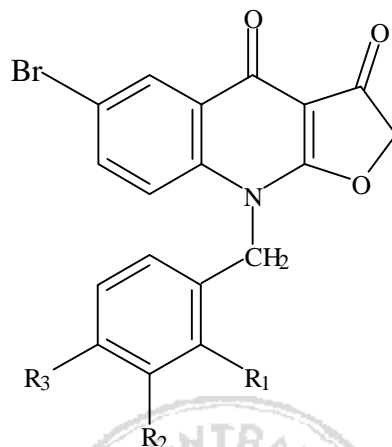


Table 31 The inhibitory effects of compound **154-163** on the mast cell degranulation (*in vitro*)

Inducer: Compound 48/80 (10 μ g/ml)

animal: Rat



| No. | R1 | R2 | R3 | Conc. (μ M) | Percent Release | | | |
|-----------------------------|-----------------|------------------|-----------------|------------------|------------------------|----------------|-------------------|-----------------|
| | | | | | β -Glucuronidase | (%inh.) | Histamine | (%inh.) |
| control | | | | | 37.9 \pm 2.2 | | 66.9 \pm 2.2 | |
| 154 | H | H | H | 10 | 12.0 \pm 0.3 ** | 22.4 \pm 4.3 | 70.3 \pm 0.3 ** | -10.1 \pm 0.4 |
| | | | | 30 | 11.2 \pm 0.3 ** | 27.5 \pm 4.1 | 73.1 \pm 0.2 ** | -14.5 \pm 0.4 |
| 155 | F | H | H | 10 | 13.9 \pm 0.3 ** | 10.1 \pm 4.2 | 64.7 \pm 0.5 | -1.4 \pm 0.2 |
| | | | | 30 | 9.9 \pm 0.3 ** | 35.9 \pm 3.6 | 62.1 \pm 0.7 | 2.1 \pm 1.2 |
| 156 | H | F | H | 10 | 12.7 \pm 0.3 ** | 17.7 \pm 4.4 | 64.7 \pm 0.9 | -1.4 \pm 0.8 |
| | | | | 30 | 11.6 \pm 0.3 ** | 27.4 \pm 3.8 | 65.1 \pm 0.4 | -2.1 \pm 0.1 |
| 157 | H | H | F | 10 | 12.9 \pm 0.3 ** | 16.1 \pm 4.5 | 65.2 \pm 0.1 | -2.3 \pm 0.7 |
| | | | | 30 | 11.1 \pm 0.3 ** | 28.6 \pm 4.1 | 65.1 \pm 0.2 | -2.0 \pm 0.3 |
| 158 | CH ₃ | H | H | 10 | 11.6 \pm 0.1 ** | 25.0 \pm 3.5 | 59.7 \pm 0.7 ** | 6.3 \pm 0.7 |
| | | | | 30 | 9.9 \pm 0.1 ** | 35.5 \pm 3.2 | 59.8 \pm 0.3 ** | 6.3 \pm 0.4 |
| 159 | H | CH ₃ | H | 10 | 10.4 \pm 0.2 ** | 32.6 \pm 3.6 | 61.2 \pm 0.2 ** | 3.9 \pm 0.2 |
| | | | | 30 | 9.1 \pm 0.2 ** | 41.0 \pm 3.2 | 59.4 \pm 0.3 ** | 6.8 \pm 0.5 |
| 160 | H | H | CH ₃ | 10 | 12.2 \pm 0.2 ** | 20.9 \pm 4.1 | 62.8 \pm 0.3 | 1.5 \pm 0.3 |
| | | | | 30 | 9.8 \pm 0.2 ** | 36.1 \pm 3.4 | 62.2 \pm 0.5 | 2.4 \pm 0.2 |
| 161 | H | OCH ₃ | H | 10 | 12.5 \pm 0.4 ** | 18.8 \pm 4.7 | 63.2 \pm 0.3 | 0.8 \pm 0.4 |
| | | | | 30 | 11.8 \pm 0.3 ** | 23.7 \pm 4.1 | 62.9 \pm 0.9 | 1.3 \pm 0.9 |
| 162 | H | Cl | H | 10 | 10.7 \pm 0.3 ** | 32.0 \pm 4.1 | 57.9 \pm 0.3 ** | 9.1 \pm 0.2 |
| | | | | 30 | 9.0 \pm 0.3 ** | 44.9 \pm 3.7 | 56.3 \pm 0.2 ** | 11.7 \pm 0.8 |
| 162 | H | H | Cl | 10 | 11.3 \pm 0.3 ** | 27.0 \pm 4.1 | 61.2 \pm 0.8 * | 4.0 \pm 1.9 |
| | | | | 30 | 9.9 \pm 0.2 ** | 37.4 \pm 3.4 | 60.3 \pm 0.4 ** | 5.4 \pm 0.2 |
| Mepacirne | | | | 10 | 11.4 \pm 0.4 ** | 26.1 \pm 0.6 | 51.6 \pm 0.4 ** | 19.1 \pm 0.2 |
| | | | | 30 | 7.1 \pm 0.2 ** | 54.1 \pm 0.9 | 36.5 \pm 0.5 ** | 42.8 \pm 0.4 |
| | | | | 100 | 3.1 \pm 0.2 ** | 79.5 \pm 0.5 | 15.2 \pm 0.5 ** | 76.0 \pm 0.6 |
| IC ₅₀ (μ M) | | | | | 26.9 \pm 0.5 | | 36.0 \pm 0.4 | |

N=3 ; * P<0.05 , ** P<0.01 ; Mepacirne: positive control