.2, 7.5 Hz), 41.4; ^{31}P NMR (162 MHz, $CDCl_3$): δ 26.03 ppm; HRMS (ESI) calcd for $C_{26}H_{28}N_3O_2P$ $[M + Na]^+$: 468.1811; found: 468.1814.

*2-((Benzylamino)(phenyl)methyl)-1,3-diphenyl-1,3,2-diazaphospholidine-2-oxide (**4x**).* Off-white solid **4x** (24 mg, 0.053 mmol, 53%). R_f = 0.28 (hexanes/EtOAc = 2:1). mp: 180−181 °C. IR (neat, cm^{-1}): 3523, 2958, 2893, 1647, 1637, 1600, 1492, 1269, 1213; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.40−7.15 (m, 16H), 7.08−6.98 (m, 4H), 4.60 (d, J = 14 Hz, 1H), 3.77 (d, J = 13.6 Hz, 1H), 3.54−3.43 (m, 3H), 3.05−2.95 (m, 1H), 2.72−2.52 (m, 2H); ^{13}C NMR (100.5 MHz, $CDCl_3$): δ 142.0 (dd, J = 24.5, 8.2 Hz), 139.5, 135.8 (d, J = 6.7 Hz), 129.4, 129.0, 128.3 (d, J = 5.2 Hz), 128.2, 128.1 (d, J = 3.0 Hz), 128.0, 127.8 (d, J = 4.5 Hz), 126.9, 121.9 (d, J = 18.7 Hz), 116.4 (dd, J = 101.9, 4.4 Hz), 62.0 (d, J = 119.8 Hz), 51.7 (d, J = 17.1 Hz), 43.0 (dd, J = 32.0, 7.4 Hz) ; ^{31}P NMR (162 MHz, $CDCl_3$): δ 27.73 ppm; HRMS (ESI) calcd for $C_{28}H_{28}N_3OP$ $[M + H]^+$: 454.2048; found: 454.2036.

■ ASSOCIATED CONTENT

Supporting Information

The Supporting Information is available free of charge on the ACS Publications website at DOI: 10.1021/acs.joc.6b00326.

^{1}H and ^{13}C NMR spectra of new compounds (PDF)

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Notes

The authors declare the following competing financial interest(s): A patent application has been submitted.

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