

FIGURE 1A

| Name                                                                                                                   | PARP1 | Tubulin | A549 | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|------------------------------------------------------------------------------------------------------------------------|-------|---------|------|--------|------------|---------------------|
| Ethyl 5-(2-methyl-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate                   | 0.24  | 1.20    | 0.16 | 0.13   | 0.05       | NT                  |
| Methyl 5-(2-methyl-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate                  | 0.32  | 1.35    | 0.12 | 0.06   | 0.03       | NT                  |
| Ethyl 5-(2-methoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate                  | 0.15  | 1.40    | 0.04 | 0.01   | 0.02       | NT                  |
| 2-Methoxyethyl 6-fluoro-5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate | 0.04  | 1.70    | 0.15 | 0.07   | 0.36       | NT                  |
| Methyl 5-(2-(difluoromethoxy)-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate       | 0.43  | 1.70    | 0.02 | 0.01   | 0.05       | NT                  |
| Methyl 5-(2-ethoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate                  | 0.69  | 1.70    | 0.02 | 0.01   | 0.04       | NT                  |
| Ethyl 5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate                   | 0.01  | 1.80    | 0.18 | 0.15   | 0.15       | NT                  |

FIGURE 1B

| Name                                                                                                              | PARP1 | Tubulin | A549 | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|-------------------------------------------------------------------------------------------------------------------|-------|---------|------|--------|------------|---------------------|
| 1-Ethyl-3-(5-(2-methoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)urea            | 0.06  | 1.80    | 0.07 | 0.05   | 0.05       | NT                  |
| Ethyl (7-fluoro-5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate   | 0.64  | 1.80    | 0.31 | 0.05   | 0.09       | NT                  |
| Methyl (5-(2-methoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate          | 0.10  | 1.90    | 0.07 | 0.02   | 0.04       | NT                  |
| Ethyl (5-(2-ethoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate            | 0.91  | 1.90    | 0.03 | 0.01   | 0.05       | TC                  |
| 1-Ethyl-3-(6-(5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)furan-2-yl)-1H-benzoimidazol-2-yl)urea                  | 0.02  | 2.00    | 0.12 | 0.04   | 0.25       | NT                  |
| Ethyl (5-(2-(difluoromethoxy)-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate | 0.65  | 2.00    | 0.03 | 0.01   | 0.10       | NT                  |
| Methyl (7-fluoro-5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate  | 2.00  | 2.00    | 0.13 | 0.06   | 0.24       | NT                  |

FIGURE 1C

| Name                                                                                                                   | PARP1 | Tubulin | A549 | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|------------------------------------------------------------------------------------------------------------------------|-------|---------|------|--------|------------|---------------------|
| Methyl 5-(5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)-2-(trifluoromethoxy)phenyl)-1H-benzimidazol-2-yl)carbamate      | 3.10  | 2.00    | 0.11 | 0.07   | 0.08       | NT                  |
| Methyl 5-(2-chloro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate                  | 0.22  | 2.10    | 0.16 | 0.04   | 0.12       | NT                  |
| Ethyl 5-(5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)-2-(trifluoromethoxy)phenyl)-1H-benzimidazol-2-yl)carbamate       | 3.31  | 2.20    | 0.11 | 0.06   | 0.06       | NT                  |
| 1-(5-(2-(Difluoromethoxy)-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)-3-ethylurea        | 0.07  | 2.50    | 0.80 | 0.06   | 0.07       | NT                  |
| 1-Ethyl-3-(5-(2-methyl-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)urea                   | 0.09  | 2.50    | 0.92 | 0.11   | 0.16       | NT                  |
| Ethyl 5-(2-chloro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate                   | 0.30  | 2.50    | 0.30 | 0.09   | 0.20       | NT                  |
| 2-Methoxyethyl 4-fluoro-5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate | NT    | 2.50    | 0.47 | 0.21   | 0.14       | NT                  |

FIGURE 1D

| Name                                                                                                             | PARP1 | Tubulin | A549 | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|------------------------------------------------------------------------------------------------------------------|-------|---------|------|--------|------------|---------------------|
| Ethyl (6-fluoro-5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate   | 0.03  | 2.60    | 0.57 | 0.15   | 0.31       | NT                  |
| Methyl (5-(4-fluoro-2-methoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate | 0.51  | 2.60    | 0.08 | 0.09   | 0.07       | NT                  |
| Ethyl (5-(4-fluoro-2-methoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate  | 0.56  | 2.60    | 0.12 | 0.17   | 0.13       | NT                  |
| Ethyl (5-(2,4-difluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate        | 0.03  | 2.80    | 0.83 | 0.30   | 0.43       | NT                  |
| Ethyl (6-(5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)furan-2-yl)-1H-benzimidazol-2-yl)carbamate                 | 0.08  | 3.00    | 0.20 | 0.11   | 0.15       | NT                  |
| Methyl (5-(2,4-difluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate       | 0.03  | 3.20    | 0.92 | 0.24   | 0.30       | NT                  |
| Ethyl (4-fluoro-5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate   | 0.06  | 3.20    | 0.72 | 0.36   | 0.20       | NT                  |

FIGURE 1E

| Name                                                                                                            | PARP1 | Tubulin | A549 | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|-----------------------------------------------------------------------------------------------------------------|-------|---------|------|--------|------------|---------------------|
| Methyl (6-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate          | 0.00  | 3.34    | 0.28 | 0.10   | 0.26       | TC                  |
| Methyl (5-(3-methoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate         | 1.17  | 3.60    | 1.14 | 0.53   | 0.44       | NT                  |
| Ethyl (5-(3-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate                    | 0.05  | 3.73    | 0.41 | 0.23   | 0.26       | NT                  |
| Ethyl (5-(3-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate           | 0.10  | 3.86    | 0.35 | 0.21   | 0.22       | NT                  |
| Methyl (6-(5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)furan-2-yl)-1H-benzimidazol-2-yl)carbamate               | 0.10  | 4.00    | 0.15 | 0.07   | 0.09       | NT                  |
| Methyl (5-(2-fluoro-3-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate          | 0.73  | 4.50    | 0.37 | 0.30   | 0.35       | NT                  |
| Methyl (4-fluoro-5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate | NT    | 4.50    | 1.76 | 0.88   | 0.72       | NT                  |

FIGURE 1F

| Name                                                                                                            | PARP1 | Tubulin | A549 | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|-----------------------------------------------------------------------------------------------------------------|-------|---------|------|--------|------------|---------------------|
| Methyl (5-(2-fluoro-5-((6-methyl-4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzotriazol-2-yl)carbamate | 4.97  | 4.59    | 0.34 | 0.11   | 0.46       | NT                  |
| Methyl (5-(3-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzotriazol-2-yl)carbamate          | 0.18  | 4.73    | 0.31 | 0.19   | 0.32       | NT                  |
| Methyl (5-(3-methoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzotriazol-2-yl)carbamate         | 1.28  | 4.80    | 1.41 | 0.62   | 0.62       | NT                  |
| Ethyl (5-(2-fluoro-5-((6-methyl-4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzotriazol-2-yl)carbamate  | 8.23  | 4.85    | 0.36 | 0.16   | 0.48       | NT                  |
| Ethyl (5-(2-fluoro-5-((5-fluoro-4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzotriazol-2-yl)carbamate  | 0.21  | 4.89    | 0.35 | 0.16   | 0.36       | NT                  |
| Methyl (5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-imidazo[4,5-b]pyridin-2-yl)carbamate | 0.01  | 5.00    | 0.32 | 0.06   | 0.15       | TC                  |

FIGURE 1G

| Name                                                                                                                   | PARP1 | Tubulin | A549 | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|------------------------------------------------------------------------------------------------------------------------|-------|---------|------|--------|------------|---------------------|
| Ethyl 5-(2-fluoro-3-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate                   | 0.59  | 5.00    | 0.58 | 0.50   | 0.80       | NT                  |
| Ethyl 6-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-5-methyl-3H-imidazo[4,5-b]pyridin-2-yl)carbamate | NT    | 5.00    | 1.14 | 0.39   | 0.99       | NT                  |
| 1-Ethyl-3-(4-fluoro-5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)urea          | NT    | 5.00    | 1.14 | 0.98   | 2.27       | NT                  |
| Ethyl 5-(2-fluoro-5-((8-fluoro-4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate          | 0.16  | 5.02    | 0.37 | 0.22   | 0.48       | NT                  |
| Ethyl 6-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)benzothiazol-2-yl)carbamate                       | 2.38  | 5.06    | 2.04 | 1.87   | 2.81       | NT                  |
| 1-Ethyl-3-(6-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)urea                   | 0.01  | 5.69    | 1.80 | 0.15   | 0.93       | NT                  |
| Ethyl (4-chloro-6-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate         | 16.67 | 7.30    | 1.02 | 0.25   | 0.89       | NT                  |

FIGURE 1H

| Name                                                                                                   | PARP1 | Tubulin | A549  | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|--------------------------------------------------------------------------------------------------------|-------|---------|-------|--------|------------|---------------------|
| Ethyl 5-(4-fluoro-3-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate   | 0.16  | 8.76    | 1.51  | 0.89   | 1.79       | NT                  |
| Methyl 5-(3-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate           | 0.09  | 12.35   | 0.37  | 0.22   | 0.54       | NT                  |
| Methyl 5-(4-methoxy-3-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate | 0.12  | NA      | 2.81  | 1.26   | 0.64       | NT                  |
| Ethyl 5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)benzooxazol-2-yl)carbamate        | 0.18  | NA      | 6.16  | 3.52   | 7.30       | NT                  |
| Methyl 5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)benzooxazol-2-yl)carbamate       | 0.20  | NA      | 9.07  | 3.64   | 3.60       | NT                  |
| Ethyl 5-(4-methoxy-3-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate  | 0.30  | NA      | 2.88  | 1.18   | 0.98       | NT                  |
| N-(5-(2-Fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)benzooxazol-2-yl)butyramide          | 0.34  | NA      | 25.26 | 76.91  | 7.42       | TC                  |

FIGURE 1I

| Name                                                                                                               | PARP1 | Tubulin | A549  | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|--------------------------------------------------------------------------------------------------------------------|-------|---------|-------|--------|------------|---------------------|
| Methyl (5-(2-fluoro-4-methoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate  | 0.38  | NA      | 4.46  | 3.22   | 0.95       | TC                  |
| Methyl (5-(2-(2-methoxyethoxy)-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate | 0.43  | NA      | 5.42  | 1.59   | 3.32       | TC                  |
| Ethyl (5-(2-fluoro-4-methoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate   | 0.43  | NA      | 5.60  | 2.15   | 1.35       | TC                  |
| Ethyl (5-(2-(2-methoxyethoxy)-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate  | 0.46  | NA      | 1.40  | 0.46   | 1.72       | NT                  |
| Ethyl (5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)benzothiazol-2-yl)carbamate                  | 0.49  | NA      | 5.14  | 12.08  | 3.74       | NT                  |
| 4-(3-(2-Aminobenzothiazol-6-yl)-4-fluorobenzyl)phthalazin-1(2H)-one                                                | 0.49  | NA      | 20.15 | 57.22  | 13.39      | NT                  |

FIGURE 1J

| Name                                                                                                          | PARP1 | Tubulin | A549  | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|---------------------------------------------------------------------------------------------------------------|-------|---------|-------|--------|------------|---------------------|
| Ethyl 5-(2-fluoro-5-((7-fluoro-4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate | 0.55  | NA      | 2.38  | 0.36   | 0.50       | NT                  |
| 4-(4-Fluoro-3-(2-phenyl-1H-benzimidazol-5-yl)benzyl)phthalazin-1(2H)-one                                      | 0.61  | NA      | 6.93  | 2.45   | 0.35       | NT                  |
| N-(6-(2-Fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)methanesulfonamide    | 0.76  | NA      | 31.57 | 1.52   | 147.30     | NT                  |
| 4-(3-(2-Aminobenzooxazol-5-yl)-4-fluorobenzyl)phthalazin-1(2H)-one                                            | 0.77  | NA      | 10.71 | 20.88  | 11.80      | TC                  |
| Methyl 5-(2,4-dimethoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate    | 0.95  | NA      | 3.93  | 1.93   | 4.28       | TC                  |
| Ethyl 5-(2,4-dimethoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate     | 0.97  | NA      | 1.26  | 0.42   | 2.02       | TC                  |
| 4-(3-(2-Aminobenzothiazol-5-yl)-4-fluorobenzyl)phthalazin-1(2H)-one                                           | 1.45  | NA      | 7.82  | 26.40  | 5.86       | NT                  |

FIGURE 1K

| Name                                                                                                  | PARP1 | Tubulin | A549   | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|-------------------------------------------------------------------------------------------------------|-------|---------|--------|--------|------------|---------------------|
| 4-(4-Fluoro-3-(2-methylbenzooxazol-6-yl)benzyl)phthalazin-1(2H)-one                                   | 1.59  | NA      | 53.91  | 139.40 | 67.25      | NT                  |
| 4-(4-Fluoro-3-(2-methyl-1H-benzimidazol-5-yl)benzyl)phthalazin-1(2H)-one                              | 1.61  | NA      | 34.30  | 16.56  | 1.40       | NT                  |
| 4-(4-Fluoro-3-(2-methylbenzothiazol-6-yl)benzyl)phthalazin-1(2H)-one                                  | 2.34  | NA      | 21.18  | 34.43  | 35.01      | NT                  |
| 4-(4-Fuoro-3-(2-methyl-3H-imidazo[4,5-b]pyridin-6-yl)benzyl)phthalazin-1(2H)-one                      | 4.08  | NA      | 186.40 | NA     | 215.10     | NT                  |
| 4-(4-Fluoro-3-(2-methylbenzothiazol-5-yl)benzyl)phthalazin-1(2H)-one                                  | 4.94  | NA      | 36.28  | 18.12  | 1.23       | NT                  |
| Methyl (6-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)benzothiazol-2-yl)carbamate    | 5.31  | NA      | 4.91   | 13.87  | 9.46       | NT                  |
| Ethyl (4-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate | 7.50  | NA      | 11.39  | 3.96   | 1.76       | NT                  |

FIGURE 1L

| Name                                                                                                        | PARP1 | Tubulin | A549  | OVCAR8  | MDA-MB-436 | Trapped<br>PARP-DNA |
|-------------------------------------------------------------------------------------------------------------|-------|---------|-------|---------|------------|---------------------|
| N-(5-(2-Fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)benzothiazol-2-yl)butyramide              | 7.73  | NA      | 3.92  | 1458.00 | 11.19      | NT                  |
| N-(6-(2-Fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)benzothiazol-2-yl)butyramide              | 11.08 | NA      | NA    | NA      | 84.50      | NT                  |
| 4-(4-Fluoro-3-(2-methylbenzooxazol-5-yl)benzyl)phthalazin-1(2H)-one                                         | 15.98 | NA      | 53.91 | 139.40  | 67.25      | NT                  |
| 4-(4-Fluoro-3-(1H-indol-5-yl)benzyl)phthalazin-1(2H)-one                                                    | 21.05 | NA      | 24.15 | 22.82   | 1.24       | NT                  |
| Ethyl (5-(2,3-dimethoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate | 27.84 | NA      | 7.04  | 3.88    | 6.56       | TC                  |
| Methyl (5-(2-methoxy-3-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate    | 32.96 | NA      | 4.87  | 2.87    | 2.08       | NT                  |

FIGURE 1M

| Name                                                                                                                     | PARP1  | Tubulin | A549  | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|--------------------------------------------------------------------------------------------------------------------------|--------|---------|-------|--------|------------|---------------------|
| Ethyl (5-(2-methoxy-3-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate                  | 33.51  | NA      | 4.14  | 2.26   | 1.84       | NT                  |
| Ethyl (6-(2-fluoro-4-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate                   | 116.80 | NA      | 0.11  | 0.12   | 0.09       | TC                  |
| Methyl (5-(2,3-dimethoxy-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate             | 122.80 | NA      | NA    | NA     | 7.83       | TC                  |
| Methyl (6-(2-fluoro-4-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzoimidazol-2-yl)carbamate                  | 157.30 | NA      | 3.27  | 2.77   | 4.16       | TC                  |
| 4-(4-Fluoro-3-(1H-indol-6-yl)benzyl)phthalazin-1(2H)-one                                                                 | 216.80 | NA      | 32.23 | 19.87  | 1.17       | TC                  |
| 4-(3-(2-Aminothiazolo[5,4-b]pyridin-6-yl)-4-fluorobenzyl)phthalazin-1(2H)-one                                            | NT     | NA      | NA    | NA     | NA         | TC                  |
| Methyl (6-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-5-methyl-1H-imidazo[4,5-b]pyridin-2-yl)carbamate | NT     | NA      | 3.50  | 0.27   | 6.14       | NT                  |

FIGURE 1N

| Name                                                                                                           | PARP1 | Tubulin | A549  | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|----------------------------------------------------------------------------------------------------------------|-------|---------|-------|--------|------------|---------------------|
| Methyl 4-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate          | NT    | NA      | 10.07 | NA     | 62.58      | NT                  |
| 1-Ethyl-3-(4-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)urea           | NT    | NA      | 4.63  | 23.88  | 14.36      | NT                  |
| Ethyl 6-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)thiazolo[5,4-b]pyridin-2-yl)carbamate     | NT    | NT      | 17.37 | 8.14   | 3.36       | TC                  |
| N-(6-(2-Fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)thiazolo[5,4-b]pyridin-2-yl)butyramide       | NT    | NT      | NA    | NA     | 57.50      | TC                  |
| Methyl 5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)benzothiazol-2-yl)carbamate              | NT    | NT      | 20.03 | 114.90 | 3.12       | NT                  |
| Methyl 6-fluoro-5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)carbamate | NT    | NT      | 0.70  | 0.79   | 0.59       | NT                  |
| 1-Ethyl-3-(4-fluoro-5-(2-fluoro-5-((4-oxo-3,4-dihydrophthalazin-1-yl)methyl)phenyl)-1H-benzimidazol-2-yl)urea  | NT    | NT      | 3.60  | 1.34   | 2.15       | TC                  |

FIGURE 10

| Name                                                                                                                                 | PARP1 | Tubulin | A549 | OVCAR8 | MDA-MB-436 | Trapped<br>PARP-DNA |
|--------------------------------------------------------------------------------------------------------------------------------------|-------|---------|------|--------|------------|---------------------|
| 2-Methoxyethyl (7-fluoro-5-(2-fluoro-5-<br>((4-oxo-3,4-dihydrophthalazin-1-<br>yl)methyl)phenyl)-1H-benzoimidazol-<br>2-yl)carbamate | NT    | NT      | 0.40 | 0.23   | 0.29       | TC                  |
| 1-Ethyl-3-(7-fluoro-5-(2-fluoro-5-((4-<br>oxo-3,4-dihydrophthalazin-1-<br>yl)methyl)phenyl)-1H-benzoimidazol-<br>2-yl)urea           | NT    | NT      | 2.07 | 0.50   | 0.50       | TC                  |
| Methyl (4-fluoro-5-(2-fluoro-5-((4-oxo-<br>3,4-dihydrophthalazin-1-<br>yl)methyl)phenyl)-1H-benzoimidazol-<br>2-yl)carbamate         | NT    | NT      | 1.76 | 0.88   | 0.72       | TC                  |
| 2-Methoxyethyl (4-fluoro-5-(2-fluoro-5-<br>((4-oxo-3,4-dihydrophthalazin-1-<br>yl)methyl)phenyl)-1H-benzoimidazol-<br>2-yl)carbamate | NT    | NT      | 0.47 | 0.21   | 0.14       | TC                  |
| Methyl (5-(5-((4-oxo-3,4-<br>dihydrophthalazin-1-yl)methyl)-2-<br>(trifluoromethyl)phenyl)-1H-<br>benzoimidazol-2-yl)carbamate       | 19.20 | 1.20    | 0.11 | 0.02   | 0.06       | NT                  |
| Ethyl (5-(5-((4-oxo-3,4-<br>dihydrophthalazin-1-yl)methyl)-2-<br>(trifluoromethyl)phenyl)-1H-<br>benzoimidazol-2-yl)carbamate        | 29.01 | NT      | 0.14 | 0.03   | 0.07       | NT                  |